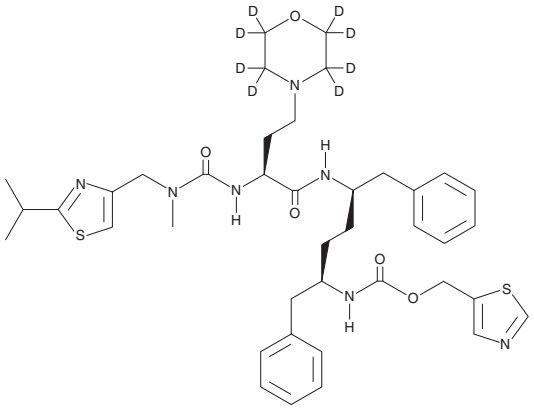
PRODUCT INFORMATION

Cobicistat-d_8

Item No. 26442

CAS Registry No.: 2699607-48-0
Formal Name: (3R,6R,9S)-12-methyl-13-[2-(1-methylethyl)-4-thiazolyl]-9-[2-(4-morpholinyl-d_8)ethyl]-8,11-dioxo-3,6-*bis*(phenylmethyl)-2,7,10,12-tetraazatridecanoic acid, 5-thiazolylmethyl ester
MF: $C_{40}H_{45}D_8N_7O_5S_2$
FW: 784.1
Chemical Purity: ≥98% (Cobicistat)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_8); ≤1% d_0
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

Cobicistat-d_8 is intended for use as an internal standard for the quantification of cobicistat (Item No. 23433) 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).

Cobicistat-d_8 is supplied as a solid. A stock solution may be made by dissolving the cobicistat-d_8 in the solvent of choice, which should be purged with an inert gas. Cobicistat-d_8 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of cobicistat-d_8 in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Description

Cobicistat is an inhibitor of the cytochrome P450 (CYP) isomer CYP3A (IC_{50}s = 30-285 nM for CYP3A metabolism of various HIV protease inhibitors).[1] It is selective for CYP3A over other CYP isomers (IC_{50} = >25 µM for CYP1A2, 2C8, 2C9, and 2C19). Cobicistat does not inhibit HIV-1 protease (IC_{50} = >30 µM) or affect HIV replication in MT-2 cells (EC_{50} = >30 µM). Formulations containing cobicistat have been used to slow the metabolism of concomitantly administered protease inhibitors in the treatment of HIV.[2]

References

1. Xu, L., Liu, H., Murray, B.P., *et al.* Cobicistat (GS-9350): A potent and selective inhibitor of human CYP3A as a novel pharmacoenhancer. *ACS Med. Chem. Lett.* **1(5)**, 209-213 (2010).
2. Harris, M., Ganase, B., Watson, B., *et al.* HIV treatment simplification to elvitegravir/cobicistat/emtricitabine/tenofovir disproxil fumarate (E/C/F/TDF) plus darunavir: A pharmacokinetic study. *AIDS Res. Ther.* **14(1)**, 59 (2017).

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