Product Data Sheet

Product Name: GNE-064
Cat. No.: GC68439

Chemical Properties

Cas. No.	1997321-20-6		
Formula	$C_{17}H_{21}N_5O_2$	M.Wt	327.38
Solubility	DMSO : ≥ 125 mg/mL (381.82 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	GNE-064		

Background

IC50: 0.035 μM (SMARCA4)[1]

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 $\mathbf{IC_{50}}$ of 0.035 μM and inhibits SMARCA2 with an $\mathbf{EC_{50}}$ of 0.10 μM. GNE-064 possess $\boldsymbol{K_d}$s 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 drug synthesis[1].

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

Cell Viability Assay[1]

Cell Line: ZsGreen-SMARCA2 BD-expressing U2OS cells

Concentration: 0-0.5 μM

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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

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].

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%.

[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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA