

GNE-987

Chemical Properties

CAS No. : 2417371-71-0

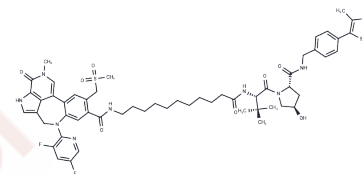
Formula: C₅₆H₆₇F₂N₉O₈S₂

Molecular Weight: 1096.31

Keep away from direct sunlight

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-987 is a highly active chimeric BET degrader that binds equipotently to the BD1 and BD2 bromodomains of BRD4 with low-nanomolar affinity and induces exceptionally potent BRD4 degradation in cells, achieving picomolar DC ₅₀ values in EOL-1 acute myeloid leukemia cells, thereby serving as a powerful chemical biology tool for dissecting BET-dependent transcriptional regulation.
Targets(IC ₅₀)	Epigenetic Reader Domain
In vitro	GNE-987 shows IC ₅₀ values of 4.7 nM for the BD1 domain and 4.4 nM for the BD2 domain. In EOL-1 cells, the molecule facilitates the proteasomal degradation of BRD2 and BRD3 within 5 hours at concentrations between 0.1-10 nM. This process results in the suppression of the oncogenic transcription factor MYC, inhibiting its expression with an IC ₅₀ of 0.03 nM [1].

Solubility Information

Solubility	DMSO: 80 mg/mL (72.97 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.9122 mL	4.5608 mL	9.1215 mL
5 mM	0.1824 mL	0.9122 mL	1.8243 mL
10 mM	0.0912 mL	0.4561 mL	0.9122 mL
50 mM	0.0182 mL	0.0912 mL	0.1824 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

Pillow TH, et al. Antibody Conjugation of a Chimeric BET Degrader Enables in vivo Activity. ChemMedChem. 2019 Oct 31.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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