Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Retaspimycin (Hydrochloride)

Catalog No: tcsc0652

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
857402-63-2

Formula:
$C_{31}H_{46}ClN_3O_8$

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

Target:
HSP;HSP

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 56 mg/mL (89.72 mM)

Alternative Names:
IPI-504

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Observed Molecular Weight:
624.17

Product Description

Retaspimycin hydrochloride is a novel and highly soluble inhibitor of the **Hsp90** ATPase activity, with **EC_{50}**s of 119 nM for both Hsp90 and Grp9.

IC50 & Target: EC50: 119 nM (Hsp90), 119 nM (Grp94)[3]

In Vitro: Retaspimycin (IPI-504) is a novel and highly soluble analog of 17AAG, 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. The IC_{50}s for the inhibition of reporter gene activity by Retaspimycin are 196±56 nM in U266 and 472±177 nM in MM.1s for UPRE-luc activity and 213±140 nM for the ERSE-driven activity in MM.1s cells. Retaspimycin treatment leads to a dose-dependent decrease of p50ATF6 with EC_{50} of 237 nM, consistent with the reporter-gene assay. The level of sXBP1 is decreased in the presence of Retaspimycin with an apparent EC_{50} between 300 nM and 1 μM[1]. Incubation of Retaspimycin (IPI-504) 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: Retaspimycin (IPI-504) and Trastuzumab independently induce tumor regression of Trastuzumab-sensitive BT474 cell-derived xenografts. 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 (100 mg/kg) as a single agent is more efficacious than Trastuzumab in inhibiting tumor growth in HCC1569 xenografts. The combination is not significantly superior to Retaspimycin used as a single agent[2].