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Produktinformation

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

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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

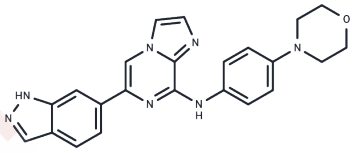
linkedin.com/company/szaboscandic

Data Sheet (Cat.No.T6101)

TargetMol

Entospletinib

Chemical Properties



CAS No. : 1229208-44-9

Formula: C23H21N7O

Molecular Weight: 411.46

Appearance: no data available

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

Biological Description

Description	Entospletinib (GS-9973) (IC50= 7.7 nM)is a specific Syk inhibitor, which is orally bioavailable.
Targets(IC50)	Syk
In vitro	In cells, GS-9973 also displays excellent specificity for Syk, and effectively inhibits BCR-mediated activation and proliferation of B-cells, as well as immune-complex-stimulated cytokine production in monocytes. In Caco-2 cell, GS-9973 exhibits good bidirectional permeability across cell monolayers.
In vivo	In rat and dog, Entospletinib (1 mg/kg) by oral administration shows moderate to high bioavailability. In a rat collagen-induced arthritis model, Entospletinib (1-10 mg/kg) by oral administrations significantly suppress ankle inflammation. Entospletinib(ED50 =1.2 -3.9 mg/kg) exhibits disease-modifying activity such as pannus formation, cartilage damage, bone resorption, and periosteal bone formation.
Kinase Assay	In the determination of full-length baculovirus expressed Syk kinase activity, the reaction system was 25 μL containing 25 mM Tris-HCl, pH 7.5, 5 mM β-glycerophosphate, 2 mM DTT, 0.1 mM Na3VO4, 10 mM MgCl2, 0.5 μM Promega PTK Biotin Peptide Substrate 1, 0.01% casein, 1, 0.01% Triton X-100, 0.25% Glycerol, and 40 mM ATP (Km for ATP). After incubation for 60 minutes at room temperature, the reaction was stopped by adding 30 mM EDTA (30 μL of SA-APC and 4 nM PT-66 antibody). After measuring the plate, the IC50 value of the test compound was calculated using a 4-parameter linear regression algorithm.
Cell Research	In MV-4-11 cells, the functional effect of the compound on the cellular Flt3 activity was determined by inhibition of cell proliferation. Cells were diluted in 96 well flat bottom tissue culture plates in RPMI medium containing 10% FBS and compound dilutions were added and incubated at 37°C for 72 hours. Aramazol (10%) was added to the cells and the cells were incubated for another 12-18 hours at 37°C. Finally, the inhibition of the relative cell number was measured at 570/600 nm in the spectrophotometer.
Animal Research	In the rat collagen-induced arthritis (CIA) model, Entospletinib (10 mg/kg), which is dissolved in hydrogenated castor oil,ethanol or physiological saline, is taken by oral.

Solubility Information

Solubility	DMSO: 50 mg/mL (121.52 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4304 mL	12.1518 mL	24.3037 mL
5 mM	0.4861 mL	2.4304 mL	4.8607 mL
10 mM	0.243 mL	1.2152 mL	2.4304 mL
50 mM	0.0486 mL	0.243 mL	0.4861 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

Geng R, Zhao Y, Xu W, et al.SIRPB1 regulates inflammatory factor expression in the glioma microenvironment via SYK: functional and bioinformatics insights.Journal of Translational Medicine.2024, 22(1): 338