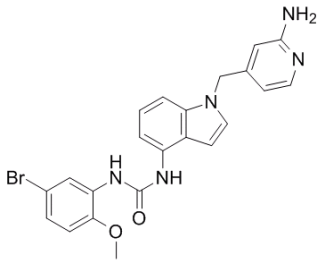
Data Sheet

Product Name:	JI–101
Cat. No.:	HY-16265
CAS No.:	900573-88-8
Molecular Formula:	$C_{22}H_{20}BrN_5O_2$
Molecular Weight:	466.33
Target:	Ephrin Receptor; PDGFR; VEGFR
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO



BIOLOGICAL ACTIVITY:

JI–101 is an orally available multi–kinase inhibitor of **VEGFR2**,**PDGFRβ** and **EphB4** with potent anti–cancer activity.
IC50 & Target: VEGFR2, PDGFRβ, EphB4[1]
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[1].

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

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: [1]Rat: Pharmacokinetics and bioavailability assessment of JI–101 are evaluated in a preliminary parallel–group study in male S.D. rats. Four rats (195–210 g) per route receive JI–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 μL) 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±10 °C until analysis[1].

References:

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

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