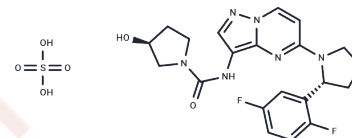


Larotrectinib sulfate

Chemical Properties

| | |
|-------------------|---|
| CAS No. : | 1223405-08-0 |
| Formula: | C ₂₁ H ₂₂ F ₂ N ₆ O ₂ ·H ₂ O ₄ S |
| Molecular Weight: | 526.51 |
| Storage: | Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA. |



Biological Description

| | |
|-----------------|---|
| Description | Larotrectinib sulfate (LOXO-101 sulfate) is an oral active and specific ATP-competitive inhibitor of tropomyosin receptor kinases (TRK). |
| Targets(IC50) | Apoptosis,Trk receptor |
| In vitro | Larotrectinib is a specific kinase inhibitor with nanomolar activity against TRKA/TRKB/TRKC but no other notable kinase inhibition (1 μM). Larotrectinib hasn't the inhibitory of the proliferation of Ba/F3 cells expressing other oncogene targets (EGFR, ROS1 or ALK) or of lung and colorectal cell lines that do not harbor an NTRK1 fusion. It induces cell-cycle arrest in G1 and apoptosis of KM12 cells. |
| In vivo | Early/sustained but not late/acute administration of ARRY-470(LOXO-101) obviously attenuates bone cancer pain and blocks the ectopic sprouting of sensory nerve fibers and the formation of neuroma-like structures in the tumor-bearing bone, but no significant inhibition for tumor growth or bone remodeling. It has very limited ability crossing of the blood-brain barrier. |
| Cell Research | Concentrations: 10,100,1000 nM. Method: Ba/F3 cells expressing MPRIP-NTRK1 (RIP-TRKA) or EV were lysed after 5 h of treatment with the indicated doses of drugs (ARRY-470; G,gefitinib 1,000 nM) or DMSO control.The cell lysate is used for western blot analysis. |
| Animal Research | Animal Models: Adult male C3H/HeJ mice. Formulation: Labrafac; polygly-colyzed glyceride. Dosages: 10-100 mg/kg. Administration: p.o. |

Solubility Information

| | |
|---------------------|---|
| Solubility | DMSO: 50 mg/mL (94.96 mM),Sonication is recommended. Ethanol: 10 mg/mL (18.99 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.5 mg/mL (4.75 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may</i> |

A DRUG SCREENING EXPERT

| | |
|---------------------|---|
| In vivo Formulation | <i>vary and should be modified based on specific experimental conditions.</i> |
|---------------------|---|

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|-----------|-----------|
| 1 mM | 1.8993 mL | 9.4965 mL | 18.993 mL |
| 5 mM | 0.3799 mL | 1.8993 mL | 3.7986 mL |
| 10 mM | 0.1899 mL | 0.9496 mL | 1.8993 mL |
| 50 mM | 0.038 mL | 0.1899 mL | 0.3799 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ghilardi JR, et al. Mol Pain. 2010, 6:87.

Vaishnavi A, et al. Nat Med. 2013, 19(11):1469-72.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481