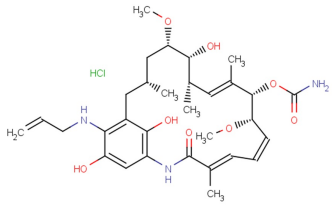
Data Sheet (Cat.No.T12726L)

TargetMol
A DRUG SCREENING EXPERT

Retaspimycin Hydrochloride

Chemical Properties



CAS No.:	857402-63-2
Formula:	C31H46ClN3O8
Molecular Weight:	624.17
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Retaspimycin Hydrochloride is a potent and water-soluble Hsp90 inhibitor(Hsp90 and Grp9 with EC50s of 119 nM).
Targets(IC_{50})	HSP90: 119 nM (EC50) GRP94: 119 nM (EC50)
In vitro	Retaspimycin is an inhibitor of Hsp90. Retaspimycin can abrogate both the unfolded protein response element (UPRE) and ERSE-driven luciferase activity in non-treated U266 and MM.1s cells as well as in Tunicamycin (Tm)-treated cells. Incubation of Retaspimycin potently suppresses both Akt and MAPKs phosphorylation in both sensitive and Trastuzumab-resistant cells. Total levels of Akt decreased in all 4 cell lines (BT474, SKBR-3, HCC1569, and HCC1569) in a dose-dependent manner. However, levels of total MAPKs are not significantly altered with Retaspimycin treatment[2].
In vivo	Tumor regression of Trastuzumab-sensitive BT474 cell-derived xenografts independently induceed by Retaspimycin and Trastuzumab. Xenografts derived from BT474R cells continue to grow in the presence of Trastuzumab but are still sensitive to Retaspimycin. When used in combination, Retaspimycin and Trastuzumab add only marginal benefits to Retaspimycin monotherapy. Retaspimycin as a single agent is more efficacious than Trastuzumab in inhibiting tumor growth in HCC1569 xenografts[2].

Solubility Information

Solubility	DMSO: 56 mg/mL (89.72 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.602 mL	8.011 mL	16.021 mL
5 mM	0.32 mL	1.602 mL	3.204 mL
10 mM	0.16 mL	0.801 mL	1.602 mL
50 mM	0.032 mL	0.16 mL	0.32 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. Patterson J, et al. IPI-504, a novel and soluble HSP-90 inhibitor, blocks the unfolded protein response in multiple myeloma cells. Cancer Chemother Pharmacol. 2008 May;61(6):923-32.

2. Scaltriti M, et al. Antitumor Activity of the Hsp90 Inhibitor IPI-504 in HER2-Positive Trastuzumab-Resistant Breast Cancer. Mol Cancer Ther. 2011 May;10(5):817-24.

3. Sydor JR, et al. Development of 17-allylamino-17-demethoxygeldanamycin hydroquinone hydrochloride (IPI-504), an anti-cancer agent directed against Hsp90. Proc Natl Acad Sci U S A. 2006 Nov 14;103(46):17408-13. Epub 2006 Nov 7.

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