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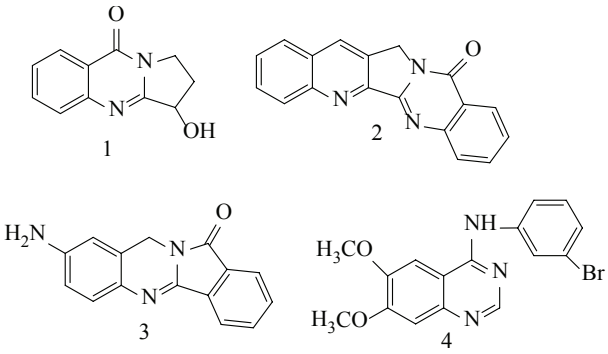
Synthesis of novel planar quinazolinones as possible DNA intercalating agents

M. Sucheta, A. K. D. Bhavani and P. S. N. Reddy
University College of Science, Osmania University, India

Several heteroannelated quinazolinone derivatives, isolated from natural sources or prepared by synthetic designs, are known to interact with DNA/DNA enzymes. Examples include the alkaloids such as vasicinone (1), isolated from *Adhatoda vasica* (Acanthaceae), and luotonin-A (2), isolated from *Peganum nigellastum* (zygophyllaceace). These molecules with an indolizino[1,2-b]quinazolinone scaffold are DNA interacting with specific cytotoxicity on the human prostrate carcinoma PC3 cell line. Their synthetic analogues batracyclin (3) and tyrosine-kinase inhibitor PD153035 (4) are anti- cancer drugs.



We aimed at the synthesis of planar quinazolinones as DNA intercalating agents like the natural product luotonin A, synthesis of a novel pentacyclic quinazolinone derivative – pentaphenedione was carried out starting from 2-aminobenzhydroxamic acid. This was achieved in three steps starting from preparation of 3,3'-dihydroxy-2,2'-bisquinazolin-4,4'-dione from 2-aminobenzhydroxamic acid followed by its conversion to 3,3'-diamino-2,2'-bisquinazolin-4,4'-dione which on oxidative cyclisation gave 5a,6,7,7a,13,14- hexaaza-pentaphene-5,8-dione.