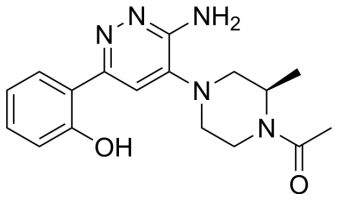
GNE-064

Cat. No.:	HY-149026
CAS No.:	1997321-20-6
Molecular Formula:	$C_{17}H_{21}N_5O_2$
Molecular Weight:	327.38
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 : ≥ 125 mg/mL (381.82 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0546 mL	15.2728 mL	30.5455 mL
5 mM	0.6109 mL	3.0546 mL	6.1091 mL
10 mM	0.3055 mL	1.5273 mL	3.0546 mL

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

BIOLOGICAL ACTIVITY

Description

GNE-064 (compound 5) is a selective, orally active and highly soluble inhibitor of SMARCA4, SMARCA2 and PBRM1 bromodomains 5. GNE-064 inhibits SMARCA4 with an IC_{50} of 0.035 μM and inhibits SMARCA2 with an EC_{50} of 0.10 μM. GNE-064 possess K_ds with 0.01, 0.016, 0.018 and 0.049 μM for SMARCA4, SMARCA2, PBRM1 bromodomains 5 and PBRM1 bromodomains 2, repectively. GNE-064 can be used as a chemical probe for the research of agent synthesis[1].

IC_{50} & Target

IC50: 0.035 μM (SMARCA4)[1]

In Vitro

GNE-064 (0-0.5 μM; 1 h) inhibits SMARCA2 in ZsGreen-SMARCA2 BD-expressing U2OS cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	ZsGreen-SMARCA2 BD-expressing U2OS cells
Concentration:	0-0.5 μM

Incubation Time:	1 hour
Result:	Inhibited SMARCA2 in ZsGreen-SMARCA2 BD-expressing U2OS cells with an EC_{50} of 0.1 μM.

In Vivo

GNE-064 (compound 5) (0.5 and 1.0 mg/kg; i.v. and p.o. once) exibits ideal pharmacokinetics value in female CD-1 mice [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female CD-1 mice[1]
Dosage:	0.5 mg/kg (i.v.) and 1.0 mg/kg (p.o.)
Administration:	Intravenous injection and oral gavage; 0.5 mg/kg and 1.0 mg/kg once
Result:	Showed a low unbound plasma clearance with 16 mL/min/kg, a reasonable half-life of 1.1 h and good oral bioavailability of 59%.

REFERENCES

[1]. Taylor AM, et al. GNE-064: A Potent, Selective, and Orally Bioavailable Chemical Probe for the Bromodomains of SMARCA2 and SMARCA4 and the Fifth Bromodomain of PBRM1. J Med Chem. 2022 Aug 5.

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