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15-4003: Grazoprevir

Alternative Name : MK-5172

Description

Molecular Formula: $C_{38}H_{50}N_6O_9S$

Molecular Weight: 766.9

Grazoprevir is a pan-genotypic protease inhibitor that binds to hepatitis C virus (HCV) non-structural protein 3/4A (NS3/4A), a serine protease essential for viral replication.Grazoprevir has potent in vitro activity against major HCV genotypes (Kis = 0.1, 0.1, 0.08, 0.15, and 0.9 nM for genotypes 1a, 1b, 2a, 2b, and 3a, respectively) and common resistance genotypes (Kis = 0.07, 0.14, and 0.30 nM for genotypes 1b R155K, D165V, and D168Y, respectively). Grazoprevir inhibits the NS3/4A protease in an in vitro replicon system with EC50 values of 2 and 8 nM for genotypes 1a and 2a, respectively. Formulations containing grazoprevir are used in combination therapies to treat HCV.

Product Info

Amount :	5 mg / 10 mg
Purification :	≥98%
Content :	Grazoprevir is supplied as a crystalline solid.
Storage condition :	Store at -20°C.

Application Note

A stock solution may be made by dissolving the grazoprevir in the solvent of choice. Grazoprevir is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of grazoprevir in these solvents is approximately 15, 25, and 30 mg/ml, respectively.

Grazoprevir is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, grazoprevir should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Grazoprevir has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

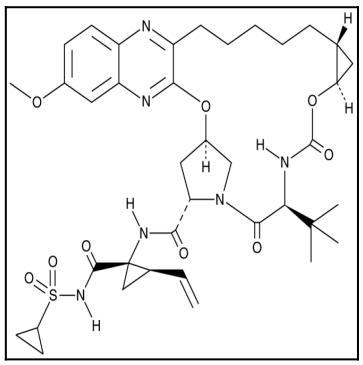

Figure-1: Structure of Grazoprevir.