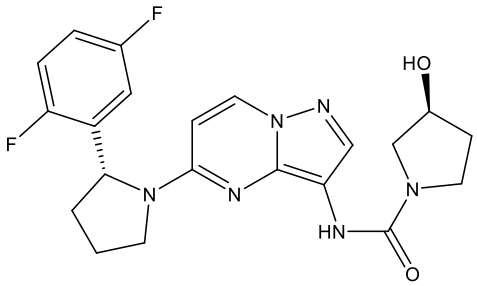
Catalog # 10-4832
Larotrectinib

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:	$C_{21}H_{22}F_2N_6O_2$
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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