

Product Data Sheet

Product Name: Repotrectinib

Cat. No.: GC19362

Chemical Properties

Cas. No. 1802220-02-5

SMILES O=C1NC[C@H](C)OC2=CC=C(F)C=C2[C@@H](C)NC3=NC4=C1C=NN4C=C3

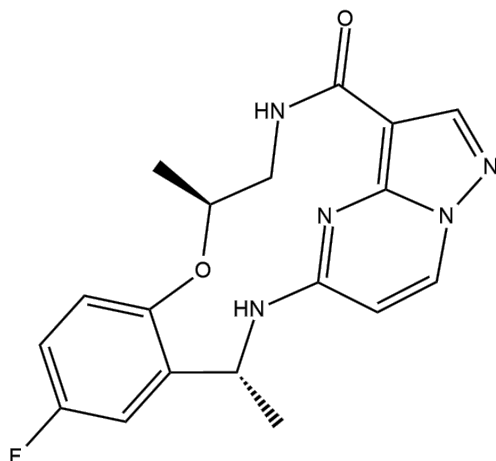
Formula $C_{18}H_{18}FN_5O_2$ M.Wt 355.37

Solubility DMSO : ≥ 83.3 mg/mL (234.40 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Repotrectinib (TPX-0005) is a potent ROS1 ($\text{IC}_{50}=0.07$ nM), TRK ($0.83/0.05/0.1$ nM=TRKA/B/C) inhibitor. Repotrectinib (TPX-0005) potently inhibits WT ALK (1.01 nM) and mutant ALKs including ALK G1202R (1.26 nM) and ALK L1196M (1.08 nM). It also inhibits a variety of other kinases, including JAK2, LYN, Src, and FAK (1.04, 1.66, 5.3, and 6.96 nM, respectively) [1][2].

Repotrectinib (TPX-0005) effectively overcomes this primary resistance (IC_{50} 100 nM in cell proliferation assay) with strong inhibition of the phosphorylation of EML4-ALK (IC_{50} 13 nM) and the SRC substrate paxillin (IC_{50} 107 nM). Repotrectinib inhibits H2228 cell migration in a wound healing

Caution: Product has not been fully validated for medical applications. For research use only.

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assay with similar activity to saracatinib[1].

Repotrectinib (TPX-0005) effectively inhibits tumor growth in vivo in ALK WT and ALK G1202R xenografts[1].

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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