

GNE-6776

Chemical Properties

CAS No. : 2009273-71-4

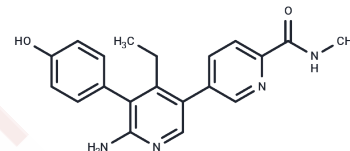
Formula: C₂₀H₂₀N₄O₂

Molecular Weight: 348.4

Store at low temperature

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-6776 is a selective USP7 inhibitor.
Targets(IC50)	DUB
In vitro	GNE-6776 non-covalently targets USP7 12?? distant from the catalytic cysteine. GNE-6776 attenuates ubiquitin binding and thus inhibits USP7 deubiquitinase activity. GNE-6776 interacts with acidic residues that mediate hydrogen-bond interactions with the ubiquitin Lys48 side chain. GNE-6776 targets cellular USP7, MDM2, and p53 signalling pathways. GNE-6776 selectively inhibits recombinant USP7 relative to 36 other deubiquitinases. GNE-6776 remains selective even at 100?µM, a more than sixfold higher concentration than used in cellular assays. GNE-6776 significantly inhibits USP7 while remaining selective against 44-47 other detected deubiquitinases.
In vivo	Although efficacious exposure is only transiently achieved, GNE-6776 causes modest, although significant, EOL-1 xenograft growth delay.

Solubility Information

Solubility	DMSO: 310 mg/mL (889.78 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: 5 mg/mL (14.35 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

	1mg	5mg	10mg
1 mM	2.8703 mL	14.3513 mL	28.7026 mL
5 mM	0.5741 mL	2.8703 mL	5.7405 mL
10 mM	0.287 mL	1.4351 mL	2.8703 mL
50 mM	0.0574 mL	0.287 mL	0.5741 mL

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

Kategaya L, et al. USP7 small-molecule inhibitors interfere with ubiquitin binding. *Nature*. 2017 Oct 26;550(7677):534-538.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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