Web: www.taiclone.com
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Pazopanib

Catalog No: tcsc0269

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Specifications

CAS No:
444731-52-6

Formula:
$C_{21}H_{23}N_7O_2S$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Autophagy;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
VEGFR;PDGFR;Autophagy;FGFR;c-Kit

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 43 mg/mL (98.28 mM)

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Alternative Names:
GW786034

Observed Molecular Weight:
437.52

Product Description

Pazopanib is a novel multi-target inhibitor of **VEGFR1**, **VEGFR2**, **VEGFR3**, **PDGFRβ**, **c-Kit**, **FGFR1**, and **c-Fms** with **IC_{50}** of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.

IC50 & Target: IC50: 10 nM (VEGFR1), 30 nM (VEGFR2), 47 nM (VEGFR3), 74 nM (c-Kit), 84 nM (PDGFRβ), 140 nM (FGFR1), 146 nM (c-Fms)[1]

In Vitro: Pazopanib shows good potency against all the human VEGFR receptors with an IC_{50} of 10, 30, and 47 nM for VEGFR-1, -2, and -3, respectively. Significant activity is also seen against the closely related tyrosine receptor kinases PDGFRβ, c-Kit, FGF-R1, and c-fms with IC_{50}s of 84, 74, 140, and 146 nM, respectively. In cellular assays, in addition to inhibiting the VEGF-induced proliferation of HUVECs, Pazopanib potently inhibits VEGF-induced phosphorylation of VEGFR-2 in HUVEC cells with an IC_{50} of ~8 nM. Pazopanib possesses good pharmacokinetics in rat, dog, and monkey with low clearances (1.4-1.7 mL/min/kg) and good oral bioavailabilities (72, 47, 65%) dosed at 10, 1, and 5 mg/kg, respectively. The cytochrome P450 profile is also improved with inhibition >10 μM against the isozymes tested, with the exception of 2C9 (7.9 μM)[1].

In Vivo: Treatment of mice with 100 mg/kg of Pazopanib twice daily for five days results in significant inhibition in the degree of vascularization. The antiangiogenic activity of Pazopanib is examined in mice bearing established human xenografts (200−250 mm^3) using HT29 (colon carcinoma), A375P (melanoma), and HN5 (head and neck carcinoma) tumors following a standard three-week course of therapy. The HN5 and HT29 xenografts responded better at all doses compared to the A375P model, which is historically more resistant to VEGFR-2 inhibitors. As support that the observed inhibition of xenograft growth is working through an antiangiogenic rather than antitumor mechanism, no antiproliferative activity is observed below 10 μM for Pazopanib against these human tumor lines (HT29, HN5, A375P) growing in serum-containing media. No significant effect on the body weight of mice is observed, and the animals appeared healthy and active throughout the study duration[1]. The quantity of adherent leukocytes in the Pazopanib eye drops group is less than untreated diabetic animals and more than the healthy animals. Average leukocytes adhered to the retinal vasculature in healthy animals is 37.2±7.8, whereas diabetic animals have an average value of 102±15.6, approximately 3-fold higher than healthy animals. Animals treated with 0.5 % w/v Pazopanib suspension demonstrate 69.5±9.5 leukocytes adhered in their retinal vasculature, which is found to be significantly lower than diabetic animals[2].

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