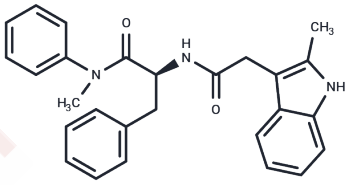
Data Sheet (Cat.No.T16500)

TargetMol

PF-3450074

Chemical Properties



CAS No. : 1352879-65-2

Formula: C27H27N3O2

Molecular Weight: 425.52

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	PF-3450074 (PF-74) 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(IC50)	HIV Protease
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 4.5 μM, 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].
Cell Research	HeLa-P4 cells were inoculated with PF74 (10 μM). After 8 h of culture, the cells were harvested and DNA isolated with a DNeasy Blood & Tissue kit (Qiagen). HIV-1 DNA in the samples was quantified by real-time PCR using primers specific which shows that PF74 inhibited HIV-1 reverse transcription in target cells.

Solubility Information

Solubility	DMSO: 249 mg/mL (585.17 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 5 mg/mL (11.75 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3501 mL	11.7503 mL	23.5007 mL
5 mM	0.470 mL	2.3501 mL	4.7001 mL
10 mM	0.235 mL	1.175 mL	2.3501 mL
50 mM	0.047 mL	0.235 mL	0.470 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
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.