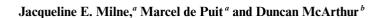
# Perkin 1 Abstracts: Natural Product Synthesis



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Perkin 1 Abstracts: Natural Product Synthesis aims to highlight syntheses that have been recently published. It includes brief descriptions of biological activity and key steps. A more comprehensive list of Natural Product syntheses and isolations can be found in Natural Product Updates.

# (+)-Byssochlamic Acid

Biological activity: not reported.

Key steps: (a) PLE-catalysed desymmetrisation of a diester; (b) intramolecular photochemical [2+2] cycloaddition of a cyclopentene and a cyclobutene followed by a cycloreversion to give the 9-membered carbocyclic ring.

J. D. White, J. Kim and N. E. Drapela, J. Am. Chem. Soc., 2000, 122, 8665.

#### (±)-Cameroonan-7α-ol

Biological activity: (a) isolated from the essential oil of Echniops giganteus var. lelyi rhizomes; (b) associated with the strong patchouli-like woody fragrance of the oil.

Key steps: Sakurai reaction.

C. E. Davis, B. C. Duffy and R. M. Coates, Org. Lett., 2000, 2, 2717.

# (+)-CP-262,114

*Biological activity:* (a) cholesterol lowering properties through inhibition of squalene synthase; (b) Ras farnesyltransferase inhibitor.

Key steps: (a) anion-accelerated oxy-Cope rearrangement followed by a transamular Dieckmann cyclisation; (b) Pd(0)-catalysed carbonylation of an alkenyl triflate; (c) TMSOTf-promoted methoxycarbonylation of a silylketene acetal to give a malonate derivative.

C. Chen, M. E. Layton, S. M. Sheehan and M. D. Shair, *J. Am. Chem. Soc.*, 2000, 122, 7424.

#### Cristatic Acid

Biological activity: (a) antibiotic activity against Gram-positive bacteria; (b) hemolytic; (c) inhibitory effect against ascites form of Ehrlich carcinoma cells.

Key steps: synthesis of the 2,4-disnbstituted furan via. Pd(0)-catalysed alkylation of a vinyl epoxide.

A. Fürstner and T. Gastner, Org. Lett, 2000, 2, 2467.

#### (+)-Discodermolide

Biological activity: (a) immunosuppressant; (b) antimitotic agent with similar activity to that of taxol; (c) promotes microtubule formation; (d) potent against multidrug resistance carcinoma cell lines.

Key steps: (a) three advanced intermediates each containing a stereotriad were derived from a common precursor; (b) modified Negishi coupling; (c) high pressure synthesis of a phosphonium salt; (d) Wittig linkage.

A. B. Smith, T. J. Beanchamp, M. J. LaMarche, M. D. Kanfman, Y. Qin, H. Arimoto, D. R. Jones and K. Kobayashi, *J. Am. Chem. Soc.*, 2000, **122**, 8654.

## Gibberllins GA<sub>12</sub>, GA<sub>111</sub> and GA<sub>112</sub>

Biological activity: plant growth hormones.

 $\textit{Key steps:}\$ an intramolecular Diels-Alder reaction generates 2 rings simultaneously.

$$G_{12} R^1 = R^2 = H$$
 $G_{11} R^1 = OH, R^2 = H$ 
 $G_{12} R^1 = H, R^2 = OH$ 

M. Toyota, T. Odashima, T. Wadia and M. Ihara, *J. Am. Chem. Soc.*, 2000, **122**, 9036.

#### (-)-Glabrescol

Biological activity: not reported.

*Key steps*: (a) head-to-head dimerisation of a farnesyl bromide derivative using Rieke barium; (b) 4-fold asymmetric epoxidation using Shi's chiral dioxirane; (e) bidirectional double cyclisation of a tetraol tetraepoxide.

Z. Xiong and E. J. Corey, J. Am. Chem. Soc., 2000, 122, 9328.

## (-)-Lasubine II

Biological activity: (a) isolated from the leaves of Lagerstroemia subcostata; (b) biological activity not reported.

Key steps: (a) preparation of a  $\delta$ -amino  $\beta$ -hydroxy ketone by the reaction of a Weinreb amide with a Grignard reagent; (b) formation of a hydroxy piperidine by the cyclisation a  $\delta$ -amino  $\beta$ -hydroxy ketone followed by reduction.

