

Identification of Synthetic Hybrids of 4-Thiazolidinone-Bromopyrrole Alkaloid as HIV-1 RT Inhibitors

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Abstract : Thiazolidin-4-one, a mimic of thiazolobenzimidazole (TBZ) has drawn many attentions due to its potent and selective inhibition against the HIV-1 and low toxicity by binding to the allosteric site of the reverse transcriptase (RT) as a non-nucleoside RT inhibitor (NNRTI). Similarly, marine bromopyrrole alkaloids are well known for their diverse array of anti-infective properties. Hence, we have reported synthesis and in vitro HIV-1 RT inhibitory activity of a series of 4-thiazolidinone-bromopyrrole alkaloid hybrids tethered with amide linker. The results of in vitro HIV-1 RT kit assay showed that some of the compounds, such as 4c, 4d, and 4i could effectively inhibit RT activity. Among them, compound 4c having 4-chlorophenyl substituted 4-thiazolidinone ring was the best one with the IC₅₀ value of 0.26 μ M. The study emerges with key structure-activity relationship that pyrrole-NH-free core benefited inhibition against HIV-1 RT inhibition. This study identified conjugate 4c with potent activity and selectivity as promising compound for further drug development to HIV.

Keywords : antiviral drugs, bromopyrrole alkaloids, HIV-1 RT inhibition, 4-thiazolidinone

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