ABSTRACTS

Perkin 1 Abstracts: Natural Product Synthesis

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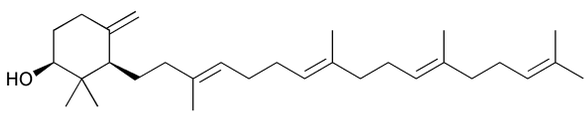
Perkin 1 Abstracts: Natural Product Synthesis aims to highlight syntheses that have been recently published. It includes brief descriptions of *biological activity* and *key steps*. A more comprehensive list of Natural Product syntheses and isolations can be found in *Natural Product Updates*.

Achilleol A

Biological activity: not reported.

Key steps: stereoselective Cp_2TiCl-mediated radical cyclisation of an oxirane.

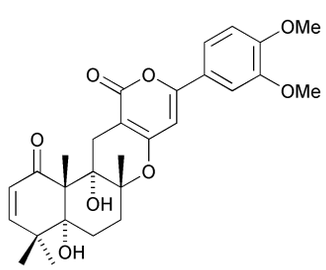


A. F. Barrero, J. M. Cuerva, E. J. Alvarez-Manzaneda, J. E. Oltra and R. Chahboun, *Tetrahedron Lett.*, 2002, **43**, 2793.

(±)-Arisugacin A

Biological activity: potent and selective inhibitor against acetylcholinesterase (IC_{50} = 1nM mL^{-1}).

Key steps: stereoselective [3+3] cycloaddition of an α,β-unsaturated iminium salt with 2-pyrone.

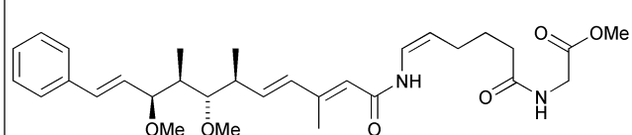


K. P. Cole, R. P. Hsung and X.-F. Yang, *Tetrahedron Lett.*, 2002, **43**, 3341.

(+)-Crocacin D

Biological activity: (a) high activity against *Saccharomyces cerevisiae*; (b) high toxicity in L929 mouse fibroblast cell culture.

Key steps: (a) regioselective silyl-directed epoxide opening with an azide; (b) Peterson elimination.

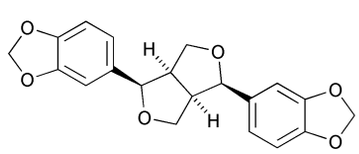


T. K. Chakraborty and P. Laxman, *Tetrahedron Lett.*, 2002, **43**, 2645.

(±)-Epiasarinin

Biological activity: (a) antioxidant; (b) anticancer agent; (c) antiviral agent; (d) immunosuppressant.

Key steps: (a) Darzens condensation; (b) alkenyl epoxide-dihydrofuran rearrangement; (c) Lewis acid mediated cyclisation.

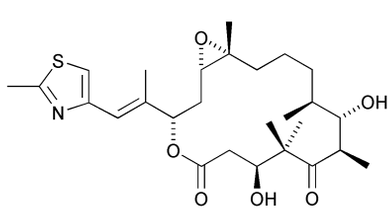


D. J. Aldous, A. J. Dalençon and P. G. Steel, *Org. Lett.*, 2002, **4**, 1159.

Epothilone B

Biological activity: (a) isolated from cultures of *Sorangium cellulosum*; (b) cytotoxic; (c) promotes tubulin polymerisation.

Key steps: one-step Swern oxidation/Grignard addition procedure.



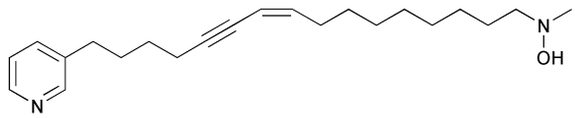
M. S. Ermolenko and P. Potier, *Tetrahedron Lett.*, 2002, **43**, 2895.

Hachijodine G

Biological activity: cytotoxic towards P388 murine leukaemia cells (IC_{50} = 1μg mL^{-1}).

Key steps: (a) Lemieux-Johnson oxidation; (b) Stork-Zhao olefination; (c) modified Sonogashira reaction.

W. R. F. Goundry, V. Lee and J. E. Baldwin, *Tetrahedron Lett.*, 2002, **43**, 2745.

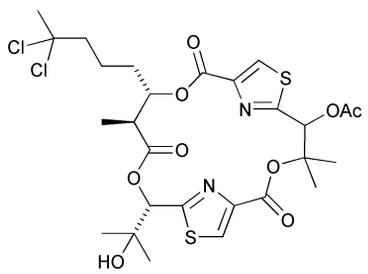


Hectochlorin

Biological activity: fungicidal activity.

Key steps: (a) Negishi reaction; (b) Sharpless asymmetric dihydroxylation; (c) Evans asymmetric aldol reaction; (d) Keck macrolactonisation.

J. R. P. Cetusic, F. R. Green III, P. R. Graupner and M. P. Oliver, *Org. Lett.*, 2002, **4**, 1307.

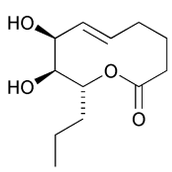


(+)-Herbarumin I

Biological activity: phytotoxic activity on the *Amaranthus hypochondriacus* seedling.

Key steps: (a) intermolecular Nozaki-Hiyama-Kishi reaction; (b) modified Yamaguchi macrolactonisation.

A. A. Sabino and R. A. Pilli, *Tetrahedron Lett.*, 2002, **43**, 2819.

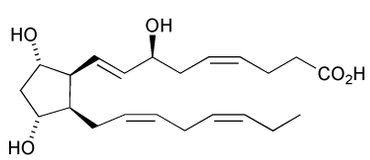


$iPF_{4\alpha}$–VI

Biological activity: not reported.

Key steps: (a) radical cyclisation; (b) enantioselective reduction.

S. Kim, J. A. Lawson, D. Pratico, G. A. FitzGerald and J. Rokach, *Tetrahedron Lett.*, 2002, **43**, 2801.

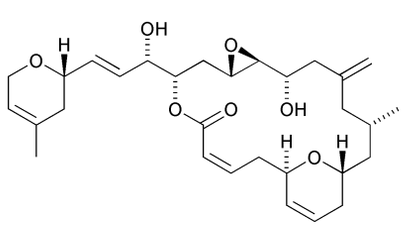


(–)-Laulimalide

Biological activity: (a) cytotoxic against KB cell line (IC_{50} = 15ng mL^{-1}); (b) potent against MDR cell line SKVLB-1 (IC_{50} = 1.2 μM); (c) potent microtubule stabiliser; (d) antitumour agent *via* inhibition of P-glycoprotein.

Key steps: (a) allylsilane addition to a chiral acetal; (b) Yamaguchi macrolactonisation.

J. Mulzer and M. Hanbauer, *Tetrahedron Lett.*, 2002, **43**, 3381.

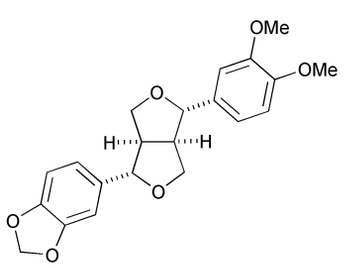


(–)-Menthyl Piperitol

Biological activity: platelet activating factor antagonist activity.

Key steps: (a) asymmetric Strecker reaction; (b) Michael addition; (c) aldol reaction.

