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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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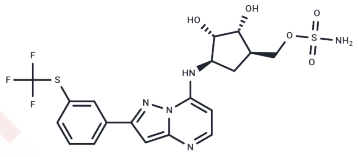
Data Sheet (Cat.No.T16974)

TAK-243

Chemical Properties



CAS No. : 1450833-55-2

Formula: C19H20F3N5O5S2

Molecular Weight: 519.52

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	TAK-243 (MLN7243) is a selective inhibitor of the ubiquitin-activating enzyme UAE (IC50=1 nM). TAK-243 blocks ubiquitin binding and disrupts mono-ubiquitin signaling as well as overall protein ubiquitination. TAK-243 exhibits antitumor activity and promotes apoptosis.
Targets(IC50)	Apoptosis,E1/E2/E3 Enzyme,NF-κB
In vitro	**METHODS**: Seven myeloma cells were treated with TAK-243 (6.25-500 nM) for 24 h. Cell viability was measured by WST-1 Assay. **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] **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. **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]
In vivo	**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. 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] **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. **RESULTS**: TAK-243 significantly delayed tumor growth (T/C=0.02) in mice without toxicity. [3]

Solubility Information

Solubility	H2O: < 1 mg/mL DMSO: 50 mg/mL (96.24 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

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.

Reference

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.