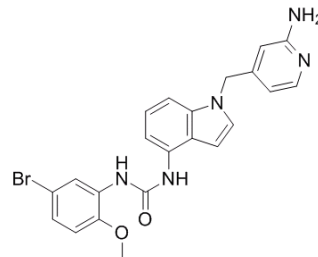


Data Sheet

Product Name:	JI-101
Cat. No.:	HY-16265
CAS No.:	900573-88-8
Molecular Formula:	C ₂₂ H ₂₀ BrN ₅ O ₂
Molecular Weight:	466.33
Target:	Ephrin Receptor; PDGFR; VEGFR
Pathway:	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 compounds 3H-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 μ M. 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 μ L 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.

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

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