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Comprehensive survey of combinatorial libraries with undisclosed biological activity: 1992–1997 *

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An exhaustive compilation of biologically active libraries covering the years 1992–1997 was presented in a previous review [1a]. In that review, libraries were divided among 4 major categories, including those active against proteases, non-proteolytic enzymes, G-protein coupled receptors, and non-G-protein coupled receptors. A generic structure for each library was provided as well as the structure of the most active member. The number of biologically active libraries reported in the literature during this time period (86 total) represents <25% of the total number of published library constructs. Disclosure of library synthesis without accompanying screening data is a more common occurrence. Because these types of libraries are equally important to the practicing combinatorial chemist, it was thought that a comprehensive listing of such libraries spanning the years 1992–1997 would be a useful supplement to the previous review [1a,b].

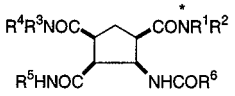
Library constructs [2–248] listed herein are relegated to one of five tables. Table 1 is entitled 'Scaffold derivatization' and includes all constructs in which a multi-functional scaffold is modified in some fashion to create a library. An example of a construct found in Table 1 would be the sequential addition of three nucleophiles to trichloropyrimidine. Table 2, entitled 'Acyclic synthesis', lists all linear constructs such as those derived from multi-component Ugi condensations. The remaining Tables 3–5, entitled 'Monocyclic synthesis', 'Bicyclic and spirocyclic synthesis', and 'Polycyclic and macrocyclic synthesis', respectively, index library constructs yielding carbocyclic and heterocyclic ring systems. Tables 1–4 are further subdivided into two parts: (a) solid-phase synthesis and (b) solution-phase synthesis, indicating the method of library preparation. Because there were no solution-based polycyclic or macrocyclic library syntheses reported during 1992–1997, Table 5 is a single table without the (a)/(b) subdivisions. Accompanying each generic construct is an affiliation (company name for libraries prepared in industry, senior author for libraries synthesized in an academic laboratory), the year of publication, its size (number of examples and yield range), and a brief note regarding its synthesis.

In total, 281 library constructs are indexed in Tables 1–5. The percentages of libraries listed in each of the five categories break down as follows: scaffold derivatization (Table 1), 26%; acyclic synthesis (Table 2), 22%; monocyclic synthesis (Table 3), 26%; bicyclic and spirocyclic synthesis (Table 4), 23%; and polycyclic and macrocyclic synthesis (Table 5), 3%. Approximately 95% of the libraries were prepared by solid-phase techniques. The ratio of contributions from industrial versus academic laboratories was ca. 2:1.

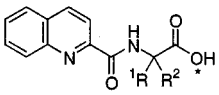
This review completes a full survey of both biologically active libraries and related library constructs without disclosed biological activity beginning in 1992, when the first small molecule combinatorial libraries began to appear in the literature, through the end of 1998 [1a,b].

* A supplement to Molecular Diversity, 3 (1998) 199–233.

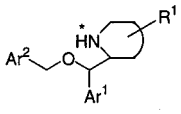
Table 1a. Scaffold derivatization: Solid phase. * Represents point of attachment to the resin



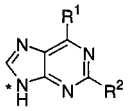
- Selectide; 1994 [163]
- ca. 6 ex; 83-90%
- from *cis*-cyclopentane anhydride



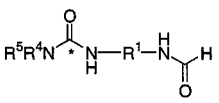
- Griffith, M. C.; 1997 [82]
- 24 ex; 2-100%
- dialkylation of glycine benzophenone imine



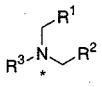
- Pharmagenics; 1997 [65]
- 3 ex; 60%
- use of amidine-based linker



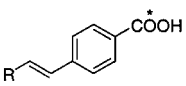
- DuPont; 1997 [156]
- 10 ex; 53-91%
- THP linkage



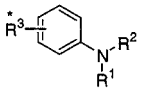
- DuPont; 1996 [188]
- 9 ex; 56-90%
- from diisocyanate functionalized oxime resin



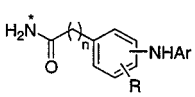
- Organon; 1997 [28]
- ca. 8 ex; 28-88%
- Hofmann elimination of quaternized amines



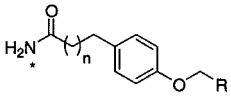
- BMS; 1994 [237
- 7 ex; 64-91%
- Heck coupling of resin-bound aryl iodides



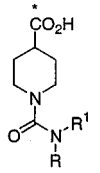
- Merck; 1996 [224]
- 14 ex; 75->95%
- Pd-catalyzed amination of resin-bound aryl bromides



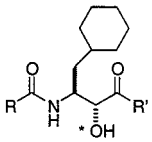
- Boehringer Ingelheim; 1996 [222]
- 10 members
- Pd-catalyzed amination of resin-bound aryl bromides



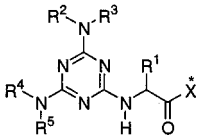
- Merck; 1995 [177]
- 5 ex; 66-94%
- Mitsunobu etherification of resin-bound phenol



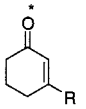
- Abbott; 1997 [218]
- 24 ex; >95%
- urea formation from carbamoyl chloride intermediate



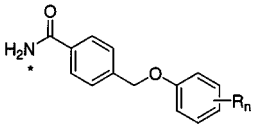
- BMS; 1997 [217]
- 11 ex; 13-68%
- Grignard and organo-lithium addition to resin-bound in situ generated Weinreb amide



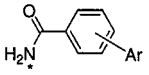
- Selectide; 1996 [199]
- 12,000 members
- successive substitution of trichlorotriazine; X = NH_2, NHR



- Merck; 1997 [63]
- 22 ex; 23-86%
- from resin-bound vinylogous ether derived from 1,3-cyclohexanedione

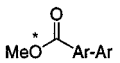


- Merk; 1995 [177]
- 10 ex; 72-99%
- Mitsunobu etherification of resin-bound alcohol

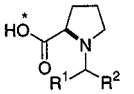


- Piettre, S. R.; 1997 [169]
- 11 ex; 26-95%
- conversion of resin-bound aryl iodide to boronate, then Pd-catalyzed coupling of aryl halide

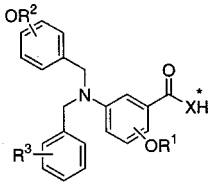
- Versicor; 1997 [152]
- 4 ex; 61-81%
- use of O-protected N-immobilized hydroxylamine



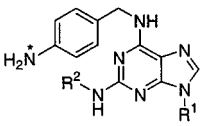
- Merck KGaA; 1996 [136]
- 12 ex; 55-85%
- Pd-catalyzed coupling of zinc bromides to resin-bound aryl bromide



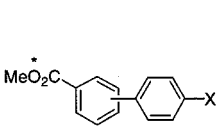
- Balasubramanian, S.; 1996 [111]
- 8 ex; 95%
- reductive amination using borane-pyridine complex



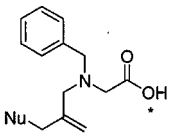
- ARIAD; 1995 [81]
- 2-methoxy-4-(Fmoc-amino)-benzoic acid; X = O, NH



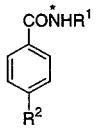
- Schultz, P. G.; 1997 [80]
- ca. 10 members
- N-9 alkylation and amine displacement of C-2 fluorine



- Merck Frosst; 1994 [64]
- 15 ex; 82-95%
- Suzuki coupling

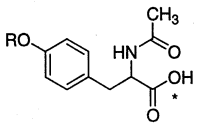


- Selectide; 1996 [62]
- 12 ex; 40-88%
- Pd-catalyzed addition of bis-allylic templates



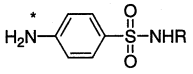
- BMS; 1994 [48]
- 7 ex; 85-92%
- Stille reaction with resin-bound aryl iodides

Table 1a. (continued)

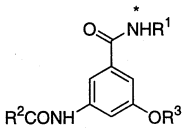


- Selectide; 1995 [123]
- 21 ex; 39-98%
- Mitsunobu etherification of resin-bound phenol

