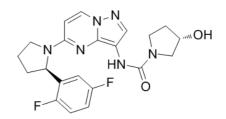


WWW.PROBECHEM.COM

## **Data Sheet**

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	LOXO-101
Cat. No.	:	PC-43265
CAS No.	:	1223403-58-4
Molecular Formula	:	C <sub>21</sub> H <sub>22</sub> F <sub>2</sub> N <sub>6</sub> O <sub>2</sub>
Molecular Weight	:	428.4352
Target	:	Trk Receptor
Solubility	:	DMSO: ≥ 4.6 mg/mL



## **Biological Activity**

LOXO-101 (ARRY-470, Larotrectinib) is potent, selective pan-**TRK** inhibitor with cellular IC50 of 2-20 nM against TRKA, TRKB, and TRKC kinases.

LOXO-101 demonstrates excellent selectivity against a panel of 226 non-TRK kinases with one exception (TNK2 IC50=576 nM.

LOXO-101 inhibits fusion oncoprotein and cellular proliferation in TRK-fusion-bearing human-derived cancer cell lines. LOXO-101 markedly attenuates bone cancer pain and significantly blocks the ectopic sprouting of sensory nerve fibers and the formation of neuroma-like structures in the tumor bearing bone.

LOXO-101 inhibits tumor growth in vivo in TRK fusion-positive cancer models.

## References

Doebele RC, et al. *Cancer Discov*. 2015 Oct;5(10):1049-57.

Ghilardi JR, et al. *Mol Pain*. 2010 Dec 7;6:87.

Vaishnavi A, et al. *Nat Med*. 2013 Nov;19(11):1469-1472.

Drilon A, et al. *N Engl J Med*. 2018 Feb 22;378(8):731-739.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com