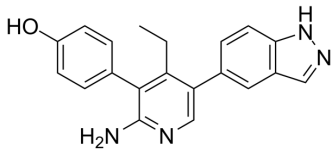
GNE-6640

Cat. No.:	HY-112937
CAS No.:	2009273-67-8
Molecular Formula:	$C_{20}H_{18}N_4O$
Molecular Weight:	330.38
Target:	Deubiquitinase
Pathway:	Cell Cycle/DNA Damage
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 : 5 mg/mL (15.13 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0268 mL	15.1341 mL	30.2682 mL
	5 mM	0.6054 mL	3.0268 mL	6.0536 mL
	10 mM	0.3027 mL	1.5134 mL	3.0268 mL

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

BIOLOGICAL ACTIVITY

Description

GNE-6640 is a selective and non-covalent inhibitor of ubiquitin epecific peptidase 7 (USP7), with IC_{50} values of 0.75 μM, 0.43 μM, 20.3 μM and 0.23 μM for full length USP7, USP7 catalytic domain, full length USP43 and Ub-MDM2, respectively[1][2].

IC_{50} & Target

IC50: 0.75 μM(full length USP7), 0.43 μM(USP7 catalytic domain), 20.3 μM(full length USP43), 0.23 μM(Ub-MDM2)[1].

In Vitro

GNE-6640 promotes endogenous MDM2 ubiquitination with Lys48 (K48)-linked polyubiquitin chains, which directs proteasomal degradation13. GNE-6640 targets cellular USP7, MDM2, and p53 signalling pathways. GNE-6640 decreases viability of 108 cell lines with $IC_{50} \leq 10$ μM. Combining GNE-6640 with doxorubicin or cisplatin (DNA-damaging agents), which could activate the p53 response and enhance USP7 inhibitor efficacy. GNE-6640 could induce tumor cell death. GNE-6640 enhances cytotoxicity with chemotherapeutic agents and targeted compounds, including PIM kinase inhibitors[1].

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

CUSTOMER VALIDATION

- Cell Rep. 2022 Sep 20;40(12):111396.

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REFERENCES

[1]. Tanguturi P, et al. The role of deubiquitinating enzymes in cancer drug resistance. Cancer Chemother Pharmacol. 2020 Apr;85(4):627-639.

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

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