Perkin 1 Abstracts: Natural Product Synthesis

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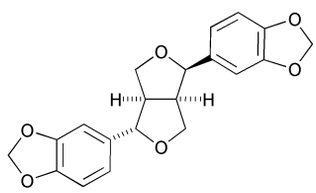
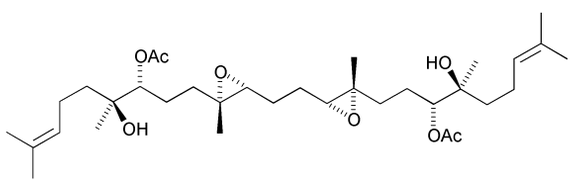
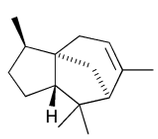
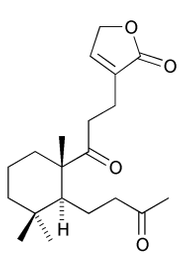
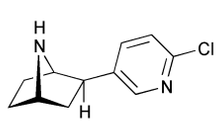
Perkin 1 Abstracts: Natural Product Synthesis aims to highlight syntheses that have been recently published. It includes brief descriptions of *biological activity* and *key steps*. A more comprehensive list of Natural Product syntheses and isolations can be found in *Natural Product Updates*.

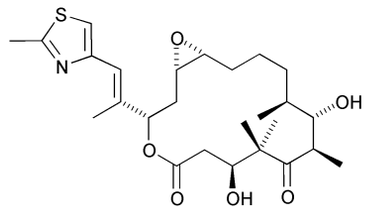
(±)-Asarinin *Biological activity*: (a) isolated from traditional Asian medicines; (b) antitumour; (c) antiallergic; (d) enhances toxicity of certain insecticides. *Key steps*: (a) Ghosez [2+2] keteniminium-olefin cycloaddition; (b) diastereoselective intramolecular C-H insertion. R. C. D. Brown, C. J. R. Bataille, G. Bruton, J. D. Hinks and N. A. Swain, *J. Org. Chem.*, 2001, **66**, 6719.	
Auriculol *Biological activity*: (a) isolated from the sea–hare *Dolabella auricularia*; (b) cytotoxic against HeLa S_3 (IC_{50} = 6.7 μg/ml). *Key steps*: coupling reaction of linalool oxide and a disulfide with *n*-BuLi-TMEDA. H. Kigoshi, T. Itoh, T. Ogawa, K. Ochi, M. Okada, K. Suenaga and K. Yamada, *Tetrahedron Lett.*, 2001, **42**, 7461.	
(±)-α-Cedrene *Biological activity*: (a) isolated from *Juniperus cedrus* and *Juniperus thurifera*; (b) biological activity not reported. *Key steps*: construction of the tricyclic carbon skeleton by an intramolecular Pauson–Khand annulation. W. J. Kerr, M. McLaughlin, A. J. Morrison and P. L. Pauson, *Org. Lett.*, 2001, **3**, 2945.	
Chapecoderin A *Biological activity*: isolated from *Echinodorus macrophyllus*, which has been used to treat hepatitis, rheumatism and difficulties in urination. *Key steps*: ozonolysis. H. Hagiwara, F. Takeuchi, T. Hoshi, T. Suzuki and M. Ando, *Tetrahedron Lett.*, 2001, **42**, 7629.	
(–)-Epibatidine *Biological activity*: (a) isolated from the skin of the Ecuadorian frog *Epibatidores tricolor*; (b) non-opiate analgesic approximately 200 times more potent than morphine. *Key steps*: *exo*-selective asymmetric hetero Diels–Alder reaction between a bis-silyloxy azadiene and an unsaturated acyl oxazolidinone. D. A. Evans, K. A. Scheidt and C. W. Downey, *Org. Lett.*, 2001, **3**, 3009.	

Epothilone A

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

Key steps: hydroxy- directed nitrile oxide cycloaddition.

J. W. Bode and E. M. Carreira, *J. Org. Chem.*, 2001, **66**, 6410.

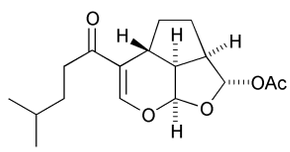


(±)-Euplotin A

Biological activity: cytotoxin.

Key steps: formation of a (*Z*)-2-acyl-2-enal *via* a retrocycloaddition reaction of a 5-acyl-4-alkyl-4*H*-1,3-dioxin.

R. A. Aungst and R. L. Funk, *J. Am. Chem. Soc.*, 2001, **123**, 9455.

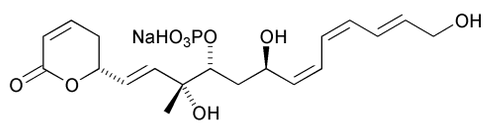


Fostriecin

Biological activity: (a) *in vitro* activity against a broad range of cancerous cell lines; (b) *in vivo* antitumour activity; (c) selective protein phosphatase inhibitor.

Key steps: (a) hydrolytic kinetic resolution of an epoxyketone; (b) Cr-catalysed hetero-Diels–Alder reaction; (c) catalytic asymmetric Noyori's transfer hydrogenation.

