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Bioorganic & Medicinal Chemistry

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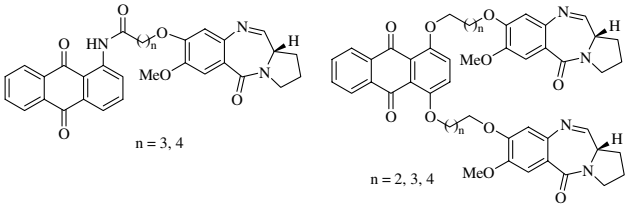
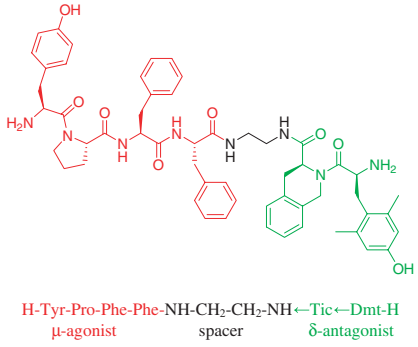
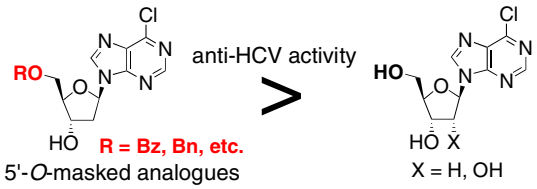
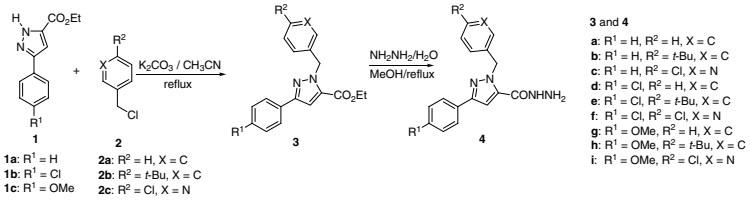
Contents

ARTICLES

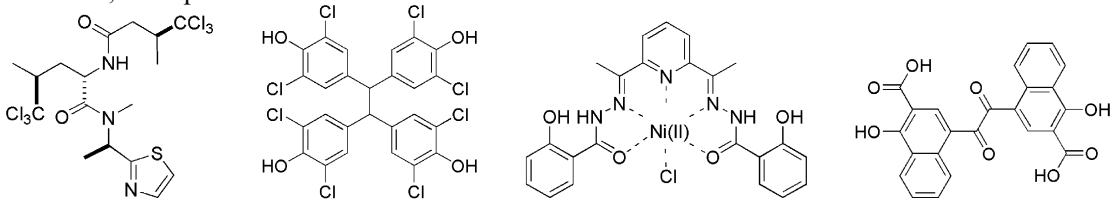








1-Arylmethyl-3-aryl-1*H*-pyrazole-5-carbohydrazide derivatives were synthesized, and their effects on A549 cell growth and apoptosis were evaluated. The structure–activity relationships and prediction of lipophilicity of compounds were studied.



