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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

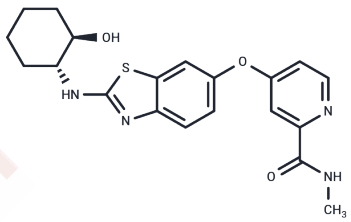
linkedin.com/company/szaboscandic

Data Sheet (Cat.No.T6119)

TargetMol

Sotuletinib

Chemical Properties



CAS No. : 953769-46-5

Formula: C20H22N4O3S

Molecular Weight: 398.48

Appearance: no data available

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

Biological Description

Description	Sotuletinib (BLZ945) is an orally active, effective and specific CSF-1R inhibitor (IC50: 1 nM), >1000-fold selective against its closest receptor tyrosine kinase homologs.
Targets(IC50)	c-Fms,CSF-1R
In vitro	In bone marrow-derived macrophages (BMDMs), Sotuletinib specifically inhibits CSF-1-dependent proliferation with EC50 of 67 nM, and decreases CSF-1R phosphorylation. Sotuletinib blocks the reciprocal effects between macrophages and glioma cells on the survival, proliferation and/ or polarization of each other to promote tumorigenesis. [1]
In vivo	In glioma-bearing mice, Sotuletinib blocks tumor progression and significantly improves survival via CSF-1R inhibition. Sotuletinib also inhibits orthotopic tumor growth of patient-derived proneural tumor spheres and cell lines in vivo. [1] Sotuletinib (200 mg/kg, p.o.) decreases the growth of malignant cells in both mouse mammary tumor virus-driven polyomavirus middle T antigen (MMTV-PyMT) model of mammary carcinogenesis and keratin 14-expressing human papillomavirus type 16 (K14-HPV-16) transgenic model of cervical carcinogenesis. [2]
Kinase Assay	Inhibition of biochemical TrkA, TrkB and TrkC: TrkA and TrkC biochemical assays are carried out by HTRF method. The reaction mixtures contains 1 μM peptide substrate, 1 μM ATP, and either 1.8 nM TrkA or 34 nM TrkC in the reaction buffer (50 mM HEPES pH 7.1, 10 mM MgCl2, 2 mM MnCl2, 0.01% BSA, 2.5 mM DTT and 0.1 mM Na3VO4) at a final volume of 10 μL. All reactions are carried out at room temperature in white ProxiPlate? 384-well Plus plates and are quenched with 5 μL of 0.2 mM EDTA at 60 min. Five μL of the detection reagents (2.5 ng PT66K and 0.05 μg SAXL per well) are added, the plates are incubated at room temperature for 1 h and then read in EnVision reader. Compounds are diluted into assay mixture (final DMSO 0.5%), and IC50 values are determined by 12-point (from 50 to 0.000282 μM) inhibition curves in duplicate under the assay conditions. TrkB biochemical assay is carried out by caliper microfluidic method. The reaction mixtures contained 1 μM peptide substrate, 10 μM ATP, and 2 nM TrkB in a reaction buffer containing 100 mM HEPES, pH 7.5, 5 mM MgCl2, 0.01% Triton X-100, 0.1% BSA, 1 mM DTT, 10 μMNa3VO4, and 10 μMBeta-Glycerophosphate. The reactions are carried out at room temperature for 3 hrs, and the products are determined by Caliper EZ-reader. Compounds are diluted into assay mixture (final DMSO 1%), and IC50 values are determined by 12-point (from 50 to 0.000282 μM) inhibition curves in duplicate under the assay conditions.

Cell Research	Cell growth rate is determined using the MTT cell proliferation kit. Briefly, cells are plated in triplicate in 96-well plates: 1,000 cells per well for glioma cell lines, 5 x 1,000 cells per well for BMDM and CRL-2467, and 2.5 x 1,000 cells per well for HUVEC and HBMEC cell lines. For all experiments, media is changed every 48 h. Cells are grown in the presence or absence of 6.7-6,700 nM of BLZ945, or 8 μg/mL of CSF-1R neutralizing antibody. BMDM and CRL-2467 cells were supplemented with 10 ng/mL and 30 ng/ mL recombinant mouse CSF-1, respectively. Reduction of the MTT substrate is detected by colorimetric analysis using a plate reader as per the manufacturer's protocol, and measured at 595 nm and 750 nm on a spectraMax 340pc plate reader.(Only for Reference)

Solubility Information

Solubility	DMSO: 18.33 mg/mL (46.01 mM),Sonication is recommended. Ethanol: 3 mg/mL (7.52 mM),Heating is recommended. 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	2.5095 mL	12.5477 mL	25.0954 mL
5 mM	0.5019 mL	2.5095 mL	5.0191 mL
10 mM	0.251 mL	1.2548 mL	2.5095 mL
50 mM	0.0502 mL	0.251 mL	0.5019 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

Pyonteck SM, et al. Nat Med. 2013, 19(10), 1264-1272.
Fan K, Li Y, Wang H, et al. Stress-Induced Metabolic Disorder in Peripheral CD4+ T Cells Leads to Anxiety-like Behavior. Cell. 2019, 179(4): 864-879. e19.
Strachan