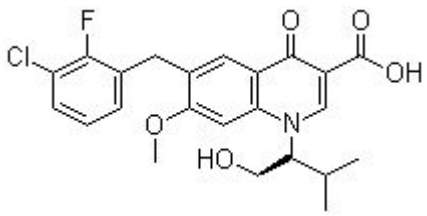
Product Introduction

Elvitegravir (GS-9137, JTK-303)

Elvitegravir (EVG, JTK-303/GS-9137) is an HIV integrase inhibitor for **HIV-1 IIIB**, **HIV-2 EHO** and **HIV-2 ROD** with **IC50** of 0.7 nM, 2.8 nM and 1.4 nM, respectively.

Technical Data:

Molecular Weight (MW):	447.88	
Formula:	$C_{23}H_{23}ClFNO_5$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 90 mg/mL	
	Water <1 mg/mL	
	Ethanol 35 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	697761-98-1	

Biological Activity

Elvitegravir inhibits PBMC and PA with IC50 of 0.89 and 20 nM, respectively. Elvitegravir prevents the integration of HIV-1 cDNA through the inhibition of DNA strand transfer. Elvitegravir suppresses the replication of HIV-1, including various subtypes and multiple-drug-resistant clinical isolates, and HIV-2 strains with a 50% effective concentration in the subnanomolar to nanomolar range. Elvitegravir inhibits the replication of HIV-1 clinical isolates carrying NRTI, NNRTI, and PI resistance-associated genotypes.

Elvitegravir inhibits the HIV replication at a step that occurs after reverse transcription but before proteolytic cleavage, consistent with the integration step. Elvitegravir inhibits the synthesis of strand transfer products with an IC50 of 54 nM. Elvitegravir blocks integration via the inhibition of IN-mediated strand transfer. [1] Elvitegravir inhibits the integration of the HIV-based vector used as a positive control for the luciferase assay with an EC50 of 0.8 nM, as observed in the MAGI assay with HIV-1IIIB. Elvitegravir suppresses the replication of MLV infection with IC50 of 5.8 nM as well as that of the primate retrovirus SIV (IC50 = 0.5 nM), revealing that IN inhibitors have antiviral activity against a broad range of retroviruses. EVG is active against HIV-1 and HIV-2 and has a serum-free antiviral IC50 of 0.3-0.9 nM in peripheral blood mononuclear cells. [2]

References

[1] Shimura K, et al. J Virol. 2008, 82(2), 764-774.
[2] Lampiris HW. Expert Rev Anti Infect Ther. 2012, 10(1), 13-20.

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer’s risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.