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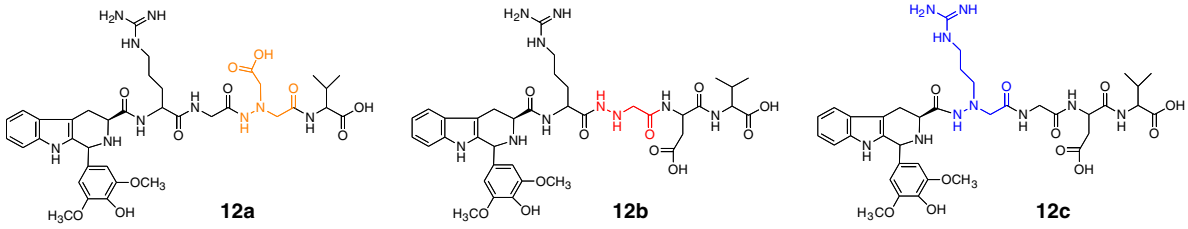
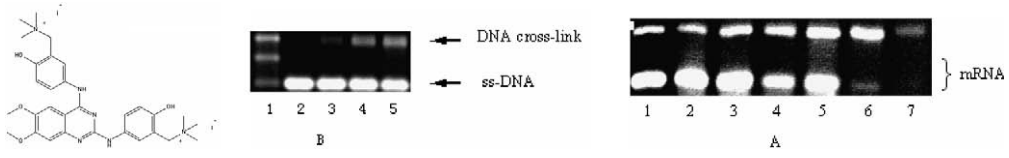
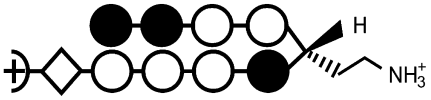
15-hLO-1/12-hLO Inhibition ratios of selective inhibitors against platelet 12-hLO.

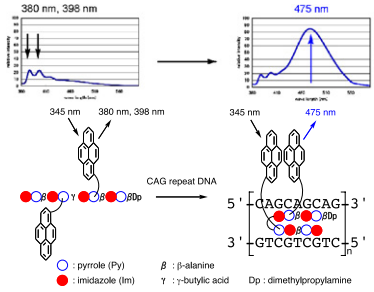


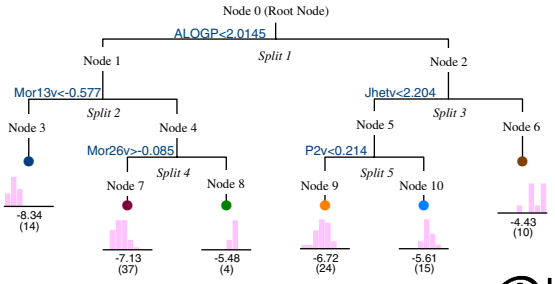


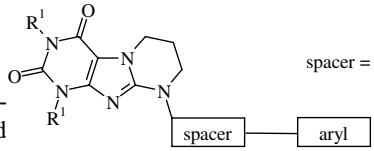
One phenol-quaternary ammonium salt derivative with a flexible linker and three derivatives with a quinazoline moiety were present. Their binding affinities for DNA were discussed and it is clearly demonstrated that this class of phenol-quaternary ammonium salt derivatives could inhibit DNA transcription effectively.



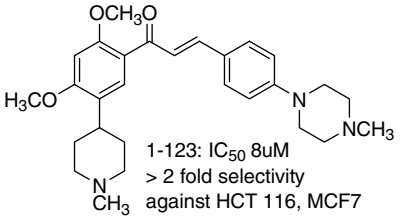
Py-Im α-DABA Hairpin Polyamide





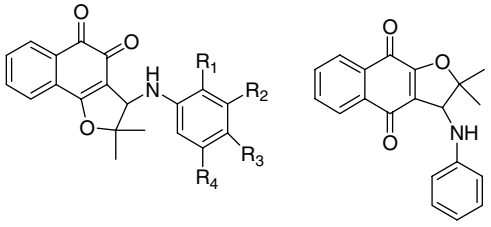


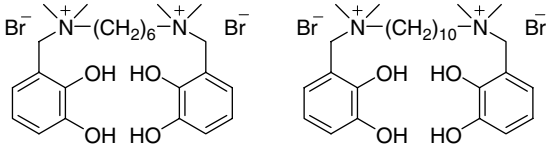
III



Basic groups on the chalcone template influenced key physicochemical parameters for antiproliferative activity and possibly, the mode of antiproliferative activity.

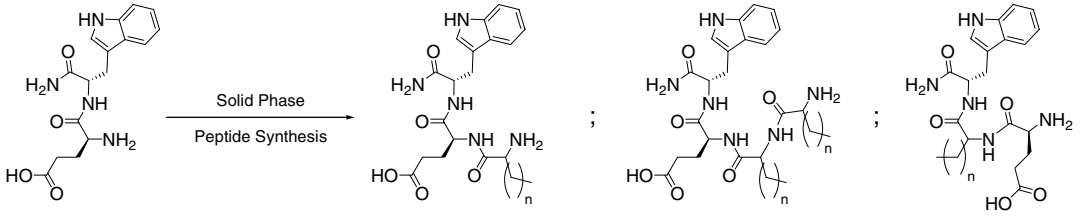
Several arylamino derivatives of nor-β-lapachone and one derivative of nor-α-lapachone were synthesized in moderate to high yields and found to show very potent cytotoxicity against six neoplastic cancer cells: SF-295 (central nervous system), HCT-8 (colon), MDAMB-435 (breast), HL-60 (leukemia), PC-3 (prostate) and B-16 (murine melanoma), with IC_{50} below 1 μg/mL.



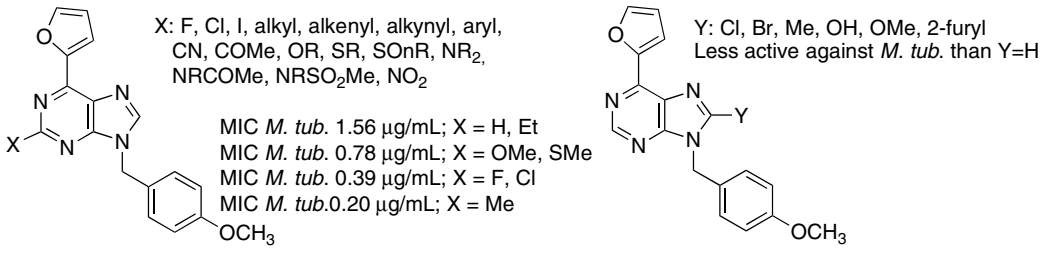


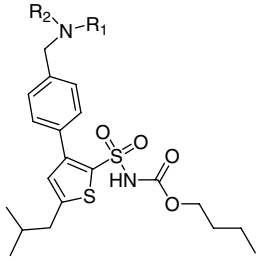
1 **2**

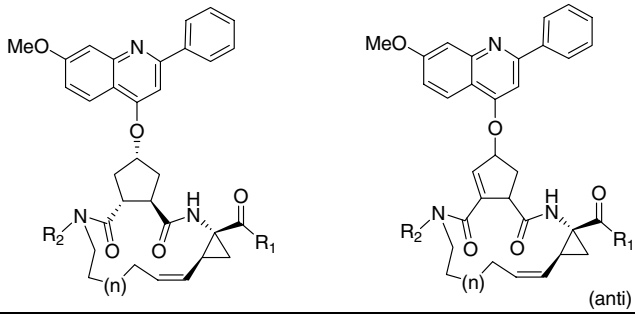
Two symmetrical bis-catechol substituted hexamethonium and decamethonium analogues were found to inhibit high-affinity choline transport in mouse brain synaptosomes. Inhibition parameters were evaluated and compared with known mono-catechol derivatives.

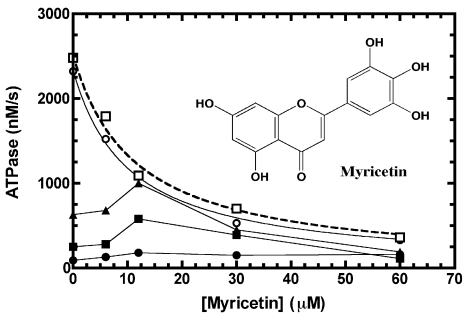


L-Glu-L-Trp-NH_2 (**1**) n = 5, 7, 9, 11 (**2-5**) n = 5, 7, 9, 11 (**6-9**) n = 5, 7, 9 (**10-12**)









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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