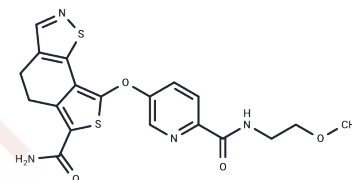


CDK8/19-IN-1

Chemical Properties

CAS No. :	1818427-07-4
Formula:	C ₁₉ H ₁₈ N ₄ O ₄ S ₂
Molecular Weight:	430.5
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	CDK8/19-IN-1 is a selective, orally bioavailable dual inhibitor of CDK8 and CDK19, with IC ₅₀ values of 0.46 nM for CDK8, 0.99 nM for CDK19, and 270 nM for [CDK9].
Targets(IC ₅₀)	ASK,CDK
In vitro	CDK8/19-IN-1 (52h) weakly inhibits CDK2, achieving 62% inhibition at 1 μM. At 1 μM, it exhibits >50% inhibition against GSK3β, PLK1, ASK1, CK1δ, PKA, ROCK1, PKCθ, and CDC7. The compound shows dissociation constants (K _d s) of 25, 46, 81, 86, 97, 160, and >3000 nM for CDK19, CDK8, DYRK1B, HASPIN, YSK4, HIPK1, and EPHA3, respectively. CDK8/19-IN-1 demonstrates potent antitumor activity with GI ₅₀ values ranging from 0.43 to 2.5 nM for colon, multiple myeloma, acute myelogenous leukemia (AML), and lung cancer cells.
In vivo	In mice bearing RPMI8226 human hematopoietic and lymphoid cells, CDK8/19-IN-1 (1.25 mg/kg twice daily or 2.5 mg/kg once daily, p.o.) significantly suppresses tumor growth.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3229 mL	11.6144 mL	23.2288 mL
5 mM	0.4646 mL	2.3229 mL	4.6458 mL
10 mM	0.2323 mL	1.1614 mL	2.3229 mL
50 mM	0.0465 mL	0.2323 mL	0.4646 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

Ono K, et al. Design and synthesis of selective CDK8/19 dual inhibitors: Discovery of 4,5-dihydrothieno[3',4':3,4]benzo[1,2-d]isothiazole derivatives. Bioorg Med Chem. 2017 Apr 15;25(8):2336-2350.

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