

# 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

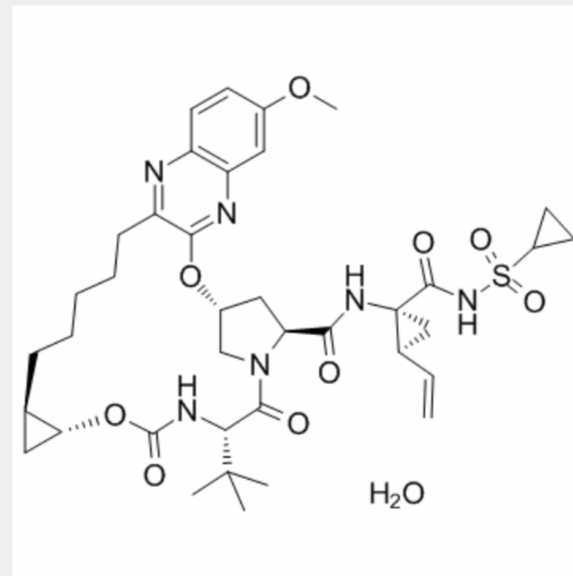
## Product Description

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.

IC<sub>50</sub> & Target:  $K_i$ : 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<sup>D168V</sup>), 0.30±0.04 nM (gt1b<sup>D168Y</sup>), 5.3±0.9 nM (gt1b<sup>A156T</sup>), and 12±2 nM (gt1b<sup>A156V</sup>), respectively. In the replicon assay, Grazoprevir demonstrates subnanomolar to low-nanomolar EC<sub>50</sub>s against genotypes 1a, 1b, and 2a, with EC<sub>50</sub>s of 0.5±0.1 nM, 2±1 nM, and 2±1 nM for gt1b<sup>con1</sup>, gt1a, and gt2a, respectively. Grazoprevir is potent against a panel of HCV replication mutants NS5A (Y93H) (EC<sub>50</sub>=0.7±0.3 nM), NS5B nucleosides (S282T) (EC<sub>50</sub>=0.3±0.1 nM), and NS5B (C316Y) (EC<sub>50</sub>=0.4±0.2)<sup>[1]</sup>. 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<sub>50</sub>(50% NHS)=7.4 nM; gt1a IC<sub>50</sub>(40% NHS)=7 nM], and shows excellent rat liver exposure<sup>[2]</sup>.

**In Vivo:** Grazoprevir (MK-5172) demonstrates efficacy in vivo against chronic-HCV-infected chimpanzees<sup>[1]</sup>. 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<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!