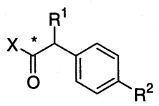
- Janssen; 1997 [97]
- 6 ex; 48-90%
- reaction of activated carbamate linker with an amine, then LAH reduction



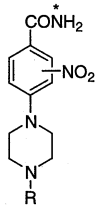
- Janda, K. D.; 1995 [88]
- 6 members
- liquid-phase synthesis



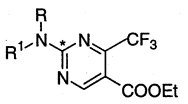
- Syntex; 1995 [47]
- 2001 members
- from 3-amino-5-hydroxybenzoic acid



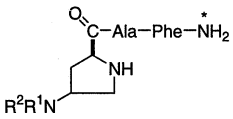
- Ellman, J. A.; 1994 [5]
- 13 ex; 88-100%
- Kenner's safety catch linker, alkylation of *p*-bromophenyl acetic acids, Suzuki coupling; X = OH, NRR



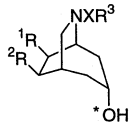
- Syntex; 1995 [46]
- ca. 200 members
- from resin-bound fluoro-nitrobenzoic acids



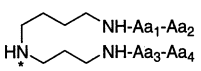
- Signal; 1997 [67]
- 6 ex; 50-93%
- amine displacement of resin-bound 2-sulfonyl pyrimidine



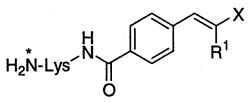
- Chiron Mimotopes; 1995 [26]
- 50 members
- from resin-bound hydroxyproline



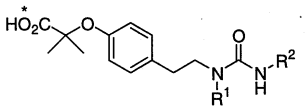
- Ellman, J. A.; 1996 [121]
- 10 ex; 50-73%
- THP linker; X = CO, CH_2



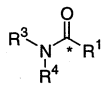
- Bradley, M.; 1996 [137]
- 10,000 members



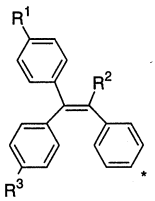
- Arris; 1995 [95]
- 6 ex; 62-95%
- Heck reaction using resin-bound aryl iodide



- Glaxo Wellcome; 1997 [31]
- 16 ex; 54-96%
- isocyanate and resin-bound amine

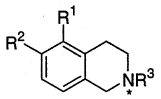


- Ellman, J. A.; 1996 [6]
- 9 ex; 81-98%
- use of acylsulfonamide safety-catch linker

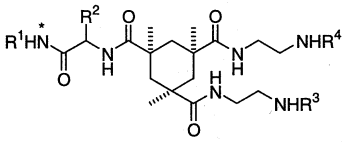


- Armstrong, R. W.; 1997 [29]
- 25 members
- vinyl boronate and resin-bound aryliodide

- Organon; 1997 [43]
- 6 ex; 84-96%
- ACE-Cl mediated cleavage of N-benzyl linked tertiary amines

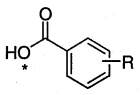


- Heinonen, P.; 1997 [92]
- 13 ex; 25-100%
- Michael addition of amine to resin-bound vinyl sulfone, then quaternization and elimination

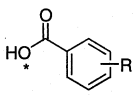


- Selectide; 1995 [120]
- 7500 members

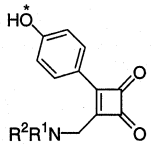
- Chiron; 1996 [12]
- ca. 7 members
- sulfonylation of rink resin



- R. W. Johnson; 1996 [84]
- 7 ex; 50-91%
- Suzuki coupling of resin-bound aryl iodides; R = aryl, alkenyl, alkynyl



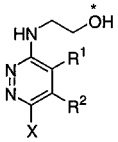
- R. W. Johnson; 1996 [84]
- 5 ex; 43-100%
- Suzuki coupling of resin-bound aryl boronates



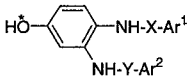
- Armstrong, R. W.; 1997 [205]
- 6 members
- from 3-isopropyl squarate

Table 1a. (continued)

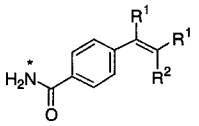
- Armstrong, R. W.; 1996 [51]
- 15 ex; 10-78%
- addition of nucleophile to resin-bond Weinreb-type amides; Nu = H, alkyl, allyl, benzyl, phenyl



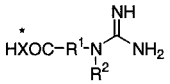
- Panek, J. S.; 1996 [158]
- 8 ex; 28-72%
- inverse electron demand Diels-Alder reaction from resin-bound 1,2,4,5-tetrazine



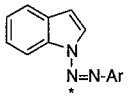
- Sphinx; 1995 [145]
- 600 members
- X = CO, CONH; Y = CO, SO_2, CONH



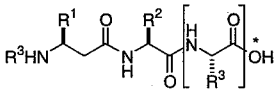
- Armstrong, R. W.; 1996 [27]
- 10 ex; 78->95%
- Pd-catalyzed coupling of borylalkenes and resin-bound aryl iodide



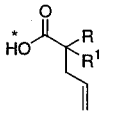
- Pfizer; 1997 [178]
- 4 ex; 0-99%
- guanylation using N,N′-bis-Boc-thiourea and N,N′-bis-Boc-guanylpyrazole; X = O, NH



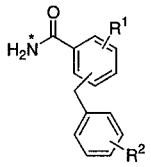
- Das, J. P.; 1997 [112]
- 8 members
- reaction of resin-bound diazonium salts with indole



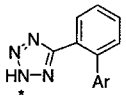
- Novartis; 1997 [138]
- 8 ex; 60-95%
- Arndt-Eistert homologation; capture of Fmoc-amino acid 2-diazoketones with resin-bound amino acids



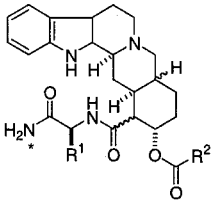
- Sibi, M. P.; 1997 [193]
- 5 ex; 55-76%
- radical addition of allyl tributylstannane to resin-bound 2-bromoesters



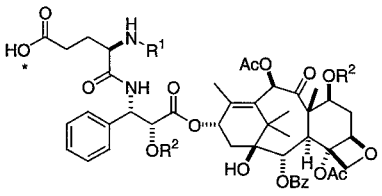
- Knochel, P.; 1997 [181]
- 17 ex; high purity
- Pd-catalyzed coupling of organozinc halides with aryl halides and triflates



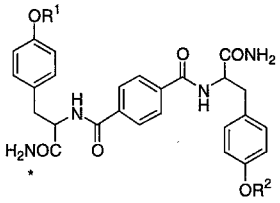
- Yoo, S.; 1997 [235]
- 2 ex; ca. 55%
- Suzuki coupling of resin-bound bromophenyltetrazole; THP linker



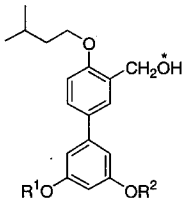
- Affymax; 1996 [4]
- 792 members
- from yohimbinic acid



- IRORI; 1997 [230]
- 400 members

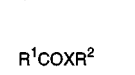


- Nielsen, J.; 1997 [153]
- 10 members

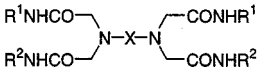


- Sphinx; 1996 [164]
- size not disclosed
- R^1 and R^2 introducted by sequential Mitsunobu etherification of resin-bound orthogonal protected biaryl

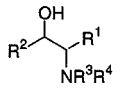
Table 1b. Scaffold derivatization: Solution phase



- Signal; 1997 [66]
- 9 ex; 84-100%
- use of ion-exchange resin as scavenger; X = O, NH

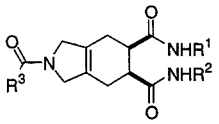


- Boger, D. L.; 1997 [20]
- 600 members
- from N-Boc iminodiacetic anhydride



- Ganesan, A.; 1997 [41]
- 320 members
- epoxide ring opening

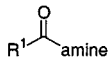
- Abbott; 1996 [2]
- 11 ex; 34-86%
- from acids and thiols with resin-bound EDAC



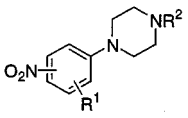
- Boger,D.L.; 1996 [19]
- 27 ex; 3-89%
- Diels-Alder reaction of maleic anhydride