D. E. Chavez and E. N. Jacobsen, *Angew. Chem., Int. Ed.*, 2001, **40**, 3667.



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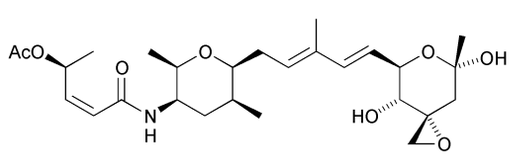
Biological activity: (a) antitumour activity; (b) affects G_1 and G_2/M cell cycle arrest; (c) induces DNA fragmentation; (d) promotes cell shrinkage.

Key steps: asymmetric hetero-Diels–Alder reaction employing a novel tridentate chromium catalyst.

C. F. Thompson, T. F. Jamison and E. N. Jacobsen, *J. Am. Chem. Soc.*, 2001, **123**, 9974.

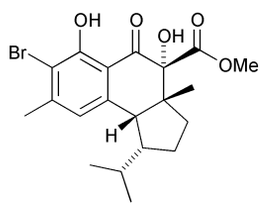


Hamigeran A

Biological activity: not reported.

Key steps: intramolecular Diels–Alder trapping of a photochemically generated hydroxy-*o*-quinodimethane.

K. C. Nicolaou, D. Gray and J. Tae, *Angew. Chem., Int. Ed.*, 2001, **40**, 3679.

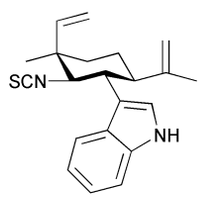


(±)-Hapalindole Q

Biological activity: (a) isolated from the terrestrial blue-green algae *Hapalosiphon fontinalis*; (b) antibacterial; (c) antimycotic.

Key steps: regio- and diastereoselective Diels–Alder reaction.

A. C. Kinsman and M. A. Kerr, *Org. Lett.*, 2001, **3**, 3189.

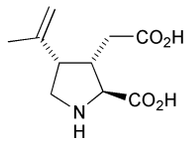


(–)-Kainic acid

Biological activity: neuroexcitatory.

Key steps: diastereoselective intramolecular ene reaction of a 1,6-diene on a bicyclo[3.2.1]octane framework to form a trisubstituted pyrrolidine on a pyran ring.

H. Hirasawa, T. Taniguchi and K. Ogasawara, *Tetrahedron Lett.*, 2001, **42**, 7587.

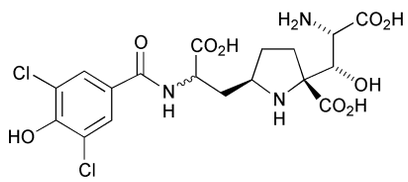


Kaitocephalin

Biological activity: (a) isolated from *Eupenicillium shearii*; (b) AMPA/KA receptor antagonist.

Key steps: diastereoselective aldol reaction of a 2,5-disubstituted pyrrolidine with (*R*)-Garner aldehyde.

D. Ma and J. Yang, *J. Am. Chem. Soc.*, 2001, **123**, 9706.

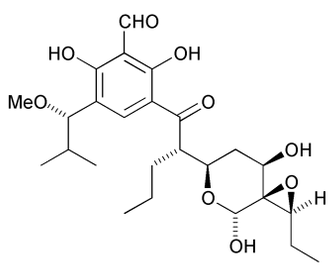


Luminacin C_1

Biological activity: (a) isolated from *Streptomyces* sp.; (b) novel angiogenesis inhibitor.

Key steps: (a) Grignard reaction; (b) stereoselective intramolecular Michael reaction.

K. Tatsuta, S. Nakano, F. Narazaki and Y. Nakamura, *Tetrahedron Lett.*, 2001, **42**, 7625.

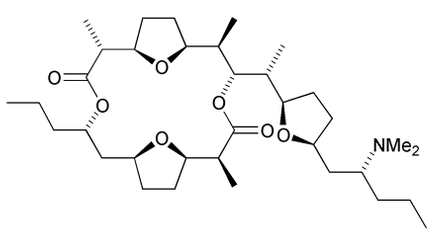


Pamamycin-607

Biological activity: (a) isolated from *Streptomyces alboniger* and *S. aurantiacus*; (b) autoregulator; (c) antibiotic; (d) anionophoric.

Key steps: stereoselective formation of the tetrahydrofuran rings *via* radical cyclisation reactions of β-alkoxyvinyl ketones and β-alkoxymethacrylates.

E. Lee, E. J. Jeong, E. J. Kang, L. T. Sung and S. K. Hong, *J. Am. Chem. Soc.*, 2001, **123**, 10131.

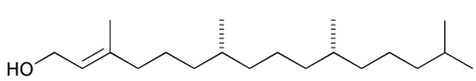


Phytol

Biological activity: not reported.

Key steps: Zr-catalysed asymmetric carboalumination of alkenes.

S. Huo and E. Negishi, *Org. Lett.*, 2001, **3**, 3253.



Pinnamine

Biological activity: (a) isolated from the bivalve *Pinna muricata*; (b) marine toxin (LD_{99} = 0.5 mg kg^{-1}).

Key steps: (a) Wittig reaction; (b) Claisen condensation.

H. Kigoshi, N. Hayashi and D. Uemura, *Tetrahedron Lett.*, 2001, **42**, 7469.