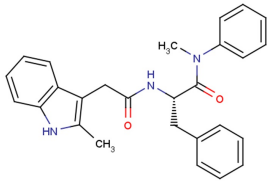
Data Sheet (Cat.No.T16500)

TargetMol
A DRUG SCREENING EXPERT

PF-3450074

Chemical Properties



CAS No.:	1352879-65-2
Formula:	C27H27N3O2
Molecular Weight:	425.52
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	PF-3450074 acts at an early stage of HIV-1 infection inhibits viral replication by directly competing with the binding of CPSF6 (nuclear host factors cleavage and polyadenylation specific factor 6) and NUP153 (nucleoporin 153), and blocks the uncoating, assembly, and the reverse transcription steps of the viral life cycle. PF-3450074 is a specifical inhibitor of HIV-1 capsid protein (CA) and shows a broad-spectrum inhibition of HIV isolates with submicromolar potency (EC50=8-640 nM).
Targets(IC_{50})	HIV-1 NL4.3 strain: 0.72 μM
In vitro	PF-3450074 displays a good potency in primary human peripheral blood mononuclear cells (PBMCs), inhibits HIV-193RW025, HIV-1JR-CSF and HIV-193MW965 with IC50 values of 1.5 ± 0.9 μM; 0.6 ± 0.20 μM; and 0.6 ± 0.10 μM, respectively. PF-3450074 shows anti-viral activities against HIV wild type NL4-3 and HIV T107N mutant (EC50: 0.72 μM and 4.5μM, respectively). PF-3450074 (10 μM; 8 hours) causes a marked reduction in late products of reverse transcription in HeLa-P4 cells with DNase I-treated stocks of Env-defective HIV-1 (R9.Env-). This compound shows Median IC50 and CC50 values of 0.9 ± 0.5 μM and 90.5 ± 5.9 μM, respectively. The KD for the interaction between PF-74 and the CA hexamer, derived in the same manner as for NUP153, is determined to be 176 ± 78 nM [1][2].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.35 mL	11.75 mL	23.501 mL
5 mM	0.47 mL	2.35 mL	4.7 mL
10 mM	0.235 mL	1.175 mL	2.35 mL
50 mM	0.047 mL	0.235 mL	0.47 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Xu JP, et al. Exploring Modifications of an HIV-1 Capsid Inhibitor: Design, Synthesis, and Mechanism of Action.J Drug Des Res. 2018;5(2). pii: 1070. Epub 2018 Aug 13.

2. Shi J, et al. Small-molecule inhibition of human immunodeficiency virus type 1 infection by virus capsid destabilization.J Virol. 2011 Jan;85(1):542-9.

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