ArO-R

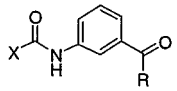
- Berlex Biosciences; 1997 [232]
- 13 ex; 32-92%
- Williamson ether synthesis using polymer-supported base



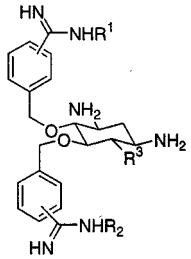
- BMS; 1997 [129]
- 8 ex; >90%
- automated synthesis and purification of amides from acids or nitrophenyl esters



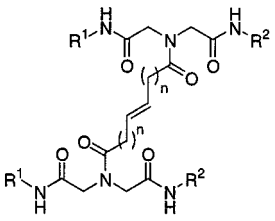
- Zhu, J.; 1997 [151]
- 12 ex; 95%
- S_NAr of fluoronitrobenzenes and piperazines; R^2 = H, COR



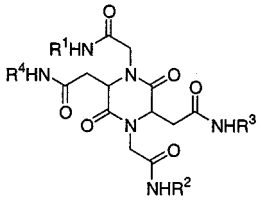
- Ceregen; 1997 [161]
- 44 ex; 35-100%
- scavenger resin and polymer-supported reagents; R = Ph, NR^1R^2; X = heterocycle



- Scriptgen; 1996 [229]
- 1000 members
- 2-deoxystreptamine used to produce neomycin B mimics



- Boger, D. L.; 1997 [21]
- 600 members
- from iminodiacetic acid anhydride; olefin metathesis

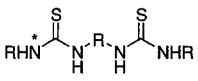


- Falorni, M.; 1997 [58]
- 27 members
- from orthogonal protected diketopiperazine

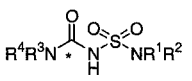
R^2-O-R^1

- Ceregen; 1996 [160]
- 10 members
- use of quaternary a ammonium exchange resin; aryl and heteroaryl ethers

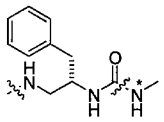
Table 2a. Acyclic synthesis: Solid phase. * Represents point of attachment to the resin



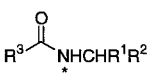
- Anslyn, E. V.; 1996 [196]
- 5 ex; 20-50%
- from BOC-protected amino isothiocyanates



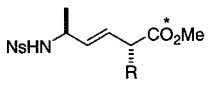
- R. W. Johnson; 1997 [61]
- 28 ex; 26-100%
- cleavage of resin-bound sulfonylcarbamate with HNR^3R^4



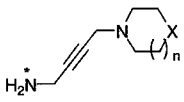
- Burgess, K.; 1997 [35]
- 160 members
- oligoureas



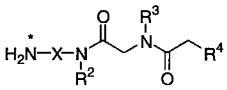
- Chiron; 1997 [30]
- 8 ex; 50-99%
- reductive amination of Rink amine then acylation



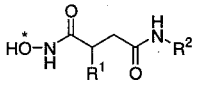
- Wipf, P.; 1997 [226]
- 5 ex; 55-74%
- cuprate-addition to vinyl aziridine



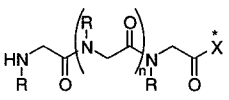
- R. W. Johnson; 1997 [236]
- 31 ex; >90%
- Mannich adducts derived from $(CHO)_n$ and cyclic amine; X = CH_2, NR; n = 0, 1



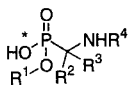
- Merck; 1997 [15]
- 192 members
- X = bifunctional electrophile



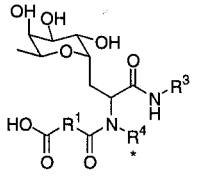
- Koskinen, A. M. P.; 1997 [11]
- 8 ex; 50-80%
- resin-bound hydroxylamine and succinyl anhydrides; urea-based hydroxamates also prepared



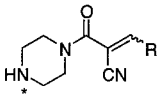
- Chiron; 1992 [248]
- 9 ex; 52-90%
- iterative substitution of bromoacetamides with amines



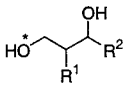
- Ontogen; 1996 [245]
- 13 ex; 37-96%
- condensation of imines with resin-bound phosphonates



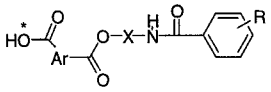
- Armstrong, R. W.; 1996 [202]
- 96 members
- Ugi four-component condensation with resin-bound amine



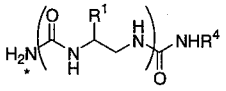
- Novo Nordisk; 1995 [239]
- 3 members
- Knoevenagel-type condensation



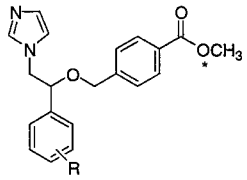
- Kurth, M. J.; 1994 [127]
- 27 members
- resin esterification, Aldol condensation, DIBAL reduction



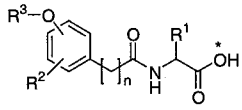
- Nielsen, J.; 1996 [153]
- 32 members
- esterification using 1-(2-mesitylenesulfonyl)-3-nitro-1,2,4-triazole and N-methyl imidazole; X = aliphatic backbone



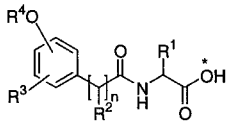
- Schultz, P. G.; 1996 [113]
- 4 ex; 54-76%
- oligourea formation



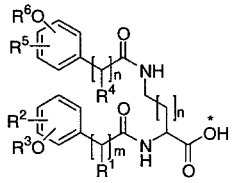
- BMS; 1996 [211]
- 24 ex; 1-22%
- intermolecular iodoetherification of resin-bound benzyl alcohol and styrenes, then displacement of iodide with TMS-imidazole



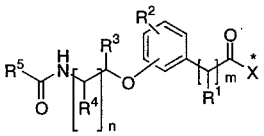
- Selectide; 1995 [123,125]
- 4,200 members



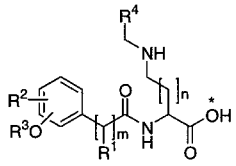
- Selectide; 1995 [124]
- 32760 members



- Selectide; 1995 [124]
- size not disclosed

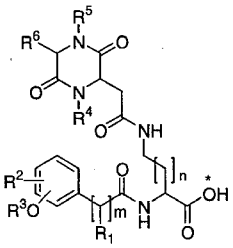


- Selectide; 1995 [124]
- size not disclosed; X = hydroxypropylamide of glycine

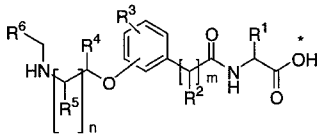


- Selectide 1995 [124]
- size not disclosed

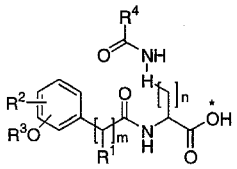
Table 2a. (continued)



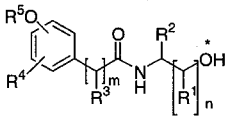
- Selectide; 1995 [124]
- size not disclosed



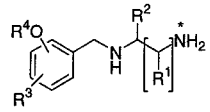
- Selectide; 1995 [124]
- size not disclosed



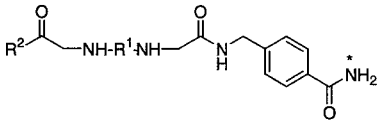
- Selectide; 1995 [124]
- size not disclosed



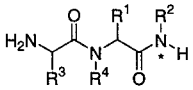
- Selectide; 1995 [124]
- size not disclosed



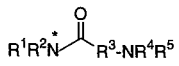
- Selectide; 1995 [124]
- size not disclosed



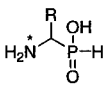
- Merck; 1995 [102]
- 25 members
- reaction of resin-bound nitrophenyl carbamate with diamine, then repeat



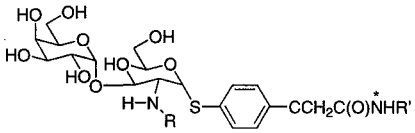
- Houghten, R. A.; 1996 [52]
- 57,500 members
- successive amide alkylation with LiOtBu



