

Data Sheet

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 Product Name
 : TPX 0005

 Cat. No.
 : PC-62298

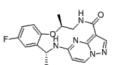
 CAS No.
 : 1802220-02-5

 Molecular Formula
 : C₁₈H₁₈FN₅O₂

 Molecular Weight
 : 355.373

Target : Anaplastic Lymphoma Kinase (ALK)

Solubility :



Biological Activity

TPX 0005 (Ropotrectinib) 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; 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); 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; demonstrates desired druglike properties and good safety profile.

Solid Tumors Phase 2 Clinical

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

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

E-mail: tech@probechem.com