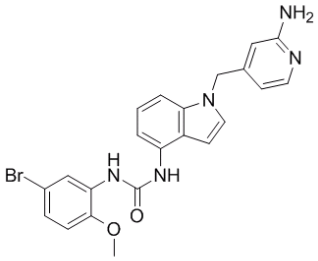
Data Sheet

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; Protein Tyrosine Kinase/RTK; Protein Tyrosine Kinase/RTK
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

JI–101 is an oral multi–kinase inhibitor that targets vascular endothelial growth factor receptor type 2 (VEGFR–2), platelet derived growth factor receptor β (PDGFR–β), and ephrin type–B receptor 4 (EphB4). JI–101 inhibits angiogenesis, and subsequently tumor growth. [1]

In vitro :Caco–2 human intestinal epithelial cells were plated in 24–Transwell dual chamber plates (cell density of 500 000 cells/cm2 on day–1). The permeability studies were conducted with the monolayers cultured for 20–23 days in culture. The integrity of each Caco–2 cell monolayer was certified by trans–epithelial electrical resistance (TEER) test (pre–experiment) and by determining the permeability of reference compounds3H–propranolol (high permeability) and 3H–mannitol (low permeability). In addition, the reference substrate 3H–vinblastine was included as a positive control P–gp substrate. The concentration of JI–101 used in the assay was 10 and 100 uM. All the stocks were made in DMSO and the final concentration of DMSO in spiking solution was 0.5%. [2]

in vivo: For oral administration JI–101 was formulated as a suspension with 20 µL of Tween–80 and 0.5% methyl cellulose. Pharmacokinetics and bioavailability assessment of JI–101 were evaluated in a preliminary parallel–group study in male S.D. rats. Four rats (195–210 g) per route received JI–101 at a dose of 3 and 30 mg/kg for i.v. (via tail vein) and oral dose (by gavage), respectively.[2]

References:

[1]. Mark L. Wade, Neeraj Agarwal et al. A pilot study of JI–101, an inhibitor of VEGFR–2, PDGFR–β, and EphB4 receptors, in combination with everolimus and as a single agent in an ovarian cancer expansion cohort. Investigational New Drugs, December 2015, Volume 33, Issue 6, pp 1217–1224

[2]. S. D. Gurav, Jeniffer et al. Pharmacokinetics, Tissue Distribution and Identification of Putative Metabolites of JI–101 – A Novel Triple Kinase Inhibitor in Rats. Arzneimittelforschung 2012; 62(01): 27–34.

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