

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:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

IPI-504

Observed Molecular Weight:

587.7

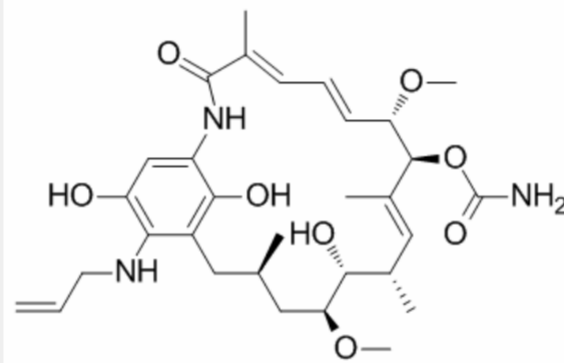
Product Description

Retaspimycin is a potent and water-soluble inhibitor of **Hsp90**, with **EC₅₀**s of 119 nM for both Hsp90 and Grp9.

IC50 & Target: EC50: 63 nM (Hsp90), 119 nM (Grp94)^[1]

In Vitro: Retaspimycin is a potent inhibitor of Hsp90, with EC₅₀s of 119 nM for both Hsp90 and Grp9. Retaspimycin (IPI-504) is cytotoxic to human multiple myeloma (MM) cell lines, with EC₅₀s of 307 ± 51 nM and 306 ± 38 nM, respectively, for MM1.s and RPMI-8226 cells^[1]. 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^[3].

In Vivo: Retaspimycin (IPI-504, 50 mg/kg, i.v.) causes selective tumor retention in RPMI-8226 tumor-bearing mice^[1]. Retaspimycin (IPI-504, 100 mg/kg, p.o., 3 times per week) reduces the tumor volume by 69% 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 observed in the GIST-882 model. Retaspimycin also downregulates KIT in gastrointestinal stromal tumor (GIST)^[2]. 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^[3].



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