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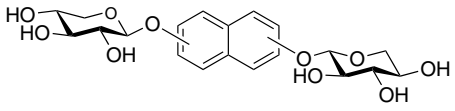
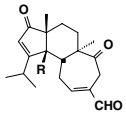
Contents

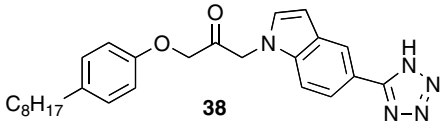
ARTICLES





4 R=H
5 R=OH

Two new cyathane diterpenes, cyrneine C (**4**) and D (**5**), were isolated from the mushroom *Sarcodon cyrneus*, along with previously isolated cyrneine A, B and glaucopine C. The structures of the novel diterpenoids were determined by the analysis of spectroscopic data. Effects of the cyrneines and glaucopine C on the NGF gene expression in 1321N1 cells were evaluated.



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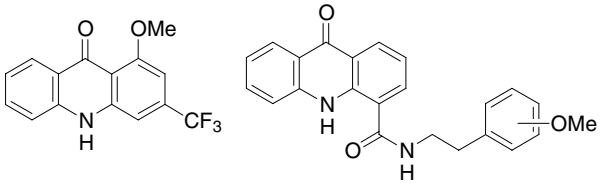
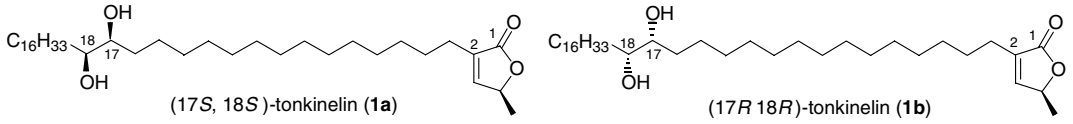
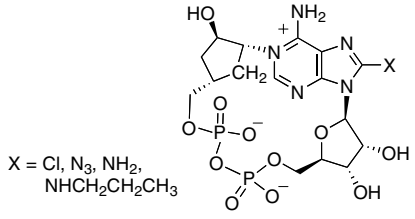
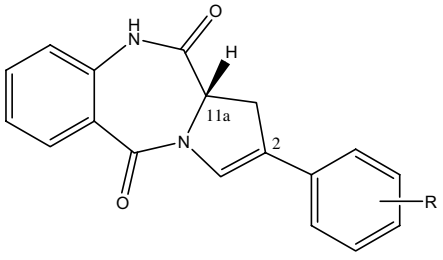
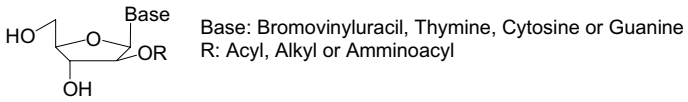


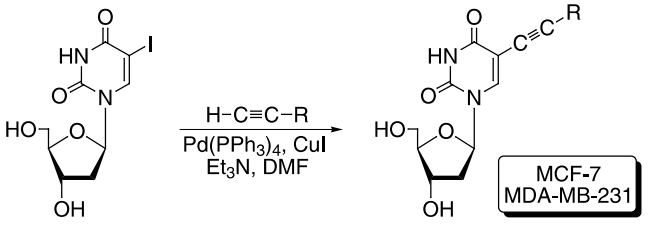




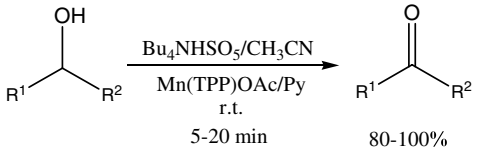
A 23-member C2-aryl pyrrolo[2,1-*c*][1,4]benzodiazepine-5,11-dione (PBD dilactam) library has been synthesized using Suzuki coupling, and the effect of base upon racemisation at the C11a-position during the cross-coupling reaction studied. Three library members (**21**, **30** and **33**) were sufficiently cytotoxic in the NCI's preliminary screen to warrant further evaluation, and one (**30**, R = *p*-Br) was found to be cytotoxic at the sub-micromolar level in the A498 renal cancer cell line. DNA thermal denaturation studies suggested that this activity may be associated with non-covalent DNA interaction, and also demonstrated that introduction of C2–C3 unsaturation and addition of C2-aryl functionalities to the PBD dilactam skeleton significantly enhanced helix stabilisation compared to the unsubstituted PBD dilactam (**6**).







Synthesis and characterization of some new bromo-quionazolin-4-one derivatives which have been found to possess anti-inflammatory and analgesic activities. The most active compound is **21**. It shows better anti-inflammatory and analgesic activities at the does of 100 mg/kg po.



R^1= Aryl, Allyl, Alkyl
R^2= H, Aryl, Alkyl

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Terfenadine (an antihistamine pulled from the market in 1997) bound to a model of an open form of the homo-tetrameric pore domain of hERG, produced using Schrödinger's ''Induced Fit Docking'' technology [Farid, R.; Day, T.; Friesner, R. A.; Pearlstein, R. A. *Bioorg. Med. Chem.* **2006,** *14*, 3160–3173].

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