## Product Datasheet

# LOXO101

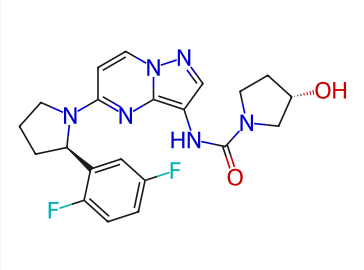
Catalog No. **BP-02342** · CAS **1223403-58-4** · Form **free base** · Physical state **solid** · Color **White to yellow** · Version **1.0** · Revision **2026-09-10**

**FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.**

| Target | Research area |
|---|---|
| **Trk Receptor; Apoptosis** | **Cancer** |

| | |
|---|---|
| Molecular formula | $C_{21}H_{22}F_2N_6O_2$ |
| Molecular weight | **428.4352** |

**STRUCTURE**




## DESCRIPTION

Larotrectinib (LOXO-101, ARRY-470) is an oral potent and selective ATP-competitive inhibitor of tropomyosin receptor kinases (TRK). Larotrectinib inhibition of TRKs induces cellular apoptosis and G1 cell-cycle arrest.

## BIOLOGICAL ACTIVITY

In vitro: Larotrectinib (LOXO-101) was evaluated for off-target kinase enzyme inhibition against a panel of 226 non-TRK kinases at a compound concentration of 1,000 nM and ATP concentrations near the Km for each enzyme. LOXO-101 demonstrated greater than 50% inhibition for only one non-TRK kinase (TNK2 IC50 = 576 nM).

In vivo: A single dose (30 mg/kg) of LOXO-101 reduced tyrosine phosphorylation of TRKA and downstream signal transduction (pERK) in the tumor >80%. LOXO-101 was well tolerated up to 200 mg/kg/day for 14 d in this model.

## SOLUBILITY

Soluble in DMSO

## REFERENCES

[1]. Ghilardi JR, et al. Administration of a tropomyosin receptor kinase inhibitor attenuates sarcoma-induced nerve sprouting, neuroma formation and bone cancer pain. Mol Pain. 2010, 6:87.

[2]. Vaishnavi A, et al. Oncogenic and drug-sensitive NTRK1 rearrangements in lung cancer. Nat Med. 2013, 19(11):1469-72.