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Produktinformation



Forschungsprodukte & Biochemikalien



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Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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PRODUCT INFORMATION



Dolutegravir-d₅

Item No. 25755

Formal Name: (4R,12aS)-N-((2,4-difluorophenyl-3,5,6-d₃)methyl-d₂)-7-hydroxy-4-methyl-6,8-dioxo-3,4,6,8,12,12a-hexahydro-2H-pyrido[1',2':4,5]pyrazino[2,1-b][1,3]oxazine-9-carboxamide

MF: C₂₀H₁₄D₅F₂N₃O₅

FW: 424.4

Chemical Purity: ≥95% (Dolutegravir)

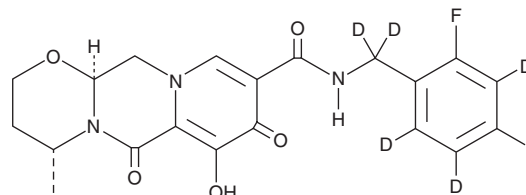
Deuterium

Incorporation: ≥99% deuterated forms (d₁-d₅); ≤1% d₀

Supplied as: A solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Dolutegravir-d₅ is intended for use as an internal standard for the quantification of dolutegravir (Item No. 22191) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Dolutegravir-d₅ is supplied as a solid. A stock solution may be made by dissolving the dolutegravir-d₅ in the solvent of choice, which should be purged with an inert gas. Dolutegravir-d₅ is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of dolutegravir-d₅ in these solvents is approximately 2.5 and 5 mg/ml, respectively. Dolutegravir-d₅ is also slightly soluble in ethanol.

Description

Dolutegravir is a potent inhibitor of HIV integrase with an IC₅₀ value of 2.7 nM for HIV-1 integrase-catalyzed strand transfer *in vitro*.¹ It inhibits HIV-1 viral replication (EC₅₀ = 0.51 nM) in peripheral blood mononuclear cells (PBMCs). The cytotoxic concentration (CC₅₀) values for dolutegravir in unstimulated and stimulated PBMCs are 189 and 52 μM, respectively, resulting in a therapeutic index of at least 9,400. It prevents replication of several HIV-1 strains (EC₅₀s = 0.36-2.1 nM) that are resistant to nucleoside reverse transcriptase inhibitors (NRTIs), non-nucleoside reverse transcriptase inhibitors (NNRTIs), and protease inhibitors and impairs their ability to infect CIP4 cells.^{1,2} Formulations containing dolutegravir have been used to treat HIV-1 infection in humans.³

References

1. Kobayashi, M., Yoshinaga, T., Seki, T., *et al.* *In vitro* antiretroviral properties of S/GSK1349572, a next-generation HIV integrase inhibitor. *Antimicrob. Agents and Chemother.* **55**(2), 813-821 (2011).
2. Hare, S.A., Smith, S.J., Métifiot, M., *et al.* Structural and functional analyses of the second-generation integrase strand transfer inhibitor dolutegravir (S/GSK1349572). *Mol. Pharmacol.* **80**(4), 565-572 (2011).
3. Venter, W.D.F., Clayden, P., and Serenata, C. The ADVANCE study: A groundbreaking trial to evaluate a candidate universal antiretroviral regimen. *Curr. Opin. HIV AIDS* **12**(4), 351-354 (2017).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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