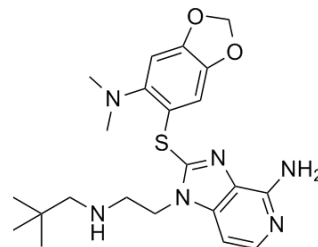


Data Sheet

Product Name:	Debio 0932
Cat. No.:	HY-13469
CAS No.:	1061318-81-7
Molecular Formula:	C ₂₂ H ₃₀ N ₆ O ₂ S
Molecular Weight:	442.58
Target:	HSP
Pathway:	Cell Cycle/DNA Damage; Metabolic Enzyme/Protease
Solubility:	DMSO: ≥ 33 mg/mL



BIOLOGICAL ACTIVITY:

Debio 0932 (CUDC-305) is a novel heat shock protein 90 (HSP90) inhibitor with high affinity for HSP90 alpha/beta, high oral bioavailability and potent anti-proliferative activity against a broad range of cancer cell lines (with a mean IC₅₀ of 220 nmol/L) [1].

target: HSP90 [1]

IC₅₀: 220 nmol/L [1]

in vitro: H1993 and H1975 NSCLC cells were incubated with 1 μmol/L CUDC-305 for 7 hours and then cultured in compound-free medium for an additional 0, 17, or 24 hours, respectively, before being analyzed by Western blot [1]

in vivo: CUDC-305 was delivered through oral gavage on an every-other-day (once every two days) dosing schedule at various doses up to 160 mg/kg—its maximum tolerated dose in nude mice. In survival studies in Balb/C nude mice, the highest dose was reduced to 120 mg/kg as a result of the compromised animal condition due to lung and brain tumor implantation surgeries. [1]

PROTOCOL (Extracted from published papers and Only for reference)

cell assay [1] Various NSCLC cell lines of human origin were purchased from the American Type Culture Collection and plated at 5,000 to 10,000 per well in 96-well plates with the culture medium as suggested by the provider. Cancer cells in culture were then treated with CUDC-305 at 1 μmol/L for varying periods and harvested in a sample loading buffer. Cell lysates were subjected to Western blot analysis as described. animal administration [1] [2] : mice were dosed orally with CUDC-305 when s.c. implanted tumors reached a volume of 100 to 200 mm³, or orthotopically implanted lung tumors were established about 4 wk after tumor implantation. Tumors were then collected at various time points following treatment. [1] CUDC-305 was delivered orally at three dosage levels (40, 80, or 160 mg/kg, orally, q2d) when tumors reached an average volume of 122 mm³. During treatment period, tumor volume and body weight were measured twice weekly to determine antitumor activity and toxicity of compound. [2]

References:

[1]. Bao R, Lai CJ 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.

[2]. Rudi Bao, Cheng-Jung Lai 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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