

Product Data Sheet

GS-9973

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| Cat. No.: | B3553 |
| CAS No.: | 1229208-44-9 |
| Formula: | C ₂₃ H ₂₁ N ₇ O |
| M.Wt: | 411.46 |
| Synonyms: | |
| Target: | Tyrosine Kinase |
| Pathway: | Spleen Tyrosine Kinase (Syk) |
| Storage: | Desiccate at -20°C |



Solvent & Solubility

insoluble in EtOH; insoluble in H₂O; ≥20.55 mg/mL in DMSO

In Vitro

| Preparing Stock Solutions | Solvent | Mass | | |
|---------------------------|----------------------|-----------|------------|------------|
| | | 1mg | 5mg | 10mg |
| | Concentration | | | |
| | 1 mM | 2.4304 mL | 12.1518 mL | 24.3037 mL |
| | 5 mM | 0.4861 mL | 2.4304 mL | 4.8607 mL |
| | 10 mM | 0.2430 mL | 1.2152 mL | 2.4304 mL |

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

Biological Activity

Shortsummary Syk inhibitor, orally bioavailable and selective

IC₅₀ & Target 7.7 nM (Syk)

In Vitro

Cell Viability Assay

Cell Line: MV-4-11 cells

Preparation method: The solubility of this compound in DMSO is > 20.6 mg/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: 10 μM; 72 hrs

| | | |
|---------|--------------------------|---|
| | Applications: | GS-9973 selectively inhibited Syk in cells, and potently suppressed BCR-mediated activation and proliferation of B-cells as well as immune-complex-induced cytokine production in monocytes. |
| In Vivo | Animal experiment | |
| | Animal models: | Rat collagen-induced arthritis (CIA) model |
| | Dosage form: | 1 ~ 10 mg/kg; p.o.; b.i.d. |
| | Applications: | In a rat CIA model, GS-9973 (1 ~ 10 mg/kg; p.o.; b.i.d.) significantly reduced ankle inflammation. Moreover, GS-9973 also showed disease-modifying activity in several histological measurements, including inhibition of pannus formation, cartilage damage, bone resorption, as well as periosteal bone formation with the ED50 values ranging from 1.2 to 3.9 mg/kg. |
| | 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

See more customer validations on www.apexbt.com.

References

[1]. Currie KS, Kropf JE, Lee T, et al. Discovery of GS-9973, a selective and orally efficacious inhibitor of spleen tyrosine kinase. J Med Chem, 2014, 57(9): 3856-3873.

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 APEX BIO 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.

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