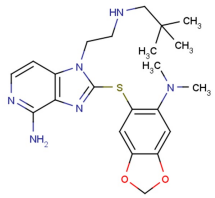
Data Sheet (Cat.No.T15088)

TargetMol
A DRUG SCREENING EXPERT

Debio 0932

Chemical Properties



CAS No.:	1061318-81-7
Formula:	C22H30N6O2S
Molecular Weight:	442.58
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Debio 0932 is an orally active inhibitor of HSP90 (IC50s: 100 and 103 nM for HSP90α and HSP90β, respectively).
Targets(IC_{50})	HSP90α: 100 nM HSP90β: 103 nM
In vitro	Debio 0932 also displays inhibitory activities against the proliferation of 40 cancer cell lines (containing 34 solid and 6 hematologic tumor-derived lines) (IC50 ranging from 40 to 900 nM) (mean IC50, 220 nM) [1]. Debio 0932 binds to the tumor HSP90 complex(a mean IC50: 48.8 nM). Debio 0932 (1 μM) promotes degradation of multiple HSP90 client proteins in cancer cell lines. Debio 0932 potently binds to cancer-derived HSP90 complex (IC50: 61.2 nM in H1975 cells and 74.2 nM in H1993 cells, respectively). Debio 0932 (CUDC-305, 1 μM) durably induces oncoprotein degradation in NSCLC cell lines with mutations that can confer resistance to erlotinib [3].
In vivo	Debio 0932 is able to cross the blood-brain barrier. Debio 0932 (30 mg/kg, p.o.) exhibits favorable pharmacokinetic profiles in tumor-bearing nude mice. Debio 0932 (80 mg/kg, p.o.) significantly alleviates psoriasis by day 11 and decreases epidermal thickness in psoriasis xenograft transplantation model [2]. Debio 0932 (160 mg/kg, p.o.) causes degradation of HSP90 client proteins, suppresses tumor growth, and also prolongs survival in various animal models of U87MG glioblastoma. Debio 0932 (160 mg/kg, p.o.) also promotes antitumor activity in the erlotinib-resistant H1975 subcutaneous tumor model. Debio 0932 (40, 80, or 160 mg/kg, p.o.) also dose-dependently inhibits tumor growth in the U87MG s.c. tumor model by every-other-day (q2d) dosing [1]. Debio 0932 (80, 120, and 160 mg/kg, p.o.) displays dose-dependent inhibition of tumor growth in the H1975 subcutaneous tumor model [3].

Solubility Information

Solubility	DMSO: 33 mg/mL (74.56 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.259 mL	11.297 mL	22.595 mL
5 mM	0.452 mL	2.259 mL	4.519 mL
10 mM	0.226 mL	1.13 mL	2.259 mL
50 mM	0.045 mL	0.226 mL	0.452 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 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.
2. Stenderup K, et al. Debio 0932, a new oral Hsp90 inhibitor, alleviates psoriasis in a xenograft transplantation model. Acta Derm Venereol. 2014 Nov;94(6):672-6.
3. 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.

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