Product Datasheet

TPX-0005

Catalog No. **BP-01929** · CAS **1802220-02-5** · Form **free base** · Physical state **solid** · Color **white to off-white** · Version **1.0** · Revision **2026-09-03**

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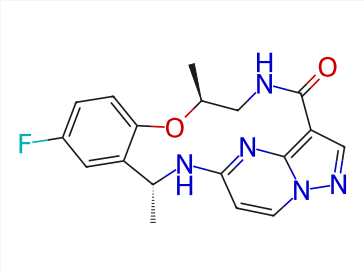
Target	Research area
ROS Kinase; Trk Receptor; Anaplastic lymphoma kinase (ALK)	**Cancer**

Molecular formula	$C_{18}H_{18}FN_5O_2$
Molecular weight	**355.3662**

STRUCTURE



DESCRIPTION

Repotrectinib (TPX-0005) is a potent ROS1 (IC50=0.07 nM) and TRK (IC50=0.83/0.05/0.1 nM for TRKA/B/C) inhibitor. Repotrectinib potently inhibits WT ALK (IC50=1.01 nM). Repotrectinib has anti-cancer activity.

BIOLOGICAL ACTIVITY

In Vitro

Repotrectinib (TPX-0005) inhibits mutant ALKs including ALK G1202R (IC50=1.26 nM) and ALK L1196M (IC50=1.08 nM). Repotrectinib also inhibits a variety of other kinases, including JAK2, LYN, Src, and FAK (IC50=1.04, 1.66, 5.3, and 6.96 nM, respectively).

Repotrectinib effectively overcomes this primary resistance (IC50=100 nM in cell proliferation assay) with strong inhibition of the phosphorylation of EML4-ALK (IC50=13 nM) and the SRC substrate paxillin (IC50=107 nM).

Repotrectinib inhibits H2228 cell migration in a wound healing assay with similar activity to saracatinib.

In Vivo

Repotrectinib (TPX-0005) effectively inhibits tumor growth in vivo in ALK WT and ALK G1202R xenografts.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Dayong Zhai, et al. Abstract 2132: The novel, rationally-designed, ALK/SRC inhibitor TPX-0005 overcomes multiple acquired resistance mechanisms to current ALK inhibitors. Cancer Research. July 2016

[2]. Karachaliou N, et al. Common Co-activation of AXL and CDCP1 in EGFR-mutation-positive Non-smallcell Lung Cancer Associated With Poor Prognosis. EBioMedicine. 2018 Mar;29:112-127.

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