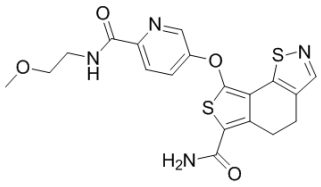
CDK8/19-IN-1

Cat. No.:	HY-111427
CAS No.:	1818427-07-4
Molecular Formula:	$C_{19}H_{18}N_4O_4S_2$
Molecular Weight:	430.5
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	CDK8/19-IN-1 is a potent, selective and oral bioavailable **CDK8/19** dual inhibitor, with **IC_{50}**s of 0.46 nM, 0.99 nM and 270 nM for CDK8, CDK19 and CDK9, respectively.		
IC_{50} & Target	CDK8/CycC 0.46 nM (IC_{50})	CDK19/CycC 0.99 nM (IC_{50})	CDK9 270 nM (IC_{50})
In Vitro	CDK8/19-IN-1 (52h) is a potent CDK8/19 dual inhibitor, with IC_{50}s of 0.46 nM, 0.99 nM and 270 nM for CDK8, CDK19 and CDK9, respectively. CDK8/19-IN-1 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_ds 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, with GI_{50} of 0.43-2.5 nM for colon, multiple myeloma, acute myelogenous leukemia (AML), lung cancer cells[1].		
In Vivo	CDK8/19-IN-1 (52h; 1.25 mg/kg twice daily or 2.5 mg/kg once daily, p.o.) significantly suppresses tumor growth in mice bearing RPMI8226 human hematopoietic and lymphoid cells[1].		

REFERENCES

[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.

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