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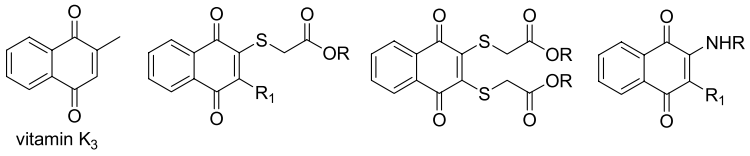
Bioorganic &
Medicinal
Chemistry

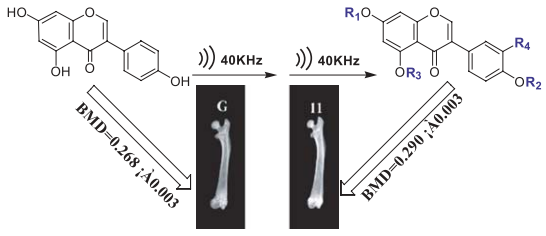
Bioorganic & Medicinal Chemistry Vol. 13, No. 16, 2005

Contents

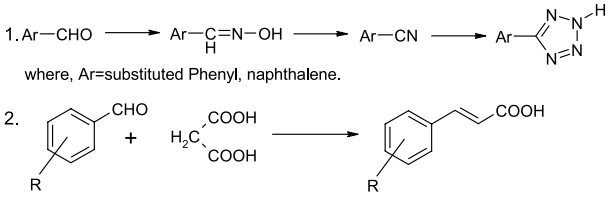
ARTICLES



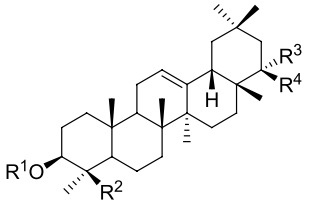
Synthesis of two series of substituted naphthoquinone derivatives and their biological evaluation as CDC25 inhibitors.

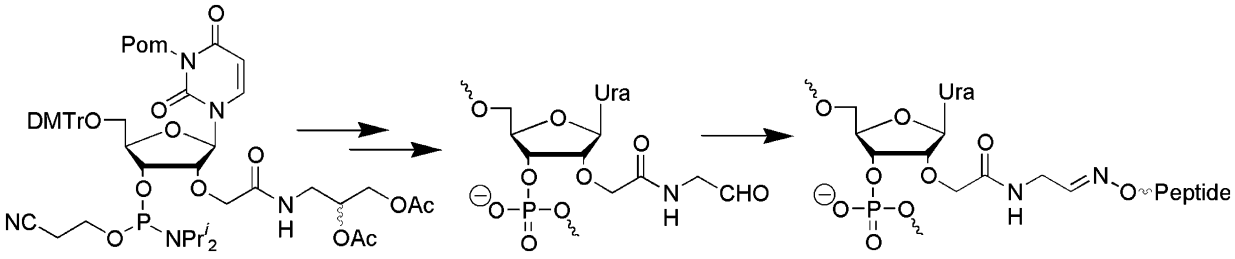


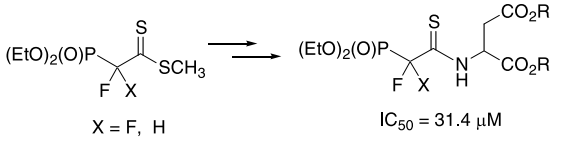
Genistein derivatives were synthesized and evaluated as selective estrogen receptor modulators (SERMs) in ovariectomized rats.



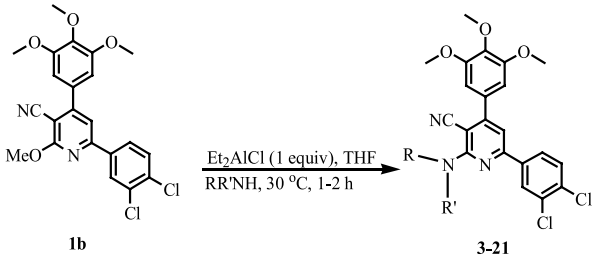
A series of non-cytotoxic low molecular weight aromatic tetrazoles and phenyl acrylic acid derivatives were synthesized. The in vitro assay revealed significant inhibitory activity of these compounds with IC_{50} values as low as 23 μM with absence of or poor intrinsic lytic properties compared to positive controls.



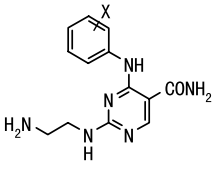


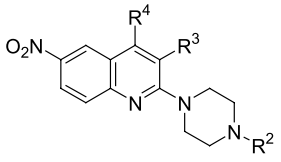


Ester thioPALA(FF), prepared in one step, showed a remarkable cytotoxic activity towards murine leukemia L1210 cell.

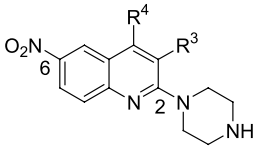


We discovered the 4-anilinopyrimidine-5-carboxamides as novel Syk inhibitors. These compounds showed high selectivity for Syk compared to other kinases, such as ZAP-70, c-Src, and PKC, and exhibited good inhibitory activities against 5-HT release from RBL-cells. The selected compound inhibited the passive cutaneous anaphylaxis reaction in mice, with an ID$_{50}$ of 13 mg/kg following subcutaneous administration. These results suggest that our compounds are worthy of further evaluation as new anti-allergic agents.



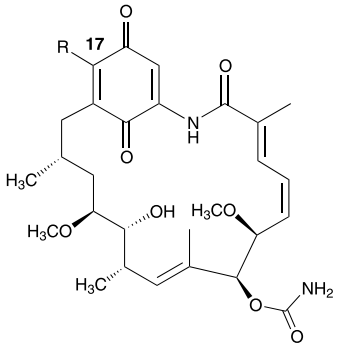


Structure-Activity Relationship (SAR) based on the right structures



1, R^3 = H, R^4 = H K_i = 0.17 nM
2. R^3 = H, R^4 = Cl K_i = 0.03 nM
3, R^3 = $CH_2CH_2CH_2F$, R^4 = H K_i = 0.32 nM

Certain 17-amino-17-demethoxygeldanamycin derivatives (R = NR′R″, R′, and/or R″ = H and/or alkyl) exhibit femtomolar inhibitory activity toward hepatocyte growth factor/scatter factor-mediated Met tyrosine kinase receptor-dependent urokinase-plasminogen activation.



OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2005: Human liver glycogen phosphorylase A (HLGPa) is an attractive target enzyme for discovering anti-type 2 diabetes drugs. This picture shows the interaction model for a series of indole-2-carboxamides to HLGPa derived from molecular docking simulations [Liu, G.; Zhang, Z.; Luo, X.; Shen, J.; Liu, H.; Shen, X.; Chen, K.; Jiang, H. *Bioorg. Med. Chem.* **2004**, *12*, 4147–4157].

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ISSN 0968-0896