F. A. Davis and B. Chao, Org Lett., 2000, 2, 2623.

#### Mastigophorenes A and B

Biological activity: nerve growth stimulating activity.

 $Key\ steps:$  (a) lactone methodology to establish axial chirality; oxazaborolidine reduction to establish centrochirality.

G. Bringmann, T. Pabst, P. Henschel, J. Krans, K. Peters, E.-M. Peters, D. S. Rycroft and J. D. Connolly, *J. Am. Chem. Soc.*, 2000, **122**, 9127.

## (1S,3S,7R)-3-Methyl- $\alpha$ -himachalene

 ${\it Biological\ activity:}\ \ {\it natural\ sex\ pheromone\ of\ the\ male\ saudfly\ } {\it Lutzomyia\ longipalpis.}$ 

Key steps: intramolecular Diels-Alder.

K. Mori, T. Tashiro and S. Sano, Tetrahedron Lett., 2000, 41, 5243.

## (+)-Muricatetrocin

 $\it Biological~activity:~$  inhibits PC-3 prostatic adenocarcinoma, PACA-2 pancreatic carcinoma and A-459 lung carcinoma.

Key steps: (a) use of butanediacetal protecting group to accomplish desymmetrisation; (b) hetero-Diels-Alder reaction to install a 1,5-stereochemical relation.

HO OH OH

D. J. Dixon, S. V. Ley and D. J. Reynolds, *Angew. Chem.*, *Int. Ed.*, 2000, **39**, 3622.

# Nicandrenone-1 were also synthesised.

Biological activity: not reported.

Key steps: (a) exo-selective Diels Alder reaction; (b) oxazoborolidine-mediated asymmetric reduction of a ketone; (c) regioselective hydrostamylation; (d) arene chain appendage via a modified Stille coupling; (e) hydroxyl-directed hydrogenation of an allylic alcohol. Nicandrenone-1 lactone and micandrenone-10

B. M. Stoltz, T. Kano and E. J. Corey, J. Am. Chem. Soc., 2000, 122,

# Oidiolactone C

Biological activity: (a) antifeedant; (b) antitumoral; (c) herbicide.

Key steps: (a) Pd-catalysed elimination of an allylic trifluoroacetate to form a conjugated diene; (b) Pd-eatalysed bislactonisation

A. F. Barrero, J. F. Quilez Del Moral, J. M. Cuerva, E. Cabrera and D. Jiménez-González, Tetrahedron Lett., 2000, 41, 5203.

# (±)-5-Oxosilphiperfol-6-ene and (±)-Silphiperfol-6-ene

Biological activity: not reported.

Key steps: (a) Diels Alder reaction; (b) intramolecular Paterno Büchi reaction to construct the triquinane framework.

(:)-5-Oxosilphiperfol-6-ene

(:)-Silphiperfol-6-ene

T. J. Reddy and V. 11. Rawal, Org. Lett., 2000, 2, 2711.

# (±)-Pandamarilactonine-A and -B

Biological activity: not reported.

Key steps: intramolecular 1,6-addition of an amine to a  $\gamma$ -alkylidene- $\alpha$ , $\beta$ -misaturated lactone generates the pyrrolidine ring. Pandamarilactonine A

11. Takayama, T. Ichikawa, T. Kuwajima, M. Kitajima, 11. Seki, N. Aimi and M. G. Nonato, *J. Am. Chem. Soc.*, 2000, **122**, 8635.

# (-)-Teubrevin G

Biological activity: not reported

Key steps: (a) regioselective intermolecular [4-2] cycloaddition-cycloreversion of an alkynal and an oxazole to give the furan ring; (b) asymmetric aldol; (c) ring-closing metathesis; (d) remote asymmetric induction to control the stereochemistry of the spirocyclic centre.

1. Efvremov and L. A. Paquette, J. Am. Chem. Soc., 2000, 122, 9324.

# Thysiferyl 23-Acetate

Biological activity: specific inhibition of protein phosphatase  $2\Lambda$ ; (b) induces rapid apoptosis.

Key steps: (a) Sharpless AE; (b) electrophilic cyclisations onto epoxides or trisubstituted alkenes to generate the tetrahydropyran and tetrahydrofuran rings; (e) Re(V11)-induced syn-oxidative cyclisation.

i OA

C. González and C. J. Forsyth, J. Am. Chem. Soc., 2000, 122, 9099.