GS-626510

Cat. No.: HY-114416

CAS No.: 1637770-13-8

Molecular Formula: $C_{25}H_{22}N_4O$

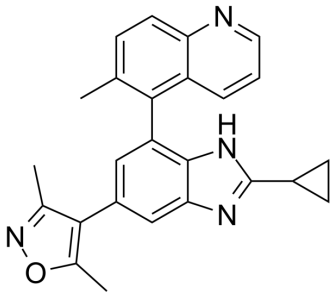
Molecular Weight: 394.47

Target: Epigenetic Reader Domain

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (63.38 mM; ultrasonic and warming and adjust pH to 4 with HCl and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5350 mL	12.6752 mL	25.3505 mL
	5 mM	0.5070 mL	2.5350 mL	5.0701 mL
	10 mM	0.2535 mL	1.2675 mL	2.5350 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.34 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GS-626510 is a potent, and orally active BET family bromodomains inhibitor, with K_d values of 0.59-3.2 nM for BRD2/3/4, with IC_{50} values of 83 nM and 78 nM foe BD1 and BD2, respectively[1].

IC_{50} & Target

BRD2	BRD3	BRD4	BD1
0.59-2.5 nM (Kd)	0.65-0.66 nM (Kd)	1.3-3.2 nM (Kd)	83 nM (IC_{50})

BD2
78 nM (IC_{50})

REFERENCES

[1]. Sperandio D, et al. Structure-guided discovery of a novel, potent, and orally bioavailable 3,5-dimethylisoxazole aryl-benzimidazole BET bromodomain inhibitor. Bioorg Med Chem. 2019 Feb 1;27(3):457-469.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA