

TAK-243

Chemical Properties

CAS No. : 1450833-55-2

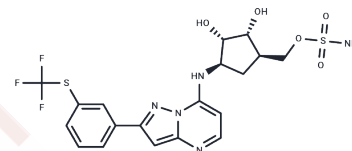
Formula: C₁₉H₂₀F₃N₅O₅S₂

Molecular Weight: 519.52

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	TAK-243 (MLN7243) is a selective inhibitor of the ubiquitin-activating enzyme UAE (IC ₅₀ =1 nM), blocking ubiquitin binding and disrupting both mono-ubiquitin signaling and overall protein ubiquitination. TAK-243 exhibits antitumor activity and promotes apoptosis.
Targets(IC ₅₀)	Apoptosis,E1/E2/E3 Enzyme,NF-κB
In vitro	<p>METHODS: Seven myeloma cells were treated with TAK-243 (6.25-500 nM) for 24 h. Cell viability was measured by WST-1 Assay.</p> <p>RESULTS: Most myeloma cells are very sensitive to TAK-243 with IC₅₀ of 25-100 nM, e.g. MM1.S cells with IC₅₀ of 25 nM. [1]</p> <p>METHODS: Human colorectal cancer cells HCT-116 were treated with TAK-243 (0.008-1 μM) for 24 h, and the expression levels of target proteins were detected by Western Blot.</p> <p>RESULTS: TAK-243 showed strong selectivity for Sumo and autophagic UBL pathways, and TAK-243 inhibited two E1 enzymes (UBA6 and UAE), which are capable of activating ubiquitin, with equal potency. [2]</p>
In vivo	<p>METHODS: To assay antitumor activity in vivo, TAK-243 (12.5 mg/kg twice weekly; or 25 mg/kg once weekly) was administered intravenously for two weeks to SCID mice bearing myeloma MM1.S or MOLP-8.</p> <p>S and MOLP-8 models, twice-weekly administration of 12.5 mg/kg produced 60% and 73% tumor growth inhibition at 14 days. 25 mg/kg produced a greater effect. [1]</p> <p>METHODS: To test the antitumor activity in vivo, TAK-243 (20 mg/kg) was injected intravenously twice weekly into SCID mice bearing the human AML tumor OCI-AML2.</p> <p>RESULTS: TAK-243 significantly delayed tumor growth (T/C=0.02) in mice without toxicity. [3]</p>

Solubility Information

Solubility	H ₂ O: < 1 mg/mL (insoluble or slightly soluble) DMSO: 237.5 mg/mL (457.15 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (9.62 mM),Sonication is recommended.

A DRUG SCREENING EXPERT

In vivo Formulation	<i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9249 mL	9.6243 mL	19.2485 mL
5 mM	0.385 mL	1.9249 mL	3.8497 mL
10 mM	0.1925 mL	0.9624 mL	1.9249 mL
50 mM	0.0385 mL	0.1925 mL	0.385 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

Zhuang J, et al. Ubiquitin-activating enzyme inhibition induces an unfolded protein response and overcomes drug resistance in myeloma. *Blood*. 2019 Apr 4;133(14):1572-1584.

Wang Y, Yang H, Li N, et al. A Novel Ubiquitin Ligase Adaptor PTPRN Suppresses Seizure Susceptibility through Endocytosis of NaV1.2 Sodium Channels. *Advanced Science*. 2024: 2400560.

Hyer ML, et al. A small-molecule inhibitor of the ubiquitin activating enzyme for cancer treatment. *Nat Med*. 2018 Feb;24(2):186-193.

Selective degradation of multimeric proteins by TRIM21-based molecular glue and PROTAC degraders

Samir H. Barghout, et al. TAK-243 Is a Selective UBA1 Inhibitor That Displays Preclinical Activity in Acute Myeloid Leukemia (AML). *Blood* 2017, 130:814.

Ubiquitin-activating enzyme inhibition induces an unfolded protein response and overcomes drug resistance in myeloma. *Blood*, 2019.

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