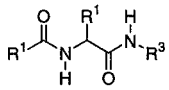
- Pharmacopeia; 1995 [9]
- 6727 members
- encoded library of C/N-derivatized amino acids



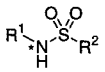
- Boyd, E. A.; 1996 [25]
- 9 ex; 71-100%
- resin-bound imine and bis(trimethylsilyl)phosphonite



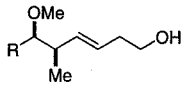
- Kahne, D.; 1996 [133]
- 1300 members
- encode library of di-and trisaccharides



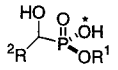
- Armstrong, R. W.; 1996 [204]
- 96 members
- Ugi four-component condensation



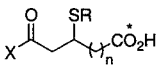
- Raju, B.; 1997 [176]
- 29 ex; 13-88%
- sulfonylation of resin-bound carbamate, then decarboxylation



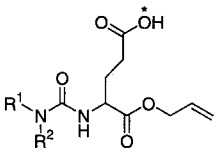
- Panek, J. S.; 1997 [159]
- ca. 9 ex; 70-92%
- reaction of aldehydes and acetals with resin-bound crotylsilane reagents



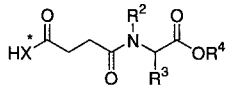
- Ontogen; 1996 [37]
- 9 ex; 72-92%
- condensation of resin-bound phosphonate esters and aldehydes



- Kurth, M. J.; 1997 [39]
- ca. 800 members
- Michael addition of thiols

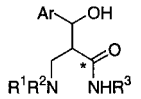


- Merck; 1994 [101]
- 8 ex; high purity
- from resin-bound *p*-nitro phenylcarbamate

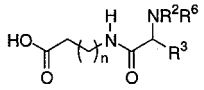


- Armstrong, R. W.; 1996 [201]
- 3 ex; 22-66%
- from convertible isocyanide via Ugi four-component condensation; X = O, NH

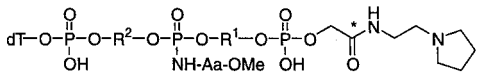
Table 2a. (continued)



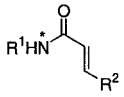
• Schering AG; 1997 [175]
• 18 ex; 40-66%
• Baylis-Hillman reaction with resin-bound acrylic acid and RCHO, then Michael addition of R^1R^2NH andaminolytic resin detachment



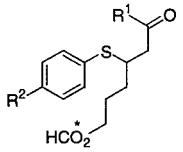
• Ontogen; 1997 [191]
• 2 ex; 52, 57%
• Ugi four-component condensation



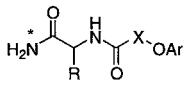
• PharmacaGenics; 1996 [60]
• 8800 members
• aminolytic resin cleavage; dT = dithymidine



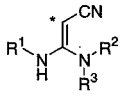
• Arris; 1995 [104]
• 8 ex; good purity
• Horner-Wadsworth-Emmons reaction



• Kurth, M. J.; 1994 [38]
• 9 members
• 1,4-addition of thiols; cleavage of resin-bound trityl ether with formic acid

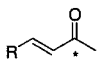


• Jung, G.; 1997 [85]
• 45 members
• Williamson etherification; X = alkyl, aralkyl



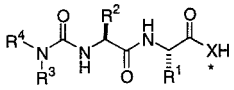
• Novo Nordisk; 1997 [243]
• 4 ex; 59-83%
• from resin-bound cyanoacetic acid

• Kurth, M. J.; 1997 [86]
• ca. 7 ex; >25%
• alkylation then cuprate addition to resin-bound allyl sulfone

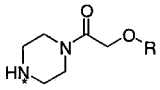


• SKB; 1997 [94]
• 12 ex; 64-95%
• hydrolysis of resin-bound aminobutadiene

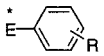
• Katritzky, A. R.; 1997 [106]
• 16 ex; 55-100%
• reductive amination or addition of Grignard to imine derived from Rink resin



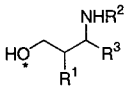
• Affymax; 1997 [231]
• 10 ex; ca. 75-95%
• urea formation via reaction of amine with resin-bound phenyl-carbamate



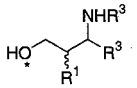
• Novo Nordisk; 1996 [242]
• 3 ex; 10-40%
• Rh-catalyzed etherification of resin-bound diazo-acetamide



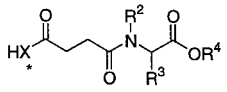
• Merck Frosst; 1996 [89]
• Suzuki coupling of resin-bound bromo-silanes then *ipso*-substitution of silane; R = aryl; E = H, halo, NO_2



• Kobayashi, S.; 1996 [118]
• 48 members
• three component condensation of R^3CHO, R^2NH_2 and resin-bound thioketene silylacetals then reduction of thioester



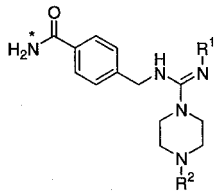
• Kobayashi, S.; 1996 [117,119]
• 18 ex; 42-79%
• condensation of resin-bound thioketene silyl acetals with imines, then $LiBH_4$ reduction of resulting β-amino thioesters



• Armstrong, R. W.; 1996 [201]
• 3 ex; 22-66%
• from convertible isocyanide via Ugi four-component condensation; X = O, NH

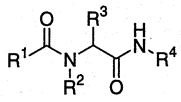
• Blechert, S.; 1997 [187]
• 8 ex; ca. 10-60%
• metathesis of alkene and resin-bound TMS-allyl silane, then electrophilic cleavage

• Glaxo Wellcome; 1997 [107]
• 9 ex; 0-89%
• Mitsunobu reaction with alcohols and resin-bound 2-nitro-sulfonamide then PhSH-mediated cleavage

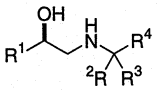


• Glaxo Wellcome; 1997 [54]
• 5 ex; 0-96%
• addition of amine to resin-bound carbodiimide

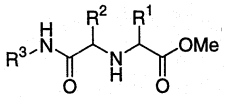
Table 2b. Acyclic synthesis: Solution phase



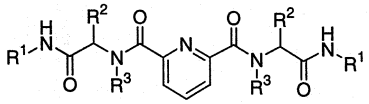
- Armstrong, R. W.; 1995 [108]
- 13 ex; 56-99%
- Ugi four-component condensation



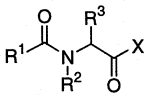
- Lilly; 1997 [192]
- 48 members
- epoxide ring opening with amines



- Ugi, I.; 1996 [212]
- 54 members
- Ugi four-component condensation

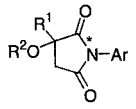


- Ugi, I.; 1996 [212]
- 8256 members
- Ugi seven-component condensation

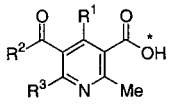


- Armstrong, R. W.; 1996 [110]
- 9 ex; 25-100%
- Ugi four-component condensation and displacement of convertible isocyanide;
  X = OH, OR, SR

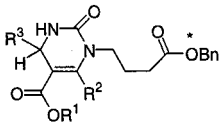
Table 3a. Monocyclic synthesis: Solid phase. * Represents point of attachment to the resin



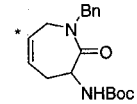
• Hanessian, S.; 1996 [90]
• 6 ex; 23-72%
• condensation of resin-bound N-alkoxyamino esters with aryl isocyanate, then KOtBu



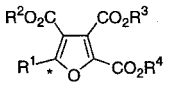
• Affymax; 1996 [73]
• 16 ex; high purity
• Knoevenagel derivatives and Hantzsch condensation with α-oxo enamines



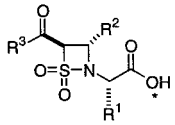
• Wipf, P.; 1995 [225]
• 10 ex; 67-98%
• Biginelli dihydropyrimide synthesis



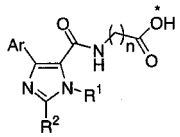
• Solvay; 1996 [213]
• 1 ex; 54%
• intracyclative cleavage via metathesis



