

GNE-064

## Chemical Properties

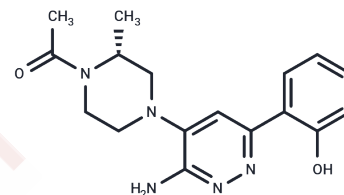
CAS No. : 1997321-20-6

Formula: C<sub>17</sub>H<sub>21</sub>N<sub>5</sub>O<sub>2</sub>

Molecular Weight: 327.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	GNE-064 is a selective, orally active and highly soluble inhibitor of SMARCA4, SMARCA2 and PBRM1 bromodomains 5. GNE-064 inhibits SMARCA4 with an IC <sub>50</sub> of 0.035 μM and inhibits SMARCA2 with an EC <sub>50</sub> of 0.10 μM. GNE-064 possess K <sub>d</sub> s with 0.01, 0.016, 0.018 and 0.049 μM for SMARCA4, SMARCA2, PBRM1 bromodomains 5 and PBRM1 bromodomains 2, respectively. GNE-064 can be used as a chemical probe for the research of drug synthesis.
Targets(IC <sub>50</sub> )	Epigenetic Reader Domain
In vitro	GNE-064 (0-0.5 μM; 1 h) inhibits SMARCA2 in ZsGreen-SMARCA2 BD-expressing U2OS cells[1].
In vivo	GNE-064 (0.5 and 1.0 mg/kg; i.v. and p.o. once ) exhibits ideal pharmacokinetics value in female CD-1 mice [1].

## Solubility Information

Solubility	DMSO: 112.5 mg/mL (343.64 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (12.22 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	1mg	5mg	10mg
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
50 mM	0.0611 mL	0.3055 mL	0.6109 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

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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