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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

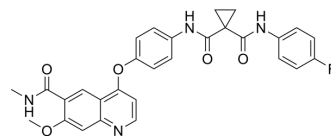
mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

Zanzalintinib

Cat. No.:	HY-138696
CAS No.:	2367004-54-2
Molecular Formula:	C ₂₉ H ₂₅ FN ₄ O ₅
Molecular Weight:	528.53
Target:	TAM Receptor; c-Met/HGFR; VEGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 16.67 mg/mL (31.54 mM; ultrasonic and warming and heat to 60°C)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		1.8920 mL	9.4602 mL	18.9204 mL
		5 mM		0.3784 mL	1.8920 mL	3.7841 mL
		10 mM		0.1892 mL	0.9460 mL	1.8920 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 2.5 mg/mL (4.73 mM); Suspended solution; Need ultrasonic					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (3.94 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.94 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Zanzalintinib (XL092) is an orally active, ATP-competitive inhibitor of multiple receptor tyrosine kinases (RTKs) including MET, VEGFR2, AXL and MER, with IC ₅₀ s in cell-based assays of 15 nM, 1.6 nM, 3.4 nM, 7.2 nM respectively. Zanzalintinib exhibits anti-tumor activity. Zanzalintinib has the potential for kinase-dependent diseases and conditions research ^{[1][2]} .		
IC ₅₀ & Target	VEGFR2 1.6 nM (IC ₅₀)	AXL 3.4 nM (IC ₅₀)	MER 7.2 nM (IC ₅₀)
In Vivo	Zanzalintinib (10 mg/kg/day; oral; for 14 days) causes substantial tumor growth inhibition in xenograft studies. Zanzalintinib shows 82% and 96% inhibition on p-MET and p-VEGFR2, respectively ^[1] .		

Zanzalintinib (compound 8; 3 mg/kg; iv) has a $T_{1/2}$ of 5.4 hours, a CL of 43 mL/hr?kg. Zanzalintinib (3 mg/kg; po) has a $T_{1/2}$ of 7.1 hours and a C_{max} of 11.4 μ M for rats^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rat ^[1]
Dosage:	3 mg/kg (Pharmacokinetic Analysis)
Administration:	IV
Result:	Had a $T_{1/2}$ of 5.4 hours, a CL of 43 mL/hr?kg.

CUSTOMER VALIDATION

- Rapid Commun Mass Spectrom. 2021 Nov 30;206:114390.

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REFERENCES

[1]. Lynne Canne Bannen, et al. Compounds for the treatment of kinase-dependent disorders. WO2019148044A1.

[2]. J. Hsu, et al. XL092, a multi-targeted inhibitor of MET, VEGFR2, AXL and MER with an optimized pharmacokinetic profile. European Journal of Cancer, Volume 138, Supplement 2, October 2020, Page S16.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA