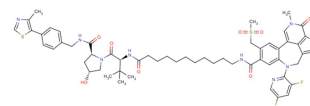


GNE-987

Chemical Properties

CAS No.:	T11441
Formula:	C ₅₆ H ₆₇ F ₂ N ₉ O ₈ S ₂
Molecular Weight:	1096.31
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	GNE-987 is a highly active chimeric BET degrader. GNE-987 binds equipotently to the BD1 and BD2 bromodomains of BRD4 with low nanomolar affinities (IC ₅₀ : 4.7 and 4.4 nM, respectively). GNE-987 exhibits picomolar cell BRD4 degradation activity (DC ₅₀ : 0.03 nM for EOL-1 AML cell line).
Targets(IC ₅₀)	BRD4(BD1): 4.7 nM BRD4 BD2: 4.4 nM VHL: None
In vitro	GNE-987 (0.1-10 nM; 5 hours) degrades the BRD2 and BRD3 BET family proteins. GNE-987 inhibits EOL-1 and HL-60 cell viability (IC ₅₀ s: 0.02 and 0.03 nM) and inhibits MYC expression (IC ₅₀ : 0.03 nM).

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.912 mL	4.561 mL	9.122 mL
5 mM	0.182 mL	0.912 mL	1.824 mL
10 mM	0.091 mL	0.456 mL	0.912 mL
50 mM	0.018 mL	0.091 mL	0.182 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

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

Inhibitors · Natural Compounds · Compound Libraries

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