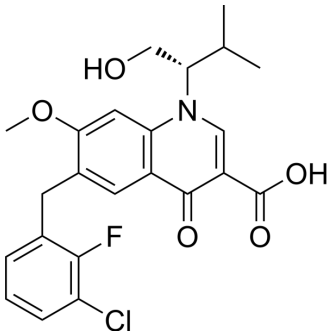
Elvitegravir

Cat. No.:	HY-14740
CAS No.:	697761-98-1
Molecular Formula:	$C_{23}H_{23}ClFNO_5$
Molecular Weight:	447.88
Target:	HIV Integrase; HIV
Pathway:	Metabolic Enzyme/Protease; Anti-infection
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 : 250 mg/mL (558.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2327 mL	11.1637 mL	22.3274 mL
	5 mM	0.4465 mL	2.2327 mL	4.4655 mL
	10 mM	0.2233 mL	1.1164 mL	2.2327 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.58 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Elvitegravir (GS-9137; JTK-303; D06677) is an HIV integrase inhibitor for HIV-1_{IIIB}, HIV-2_{EHO} and HIV-2_{ROD} with IC_{50} of 0.7 nM, 2.8 nM and 1.4 nM, respectively.

IC_{50} & Target	HIV-1	HIV-2

In Vitro

Elvitegravir (GS-9137; JTK-303; D06677) blocks the integration of HIV-1 cDNA through the inhibition of DNA strand transfer. Elvitegravir exerts potent anti-HIV activity against not only wild-type strains but also drug-resistant clinical isolates.

Interestingly, Elvitegravir also shows antiviral activity against murine leukemia virus (MLV) and simian immunodeficiency virus (SIV). Elvitegravir shows potent antiviral activity against three laboratory strains of HIV, with EC_{50} values in the subnanomolar to nanomolar range. Next, the activity of Elvitegravir is evaluated against wild-type clinical isolates representing various subtypes of HIV-1. Elvitegravir suppresses the replication of all HIV-1 subtypes tested, with an antiviral EC_{50} ranging from 0.1 to 1.26 nM. Moreover, Elvitegravir suppresses the replication of HIV-1 clinical isolates carrying NRTI, NNRTI, and PI resistance-associated genotypes, as did a control IN inhibitor, the compound L-870,810. The cytotoxicities of these inhibitors are also determined using an MTT colorimetric assay. Mean values for the concentration that suppresses the viability of target cells by 50% for Elvitegravir and L-870,810 in PBMC obtained from three independent donors are 4.6±0.5 μM and 2.7±0.6 μM, respectively. Thus, Elvitegravir can suppress various HIV strains, including diverse HIV-1 subtypes and clinical isolates carrying multiple mutations associated with resistance to currently approved antiretroviral drugs[1].

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

PROTOCOL

Cell Assay [1]

MT-2 cells (2×10^5 cells) are infected with HIV-1_{IIIB} and then cultured in the presence of 0.5 nM or 0.1 nM Elvitegravir. Cultures are incubated at 37°C until an extensive cytopathic effect (CPE) is observed, and the culture supernatant is then harvested for further passage in fresh MT-2 cells. The concentration of Elvitegravir is increased when a significant CPE is observed. At the indicated passages, proviral DNA is extracted from infected MT-2 cells and then subjected to PCR, followed by direct population-based sequencing. Susceptibility to Elvitegravir at the indicated passages is determined using the MAGI assay or p24 production[1].

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.
- Antiviral Res. 2019 Feb;162:101-109.
- J Neuroimmune Pharmacol. 2019 Jul 23;10.1007/s11481-019-09862-1.
- Antimicrob Agents Chemother. 2015 Dec;59(12):7666-7670.
- Microb Pathog. 2023 Apr 22;106122.

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REFERENCES

[1]. Shimura K, et al. Broad antiretroviral activity and resistance profile of the novel human immunodeficiency virus integrase inhibitor elvitegravir (JTK-303/GS-9137). J Virol. 2008 Jan;82(2):764-74.

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