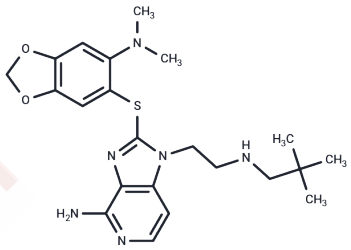
Data Sheet (Cat.No.T15088)

TargetMol

Debio 0932

Chemical Properties



CAS No. : 1061318-81-7

Formula: C22H30N6O2S

Molecular Weight: 442.58

Storage: Store at low temperature
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	Debio 0932 (CUDC-305) is a heat shock protein 90 (Hsp90) inhibitor that targets the n-terminal atp-binding pocket to promote apoptosis, and has the advantage of being orally available and able to cross the blood-brain barrier (BBB) for the treatment of cancers such as non-small-cell lung cancer (NSCLC) and neuroblastoma.
Targets(IC50)	HSP
In vitro	Debio 0932 exhibits dose- and time-dependent proliferation inhibition in MCF-7 and MDA-MB-231 cells, with IC50 values of 6.19 μM and 10.66 μM at 48 hours, respectively. It promotes apoptosis by upregulating Bax/Bcl-2, activating Caspase-9, and inhibiting HUVEC migration [1]. In NSCLC, the IC50 values against A549, H1299, and H1975 cells are 3.26±2.82 μM, 20.33±5.39 μM, and 3.16±1.04 μM, respectively. It induces cell cycle arrest and apoptosis, and targets Hsp90 with an IC50 value of 70 nmol/L, leading to the degradation of mutant EGFR and K-RAS, thus reversing erlotinib resistance [2,3].
In vivo	In a psoriasis xenograft model, treatment with Debio 0932 (80 mg/kg, orally daily) for 3 weeks resulted in a significant clinical improvement by day 11, with a marked reduction in epidermal thickness [4]. In the U87MG glioblastoma mouse model, treatment with Debio 0932 (160 mg/kg, every other day) induced tumor regression. In an acute myeloid leukemia (AML) mouse model, after 3 weeks of treatment with Debio 0932 (160 mg/kg, every other day), complete tumor regression was observed, with good drug safety [5].

Solubility Information

Solubility	DMSO: 60 mg/mL (135.57 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: 2 mg/mL (4.52 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2595 mL	11.2974 mL	22.5948 mL
5 mM	0.4519 mL	2.2595 mL	4.519 mL
10 mM	0.2259 mL	1.1297 mL	2.2595 mL
50 mM	0.0452 mL	0.2259 mL	0.4519 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

Özgür A,et al.Debio-0932, a second generation oral Hsp90 inhibitor, induces apoptosis in MCF-7 and MDA-MB-231 cell lines.Mol Biol Rep.2021 Apr;48(4):3439-3449.
Lu X Y, Shi X J, Hu A, et al. Feeding induces cholesterol biosynthesis via the mTORC1-USP20-HMGCR axis. Nature. 2020, 588(7838): 479-484.
Qin W, et al.Complex crystal structure determination and anti-non-small-cell lung cancer activity of the Hsp90N inhibitor Debio0932.Acta Crystallogr D Struct Biol.2021 Jan 1;77(Pt 1):86-97.
Bao R,et al.Targeting heat shock protein 90 with CUDC-305 overcomes erlotinib resistance in non-small cell lung cancer.Mol Cancer Ther.2009 Dec;8(12):3296-306.
Stenderup K,et al,a new oral Hsp90 inhibitor, alleviates psoriasis in a xenograft transplantation model.Acta Derm Venereol.2014 Nov;94(6):672-6.
Bao R,et al.CUDC-305, a novel synthetic HSP90 inhibitor with unique pharmacologic properties for cancer therapy. Clin Cancer Res.2009 Jun 15;15(12):4046-57.