

Product Datasheet

Pazopanib

Catalog No. **BP-02490** · CAS **444731-52-6** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-10**

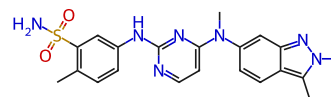
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Target VEGFR; c-Kit; PDGFR; Autophagy; FGFR	Research area Cancer
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Molecular formula **C₂₁H₂₃N₇O₂S**

Molecular weight **437.5180**

STRUCTURE



DESCRIPTION

Pazopanib (GW786034) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with IC50s of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Pazopanib shows good potency against all the human VEGFR receptors with an IC50 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 IC50s 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 IC50 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).

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 mm³) 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.

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.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1].Harris PA, et al. Discovery of 5-[[4-[(2,3-dimethyl-2H-indazol-6-yl)methylamino]-2-pyrimidinyl]amino]-2-methyl-benzenesulfonamide (Pazopanib), a novel and potent vascular endothelial growth factor receptor inhibitor. J Med Chem. 2008, 51(15), 4632-4640.

[2].Thakur A, et al. Pazopanib, a multitargeted tyrosine kinase inhibitor, reduces diabetic retinal vascular leukostasis and leakage. Microvasc Res. 2011 Nov;82(3):346-50.

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com

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