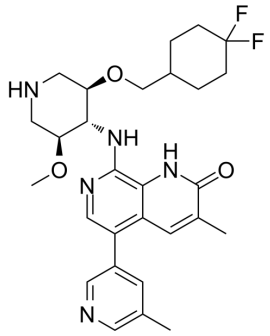
GSK8814

Cat. No.:	HY-114204
CAS No.:	1997369-78-4
Molecular Formula:	$C_{28}H_{35}F_2N_5O_3$
Molecular Weight:	527.61
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (189.53 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8953 mL	9.4767 mL	18.9534 mL
	5 mM	0.3791 mL	1.8953 mL	3.7907 mL
	10 mM	0.1895 mL	0.9477 mL	1.8953 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

GSK8814 is a potent, selective, and ATAD2/2B bromodomain chemical probe and inhibitor, with a binding constant pK_d=8.1 and a pK_i=8.9 in BROMOscan. GSK8814 binds to ATAD2 and BRD4 BD1 with pIC_{50}s of 7.3 and 4.6, respectively. GSK8814 shows 500-fold selectivity for ATAD2 over BRD4 BD1[1].

IC_{50} & Target			
	ATAD2 8.9 (pKi)	ATAD2 8 nM (Ki)	BRD4 BD1 4.6 (pIC_{50})

REFERENCES

[1]. Bamborough P, et al. A Chemical Probe for the ATAD2 Bromodomain. Angew Chem Int Ed Engl. 2016 Sep 12;55(38):11382-6.

[2]. Bamborough P, et al. Aiming to Miss a Moving Target: Bromo and Extra Terminal Domain (BET) Selectivity in Constrained ATAD2 Inhibitors. J Med Chem. 2018 Sep 27;61(18):8321-8336.

Caution: Product has not been fully validated for medical applications. For research use only.

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