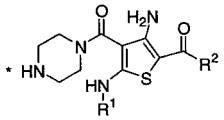
• Affymax; 1997 [79]
• 32 members
• 1,3-dipolar cycloaddition of resin-bound isomunchnones and alkyne



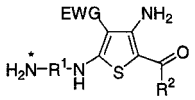
• Affymax; 1997 [75]
• [2+2] cycloaddition of resin-boundimine and (chloro-sulfonyl) acetates



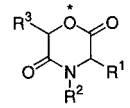
• Ontogen; 1996 [244]
• 11 ex; 16-56%
• dehydration of resin-bound N-formyl amino acids to isocyanides,then three-component condensation with arylglyoxals, amines, and carboxylic acids



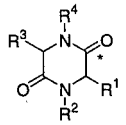
• Novo Nordisk; 1996 [240]
• 8 ex; variable yields
• reaction of resin-bound α-cyano acetamide with RNCS, then condensation with haloketones



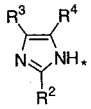
• Novo Nordisk; 1997 [200]
• 9 ex; 53-85%
• reaction of resin-bound diamine with thiophosgene, addition of EWG-CH_2-CN to thioamide, then S-alkylation with haloketone and DBU-mediated cyclization



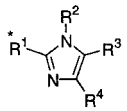
• Chiron; 1995 [189]
• 980 members
• TFA-mediated intramolecular cyclization of resin-bound N-bromoacetyl amino acids



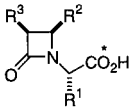
• Chiron; 1995 [189]
• 22,540
• amine displacement of bromide in N-bromo acetyl amino acids then intracyclative cleavage



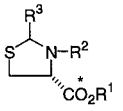
• Ontogen; 1996 [186]
• 3 ex; 75-98%
• condensation of resin-bound amine NH_4OAc, and 1,2-dione



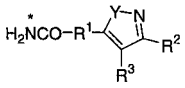
• Ontogen; 1996 [186]
• 7 ex; 71-99%
• condensation of resin-boundRCHO with RNH_2, NH_4OAc, and 1,2-dione



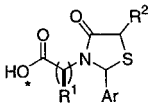
• Affymax; 1996 [183]
• 23 ex; 58-97%
• [2+2] cycloaddition of resin-bound imine



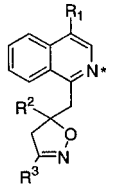
• Selectide; 1995 [162]
• 30 ex; 22-94%
• condensation of aldehydes and resin-bound L-cysteine; R = H, OMe



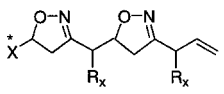
• Ciba-Geigy; 1996 [140]
• 5 ex; >90%
• condensation of resin-bound β-diketones with H_2NNH_2 or NH_2OH; Y = NH, O



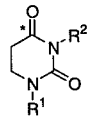
• Affymax; 1995 [99]
• 25 members
• three-component condensation



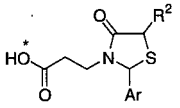
• Kurth, M. J.; 1996 [134]
• 6 members
• resin-bound Reissert complex and nitrile oxide cycloadditon



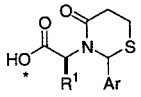
• Kurth, M. J.; 1996 [128]
• 64 members
• iterative nitrile oxide 1,3-dipolar cycloaddition and selenide oxidation/elimination; X = -$(CH_2)_nCO_2Me$, -$(CH_2)_nOH$



• Ceregen; 1996 [122]
• 12 ex; 13-76%
• Michael addition of amine to acrylate resin, then isocyanate and acid-catalyzed intracyclative cleavage

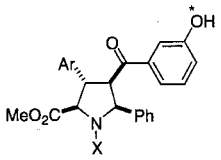


• Affymax; 1995 [99]
• 25 members
• three-component condensation

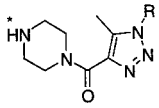


• Affymax; 1995 [99]
• 25 members
• three-component condensation

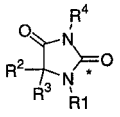
Table 3a. (continued)



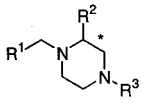
- Sphinx; 1996 [98]
- ca. 5 members
- 1,3-dipolar cycloaddition of azomethine ylid and resin-bound α, β-unsaturated ketone



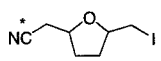
- Novo Nordisk; 1996 [241]
- 3 ex; up to 82%
- vinylogous amide and tosylazide



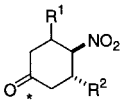
- Lilly; 1996 [53]
- 800 members
- intacyclative cleavage



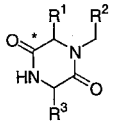
- Cortech; 1996 [71]
- 2500 members
- intracyclative cleavage



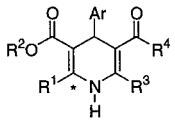
- Kurth, M. J.; 1995 [13]
- 2 ex; 40%
- electrophilic cyclization of isoxazolines



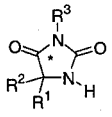
- SKB; 1997 [44]
- 7 ex; 31-63%
- Diels-Alder reaction of resin-bound aminobutadines and nitrostyrene, then acid hydrolysis



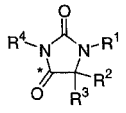
- Pfizer; 1995 [77]
- 1,000 members
- intracyclative cleavage



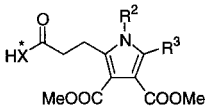
- Affymax; 1996 [74]
- 9 ex; 65-78%
- resin-bound enamino esters with 2-arylidene β-keto esters or β-keto esters and aldehydes



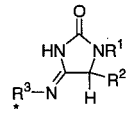
- Parke-Davis; 1993 [49]
- 40 members
- intracyclative cleavage



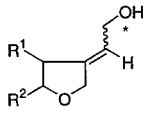
- LG Chemical; 1997 [114]
- 18 ex; 82-93%
- derived from Aa, ArCHO, and RNCO; intracyclative cleavage



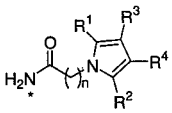
- Armstrong, R. W,; 1996 [201]
- 2 ex; 4-17%
- from convertibe isocyanide via Ugi four-component condensation; X = O, NH



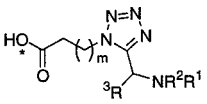
- Ontogen; 1996 [190]
- 11 ex; 36-81%
- Ugi four-component condensation



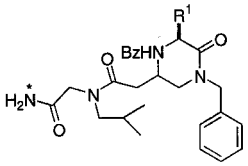
- Balasubramanian, S.; 1997 [182]
- 4 ex; 63-80%
- iodoetherification of resin-bound alkynol, then tributyltin hydride-mediated cyclization



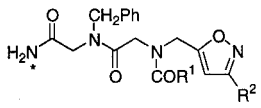
- Ontogen; 1996 [146]
- 10 ex; 26-72%
- 1,3-dipolar cycloaddition of alkynes to resin-bound Munchnones prepared from Ugi four-component condensation



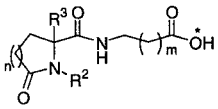
- Ontogen; 1997 [191]
- 4 ex; 33-52%
- Ugi four-component condensation



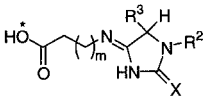
- Chiron; 1996 [70]
- 5 ex; 80% purity
- ring closure via intramolecular Michael addition



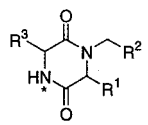
- Chiron; 1994 [166]
- 11 members
- [3+2] cycloaddition of nitrile oxides and alkynes



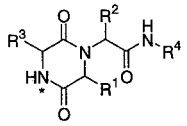
- Ontogen; 1997 [191]
- 8 ex; 47-98%
- Ugi four-component condensation



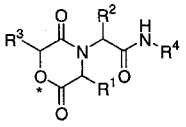
- Ontogen; 1997 [191]
- 10 ex; 36-81%
- Ugi four-component condensation; X = O, S



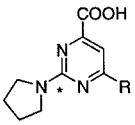
- Affymax; 1997 [203]
- 5 ex; 8-37%
- intracyclative cleavage



