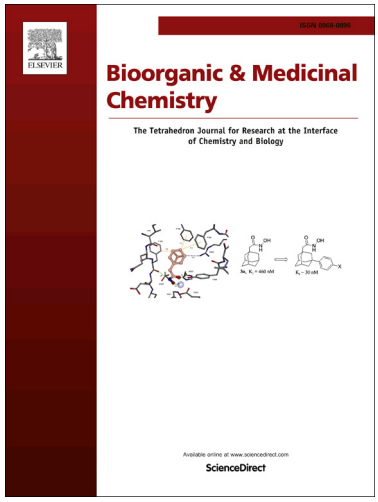

Review

Pyrroloaryls and Pyrroloheteroaryls: Inhibitors of the HIV Fusion/Attachment, Reverse Transcriptase and Integrase

Rahul V. Patel, Se Won Park

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Pyrroloaryls and Pyrroloheteroaryls: Inhibitors of the HIV Fusion/Attachment, Reverse Transcriptase and Integrase

Rahul V. Patel*[1], Se Won Park[2]

[1] *Laboratory of Growth Regulators, Centre of the Region Haná for Biotechnological and Agricultural Research, Institute of Experimental Botany ASCR & Palacký University, Šlechtitelů 27, 783 71 Olomouc, Czech Republic.*

[2] *Organic Research Laboratory, Department of Bioresources and Food Science, College of Life and Environmental Sciences, Konkuk University, Seoul- 143 701, South Korea.*

Correspondence: Email: rahul.svnit11@gmail.com, Tel: +420774389751

Abstract

Heterocyclic compounds execute a very important role in drug design and discovery. This article provides the basic milestones of the research for pyrroloaryl and pyrroloheteroaryl based components targeting HIV viral replication cycle. Anti-HIV activity is elaborated for several classes of pyrrolo-compounds as pyrrolopyridines, pyrrolopyrimidines, pyrrolopyridazines, pyrrolobenzodiazepinones, pyrrolobenzothiazepines, pyrrolobenzooxazepinones, pyrrolophenanthridines, pyrroloquinoxalines, pyrrolotriazines, pyrroloquinolines, pyrrolopyrazinones, pyrrolothiatriazines, arylthiopyrroles and pyrrolopyrazolones targeting two essential viral enzymes, reverse transcriptase and integrase as well as attachment/fusion of HIV viral particle to the host CD-4 cell. Such attempts were resulted in a discovery of highly potent anti-HIV agents suitable for clinical trials, for example BMS-378806, BMS-585248, BMS-626529, BMS-663068, BMS-488043 and BMS-663749 etc. as anti-HIV attachment agents, triciribine, QX432, BI-1 and BI-2 as HIV RT inhibitors which ate in preclinical or clinical development. Mechanism of action of compounds presented in this article towards the suppression of HIV attachment/fusion as well as against the activities of HIV enzymes reverse transcriptase and integrase has been discussed. Relationships of new compounds' molecular framework and HIV viral target has been overviewed in order to facilitate further construction of promising anti-HIV agents in future drug discovery process.

Keywords: pyrrole, arylthiopyrrole, triciribine, pyrrolopyridines, pyrroloquinolines, pyrrolopyrimidines reverse transcriptase, integrase, HIV-attachment