ZEN-2759

Cat. No.: HY-129201

CAS No.: 1616400-50-0

Molecular Formula: $C_{17}H_{16}N_2O_2$

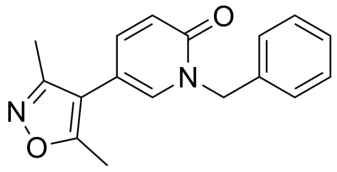
Molecular Weight: 280.32

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 : 100 mg/mL (356.74 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5674 mL	17.8368 mL	35.6735 mL
5 mM	0.7135 mL	3.5674 mL	7.1347 mL
10 mM	0.3567 mL	1.7837 mL	3.5674 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 (8.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.92 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ZEN-2759 is a potent BET (Bromodomain and Extra-Terminal Domain) inhibitor, with IC_{50} values of 0.23, 0.08 and 0.28 μM for BRD4(BD1), BRD4(BD2), and BRD4(BD1BD2), respectively[1].

IC_{50} & Target

BRD4 (BD2)	BRD4 (BD1)	BRD4(BD1BD2)
0.08 μM (IC_{50})	0.23 μM (IC_{50})	0.28 μM (IC_{50})

REFERENCES

[1]. Kharenko OA, et al. Design and Characterization of Novel Covalent Bromodomain and Extra-Terminal Domain (BET) Inhibitors Targeting a Methionine. J Med Chem. 2018 Sep 27;61(18):8202-8211.

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