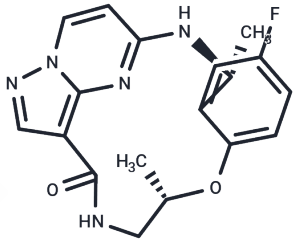
Data Sheet (Cat.No.T4071)

TargetMol

Repotrectinib

Chemical Properties



CAS No. : 1802220-02-5

Formula: C18H18FN5O2

Molecular Weight: 355.37

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Repotrectinib (TPX-0005) is a potent ALK/ROS1/TRK inhibitor, with IC50 values of 1.01 nM for WT ALK, 5.3 nM for SRC, 1.08 nM for ALK L1196M, and 1.26 nM for ALK G1202R.
Targets(IC50)	ALK,ROS,ROS Kinase,Src,Trk receptor

Solubility Information

Solubility	DMSO: 60 mg/mL (168.84 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.63 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.814 mL	14.0698 mL	28.1397 mL
5 mM	0.5628 mL	2.814 mL	5.6279 mL
10 mM	0.2814 mL	1.407 mL	2.814 mL
50 mM	0.0563 mL	0.2814 mL	0.5628 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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

Li W, Sparidans R W, Lebre M C, et al. ABCB1 and ABCG2 Control Brain Accumulation and Intestinal Disposition of the Novel ROS1/TRK/ALK Inhibitor Repotrectinib, while OATP1A/1B, ABCG2, and CYP3A Limit Its Oral Availability[J]. Pharmaceutics. 2021, 13(11): 1761

Li W, Perpinioti N, Schinkel A H, et al. Bioanalytical assay for the new-generation ROS1/TRK/ALK inhibitor repotrectinib in mouse plasma and tissue homogenate using liquid chromatography-tandem mass spectrometry. Journal of Chromatography B. 2020: 122098

Li W, Perpinioti N, Schinkel A H, et al. Bioanalytical assay for the new-generation ROS1/TRK/ALK inhibitor repotrectinib in mouse plasma and tissue homogenate using liquid chromatography-tandem mass spectrometry [J]. Journal of Chromatography B. 2020: 122098.

Jin X, Liu D, Zhou X, et al.Entrectinib inhibits NLRP3 inflammasome and inflammatory diseases by directly targeting NEK7.Cell Reports Medicine.2023, 4(12).

Li W, Sparidans R W, Wang Y, et al.Interplay of OATP1A/1B/2B1 uptake transporters and ABCB1 and ABCG2 efflux transporters in the handling of bilirubin and drugs.Biomedicine & Pharmacotherapy.2024, 175: 116644.

Cen S Y, Lin F, Li X, et al.Crizotinib and its enantiomer suppress ferroptosis by decreasing PE-O-PUFA content.Cell Death Discovery.2024, 10(1): 360.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481