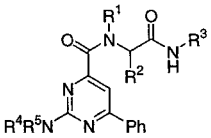
- Affymax; 1997 [203]
- 12 ex; 21-98%
- Ugi four-component condensation, then intracyclative cleavage



- Affymax; 1997 [203]
- 3 ex; 16-56%
- Ugi four-component condensation, then intracyclative cleavage

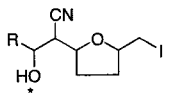


- Hoffmann-La Roche AG; 1997 [157]
- 3 ex; 96-99%
- from resin-bound thiouronium salt

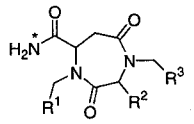


- Hoffmann-La Roche AG; 1997 [157]
- 5 ex; 65-87%
- from resin-bound thiouronium salt

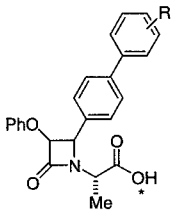
Table 3a. (continued)



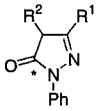
• Kurth, M. J. ; 1995 [14]
• ca. 10 ex; 21-49%
• electrophilic cyclization of tetrahydrofuroisoxazolines



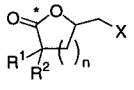
• Houghten, R. A.; 1997 [150]
• 40 members
• intramolecular cyclization of Asp side chain and α–amino group



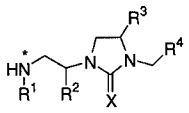
• Affymax; 1997 [185]
• 6 ex; 65-83%
• [2+2] cyclization with phenoxy ketene and resin-bound imine, then Suzuki coupling



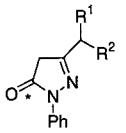
• Tietze, L. F.; 1997 [210]
• 12 ex; 52-95%
• phenylhydrazine and resin-bound β-ketoesters



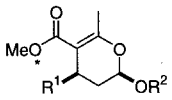
• David, M.; 1997 [130]
• 12 ex; 56-65%
• nucleophilic epoxide ring opening, then intracyclative cleavage; X = N_3, SR, OH



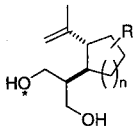
• Houghten, R. A.; 1997 [149]
• 236,000 members
• borane reduction of acylated dipeptide and ring closure with CDI or TCDI



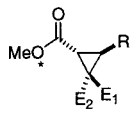
• Tietz, L. F.; 1996 [207]
• 8 ex; 40-76%
• intracyclative cleavage; condensation of resin-bound β-keto ester and phenyl hydrazine



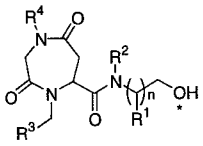
• Tietze, L.F.; 1996 [208]
• 9 ex; 13-37%
• resin-bound acetoacetate condensed with RCHO then hetero Diels-Alder reaction with enol ethers



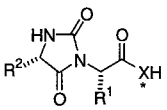
• Tietze, L. F.; 1996 [209]
• 6 ex; 48-63%
• Knoevenagel-ene reactionthen DIBAL reduction



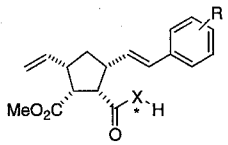
• DuPont; 1997 [216]
• 6 ex; 65-68%
• resin-bound pyridinium ylide; E = CN, COOEt



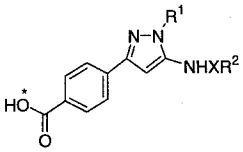
• Selectide; 1997 [126]
• 2720 members
• intramolecular lactam formation between Asp side chain and NHR^4



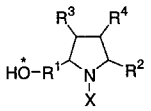
• Affymax; 1997 [231]
• 6 ex; >95%
• intramolecular cyclization of phenylcarbamates; X = O, NH



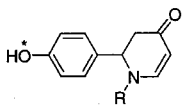
• Sepracor; 1997 [45]
• 4 ex; 60-77%
• cross metathesis;
X = piperazine, propylenediamine



• Glaxo Wellcome; 1997 [223]
• 20 ex; high yields
• from aryl and aralkyl hydrazine and resin-bound 2-ketonitriles; X = CO, SO_2

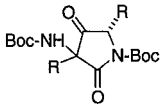


• Pearson, W. H.; 1997 [165]
• 6 ex; 32-50%
• resin-bound 2-azaallyl anion cycloadditions with alkenes

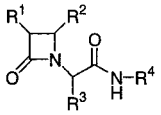


• Wilson, S. R.; 1997 [221]
• 8 ex; 60-90%
• tandem Mannich-Michael reaction of Danishefsky's diene and resin-bound aldimines

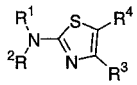
Table 3b. Monocyclic synthesis: Solution phase



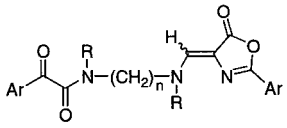
- Pothion, C.; 1996 [174]
- 11 ex; 30-90%
- DBU-catalyzed dimerization of urethane N-carboxy anhydrides



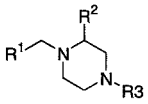
- Pitlik, J.; 1997 [170]
- 126 members
- Ugi four-component condensation



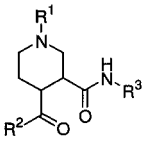
- Glaxo Wellcome; 1996 [7]
- 2,500 members
- condensation of thioureas and 2-bromoketones



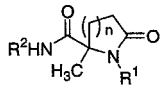
- ArQule; 1997 [8]
- 1600 members
- condensation of ethoxy-methyleneoxazolones, diamines, and 2-ketoesters



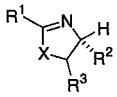
- Cortech; 1996 [156]
- 2500 members
- LAH reduction of piper-azinediones



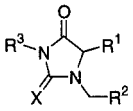
- Merck; 1997 [180]
- 10 ex; 34-67%
- Ugi four-component condensation



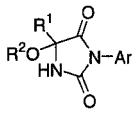
- Leukosite; 1997 [91]
- 14 ex; 23-79%
- Ugi three-component condensation using keto acids, R^2NC and R^1NH_2



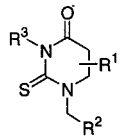
- Wipf, P.; 1996 [227]
- 7 ex; 76-98%
- dehydration of threonine-derived peptides with resin-bound Burgess reagent; X = O, S



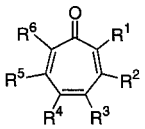
- Ganesan, A.; 1997 [194]
- 3078 members
- X = O, S



- Hanessian, S.; 1996 [90]
- 50 ex; 70-90%
- condensation of resin-bound N-alkoxyamino esters with aryl isocyanates, then KOtBu

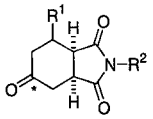


- Ganesan, A.; 1997 [195]
- 125 members
- intracyclative cleavage of thiourea amino acid esters

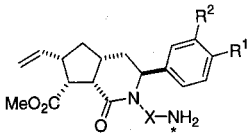


- Dygutsch, D. P.; 1994 [56]
- 12 ex; 38-100%
- resin-bound tributyltin hydride-mediated ring expansion of dichloromethylcyclohexadienones

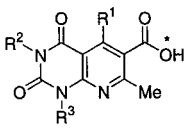
Table 4a. Bicyclic and spirocyclic synthesis: Solid phase. * Represents point of attachment to the resin



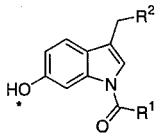
- SKB; 1997 [44]
- 8 ex; 37-87%
- Diels-Alder with resin-boundaminobutadienes and maleimide,then acid hydrolysis



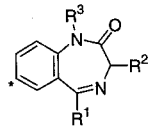
- Sepracor; 1997 [45]
- 5 ex; 53-85%
- cross metathesis; X = aryl, aralkyl



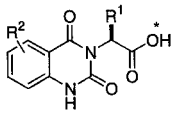
- Affymax; 1996 [73]
- 4 ex; high purity
- Hantzsch-condensation of Knoevenagei derivatives and cyclic enamino ketones



