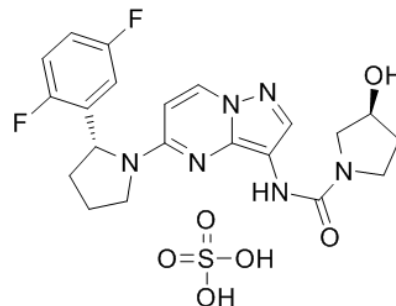


Product Name : LOXO-101 sulfate
Cat. No. : PC-43266
CAS No. : 1223405-08-0
Molecular Formula : C₂₁H₂₄F₂N₆O₆S
Molecular Weight : 526.5137
Target : Trk Receptor
Solubility : 10 mM in PBS



Biological Activity

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

LOXO-101 (ARRY-470, Larotrectinib) 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!

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