

# Retaspimycin

Catalog No: tcsc0651

Available Sizes

Size: 5mg

Size: 10mg

Size: 100mg

Specifications

#### CAS No:

857402-23-4

#### Formula:

 $C_{31}H_{45}N_3O_8$ 

Pathway: Metabolic Enzyme/Protease;Cell Cycle/DNA Damage

## **Target:**

HSP;HSP

Purity / Grade:

### Solubility:

10 mM in DMSO

#### Alternative Names:

IPI-504

#### **Observed Molecular Weight:**

587.7

# **Product Description**

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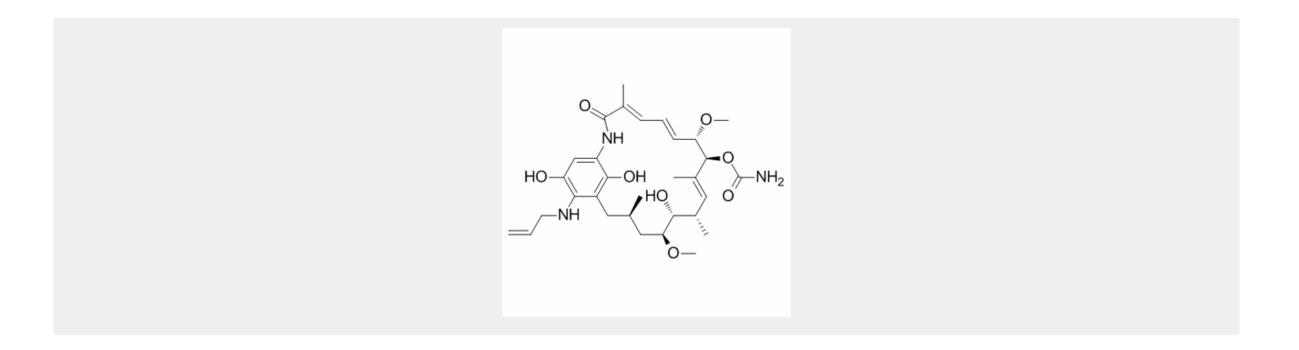


Retaspimycin is a potent and water-soluble inhibitor of **Hsp90**, with **EC<sub>50</sub>**s of 119 nM for both Hsp90 and Grp9.

IC50 & Target: EC50: 63 nM (Hsp90), 119 nM (Grp94)<sup>[1]</sup>

*In Vitro:* Retaspimycin is a potent inhibitor of Hsp90, with  $EC_{50}$ s of 119 nM for both Hsp90 and Grp9. Retaspimycin (IPI-504) is cytocoxic to human multiple myeloma (MM) cell lines, with  $EC_{50}$ s of 307 ± 51 nM and 306 ± 38 nM, respectively, for MM1.s and RPMI-8226 cells<sup>[1]</sup>. Retaspimycin (IPI-504, 10-100 nM) suppresses the growth of both trastuzumab-sensitive and -resistant cells in a dose-dependent manner. Retaspimycin (0-500 nM) decreases HER2 protein expression and suppresses both Akt and MAPKs pathways in both sensitive and trastuzumab-resistant cells<sup>[3]</sup>.

*In Vivo:* Retaspimycin (IPI-504, 50 mg/kg, i.v.) causes selective tumor retention in RPMI-8226 tumor-bearing mice<sup>[1]</sup>. Retaspimycin (IPI-504, 100 mg/kg, p.o., 3 times per week) reduces the tumor volume by 69% and and 84% of baseline values in GIST-882 and GIST-PSW xenografts, respectively. Furthermore, Retaspimycin in combination with imatinib inhibits tumor growth more significantly than Retaspimycin alone in GIST-PSW model, but no obvious difference is ovsrebed in the GIST-882 model. Retaspimycin also downregulates KIT in gastrointestinal stromal tumor (GIST)<sup>[2]</sup>. Retaspimycin (IPI-504, 50 mg/kg) shows antitumor activity in HCC1569 xenografts. IPI-504 (100 mg/kg, i.p.) effectively decreases the levels of HER2, p-Akt, and p-MAPKs in BT474R and BT474H1047R tumors<sup>[3]</sup>.



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