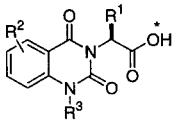
- Berlex Biosciences; 1996 [238]
- 8 ex; 65-94%
- intramolecular Heck reaction



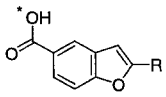
- Ellman, J. A.; 1995 [171]
- 4 ex; 50-68%
- Stille coupling of resin-bound (2-aminoaryl) stannane attached via silane linkage



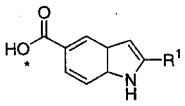
- Affymax; 1997 [76]
- 9 members
- condensation of resin-bound amino acid and anthranilic ester



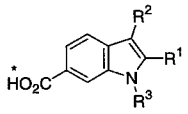
- Affymax; 1997 [76]
- 10 members
- condensation of resin-bound aminoacid, anthranilic ester, then alkylation



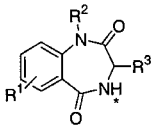
- PNU; 1997 [59]
- 10 ex; 42-71%
- Pd-catalyzed annulation of iodophenols and alkynes



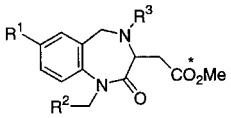
- PNU; 1997 [57]
- 7 ex; 52-95%
- Pd-catalyzed annulation of iodoanilines and alkynes



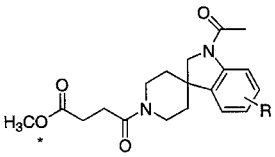
- Wyeth-Ayerst; 1997 [42]
- 12 ex; 33-73%
- Pd-catalyzed cyclization of resin-bound iodoanilines and alkynes then N-alkylation



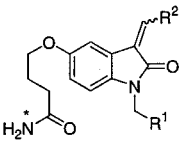
- Ellman, J. A.; 1997 [24]
- 2508 members
- condensation of anthranilic acids, α-amino acids, then N-alkylation



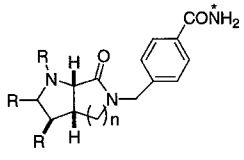
- Oxford Diversity; 1997 [16]
- 121 members
- ring closure via intramolecular (R^3N) Michael addition



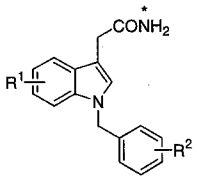
- Merck; 1997 [40]
- 16 ex; 83-95%
- Fischer indole synthesis from resin-bound aldehyde and arylhydrazine



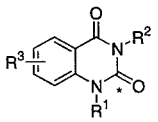
- Balasubramanian, S.; 1997 [3]
- 9 ex; 70-92%
- intramolecular Heck reaction



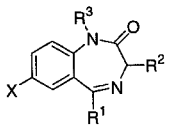
- Bartlett, P. A.; 1997 [139]
- >20 ex; 16-75%
- intramolecular cyclization of azomethine ylide; multiple variations on ring size and substitution



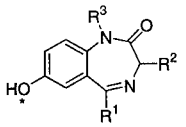
- R. W. Johnson; 1997 [246]
- 12 ex; 67-85%
- intramolecular Heck reaction



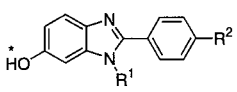
- Merck; 1996 [197]
- >1000 members
- N-linked anthranilic acids via chloroformate resin; intracyclative cleavage



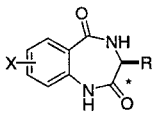
- Ellman, J. A.; 1997 [173]
- 8 ex; 47-68%
- silicon and germanium-linkage; X = H, Br



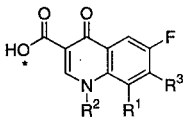
- Ellman, J. A.; 1995 [172]
- 15 ex; 52-82%
- use of resin-bound (2-amino aryl)stannane



- Berlex Biosciences; 1996 [168]
- 11 ex; 54-93%
- resin-bound 3-fluoro-4-nitrophenol;nitro reduction, then condensationwith imidate

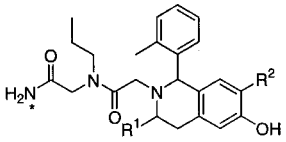


- Amgen; 1996 [142]
- 11 ex; 45-80%
- reduction of o-nitro benzamideand intracyclative cleavage

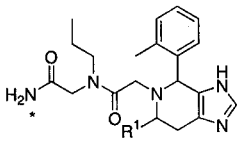


- Parke-Davis; 1996 [135]
- 8 ex; 4-24%

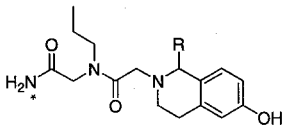
Table 4a. (continued)



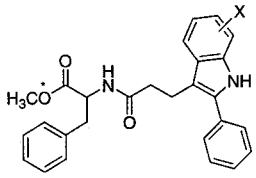
- Merck; 1996 [100]
- 5 members



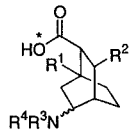
- Merck; 1996 [100]
- 2 members



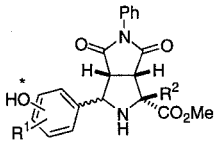
- Merck; 1996 [100]
- 9 members



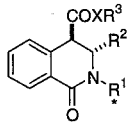
- Merck; 1996 [103]
- 17 ex; 74-100%
- Fischer indole synthesis



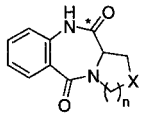
- Ley, S. V.; 1995 [132]
- 24 ex; 36-85%
- tandem Michael addition of resin-bound acrylates with cyclohexanones, then reductive amination



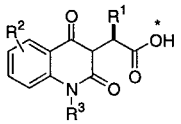
- Ceregen; 1996 [87]
- 10 members
- three-component 1,3-dipolar cycloaddition



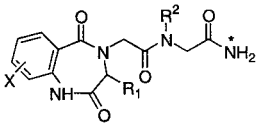
- Houghten, R. A.; 1996 [82]
- 43,000 members
- condensation of resin-bound imine and cyclic anhydride



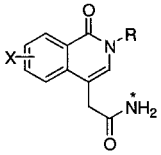
- Moroder, L.; 1996 [148]
- 3 ex; ca. 50%
- intracyclative cleavage



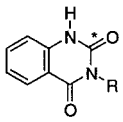
- Versicor; 1997 [76]
- 19 ex; 79-98%
- resin-bound amino acid isocyanate and aniline



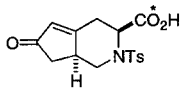
- Chiron; 1995 [68]
- 21 ex; 34-90%
- intramolecular aza-Wittig (Staudinger) reaction



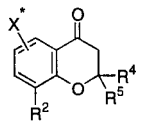
- Chiron; 1995 [69]
- 8 ex; 65-92%
- intramolecular Heck reaction



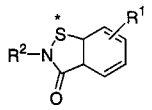
- Martinez, J.; 1996 [78]
- 8 ex; 25-72%
- intracyclative cleavage; R = amino acid ester or amide



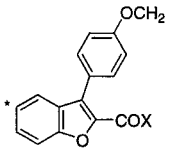
- Parke-Davis; 1996 [22,23]
- 2 ex; ca. 50%
- intramolecular Pauson-Khand cyclization



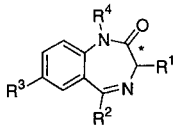
- Pharmacopeia; 1996 [10]
- 85,000 members
- condensation of resin-bound *o*-hydroxyaryl methyl ketones and RCOR or RCHO; R^4R^5= O or H, NHR; X = OH, OR, CONHR



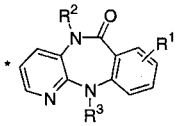
- Parke-Davis; 1996 [50]
- 40 members
- intracyclative cleavage



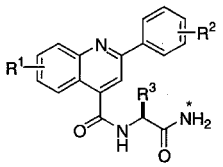
- Parke-Davis; 1996 [18]
- 8 ex; 40-50%
- silylether linker; X = *t*-Bu, Ar



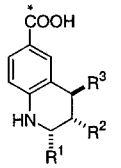
- Parke-Davis; 1993; [49]
- 40 members
- intracyclative cleavage; resin-bound amino acid and benzophenone imine



