



# SZABO SCANDIC

Part of Europa Biosite

## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

Weitere Information auf den folgenden Seiten!  
See the following pages for more information!



### Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

### SZABO-SCANDIC HandelsgmbH

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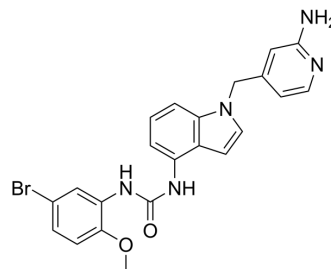
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## JI-101

<b>Cat. No.:</b>	HY-16265		
<b>CAS No.:</b>	900573-88-8		
<b>Molecular Formula:</b>	C <sub>22</sub> H <sub>20</sub> BrN <sub>5</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	466.33		
<b>Target:</b>	Ephrin Receptor; PDGFR; VEGFR		
<b>Pathway:</b>	Protein Tyrosine Kinase/RTK		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 100 mg/mL (214.44 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.1444 mL	10.7220 mL	21.4440 mL
	5 mM	0.4289 mL	2.1444 mL	4.2888 mL
	10 mM	0.2144 mL	1.0722 mL	2.1444 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.5 mg/mL (5.36 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
 Solubility: ≥ 2.5 mg/mL (5.36 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.5 mg/mL (5.36 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

JI-101 is an orally available multi-kinase inhibitor of VEGFR2, PDGFRβ and EphB4 with potent anti-cancer activity.

#### IC<sub>50</sub> & Target

VEGFR2

PDGFRβ

#### In Vitro

JI-101 is found to be stable in all preclinical and human liver microsomes. The % metabolized is ranged between 3.03-3.95 across the tested species liver microsomes. The % metabolized is relatively higher in mice liver microsomes followed by dog,

human and rat liver microsomes<sup>[1]</sup>.

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

#### In Vivo

Jl-101 excreted through bile along with its mono- and di-hydroxy metabolites. Following oral administration, Jl-101 is rapidly absorbed, reaching  $C_{max}$  within 2 h. The  $t_{1/2}$  of Jl-101 with intravenous and oral route is found to be  $1.75 \pm 0.79$  and  $2.66 \pm 0.13$  h, respectively. The Cl and Vd by intravenous route for Jl-101 are found to be  $13.0 \pm 2.62$  mL/min/kg and  $2.11 \pm 1.42$  L/kg, respectively. The tissue distribution of Jl-101 is extensive with rapid and preferred uptake into lung tissue. Overall, the oral bioavailability of Jl-101 is 55% and the primary route of elimination for Jl-101 is feces<sup>[1]</sup>.

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

## PROTOCOL

#### Animal Administration <sup>[1]</sup>

Rats: Pharmacokinetics and bioavailability assessment of Jl-101 are evaluated in a preliminary parallel-group study in male S.D. rats. Four rats (195–210 g) per route receive Jl-101 at a dose of 3 and 30 mg/kg for i. v. (via tail vein) and oral dose (by gavage), respectively. Serial blood samples (100  $\mu$ L) are collected from retro-orbital plexus at pre-dose, 0.12 (i. v. only) 0.25, 0.5, 1, 2, 4, 8, 10 (oral only) and 24 h. Blood samples are collected in tubes containing  $K_2$  EDTA as the anticoagulant and centrifuged for 5 min maintained at 4 °C for plasma separation and stored frozen at  $-80 \pm 10$  °C until analysis<sup>[1]</sup>.

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

## REFERENCES

[1]. Gurav SD, et al. Pharmacokinetics, tissue distribution and identification of putative metabolites of Jl-101 - a novel triple kinase inhibitor in rats. *Arzneimittelforschung*. 2012 Jan;62(1):27-34.

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

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