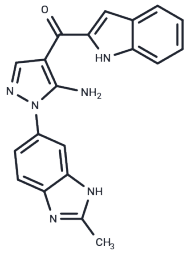
Data Sheet (Cat.No.T6024)

TargetMol

Zoligratinib

Chemical Properties



CAS No. : 1265229-25-1

Formula: C20H16N6O

Molecular Weight: 356.38

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	Zoligratinib (CH5183284) is a selective and orally available FGFR inhibitor, which is for FGFR1(IC50=9.3 nM), FGFR2(IC50=7.6 nM), FGFR3(IC50=290), and FGFR4(IC50=22 nM), respectively.
Targets(IC50)	FGFR
In vitro	In the DMS114 (FGFR1 amplification), SNU-16 (FGFR2 amplification), and KMS11 cell lines,CH5183284(100 to 300 nM) prevents autophosphorylation of FGFR1, FGFR2, and FGFR3.
Kinase Assay	Protein kinase assay: The inhibitory activity of CH5183284/Debio 1347 against FGFR1 is evaluated using a radiometric filter assay by measuring the incorporation of 33Pi with a microplate scintillation counter. The phosphorylation activities of LCK, EGFR, KIT, MET, SRC, BRK, FGFR2, Flt3, LTK, INSR, YES, ABL, EPHA2, ZAP70, Fyn, IGF1R, KDR, and PDGFR on substrate peptides are determined by homogeneous time-resolved fluorescence assay with LANCE Eu-W1024 labeled anti-phosphotyrosine PT66 antibody according to standard methods. Time-resolved fluorescence is measured with an EnVision HTS microplate reader. The activities of Aurora A, Akt1/PKBα, PKA, Cdk1/cyclin B, Cdk2/cyclin A, PKCα, PKCβ1 and PKCβ2 on substrate peptides are determined by IMAP FP Screening Express Progressive Binding System. Fluorescence polarization is measured with an EnVision HTS microplate reader.
Cell Research	The cell lines are added to the wells of 96-well plates containing 0.076 to 10,000 nM CH5183284/Debio 1347 and incubated at 37°C. After 4 days of incubation, Cell Counting Kit-8 solution is added and, after incubation for several more hours, absorbance at 450 nm is measured with the iMark Microplate-Reader. The antiproliferative activity is calculated using the formula (1 ? T/C) × 100 (%), where T and C represent absorbance at 450 nm of the cells treated with drugs (T) and that of untreated control cells (C). The IC50 values are calculated using Microsoft Excel 2007.(Only for Reference)

Solubility Information

Solubility	Ethanol: 1 mg/mL (2.81 mM),Heating is recommended. DMSO: 66 mg/mL (185.2 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 (5.61 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	2.806 mL	14.030 mL	28.0599 mL
5 mM	0.5612 mL	2.806 mL	5.612 mL
10 mM	0.2806 mL	1.403 mL	2.806 mL
50 mM	0.0561 mL	0.2806 mL	0.5612 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

Nakanishi Y, et al. Mol Cancer Ther. 2014, 13(11), 2547-2558.