产品名称：MGCD-265
产品别名：MGCD-265 analog

生物活性：

Description

MGCD-265-analog (structurally related to MGCD-265) is an orally bioavailable multitargeted tyrosine kinase inhibitor with potential antineoplastic activity with IC50 of 29 nM and 10 nM for c-Met and VEGFR2, respectively. IC50 value:10 nM (VEGFR2), 29 nM(c-Met) [1] Target:VEGFR, c-Met in vivo: MGCD-265-analog has a reasonable half-life, 1.2 h in rats and 5.8 h in dogs, and has an acceptable clearance, 0.33 L/(kg h) in rats and 1.1 L/(kg h) in dogs. The steady state volume of distribution was low in rats (0.25 L/kg) and reasonable in dogs (1.5 L/kg), while the oral bio-availability was determined to be 12% and 42% in rats and dogs, respectively. GCD-265-analog performed well in vivo against a panel of different human tumor types, particularly those that are driven by or overexpress c-Met (MNNGHOS and MKN45). Tumor growth inhibition at a dose of 20 mg/kg po once daily ranged from 41% to 94%. MGCD-265-analog was found to show spill-over inhibition of a number of kinases in addition to the intended c-Met/VEGFR2 activity. MGCD-265-analog has significant antitumor activity in vivo.[1]

IC_{50} & Target

VEGFR2	c-Met
10 nM (IC_{50})	29 nM (IC_{50})

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (193.20 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9320 mL	9.6600 mL	19.3199 mL
	5 mM	0.3864 mL	1.9320 mL	3.8640 mL
	10 mM	0.1932 mL	0.9660 mL	1.9320 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: ≥ 2.75 mg/mL (5.31 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (5.31 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 27.5 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: ≥ 2.75 mg/mL (5.31 mM); Clear solution

	此方案可获得 ≥ 2.75 mg/mL (5.31 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 27.5 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: ≥ 2.75 mg/mL (5.31 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (5.31 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 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Claridge S, et al. Discovery of a novel and potent series of thieno[3,2-b]pyridine-based inhibitors of c-Met and VEGFR2 tyrosine kinases. Bioorg Med Chem Lett. 2008 May 1;18(9):2793-8.