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Design, synthesis and biological evaluation of novel 2,3-dihydroquinazolin-4(1H)-one derivatives as anti-HIV-1 agents

Z. Hajimahdi[1,*], A. Zarghi[1], R. Zabihollahi[2]

[1]Department of Medicinal Chemistry, School of Pharmacy, Shahid Beheshti University of Medical Sciences, Tehran, Iran
[2]Hepatitis and AIDS department, Pasteur institute of Iran, Tehran, Iran

Background and Aims: Human immuno-deficiency virus type 1 (HIV-1) is the etiological agent that causes acquired immuno-deficiency syndrome (AIDS). HIV infection is a life-threatening health problem necessitating discovery of novel targets and new lead molecules.In this research, aimed at the discovery of new compounds as anti-HIV-1 agents, the 4-quinazolinone core was selected as a lead to design new analogues. 4-Quinazolinone is an interesting molecule and its pharmacological activities are well documented. It has been reported as antimicrobial, antiviral, anti-HIV, anticonvulsant, and anticancer activity, etc.
Methods: In this research, a novel group of 2-aryl-3-(thiazol-2-yl)-2,3-dihydroquinazolin-4(1H)-one derivatives was synthesized in a one-pot procedure . Condensation of aryl aldehydes, isatoic anhydride and 2-aminothiazole in refluxing ethanol in the presence of Alum generated 2-aryl-3-(thiazol-2-yl)-2,3-dihydroquinazolin-4(1H)-one derivatives in good yields.
Results: The structure of the synthesized compounds was confirmed by IR, 1H NMR and ESI-MS. To explore the biological activity of these derivatives, anti-HIV-1 activity evaluation in HeLa cells cultures was conducted.
Conclusions: In conclusion, a series of new 2-aryl-3-(thiazol-2-yl)-2,3-dihydroquinazolin-4(1H)-one derivatives was synthesized. All the target compounds were completely safe and exhibited no cytotoxicity. Most of the compounds displayed significant HIV-1 inhibition rate.

Keywords: Design; Synthesis; 4-Quinazolinone; Anti-HIV-1 activity