Benzochromenopyrimidines: Synthesis, Antiproliferative Activity against Colorectal Cancer and Physicochemical Properties

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Ten new differently substituted 3-benzyl-5-aryl-3,5-dihydro-4H-benzo [6,7] chromeno [2,3- d] pyrimidin-4,6,11-triones 3 were synthesized by a simple and cost-efficient procedure in a one-pot, three-component reaction from readily available ethyl 2-amino-4-aryl-5,10-dioxo-5,10-dihydro-4Hbenzo[g]chromene-3-carboxylates, benzylamine and triethyl orthoformate under solvent- and catalyst-free conditions. All the new compounds were screened for their antiproliferative activity against two colorectal-cancer-cell lines. The results showed that the compounds 3-benzyl-5-phenyl3,5-dihydro-4H-benzo [6,7] chromeno[2,3-d] pyrimidine-4,6,11-trione (3a) and 3-benzyl-5-(3-hydroxyphenyl)-3,5-dihydro-4H-benzo [6,7] chromeno[2,3-d] pyrimidine-4,6,11-trione (3g) exhibited the most potent balanced inhibitory activity against human LoVo and HCT-116 cancer cells.

Keywords: anticancer; anti-colorectal cancer; benzochromenopyrimidines