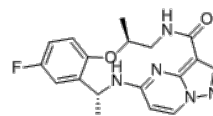


Product Name : Repotrectinib
Cat. No. : PC-62298
CAS No. : 1802220-02-5
Molecular Formula : C₁₈H₁₈FN₅O₂
Molecular Weight : 355.373
Target : Anaplastic Lymphoma Kinase (ALK)
Solubility : 10 mM in DMSO



3. Drilon A, et al. *Cancer Discov.* 2018 Aug 9. pii: CD-18-0484.

Biological Activity

Repotrectinib (TPX-0005) is a novel **ALK/ROS1/TRK** inhibitor, effectively inhibits a broad spectrum of mutations including solvent front ALK G1202R, ROS1 G2032R and TRKA G595R mutants.

Repotrectinib (TPX-0005) potently inhibits WT ALK (IC₅₀=1.01 nM) and mutant ALKs including ALK G1202R (IC₅₀=1.26 nM) and ALK L1196M (IC₅₀=1.08 nM).

Repotrectinib (TPX-0005) is also a potent SRC inhibitor (IC₅₀=5.3 nM); shows low nano-molar activities against CD74-ROS1 G2032R (IC₅₀=8.4 nM), LMNA-TRKA G595R (IC₅₀=0.4 nM), TEL-TRKB G639R (IC₅₀=1.9 nM) and TEL-TRKC G623R (IC₅₀=0.4 nM) in Ba/F3 cell proliferation assays; dramatically causes tumor growth inhibition and tumor regression in xenograft tumor model.

Repotrectinib (TPX-0005) demonstrates desired druglike properties and good safety profile.

References

Zhai D, et al. DOI: 10.1158/1538-7445.AM2016-2132 Published July 2016

J.J. Cui, et al. DOI: [http://dx.doi.org/10.1016/S0959-8049\(16\)32675-2](http://dx.doi.org/10.1016/S0959-8049(16)32675-2).

