

## Larotrectinib

## Chemical Properties

CAS No. : 1223403-58-4

Formula: C<sub>21</sub>H<sub>22</sub>F<sub>2</sub>N<sub>6</sub>O<sub>2</sub>

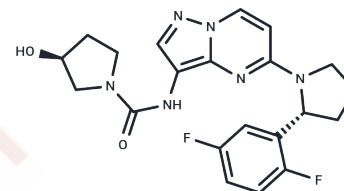
Molecular Weight: 428.44

Storage:

Keep away from direct sunlight, Keep away from moisture, Store at low temperature

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 (LOXO-101) is an orally administered inhibitor of the TRK kinase, demonstrating high selectivity exclusively for the TRK family of receptors (IC <sub>50</sub> s = 2-20 nM).
Targets(IC <sub>50</sub> )	Apoptosis, Trk receptor
In vivo	In vivo, Larotrectinib (60 and 200 mg/kg) reduces tumor growth in a KM12 mouse xenograft model[1].
Animal Research	Mice were maintained under aseptic conditions. The care and treatment of experimental animals was in accordance with institutional guidelines. 5 × 10 <sup>5</sup> KM12 cells were injected subcutaneously into the dorsal flank area of the mice. Tumor volume was monitored by direct measurement with calipers and calculated by the formula: length × (width <sup>2</sup> )/2. Following the establishment of tumor and when the tumor size was between 150–200 mm <sup>2</sup> , mice were randomly selected to receive diluent, 60 mg/kg/dose or 200 mg/kg/dose of Larotrectinib (LOXO-101). LOXO-101 was administered by oral gavage once daily for 14 days. After the last dose, tissue and blood were collected at 3, 6 and 24 hours post-treatment[1].

## Solubility Information

Solubility	DMSO: 83.33 mg/mL (194.5 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 8.33 mg/mL (19.44 mM), Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 8.33 mg/mL (19.44 mM), Solution. 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 vary and should be modified based on specific experimental conditions.

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.334 mL	11.6702 mL	23.3405 mL
5 mM	0.4668 mL	2.334 mL	4.6681 mL
10 mM	0.2334 mL	1.167 mL	2.334 mL
50 mM	0.0467 mL	0.2334 mL	0.4668 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

- Doebele R C , Davis L E , Vaishnavi A , et al. An oncogenic NTRK fusion in a soft tissue sarcoma patient with response to the tropomyosin-related kinase (TRK) inhibitor LOXO-101[J]. *Cancer Discovery*, 2015, 5(10):1049-1057.
- Jin X, Liu D, Zhou X, et al. Entrectinib inhibits NLRP3 inflammasome and inflammatory diseases by directly targeting NEK7. *Cell Reports Medicine*.2023, 4(12).
- Laetsch T W , Dubois S G , Mascarenhas L , et al. Larotrectinib for paediatric solid tumours harbouring NTRK gene fusions: phase 1 results from a multicentre, open-label, phase 1/2 study[J]. *The Lancet Oncology*, 2018: S1470204518301190.

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