Cabotegravir

Cat. No.: HY-15592

CAS No.: 1051375-10-0

Molecular Formula: $C_{19}H_{17}F_2N_3O_5$

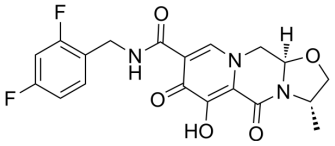
Molecular Weight: 405.35

Target: HIV; HIV Integrase

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (41.12 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4670 mL	12.3350 mL	24.6700 mL
	5 mM	0.4934 mL	2.4670 mL	4.9340 mL
	10 mM	0.2467 mL	1.2335 mL	2.4670 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: ≥ 1.67 mg/mL (4.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cabotegravir (GSK-1265744) is a orally active and long-acting HIV integrase strand transfer inhibitor and organic anion transporter 1/3 (OAT1/OAT3) inhibitor with IC_{50} values of 2.5 nM, 0.41 μM and 0.81 μM for HIV_{ADA}, OAT3 and OAT1, respectively. Cabotegravir is primarily metabolized by uridine diphosphate glucuronosyltransferase (UGT) 1A1, with low potential to interact with other antiretroviral agents (ARVs). Cabotegravir can be used to research AIDS[1][2].

IC_{50} & Target

IC_{50}: 2.5 nM (HIV_{ADA})[1]
IC_{50}: 0.41 μM (OAT3), 0.81 μM (OAT1)[2]

In Vitro

Cabotegravir (GSK-1265744) inhibits the HIV-1 integrase catalyzed strand transfer reaction with an IC_{50} of 3.0 nM in vitro. The antiviral EC_{50} against HIV-1 Ba-L is 0.22 nM and that against NL432 is 0.34 nM in PBMCs, 0.57 nM using CellTiter-Glo and 1.3 nM using MTT in MT-4, and 0.5 nM in the PHIV assay, which uses a pseudotyped self-inactivating virus[3].

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

Cell Viability Assay[3]

Cell Line:	MT-4 cells
Concentration:	0-32 nM
Incubation Time:	4 or 5 days
Result:	Showed antiviral activity with an EC_{50} of 1.3 nM.

In Vivo

The half-life of Cabotegravir is up to 54 days in mice[1].
Cabotegravir (25 or 50 mg/kg; i.v.; single dose or twice) protects Macaques against intravenous challenge with SIVmac251[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- J Infect Dis. 2022 Sep 19;jiac386.
- Pharmaceutics. 2022, 14(9), 1761.
- Antimicrob Agents Chemother. 2019 Dec 20;64(1):e01717-19.
- Drug Metab Dispos. 2019 May;47(5):535-544.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Zhou T, et al. Creation of a nanoformulated cabotegravir prodrug with improved antiretroviral profiles. Biomaterials. 2018 Jan;151:53-65.

[2]. Yoshinaga T, et al. Antiviral characteristics of GSK1265744, an HIV integrase inhibitor dosed orally or by long-acting injection. Antimicrob Agents Chemother. 2015 Jan;59(1):397-406.

[3]. Andrews CD, et al. Cabotegravir long acting injection protects macaques against intravenous challenge with SIVmac251. AIDS. 2017 Feb 20;31(4):461-467.

[4]. Reese MJ, et al. Drug interaction profile of the HIV integrase inhibitor cabotegravir: assessment from in vitro studies and a clinical investigation with midazolam. Xenobiotica. 2015 Sep 4:1-12.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA