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Title:	Organocatalyzed umpolung addition for synthesis of heterocyclic-fused arylidene-imidazolones as anticancer agents
Authors:	Joshi, Mayank (/jspui/browse?type=author&value=Joshi%2C+Mayank) Choudhury, Angshuman Roy (/jspui/browse?type=author&value=Choudhury%2C+Angshuman+Roy)
Keywords:	Organocatalyzed umpolung synthesis of heterocyclic-fused arylidene-imidazolones as anticancer agents
Issue Date:	2022
Publisher:	Elsevier
Citation:	Bioorganic and Medicinal Chemistry, 67(1), 116835.
Abstract:	A strategy of "Nature-to-new" with iterative scaffold-hopping was considered for investigation of privileged ring/functional motif-elaborated analogs of natural auronones. An organocatalyzed umpolung chemistry based method was established for molecular-diversity feasible synthesis of title class of chemotypes i.e. (Z)-2-Arylideneimidazo[1,2-a]pyridinones and (Z)-2-Arylidenebenzo[d]imidazo[2,1-b]thiazol-3-ones. Various biophysical experiments indicated their important biological properties. The analogs showed characteristic anticancer activities with efficiency more than an anticancer drug. The compounds induced apoptosis with arrest in the S phase of the cell cycle regulation. The compounds' significant effect in up/down-regulation of various apoptotic proteins, an apoptosis cascade, and the inhibition of topoisomerases-mediated DNA relaxation process was identified. The analysis of the structure-activity relationship, interference with biological events and the drug-likeness physicochemical properties of the compounds in the acceptable window indicated distinctive medicinal molecule-to-properties of the investigated chemotypes.
Description:	Only IISER Mohali authors are available in the record.
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