Cabotegravir sodium

Cat. No.: HY-15592A

CAS No.: 1051375-13-3

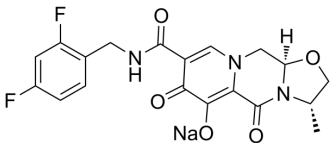
Molecular Formula: $C_{19}H_{16}F_2N_3NaO_5$

Molecular Weight: 427.33

Target: HIV Integrase; HIV

Pathway: Metabolic Enzyme/Protease; Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.25 mg/mL (14.63 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3401 mL	11.7006 mL	23.4011 mL
	5 mM	0.4680 mL	2.3401 mL	4.6802 mL
	10 mM	0.2340 mL	1.1701 mL	2.3401 mL

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

BIOLOGICAL ACTIVITY

Description

Cabotegravir (GSK-1265744) sodium 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 sodium is primarily metabolized by uridine diphosphate glucuronosyltransferase (UGT) 1A1, with low potential to interact with other antiretroviral agents (ARVs). Cabotegravir sodium 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.

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REFERENCES

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

[2]. 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. 2016;46(5):445-56.

[3]. 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.

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

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