D. Enders, V. Lausberg, G. Del Signore and O. M. Berner, *Synthesis*, 2002, 515.

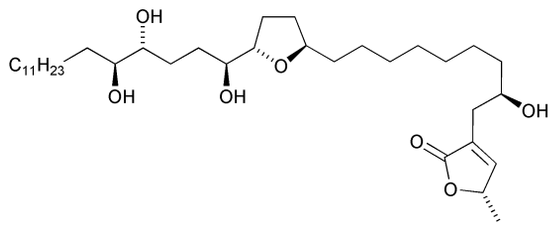


Muricatetrocin C

Biological activity: (a) inhibitory action against PC-3 prostatic adenocarcinoma; (b) inhibitory action against PACA-2 pancreatic carcinoma; (c) inhibitory action against A-549 lung carcinoma.

Key steps: (a) hetero Diels-Alder reaction; (b) Takai one carbon homologation; (c) CBS reduction; (d) converting an aldehyde to a terminal alkyne using the Colvin-Gilbert-Seyferth reagent.

D. J. Dixon, S. V. Ley and D. J. Reynolds, *Chem. Eur. J.*, 2002, **8**, 1621.

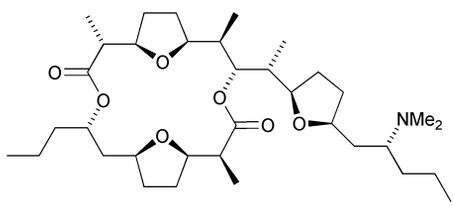


(+)-Pamamycin-607

Biological activity: (a) autoregulatory activity in *S. alboniger* by inducing the aerial mycelium formation; (b) antibiotic activity agains Gram-positive bacteria and pathogenic fungi; (c) inhibition of myosin light chain kinase; (d) mediator of hydrophilic ion transport through lipophilic phases.

Key steps: (a) Paterson aldol reaction; (b) Evans *anti* reduction; (c) intramolecular Yamaguchi esterification.

S. H. Kang, J. W. Jeong, Y. S. Hwang and S. B. Lee, *Angew. Chem., Int. Ed.*, 2002, **41**, 1392.

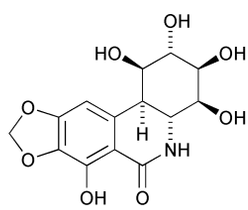


Pancratistatin

Biological activity: (a) *in vitro* and *in vivo* cancer cell growth inhibitory activity; (b) antiviral activity.

Key steps: (a) Claisen rearrangement; (b) Bischler-Napieralski reaction; (c) modified Curtius rearrangement.

S. Kim, H. Ko, E. Kim and D. Kim, *Org. Lett.*, 2002, **4**, 1343.

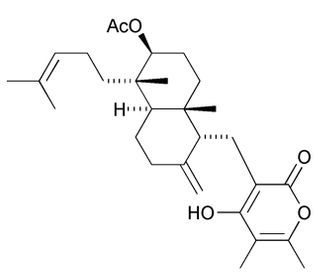


DL-Sesquicillin

Biological activity: (a) glucocorticoid inhibitor (IC_{50} = 0.1-0.5 μg); (b) antihypertensive agent; (c) branchospasmolytic properties.

Key steps: [3,3]-sigmatropic rearrangement.

F. Zhang and S. J. Danishefsky, *Angew. Chem., Int. Ed.*, 2002, **41**, 1434.

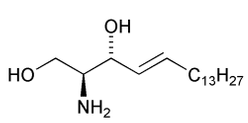


(2*S*,3*R*,4*E*)-D-*erythro*-Sphingosine

Biological activity: specific inhibitor of protein kinase C.

Key steps: (a) Masamune modified HWE olefination; (b) enantioselective $Zn(BH_4)_2$ reduction of a ketone.

J.-M. Lee, H.-S. Lim and S.-K. Chung, *Tetrahedron Asymmetry*, 2002, **13**, 343.



Turriane

Biological activity: DNA cleaving agent.

Key steps: ring closing alkyne metathesis.

A. Fürstner, F. Stelzer, A. Rumbo and H. Krause, *Chem. Eur. J.*, 2002, **8**, 1856.