

Product Data Sheet

Product Name: Elvitegravir (GS-9137)

Cat. No.: GC14996

Chemical Properties

Cas. No. 697761-98-1

Chemical Name 6-[(3-chloro-2-fluorophenyl)methyl]-1-[(2S)-1-hydroxy-3-methylbutan-2-yl]-7-methoxy-4-oxoquinoline-3-carboxylic acid

SMILES CC(C)C(CO)N1C=C(C(=O)C2=CC(=C(C=C21)OC)CC3=C(C(=CC=C3)Cl)F)C(=O)O

Formula $C_{23}H_{23}ClFNO_5$

M.Wt 447.9

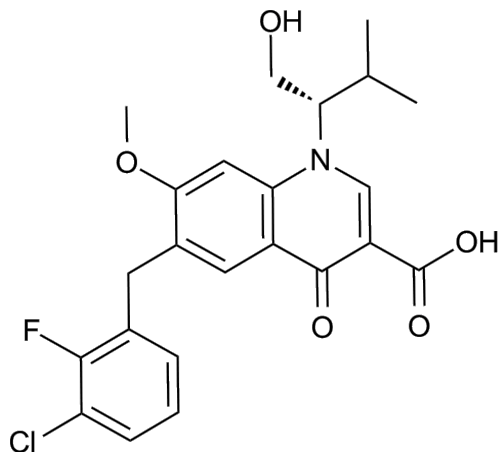
Solubility ≥ 20.35 mg/mL in DMSO

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell experiment: 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].

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.

Background

Elvitegravir (also known as GS-9137 or JTK-303), a monoketo acid modified from the distinct diketo acid moiety (DKA) motif, is a potent inhibitor of human immunodeficiency virus type I (HIV-1) integrase, an enzyme integrating the viral DNA into the cellular DNA of the host during HIV replication. Elvitegravir inhibits the function of HIV-1 integrase through blocking viral DNA strand transfer and integration, which prevents the replication of HIV and subsequently allows viral DNA to be metabolized by cellular enzymes. Multiple studies indicate that elvitegravir not only inhibits the replication of a variety of subtypes of HIV-1 but also exhibits antiviral activity against murine leukemia virus (MLV) and simian immunodeficiency virus (SIV).

Reference

[1]. Janice Soo Fern Lee, Alexandra Calmy, Isabelle Andrieux-Meyer, and Nathan Ford. Review of the safety, efficacy, and pharmacokinetics of elvitegravir with an emphasis on resource-limited settings. HIV/AIDS- Research and Palliative Care 2012; 4: 5-15
[2]. Peter K Quashie, Richard D Sloan and Mark A Wainberg. Novel therapeutic strategies targeting HIV integrase. BMC Medicine 2012; 10:34

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[3].Kazuya Shimura, Eiichi Kodama, Yasuko Sakagami, Yuji Matsuzaki, Wataru Watanabe, Kazunobu Yamataka, Yasuo Watanabe, Yoshitsugu Ohata, Satoki Doi, Motohide Sato, Mitsuki Kano, Satoru Ikeda, and Masao Matsuoka. Broad antiretroviral activity and resistance profile of the novel human immunodeficiency virus integrase inhibitor elvitegravir. (JTK-303/GS-9137). Journal of Virology 2008; 764-774.

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