

BI-9564

Chemical Properties

CAS No. : 1883429-22-8

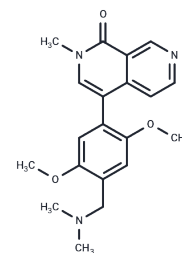
Formula: C₂₀H₂₃N₃O₃

Molecular Weight: 353.41

Store at low temperature

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	BI-9564, a specific cell-permeable BRD9 BD inhibitor. The K _d for BRD9 is 5.9 nM, and IC ₅₀ for BET family is > 100 μM.
Targets(IC ₅₀)	Epigenetic Reader Domain
In vitro	EOL-1, human acute myeloid eosinophilic leukemia cell line, was antiproliferative by BI-9564 with EC ₅₀ of 800 nM. BRD7 implied as a tumor suppressor and is down-regulated in cancer cells, BI-9564 shows K _d of 73 nM for it, and is >10-fold more selective for BRD9 over the high homologues bromodomain. BI-9564 (5 μM) shows no activity against 324 kinases, when BI-9564 at 10 μM, an inhibition >40% is observed for only 2 out of 55 GPCRs.
In vivo	In vivo proof-of-concept studies, BI-9564 (180 mg/kg, p.o.) shows attractive ADME/PK profiles. Compared to survival of the control group in a xenograft model of human AML, BI-9564 results in a modest but significant additional survival benefit of 2 days.
Cell Research	To 750,000 MV-4-11 cells in 250 μl growth medium (in IMDM, 10 % FBS, GlutaMAX, 25 mM HEPES and 0,1% 2-Mercaptoethanol) per well compound is added at the desired concentration from a 10 mM stock solution using the HP D300 Digital Dispenser. After 2 hours of incubation with the compound, cells are collected by centrifugation, washed in ice cold PBS and lysed in 15 μl of cell extraction buffer. After 30 minutes on ice, nucleic acids are disrupted by sonication. cMYC levels are measured using the human c-Myc (Total) ELISA Kit. (Only for Reference)
Animal Research	Female CIEA-NOG mice engrafted intravenously with 1×10 ⁷ EOL-1 AML cells, which express stably luciferase and GFP, were administered orally on day 5 with BI-9564(10 mL/kg body weight), which is formulated with 0.5% Natrosol.

Solubility Information

Solubility	DMSO: 3.54 mg/mL (10.02 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
------------	--

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8296 mL	14.1479 mL	28.2957 mL
5 mM	0.5659 mL	2.8296 mL	5.6591 mL
10 mM	0.283 mL	1.4148 mL	2.8296 mL
50 mM	0.0566 mL	0.283 mL	0.5659 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

Martin LJ, et al. J Med Chem. 2016, 59(10):4462-75.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481