Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Grazoprevir hydrate

Catalog No: tcsc1376

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1350462-55-3

Formula:
$C_{38}H_{52}N_6O_{10}S$

Pathway:
Metabolic Enzyme/Protease;Anti-infection

Target:
HCV Protease;HCV

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
MK-5172 (hydrate)

Observed Molecular Weight:
784.92

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Product Description

Grazoprevir hydrate (MK-5172 hydrate) is a selective inhibitor of **Hepatitis C virus NS3/4a** protease with broad activity across genotypes and resistant variants, with **K_i**s of 0.01 nM (gt1b), 0.01 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.90 nM (gt3a), respectively.

IC50 & Target: Ki: 0.01±[1]

In Vitro: In biochemical assays, Grazoprevir (MK-5172) is effective against a panel of major genotypes and variants engineered with common resistant mutations, with K_i of 0.01±R155K), 0.14±0.03 nM (gt1b^{D168V}), 0.30±0.04 nM (gt1b^{D168Y}), 5.3±0.9 nM (gt1b^{A156T}), and 12±2 nM (gt1b^{A156V}), respectively. In the replicon assay, Grazoprevir demonstrates subnanomolar to low-nanomolar EC_{50}s against genotypes 1a, 1b, and 2a, with EC_{50}s of 0.5±0.1 nM, 2±1 nM, and 2±1 nM for gt1b^{con1}, gt1a, and gt2a, respectively. Grazoprevir is potent against a panel of HCV replication mutants NS5A (Y93H) (EC_{50}=0.7±0.3 nM), NS5B nucleosides (S282T) (EC_{50}=0.3±0.1 nM), and NS5B (C316Y) (EC_{50}=0.4±0.2)[1]. Grazoprevir (MK-5172) maintains the excellent potency against the gt 3a enzyme as well as a broad panel of mutant enzymes, has excellent potency in the replicon system [gt1b IC_{50}(50% NHS)=7.4 nM; gt1a IC_{50}(40% NHS)=7 nM], and shows excellent rat liver exposure[2].

In Vivo: Grazoprevir (MK-5172) demonstrates efficacy in vivo against chronic-HCV-infected chimpanzees[1]. When dosed to dogs, Grazoprevir (MK-5172) shows low clearance of 5 mL/min/kg and a 3 h half-life after iv dosing and has good plasma exposure (AUC=0.4 μM h) after a 1 mg/kg oral dose. Dog liver biopsy studies showed that the liver concentration of Grazoprevir after the 1 mg/kg oral dose is 1.4 μM at the 24 h time point. Similar to its behavior in rats, Grazoprevir demonstrates effective partitioning into liver tissue and maintains high liver concentration, relative to potency, 24 h after oral dosing in dogs[2].