Perkin 1 Abstracts: Natural Product Synthesis

Andrew Gunn, Stephen McAteer, Jacqueline Milne and Marcel de Puit

Department of Chemistry, Leeds University, Leeds, UK LS2 9JT

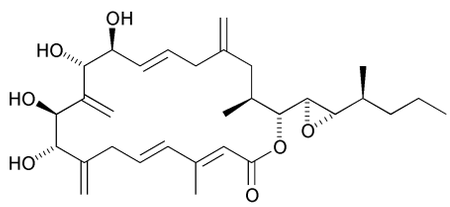
Perkin 1 Abstracts: Natural Product Synthesis aims to highlight syntheses that have been recently published. It includes brief descriptions of *biological activity* and *key steps*. A more comprehensive list of Natural Product syntheses and isolations can be found in *Natural Product Updates*.

Amphidinolide A

Biological activity: (a) cytotoxic against murine lymphoma L1210 cells; (b) cytotoxic against human epidermoid carcinoma KB cells; (c) cytotoxic against human colon tumour HCT 116 cells.

Key steps: (a) intermolecular sp^2-sp^2 Stille reaction; (b) intramolecular sp^2-sp^3 Stille reaction.

H. W. Lam and G. Pattenden, *Angew. Chem., Int. Ed.*, 2002, **41**, 508.

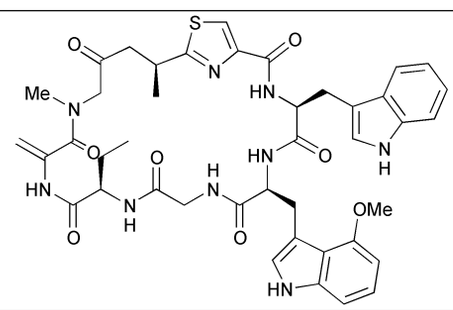


Argyrin B

Biological activity: (a) potent inhibitor of T-cell independent antibody formation; (b) inhibition of alloantigenic-mediated T-cell activation; (c) inhibition of proliferation; (d) potent inhibitor of human B-cells.

Key steps: (a) enzymatic resolution; (b) oxidative elimination of a phenylseleno cysteine.

S. V. Ley, A. Priour and C. Heusser, *Org. Lett.*, 2002, **4**, 711.

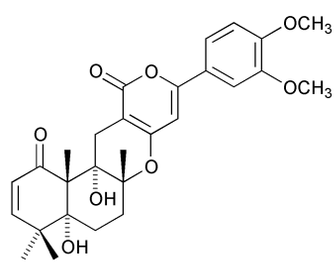


(±)-Arisugacin A

Biological activity: (a) a potent selective inhibitor of acetylcholinesterase; (b) IC_{50} = 1 nM.

Key steps: (a) Knoevenagel-type reaction of an α,β-unsaturated aldehyde with a 4-hydroxy-2-pyrone; (b) stereoselective dihydroxylation.

T. Sunazuka, M. Handa, K. Nagai, T. Shirahata, Y. Harigaya, K. Otoguro, I. Kuwajima and S. Omura, *Org. Lett.*, 2002, **4**, 367.

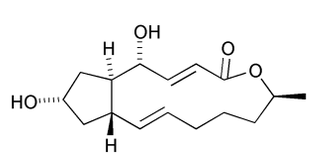


(+)-Brefeldin A

Biological activity: (a) antibiotic; (b) antiviral; (c) cytostatic; (d) antimitotic; (e) antitumour.

Key steps: (a) intramolecular nitrile oxide cycloaddition-isomerisation; (b) intermolecular nitrile oxide cycloaddition-ring closing metathesis.

D. Kim, J. Lee, P. J. Shim, J. I. Lim, T. Doi and S. Kim, *J. Org. Chem.*, 2002, **67**, 764.

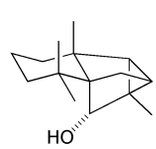


Cyclomyltaylane-5α-ol

Biological activity: not reported.

Key steps: (a) stereoselective Claisen rearrangement; (b) SmI_2-promoted reductive cyclisation.

H. Hagiwara, H. Sakai, T. Uchiyama, Y. Ito, N. Morita, T. Hoshi, T. Suzuki and M. Ando, *J. Chem. Soc., Perkin Trans. 1*, 2002, **5**, 583.

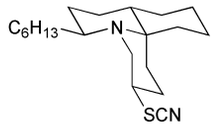


(±)-Fasicularin

Biological activity: (a) cytotoxic towards Vero cells; (b) (IC_{50} = 14 μg mL^{-1}).

Key steps: (a) intermolecular Diels-Alder cycloaddition; (b) stereoelectronically controlled hydride addition to an iminium ion; (c) intramolecular aldol reaction.

J. -H. Maeng and R. L. Funk, *Org. Lett.*, 2002, **4**, 331.

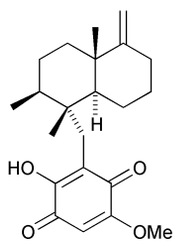


(–)-Ilimaquinone

Biological activity: (a) anti-HIV activity; (b) antimitotic activity; (c) antiinflammatory activity; (d) promotes reversible vesiculation of the Golgi apparatus; (e) interferes with intracellular protein trafficking.

Key steps: radical decarboxylation.

T. Ling, E. Poupon, E. J. Rueden and E. A. Theodorakis, *Org. Lett.*, 2002, **4**, 819.

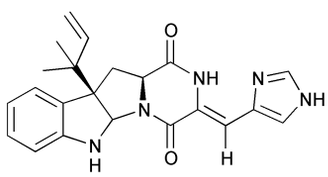


Isoroquefortine C

Biological activity: not reported.

