SZABO SCANDIC

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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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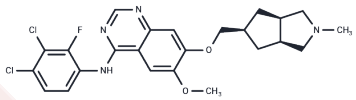
Data Sheet (Cat.No.TQ0166)

TargetMol

Tesevatinib

Chemical Properties



CAS No. : 781613-23-8

Formula: C24H25Cl2FN4O2

Molecular Weight: 491.39

Appearance: no data available

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

Biological Description

Description	Tesevatinib (XL-647) is an orally available, multi-target tyrosine kinase inhibitor (IC50s: 0.3, 16, 1.5, 8.7, and 1.4 nM for EGFR, ErbB2, KDR, Flt4, and EphB4).
Targets(IC50)	EGFR,VEGFR,FLT,Ephrin Receptor
In vitro	Tesevatinib was inactive against a panel of 10 tyrosine kinases (including the insulin and the insulin-like growth factor-1 receptor) and 55 serine-threonine kinases (including cyclin-dependent kinases, stress-activated protein kinases, and protein kinase C isoforms). Tesevatinib inhibits cellular proliferation and EGFR pathway activation in the erlotinib-resistant H1975 cell line that harbors a double mutation (L858R and T790M) in the EGFR gene. In A431 cells, Tesevatinib reduces cell viability (IC50: 13 nM).
Cell Research	Growth inhibition of H1975 and A431 cells by increasing concentrations of Tesevatinib is determined by seeding 5000 cells per well in 96-well plates. The following day, cells are washed once with low-serum RPMI 1640 (0.1% fetal bovine serum, 1% nonessential amino acids, and 1% penicillin/streptomycin), after which 90 μL of the low-serum RPMI 1640 is added. Tesevatinib is diluted to 10 times the test concentrations and 10 μL are added to triplicate wells for a 72-h incubation. Cell viability is determined.
Animal Research	Tumor-bearing mice are given either Tesevatinib, erlotinib, or gefitinib at 100 mg/kg and tumors are harvested 1 to 72 h later. Half an hour before the respective time point, EGF (50 μg/mouse) is given via i.v. bolus injection with tumors dissected 30 min later and tumor extracts are prepared by homogenization in 10 volumes of ice-cold lysis buffer. Lysates are clarified by centrifugation and EGFR tyrosine phosphorylation levels are determined by ELISA.

Solubility Information

Solubility	DMSO: 45 mg/mL (91.58 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.035 mL	10.1752 mL	20.3504 mL
5 mM	0.407 mL	2.035 mL	4.0701 mL
10 mM	0.2035 mL	1.0175 mL	2.035 mL
50 mM	0.0407 mL	0.2035 mL	0.407 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

Gendreau SB, et al. Inhibition of the T790M gatekeeper mutant of the epidermal growth factor receptor by EXEL-7647. Clin Cancer Res. 2007 Jun 15;13(12):3713-23.