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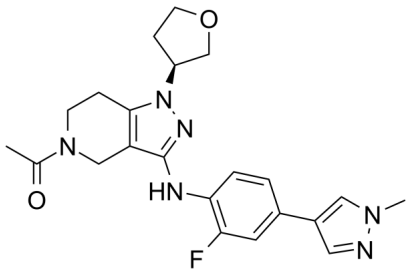
Data Sheet

Product Information

Catalog Number	BP13865
Product Name	GNE-272
Description	GNE-272 is a selective inhibitor of CBP/EP300 (IC50: 0.02, 0.03, and 13 μM for CBP, EP300, and BRD4, respectively) and a selective in vivo probe for CBP/EP300.
Targets&IC50	BRD4:13 μM, CBP:0.02 μM, EP300:0.03 μM
In vitro	GNE-272 does not inhibit any target at >30% when tested at 10 μM in 35 kinase panel and 42 receptors off-target screening panel. GNE-272 does not inhibit (>10 μM, top concentration) several cytochrome P450s (3A4, 1A2, 2C9, 2C19, 2D6). GNE-272 is exquisitely selective for CBP/ EP300 and remarkably selective (650-fold) over BRD4. The compound has good potency in the BRET cellular assay. GNE-272 is shown to inhibit the expression of MYC10 (MV4?11 cell line) (EC50: 0.91 μM) and a good correlation between the BRET and MYC cellular assays is observed.
In vivo	GNE-272 displays a marked antiproliferative effect in hematologic cancer cell lines. Which modulates MYC expression in vivo that corresponds with antitumor activity in an AML tumor model. GNE-272 shows low clearance following a 1 mg/ kg intravenous dose in a mouse PK experiment and good oral bioavailability when dosed at 100 mg/kg, reaching an unbound Cmax of 26 μM.
CAS No.	1936428-93-1
Chemical Formula	C22H25FN6O2
Molecular Weight	424.48
Solubility	DMSO: 100 mg/mL (235.59 mM), Need ultrasonic

Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

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