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

Quizartinib

Catalog No: tcsc0211

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
950769-58-1

Formula:
$C_{29}H_{32}N_6O_4S$

Pathway:
Protein Tyrosine Kinase/RTK;Autophagy

Target:
FLT3;Autophagy

Purity / Grade:
>98%

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Solubility:
DMSO : ≥ 33 mg/mL (58.86 mM)

Alternative Names:
AC220

Observed Molecular Weight:
560.67

Product Description

Quizartinib is a uniquely potent and selective **Flt3** inhibitor with **K_d** (FLT3 binding affinity) of 1.6±0.7 nM in Biochemical assay.

IC50 & Target: Kd: 1.6±0.7 nM (Flt3)[1]

In Vitro: Quizartinib (AC220) is a novel compound expressly optimized as a FLT3 inhibitor for the treatment of acute myeloid leukemia (AML). Quizartinib inhibits FLT3-WT and FLT3-ITD autophosphorylation with IC_{50} of 4.2±0.3 nM and 1.1±0.1 nM, respectively. Quizartinib inhibits MV4-11 and A375 cells with IC_{50} of 0.56±0.3 nM and >10 000 nM, respectively. Quizartinib inhibits FLT3 with low nanomolar potency in cellular assays and is highly selective when screened against the majority of the human protein kinome[1].

In Vivo: Quizartinib (AC220) inhibits FLT3 activity in vivo, significantly extends survival in a mouse model of FLT3-ITD AML at doses as low as 1 mg/kg when dosed orally once a day, eradicates tumors in a FLT3-dependent mouse xenograft model at 10 mg/kg, and potently inhibits FLT3 activity in primary patient cells. The oral bioavailability of Quizartinib, determined in rats by comparing oral and intravenous pharmacokinetics at 3 mg/kg, is approximately 40%. A single 10 mg/kg dose of Quizartinib is administered by oral gavage, and mice are killed at 2 time points after dosing, using groups of 4 animals each. Quantitation of total FLT3 and phospho-FLT3 in tumor samples revealed time-dependent inhibition of FLT3 autophosphorylation. FLT3 activity is inhibited by 90% at 2 hours, and 40% at 24 hours after administration. The extent of inhibition therefore correlated well with the expected free Quizartinib plasma levels, based on pharmacokinetic experiments[1].

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