PRODUCT INFORMATION



Cobicistat-d₈ 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-d₈)ethyl]-8,11dioxo-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

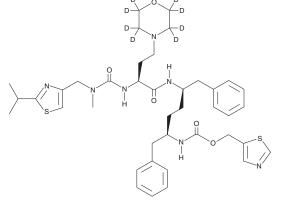
Chemical Purity: ≥98% (Cobicistat)

Deuterium

Incorporation: \geq 99% deuterated forms (d₁-d₈); \leq 1% d₀

Supplied as: A solid -20°C Storage: Stability: ≥4 years

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



Laboratory Procedures

Cobicistat-d₈ 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-d₈ is supplied as a solid. A stock solution may be made by dissolving the cobicistat-d₈ 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-d₈ 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 μ M for CYP1A2, 2C8, 2C9, and 2C19). Cobicistat does not inhibit HIV-1 protease (IC $_{50}$ = >30 μ M) or affect HIV replication in MT-2 cells (EC $_{50}$ = >30 μ M). 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).

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

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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