Product Data Sheet

Chemical Properties

- **Product Name:** MK-8776 (SCH-900776)
- **Cas No.:** 891494-63-6
- **M.Wt:** 376.25
- **Formula:** C15H18BrN7
- **Synonyms:** N/A
- **Chemical Name:** (R)-6-bromo-3-(1-methyl-1H-pyrazol-4-yl)-5-(piperidin-3-yl)pyrazolo[1,5-a]pyrimidin-7-amine
- **Canonical SMILES:** BrC([C@@H]1CCCNC1)=N2)=C(N)N3C2=C(C4=CN(C)N=C4)C=N3
- **Solubility:** $\geq 18.8$ mg/mL in DMSO
- **Storage:** Store at -20°C
- **General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
- **Shopping Condition:** Evaluation sample solution: ship with blue ice
  All other available size: ship with RT, or blue ice upon request

Biological Activity

- **Targets:** Cell Cycle/Checkpoint
- **Pathways:** Chk
- **Description:**

  SCH 900776 is a potent and selective inhibitor of cell cycle checkpoint kinase 1 (Chk1), Chk2 and Cdk3 with IC50 value of 3 nM, 1.5 µM and 0.16 µM, respectively.

  Chk is a serine/threonine kinase that senses signal of DNA damage and stalls DNA replication, and also plays an essential role in the maintenance of replication fork viability during exposure to DNA antimetabolites.

  In vitro, SCH 900776 blocked accumulation of the Chk1 pS296 autophosphorylation in a
dose-dependent manner. Treatment of proliferating WS1 cells with SCH 900776 was found to be associated with rapid, dose-dependent accumulation of Chk1 pS345, indicating that cycling populations of normal cells induce Chk1 pS345 in response to the inhibition of SCH 900776 as part of a futile cycle 1.

In BALB/c mice, administration of SCH 900776 at a dosage of 8mg/kg after gemcitabine treatment can sufficiently induce enhanced tumor pharmacodynamic and regression responses as compared to gemcitabine or SCH 900776 alone 1.

Reference:

Protocol

Cell experiment:

Cell lines U2OS cells
Preparation method The solubility of this compound in DMSO is >18.8mg/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
Applications In U2OS cells, SCH 900776 induced a dose-dependent loss of DNA replication capability 24 hours after hydroxyurea exposure. SCH 900776 enhanced apoptosis for at least 48 hours following release from hydroxyurea blockade.

Animal experiment [3]:

Animal models BALB/c mice bearing A2780 xenografts
Dosage form 4-32 mg/kg
Applications SCH-900776 (8 mg/kg) led to enhanced tumor pharmacodynamic and regression responses. SCH 900776 (16 and 32 mg/kg) induced incremental improvements in tumor response.
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.
Reference:

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. Short-term 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