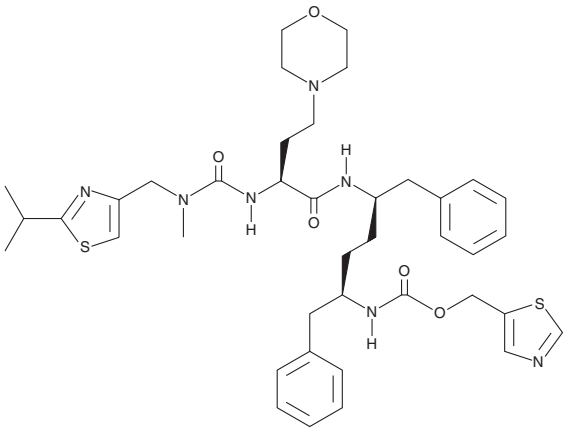
PRODUCT INFORMATION

Cobicistat

Item No. 23433

CAS Registry No.:	1004316-88-4
Formal Name:	(3R,6R,9S)-12-methyl-13-[2-(1-methylethyl)-4-thiazolyl]-9-[2-(4-morpholinyl)ethyl]-8,11-dioxo-3,6-*bis*(phenylmethyl)-2,7,10,12-tetraazatridecanoic acid, 5-thiazolylmethyl ester
Synonym:	GS-9350
MF:	$C_{40}H_{53}N_7O_5S_2$
FW:	776.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 203, 242 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

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

Cobicistat is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, cobicistat should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Cobicistat has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

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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