Synthesis and Anti-HIV Activity Evaluation of 2-(5-(Naphthalen-1-yl)-1,2,3-thiadiazol-4-ylthio)-N-acetamides Derivatives

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SUMMARY. The development of novel HIV-1 non-nucleoside reverse transcriptase inhibitors (NNRTIs) offers the possibility of generating novel structures of increased potency. Based on the bioisosterism principle, two novel 2-(5-(naphthalen-1-yl)-1,2,3-thiadiazol-4-ylthio)-N-acetamides derivatives have been designed, synthesized and evaluated for their anti-HIV activities in MT-4 cells. The results indicate that these compounds show good activities against HIV-1. Especially, compound 9B (EC50 = 0.019 μM) was more effective than the reference drugs nevirapine and delavirdine.

KEY WORDS: Antiviral activity, HIV-1, Synthesis, Thiadiazole, Thioacetanilides.

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