Data Sheet (Cat.No.T6880)

TargetMol

Larotrectinib sulfate

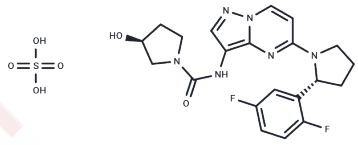
Chemical Properties

CAS No. : 1223405-08-0

Formula: C21H22F2N6O2·H2O4S

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. *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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

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.