

PRODUCT INFORMATION



Cabotegravir

Item No. 27215

CAS Registry No.: 1051375-10-0
Formal Name: (3S,11aR)-N-[(2,4-difluorophenyl)methyl]-2,3,5,7,11,11a-hexahydro-6-hydroxy-3-methyl-5,7-dioxo-oxazolo[3,2-a]pyrido[1,2-d]pyrazine-8-carboxamide

Synonyms: GSK744, GSK1265744A

MF: C₁₉H₁₇F₂N₃O₅

FW: 405.4

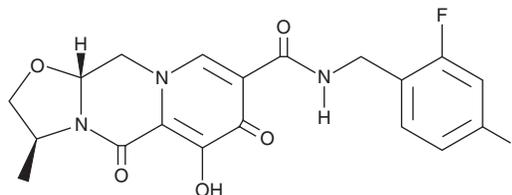
Purity: ≥98%

UV/Vis.: λ_{max}: 226, 247, 258 nm

Supplied as: A crystalline 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

Cabotegravir is supplied as a crystalline solid. A stock solution may be made by dissolving the Cabotegravir in the solvent of choice, which should be purged with an inert gas. Cabotegravir is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of Cabotegravir in these solvents is approximately 10 and 14 mg/ml, respectively. Cabotegravir is slightly soluble in ethanol.

Cabotegravir is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Cabotegravir should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Cabotegravir has a solubility of approximately 0.04 mg/ml in a 1:20 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cabotegravir is an inhibitor of HIV-1 integrase (IC₅₀ = 3 nM in a strand transfer assay).¹ It inhibits HIV replication in MT-4 cells and peripheral blood mononuclear cells (PBMCs; IC₅₀s = 1.3 and 0.2 nM, respectively). Cabotegravir (50 mg/kg) is protective against simian/human immunodeficiency virus (SHIV) infection in macaques when administered 1 week prior to viral challenge.²

References

1. Johns, B.A., Kawasuji, T., Weatherhead, J.G., *et al.* Carbamoyl pyridone HIV-1 integrase inhibitors 3. A diastereomeric approach to chiral nonracemic tricyclic ring systems and the discovery of dolutegravir (S/GSK1349572) and (S/GSK1265744). *J. Med. Chem.* **56**(14), 5901-5916 (2013).
2. Andrews, C.D., Spreen, W.R., Mohri, H., *et al.* Long-acting integrase inhibitor protects macaques from intrarectal simian/human immunodeficiency virus. *Science* **343**(6175), 1151-1154 (2014).

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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