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产品名称：1-[1-[(2-氨基吡啶-4-基)甲基]-1H-吲哚-4-基]-3-(5-溴-2-甲氧基苯基)脲

产品别名：JI-101

生物活性：

Description	JI-101 is an orally available multi-kinase inhibitor of VEGFR2， PDGFR β and EphB4 with potent anti-cancer activity.
IC_{50} & 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[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].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (214.44 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (5.36 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.36 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

	2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.36 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.36 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.36 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.36 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Animal Administration	Rats: 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_2EDTA 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.