

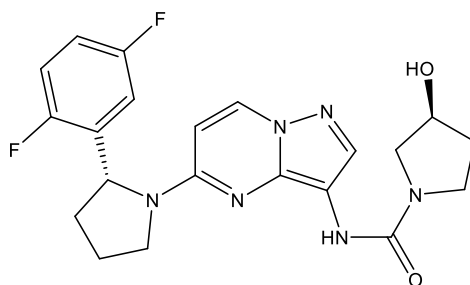
Catalog # 10-4832

Larotrectinib

CAS# 1223403-58-4

(3S)-N-[5-[(2R)-2-(2,5-Difluorophenyl)pyrrolidine-1-yl]pyrazolo[1,5-a]pyrimidin-3-yl]-3-hydroxypyrrolidine-1-carboxamide; LOXO-101; ARRY-470

Lot # FBS2044



Larotrectinib is a potent (IC_{50} 's < 11 nM) inhibitor of tropomyosin receptor kinases A, B, and C (TrkA, B, and C).¹ It is >100 fold selective against a panel of 229 kinases. Larotrectinib markedly attenuated bone cancer pain and significantly blocked the formation of neuroma-like structures and the sprouting of sensory nerve fibers. Larotrectinib caused substantial tumor regression in various cancers displaying TRK gene fusions.²⁻⁴ Larotrectinib is the first tissue agnostic drug approved by the FDA.

- 1) Ghilardi *et al.* (2010), *Administration of a tropomyosin receptor kinase inhibitor attenuates sarcoma-induced nerve sprouting, neuroma formation, and bone cancer pain*; Mol. Pain, **6** 87
- 2) Doebele *et al.* (2015), *An Oncogenic NTRK Fusion in a Patient with Soft-Tissue Sarcoma with Response to the Tropomyosin-Related Kinase Inhibitor LOXO-101*; Cancer Discov., **5** 1049
- 3) Landman *et al.* (2018), *Rapid response to Larotrectinib (LOXO-101) in Adult Chemotherapy-Naïve Patients With Advanced Triple-Negative Secretory Breast Cancer Expressing ETV6-NTRK3 Fusion*; Clin. Breast Cancer, **18** e267
- 4) Drilon *et al.* (2018), *Efficacy of Larotrectinib in TRK Fusion-Positive Cancers in Adults and Children*; N. Engl. J. Med., **378** 731

PHYSICAL DATA

| | |
|------------------------|---|
| Molecular Weight: | 428.44 |
| Molecular Formula: | C ₂₁ H ₂₂ F ₂ N ₆ O ₂ |
| Purity: | >98% by HPLC |
| | NMR: (Conforms) |
| Solubility: | DMSO (5 mg/ml) |
| Physical Description: | Yellow solid |
| Storage and Stability: | Store as supplied desiccated at room temperature for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 2 months. |

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