

Product Data Sheet

Product Name: Dolutegravir-d3

Cat. No.: GC70661

Chemical Properties

Cas. No.

Formula $C_{20}H_{16}D_3F_2N_3O_5$

M.Wt 422.4

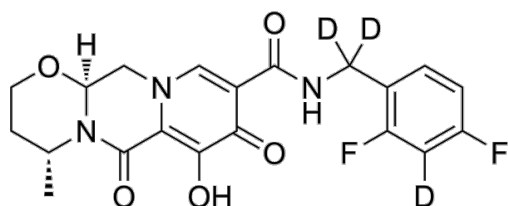
Solubility

Storage -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



Background

Dolutegravir-d3 is the deuterium labeled Dolutegravir. Dolutegravir (S/GSK1349572) is a highly potent and orally bioavailable HIV integrase strand transfer inhibitor with an IC₅₀ of 2.7 nM for HIV-1 integrase-catalyzed strand transfer. Dolutegravir (S/GSK1349572) inhibits HIV-1 viral replication with an IC₅₀ of 0.51 nM in peripheral blood mononuclear cells. Dolutegravir retains a high potency against the HIV-1 Y143R, N155H, and G140S/Q148H mutants (EC₅₀=3.6-5.8 nM)[1][2].

Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has

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gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs^[1].

References:

- [1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother*. 2019;53(2):211-216.
- [2]. Kobayashi M, et al. In Vitro antiretroviral properties of S/GSK1349572, a next-generation HIV integrase inhibitor. *Antimicrob Agents Chemother*. 2011 Feb;55(2):813-21.
- [3]. Hare S, et al. Structural and functional analyses of the second-generation integrase strand transfer inhibitor dolutegravir (S/GSK1349572). *Mol Pharmacol*. 2011 Oct;80(4):565-72.
- [4]. Moss L, et al. The comparative disposition and metabolism of dolutegravir, a potent HIV-1 integrase inhibitor, in mice, rats, and monkeys. *Xenobiotica*. 2015 Jan;45(1):60-70.

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