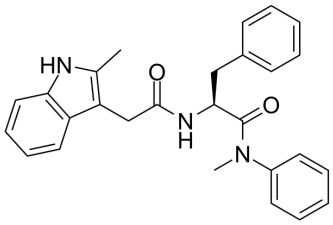
PF-3450074

Cat. No.:	HY-120072
CAS No.:	1352879-65-2
Molecular Formula:	$C_{27}H_{27}N_3O_2$
Molecular Weight:	425.52
Target:	HIV
Pathway:	Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (587.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3501 mL	11.7503 mL	23.5007 mL
	5 mM	0.4700 mL	2.3501 mL	4.7001 mL
	10 mM	0.2350 mL	1.1750 mL	2.3501 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (14.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-3450074 (PF-74) is a specifical inhibitor of HIV-1 capsid protein (CA) and displays a broad-spectrum inhibition of HIV isolates with submicromolar potency (EC_{50}=8-640 nM). PF-3450074 (PF-74) acts at an early stage of HIV-1 infection, inhibits viral replication by directly competing with the binding of CPSF6 and NUP153, and blocks the uncoating, assembly, and the reverse transcription steps of the viral life cycle[1][2]. CPSF6: nuclear host factors cleavage and polyadenylation specific factor 6; NUP153: nucleoporin 153.

IC_{50} & Target

HIV-1 (NL4.3 strain)
0.72 μM (IC_{50})

In Vitro

PF-3450074 (PF-74) exhibits anti-viral activities against HIV wild type NL4-3 and HIV T107N mutant with EC_{50} values of 0.72 μM and 4.5μM, respectively[1].
PF-3450074 (PF-74) displays a good potency in primary human peripheral blood mononuclear cells (PBMCs), inhibits HIV-

193RW025, HIV-1JR-CSF and HIV-193MW965 with IC_{50} values of 1.5 ± 0.9 μM; 0.6 ± 0.20 μM; and 0.6 ± 0.10 μM, respectively. This compound shows Median IC_{50} and CC_{50} values of 0.9 ± 0.5 μM and 90.5 ± 5.9 μM, respectively[1].

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].

PF-3450074 (PF-74) (10 μM; 8 hours) results in a marked reduction in late products of reverse transcription in HeLa-P4 cells with DNase I-treated stocks of Env-defective HIV-1 ($R9.Env^-$)[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[2]

Cell Line:	HeLa-P4 cells
Concentration:	10 μM
Incubation Time:	8 hours
Result:	Inhibited HIV-1 reverse transcription in target cells.

CUSTOMER VALIDATION

- Metabolites. 2022, 12(8), 752.
- Microbiol Spectr. 2022 Dec 7;e0266322.

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REFERENCES

[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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