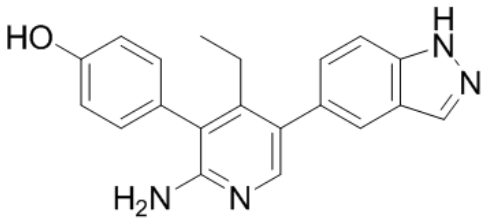
Product Data Sheet

Product Name: GNE-6640
Cat. No.: GC32856

Chemical Properties

Cas. No.	2009273-67-8		
SMILES	OC1=CC=C(C2=C(CC)C(C3=CC4=C(NN=C4)C=C3)=CN=C2N)C=C1		
Formula	$C_{20}H_{18}N_4O$	M.Wt	330.38
Solubility	DMSO : 5 mg/mL (15.13 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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



Caution: Product has not been fully validated for medical applications. For research use only.
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Background

GNE-6640 is a selective and non-covalent inhibitor of ubiquitin epecific peptidase 7 (USP7), with IC50 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.

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 IC50 ≤ 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].

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

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