

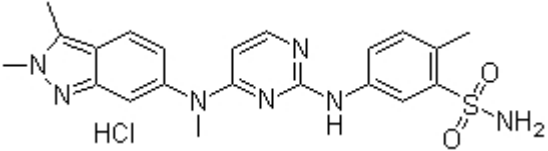


Product Introduction

Pazopanib HCl (GW786034 HCl)

Pazopanib (GW786034) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFR, FGFR, c-Kit and c-Fms with IC₅₀ of 10 nM, 30 nM, 47 nM, 84 nM, 74 nM, 140 nM and 146 nM, respectively.

Technical Data:

Molecular Weight (MW):	473.98	
Formula:	C ₂₁ H ₂₃ N ₇ O ₂ S.HCl	
Solubility (25°C)	DMSO 17 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	635702-64-6	

Biological Activity

Pazopanib potently inhibits VEGF-induced phosphorylation of VEGFR2 in HUVEC cells with IC₅₀ of 8 nM. [1] Pazopanib shows dose-dependent growth inhibition in all synovial sarcoma cell lines including SYO-1 and HS-SY-II cells. Proliferation of SYO-1 and HS-SY-II cells is inhibited even at 1 µg/mL of Pazopanib and is completely abolished at 5 µg/mL. Pazopanib induces G1 arrest, and thereby suppresses the growth of synovial sarcoma cells. Phosphorylation of Akts, GSK-3β, JNKs, p70 S6 Kinase, and mTOR is suppressed in

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Pazopanib-treated SYO-1 cells compared with that in the vehicle-treated cells. [2] Pazopanib between 20 mg/mL and 22.5 mg/mL shows an increasing reduction of RPE cell viability. [3]

The mice treated with 30 mg/kg or 100 mg/kg Pazopanib reveals a significant decrease in tumor burden compared with the mice treated with vehicle or 10 mg/kg Pazopanib. Treatment with Pazopanib is well-tolerated and there is no significant difference in the body weight among the mice in each group. [2] A multi-kinase inhibitor.

References

[1] Harris PA, et al. J Med Chem. 2008, 51(15), 4632-4640.

[2] Hosaka S, et al. J Orthop Res. 2012.

[3] Kernt M, et al. Retina. 2012.



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