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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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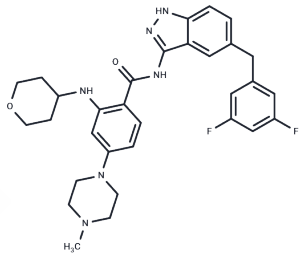
Data Sheet (Cat.No.T3678)

TargetMol

Entrectinib

Chemical Properties



CAS No. : 1108743-60-7

Formula: C31H34F2N6O2

Molecular Weight: 560.64

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Entrectinib (RXDX-101) is a Trk, ROS1, and ALK inhibitor that inhibits TrkA, TrkB, TrkC, ROS1, and ALK (IC50=1/3/5/12/7 nM) with oral activity and blood-brain-barrier penetration. Entrectinib exhibits both antitumor and CNS activity.
Targets(IC50)	Trk receptor,ROS,ALK,Autophagy,ROS Kinase
In vitro	**METHODS**: Human lung cancer cells HCC78 were treated with Entrectinib (0.1-10 μM) for 72 h, and cell viability was measured by CCK-8 assay. **RESULTS**: Entrectinib effectively inhibited cell survival, and the IC50 value of inhibition of HCC78 was 450 nM.[1] **METHODS**: Colorectal cancer cells KM12 were treated with Entrectinib (10-250 nmol/L) for 2 h, and the expression levels of target proteins were detected by Western Blot. **RESULTS**: Entrectinib eliminated the autophosphorylation of TPM3-TRKA and completely inhibited the phosphorylation of PLCγ1, AKT and MAPK after 2 h of treatment. [2]
In vivo	**METHODS**: To assay anti-tumor activity in vivo, Entrectinib (30-60 mg/kg) was administered orally to SCID mice bearing Karpas-299 xenografts twice daily for ten days. **RESULTS**: Both dose levels were effective in inducing regression of all tumors to a nonpalpable extent. During the observation period after cessation of treatment at the 60 mg/kg dose, tumor eradication was sustained in four of seven mice at day 80 after the end of treatment, while tumor regeneration was observed in all animals treated with the 30 mg/kg dose. [2]
Cell Research	NLF, NLF-TrkB, SY5Y or SY5Y-TrkB cells are plated in 96 well plates, and they are exposed to drug at different concentrations (1, 5, 10, 20, 30, 50 and 100 nM of entrectinib, 1.5 μM Irino and 50 μM TMZ, respectively) for one hr followed by addition of 100 ng/mL of BDNF. Plates are harvested at 24, 48, and 72 hr following addition of drug. The plates are processed and cell viability is analyzed using a standard SRB assay protocol[2].

Solubility Information

Solubility	Ethanol: 67 mg/mL (119.5 mM), DMSO: 50 mg/mL (89.18 mM), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7837 mL	8.9184 mL	17.8368 mL
5 mM	0.3567 mL	1.7837 mL	3.5674 mL
10 mM	0.1784 mL	0.8918 mL	1.7837 mL
50 mM	0.0357 mL	0.1784 mL	0.3567 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.

Reference

Ku BM, et al. Entrectinib resistance mechanisms in ROS1-rearranged non-small cell lung cancer. Invest New Drugs. 2020 Apr;38(2):360-368.