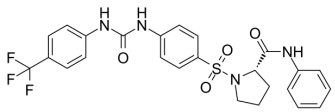
ZL0580

Cat. No.:	HY-126428
CAS No.:	2377151-10-3
Molecular Formula:	$C_{25}H_{23}F_3N_4O_4S$
Molecular Weight:	532.53
Target:	HIV; Epigenetic Reader Domain
Pathway:	Anti-infection; Epigenetics
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 (469.46 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8778 mL	9.3891 mL	18.7783 mL
5 mM	0.3756 mL	1.8778 mL	3.7557 mL
10 mM	0.1878 mL	0.9389 mL	1.8778 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ZL0580, a structurally close analog of ZL0590, induces epigenetic suppression of HIV via selectively binding to BD1 domain of BRD4. ZL0580 induces HIV suppression by inhibiting Tat transactivation and transcription elongation as well as by inducing repressive chromatin structure at the HIV promoter[1][2][3].

IC_{50} & Target

BRD4 (BD1)

In Vitro

ZL0580 (8 μM, 2 days, PBMCs of viremic HIV-infected individuals) induces HIV transcriptional suppression with low toxicity[1].
ZL0580 treatment (10 μM) suppresses both PMA-stimulated and basal HIV transcription[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HIV-infected human CD4+ T cells.
Concentration:	0-8 μM.
Incubation Time:	2 days.
Result:	Suppress HIV in primary CD4+ T cell. Single treatment (8 μM) led to almost completed loss of productive HIV infection in CD4+ T cells.

RT-PCR[1]

Cell Line:	PBMCs of viremic HIV-infected individuals.
Concentration:	8 μM.
Incubation Time:	2 days.
Result:	Suppresses HIV transcription ex vivo in PBMCs of viremic HIV-infected individuals.

Cell Cytotoxicity Assay[1]

Cell Line:	J-Lat cells.
Concentration:	0-80 μM.
Incubation Time:	1 and 3 days.
Result:	Did not cause significant cell death at concentrations below 40 μM. Treatment of J-Lat cells with ZL0580 (10 μM) also did not cause significant cell death on days 2, 7, and 14 compared with NC in both PMA-activated and unstimulated cells.

REFERENCES

[1]. Niu Q, et al. Structure-guided drug design identifies a BRD4-selective small molecule that suppresses HIV. J Clin Invest. 2019 Jul 22;129(8):3361-3373.

[2]. Vansant G, et al. Block-And-Lock Strategies to Cure HIV Infection. Viruses. 2020 Jan 10;12(1). pii: E84.

[3]. Brasier AR, et al. Validation of the epigenetic reader bromodomain-containing protein 4 (BRD4) as a therapeutic target for treatment of airway remodeling. Drug Discov Today. 2020 Jan;25(1):126-132.

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