GNE-207

Cat. No.: HY-120028

CAS No.: 2158266-58-9

Molecular Formula: $C_{29}H_{30}N_6O_3$

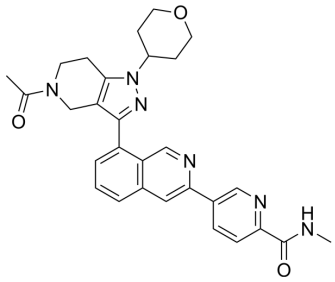
Molecular Weight: 510.59

Target: Epigenetic Reader Domain; Histone Acetyltransferase

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (391.70 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9585 mL	9.7926 mL	19.5852 mL
5 mM	0.3917 mL	1.9585 mL	3.9170 mL
10 mM	0.1959 mL	0.9793 mL	1.9585 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: ≥ 5 mg/mL (9.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (9.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (9.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GNE-207 is a potent, selective and orally bioavailable inhibitor of the bromodomain of CBP, with an IC_{50} of 1 nM, exhibits a selectively index of >2500-fold against BRD4 (1). GNE-207 shows excellent CBP potency, with an EC_{50} of 18 nM for MYC expression in MV-4-11 cells[1].

IC_{50} & Target

BRD4(1)	CBP
3.1 μM (IC_{50})	1 nM (IC_{50})

In Vitro	GNE-207 is a potent, selective and orally bioavailable inhibitor of the bromodomain of CBP, with an IC_{50} of 1 nM, a selectively index of >2500-fold against BRD4 (1) (IC_{50}, 3.1 μM)[1]. GNE-207 shows excellent CBP potency, with an EC_{50} of 18 nM for MYC expression in MV-4-11 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GNE-207 (5 mg/kg) shows moderate clearance in PK, with acceptable oral bioavailability[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lai KW, et al. Design and synthesis of a biaryl series as inhibitors for the bromodomains of CBP/P300. ioorg Med Chem Lett. 2018 Jan 1;28(1):15-23.

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