Sarah H M Khairat et al., Med Chem 2014, 4:12
http://dx.doi.org/10.4172/2161-0444.S1.012

OMICS Group Conferences
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3rd International Conference on
Medicinal Chemistry & Computer Aided Drug Designing
December 08-10, 2014 DoubleTree by Hilton Hotel San Francisco Airport, USA

Synthesis and QSAR studies of anti-neoplastic quinoxaline pyrazole conjugates

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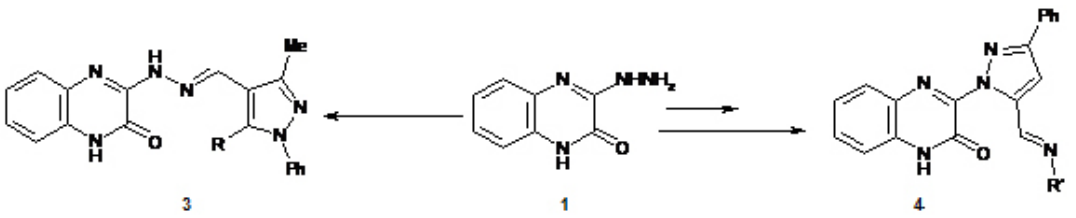
Quinoxalines exhibit potential antitumor properties, which makes them an important basis for promising anticancer active targets. Many synthetic quinoxalines were reported as selective inhibitor of solid tumor with remarkable potency against multidrug resistant cancer cells. Additionally, the pyrazole ring is a prominent structural motif found in numerous pharmaceutically active compounds. Pyrazole framework plays an essential role in biologically active compounds and therefore represents an interesting template for combinatorial as well as medicinal chemistry. The present work, describes synthesis of novel quinoxalines, via functionalizing the hydrazino derivative 1 with either formylpyrazoles 3, or condensation with acetophenone followed by formylation and condensation with different amine, hydrazines, semicarbazide and/or thiosemicarbazide yielding quinoxaline-pyrazole conjugates 4. Many of the synthesized compounds 3, 4 revealed promising antitumor properties against HepG2 (liver) and MCF7 (breast) human tumor cell lines utilizing the in-vitro Sulfo-Rhodamine-B (SRB) standard method exhibiting potency close to or better than that of cisplatin, the standard reference. Statistically significant QSAR models describing the bioactivity were obtained employing CODESSA-Pro software.



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