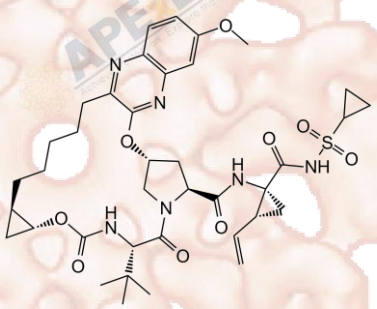
Product Data Sheet

# MK-5172



| | |
|---|---|
| **Cat. No.:** | A3618 |
| **CAS No.:** | 1350514-68-9 |
| **Formula:** | C38H50N6O9S |
| **M.Wt:** | 766.9 |
| **Synonyms:** | MK 5172;MK5172,Grazoprevir, |
| **Target:** | Proteases |
| **Pathway:** | NS3/4a protease |
| **Storage:** | Store at -20°C |

## Solvent & Solubility

In Vitro

⩾38.35 mg/mL in DMSO; insoluble in H2O; ⩾24 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

| Mass / Solvent / Concentration | 1mg | 5mg | 10mg |
|---|---|---|---|
| **1 mM** | 1.3040 mL | 6.5198 mL | 13.0395 mL |
| **5 mM** | 0.2608 mL | 1.3040 mL | 2.6079 mL |
| **10 mM** | 0.1304 mL | 0.6520 mL | 1.3040 mL |

Please refer to the solubility information to select the appropriate solvent.

## Biological Activity

| | |
|---|---|
| Shortsummary | HCV NS3/4a protease inhibitor |
| $IC_{50}$ & Target | |

In Vitro

**Cell Viability Assay**

| | |
|---|---|
| Cell Line: | A genotype/mutant panel of stable replicon cell lines |
| Preparation method: | The solubility of this compound in DMSO is >38.4mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months. |
| Reacting conditions: | 2-10 nM, 3 weeks |

| | | |
|---|---|---|
| | Applications: | MK-5172 demonstrated subnanomolar to low-nanomolar EC50s against genotypes 1a, 1b, and 2a. MK-5172 was efficacious across the genetically diverse range of genotype 1 infections encountered in clinical settings with EC50s ranged narrowly between 0.3 and 5.9 nM. In Genotype 1b replicon cells, pre- treatment with MK-5172 resulted in little apparent cell growth and limited recovery of replicon RNA levels. |
| In Vivo | **Animal experiment** | |
| | Animal models: | Chimpanzees, Dogs, Rats |
| | Dosage form: | Oral administration, 1 mg/kg, twice daily for 7 days |
| | Applications: | MK-5172 demonstrated low to moderate clearance and a modest half-life in both rat and dog. Oral administration of MK-5172 (1 mg/kg) demonstrated modest bioavailability of 12 to 13%, with moderate plasma exposure in both species. The 24-h trough liver concentrations were 0.2 μM in rat and 1.4 μM in dog (1 mg/kg), yielding exposure multiples of 27- to 200-fold over the serum-adjusted replicon EC50. In chronic-HCV-infected chimpanzees harboring gt1a, gt1b, or gt1a NS3 R155K infections, treatment with MK-5172 (1 mg/kg, b.i.d.) demonstrated efficacy in vivo. |
| | Other notes: | Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal. |

## Product Citations

1. Ng TI, Tripathi R, et al. "In Vitro Antiviral Activityand Resistance Profile of the Next-Generation Hepatitis C Virus NS3/4A ProteaseInhibitor Glecaprevir." Antimicrob Agents Chemother. 2017 Oct 30. pii:AAC.01620-17.PMID:29084747

**See more customer validations on www.apexbt.com.**

## References

[1]. Summa, V., Ludmerer, S. W., McCauley, J. A., Fandozzi, C., Burlein, C., Claudio, G., ... & Gates, A. T. (2012). MK-5172, a selective inhibitor of hepatitis C virus NS3/4a protease with broad activity across genotypes and resistant variants. Antimicrobial agents and chemotherapy, AAC-00324.

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

*Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage*

*temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.*

**APExBIO Technology**
**www.apexbt.com**
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com