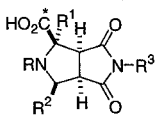
- Ellman, J. A.; 1997 [228]
- 8 ex; 48-65%
- silicon-based linkage



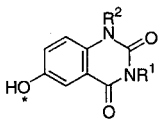
- Procept; 1997 [72]
- 8 ex; 60-92%
- condensation of resin-bound pyruvic amide and Schiff's base (Doebner quinoline synthesis)



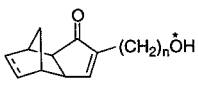
- Amgen; 1997 [115]
- 24 members
- three-component condensation



- SKB; 1996 [17]
- 13 ex; 75-99%
- cycloadditioin of maleimides with resin-bound azomethine ylides; R = H, COR^4

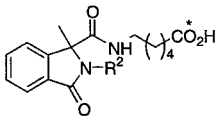


- Berlex Biosciences; 1996 [32]
- 15 ex; 82-95%
- cylization of resin-bound anthranilate-derived ureas, then N-alkylation

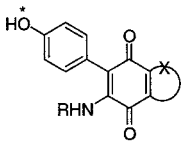


- Kurth, M. J.; 1997 [198]
- ca. 5 ex; 0-99%
- Pauson-Khand reaction

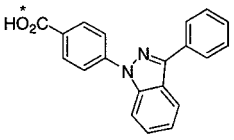
Table 4a. (continued)



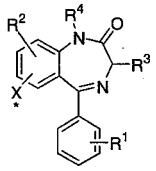
- Ontogen; 1997 [191]
- 2 ex; major product
- Ugi four-component condensation



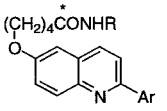
- Armstrong, R. W.; 1997 [205]
- 25 members from squaric acid; X = CH, O, S



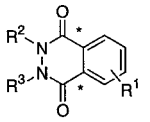
- Sandoz 1996 [233]
- 1 ex; 79%



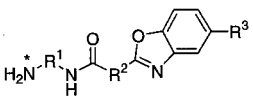
- Ellman, J. A.; 1992 [33,34]
- 1680 members
- from resin-bound 2-aminobenzophenones; X = OH, COOH



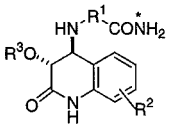
- Schering AG; 1996 [184]
- 12 ex; 20-65%
- from resin-bound 2-nitro 5-hydroxy benzaldehyde ester, aminolytic cleavage



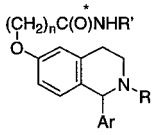
- Nielsen, J.; 1996 [154]
- 33 members
- Ing-Manske cleavage of resin-bound phthalimides with hydrazines



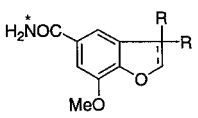
- Sepracor; 1997 [219]
- 7 ex; 79-97%
- Mitsunobu-type intramolecular cyclization of 2-amidophenols



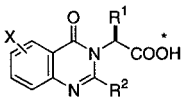
- Houghton, R. A.; 1997 [167]
- 4140 members
- $SnCl_2$-mediated rearrangment of β-lactams



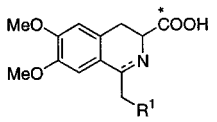
- Schering AG; 1996 [179]
- 24 members
- $POCl_3$-mediated cyclization of amide precursor (Bischler-Napieralski reaction)



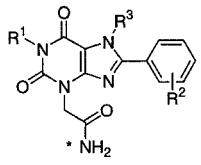
- Armstrong, R. W.; 1997 [55]
- 10 ex; 11-63%
- SmI_2-mediated cyclization of resin-bound aryl *o*-iodo allyl ethers



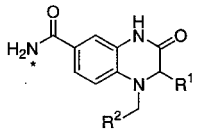
- Amgen; 1997 [143]
- 7 ex; 58-98%
- from anthranilic acid, and RCHO, the $KMnO_4$-mediated oxidation



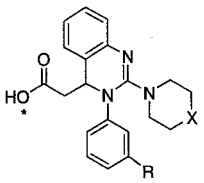
- Meutermans, W. D. F.; 1995 [144]
- 9 members
- Bischler-Napieralski reaction, then $NaBH_3CN$ reduction of dihydroisoquinoline intermediates



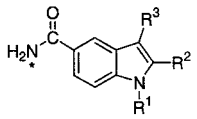
- Eberle, A. N.; 1996 [93]
- 10 ex; 10-32%
- from N-alkyl-4-chlorouracil attached to resin-bound bromoacetic acid



- R. W. Johnson; 1997 [131]
- 25 ex; 32-93%
- from resin-bound fluoronitrobenzamide and amino acid esters

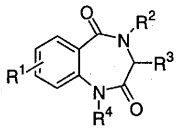


- Sepracor; 1997 [220]
- 9 ex; 87-100%
- reaction of resin-bound cinnamyl imino-phosphoranes with aryl isocyanates then addition of amines

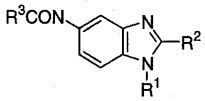


- R. W. Johnson; 1997 [247]
- 14 ex; 38-100%
- Pd-catalyzed hetero-annulation of alkynes and resin-bound *o*-iodo-anilines

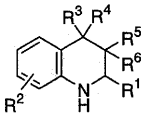
Table 4b. Bicyclic and spirocyclic synthesis: Solution phase



- Armstrong, R. W.; 1996 [109]
- 13 ex; 5-25%
- Ugi four-component condensation then acid-catalyzed ring closure

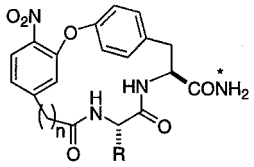


- Res. Triangle Inst.; 1997 [206]
- ca. 12 ex; 76-89%
- from nitro anilines

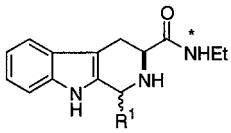


- Kobayashi, S.; 1996 [116]
- 15 ex; 65-100%
- three-component condensation of aldehyde, aniline, alkene

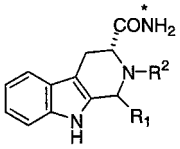
Table 5. Polycylic and macrocyclic synthesis: Solid phase. * Represents point of attachment to the resin



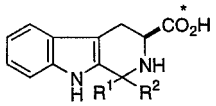
- Burgess, K.; 1997 [36]
- 3 ex; 25-59%
- ring closure via intramolecular ether formation



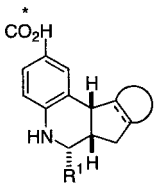
- Merck; 1996 [234]
- 23 ex; 91-100%
- Pictet-Spengler reaction of resin-bound tryptophan



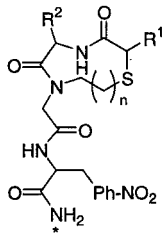
- Berlex Bioscience; 1996 [147]
- 10 ex; 70-95%
- Pictet-Spengler cyclization of resin-bound tryptophan, then N-acylation



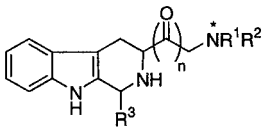
- Amgen; 1996 [141]
- 8 ex; >50%
- Pictet-Spengler reaction of resin-bound tryptophan



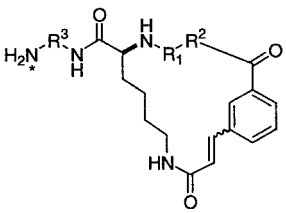
- Armstrong, R. W.; 1997 [115]
- 16 members
- three-component condensation



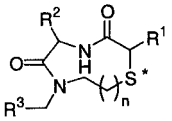
- Ellman, J. A.; 1994 [214]
- 11 ex; purity >75%
- intramolecular thioether formation



- Unden, A.; 1995 [105]
- 11 ex; 55-85%
- Pictet-Spengler reaction; n = 0, 1



- Arris; 1995 [96]
- 15 ex; good yields
- intramolecular Heck reaction



- Ellman, J. A.; 1996 [215]
- 8 members
- intramolecular thioether formation

Acknowledgements

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