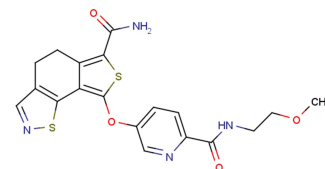


Data Sheet (Cat.No.T10739)

CDK8/19-IN-1

Chemical Properties

CAS No.: 1818427-07-4
Formula: C₁₉H₁₈N₄O₄S₂
Molecular Weight: 430.5
Appearance: N/A
Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	CDK8/19-IN-1 is a selective and oral bioavailable CDK8/19 dual inhibitor (IC ₅₀ s: 0.46 nM, 0.99 nM, and 270 nM for CDK8, CDK19, and CDK9).
Targets(IC ₅₀)	CDK8/CycC: 0.46 nM CDK19/CycC: 0.99 nM CDK9: 270 nM
In vitro	CDK8/19-IN-1 (52h) also weakly inhibits CDK2, with 62% inhibition at 1 μM. CDK8/19-IN-1 (1 μM) shows >50% inhibition against GSK3β, PLK1, ASK1, CK1δ, PKA, ROCK1, PKCθ, CDC7. CDK8/19-IN-1 shows 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 displays potent antitumor activity (GI ₅₀ : 0.43-2.5 nM for colon, multiple myeloma, acute myelogenous leukemia (AML), 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.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
------------	---

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.323 mL	11.614 mL	23.229 mL
5 mM	0.465 mL	2.323 mL	4.646 mL
10 mM	0.232 mL	1.161 mL	2.323 mL
50 mM	0.046 mL	0.232 mL	0.465 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. 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.

Inhibitors · Natural Compounds · Compound Libraries

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:36 Washington Street,Wellesley Hills,MA 02481