Key steps: amide bond formation.

B. M. Schiavi, D. J. Richard and M. M. Joullié, *J. Org. Chem.*, 2002, **67**, 620.

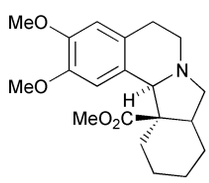


(±)-Jamtine

Biological activity: not reported.

Key steps: (a) diastereoselective tandem thionium/*N*-acyliminium ion cyclisation of an enamido sulfoxide; (b) Pictet-Spengler cyclisation; (c) Dieckmann condensation.

A. Padwa and D. Danca, *Org. Lett.*, 2002, **4**, 715.

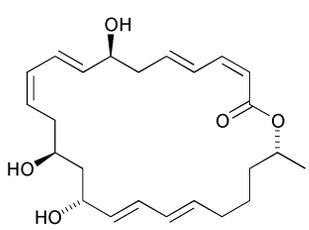


(–)-Macrolactin A

Biological activity: (a) inhibitor of B16-F10 murine melanoma cell replication; (b) potent inhibitor of *Herpes simplex* types I and II.

Key steps: (a) Noyori asymmetric reduction; (b) Tellurium-derived organocuprate addition to an epoxide; (c) Julia–Lythgoe olefination; (d) Yamaguchi macrolactonization.

J. P. Marino, M. S. McClure, D. P. Holub, J. V. Comasseto and F. C. Tucci, *J. Am. Chem. Soc.*, 2002, **124**, 1664.

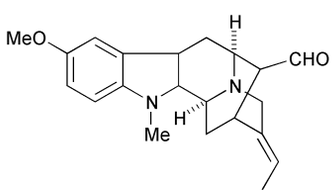


(+)-Majvinine

Biological activity: not reported.

Key steps: (a) asymmetric Pictet-Spengler reaction; (b) stereospecific palladium-catalysed cyclisation.

S. Zhao, X. Liao and J. M. Cook, *Org. Lett.*, 2002, **4**, 687.

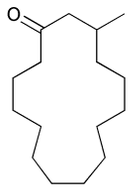


(±)-Muscone

Biological activity: not reported.

Key steps: 2 carbon ring expansion thermoisomerisation.

M. Nagel, H. -J. Hansen and G. Fráter, *Synlett.*, 2002, **2**, 280.

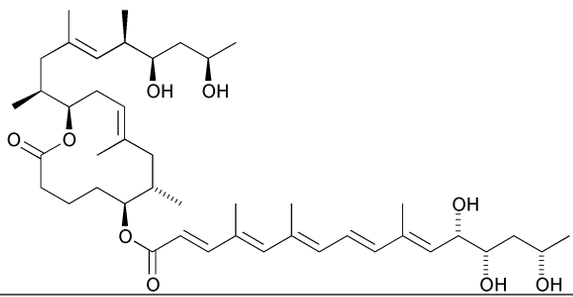


Mycolactone B

Biological activity: (a) causative pathogen of Buruli ulcer; (b) apoptotic activity.

Key steps: (a) asymmetric dihydroxylation; (b) two Horner–Wadsworth–Emmons olefination reactions; (c) Yamaguchi lactonisation.

F. Song, S. Fidanze, A. B. Benowitz and Y. Kishi, *Org. Lett.*, 2002, **4**, 647.

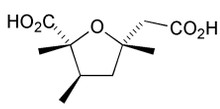


(+)-Nemorensic Acid

Biological activity: not reported.

Key steps: (a) diastereoselective intramolecular thermal [5+2] pyrone–alkene cycloaddition.

F. Lopez, L. Castedo and J. L. Mascareñas, *Chem. Eur. J.*, 2002, **8**, 884.

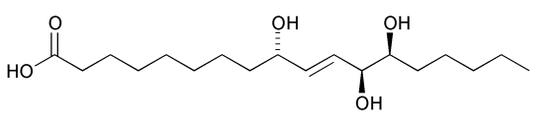


Pinellic acid

Biological activity: potent oral adjuvant activity.

Key steps: (a) regioselective asymmetric dihydroxylation; (b) stereoselective reduction.

T. Sunazuka, T. Shirahata, K. Yoshida, D. Yamamoto, Y. Harigaya, T. Nagai, H. Kiyohara, H. Yamada, I. Kuwajima and S. Omura, *Tetrahedron Lett.*, 2002, **43**, 1265.

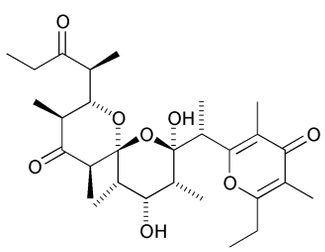


Siphonarin B

Biological activity: not reported.

Key steps: (a) Sn(II)-mediated aldol reaction; (b) Ni(II)/Cr(II)-mediated coupling reaction of an aldehyde with vinyl iodide.

I. Paterson, D. Y.-K. Chen and A. S. Franklin, *Org. Lett.*, 2002, **4**, 391.



TMC-95A

Biological activity: proteasome inhibitor.

Key steps: (a) Suzuki reaction; (b) asymmetric dihydroxylation; (c) rearrangement/hydrolysis of an α-silylallyl amide.

S. Lin and S. J. Danishefsky, *Angew. Chem., Int. Ed.*, 2002, **41**, 512.