产品名称：**Zibotentan (ZD4054)**
产品别名：齐泊腾坦

生物活性：

Description

Zibotentan (ZD4054) is an orally administered, potent and specific ETA-receptor (endothelin A receptor) antagonist (IC50 = 21 nM). IC50 value: 21 nM Target: ETA receptor Zibotentan is capable of inhibiting or reducing the multitude of effects that are evoked by ET-1 activation of the ETA receptor and which promote tumor survival, growth and progression. Zibotentan (ZD4054) treatment produced significant inhibition of tumor growth in ovarian and breast xenografts.

Solvent&Solubility

In Vitro:

DMSO : 25 mg/mL (**58.90 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.3561 mL	11.7805 mL	23.5610 mL
	5 mM	0.4712 mL	2.3561 mL	4.7122 mL
	10 mM	0.2356 mL	1.1781 mL	2.3561 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 →90% corn oil

Solubility: ≥ 2.5 mg/mL (5.89 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.89 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]. Clarkson-Jones JA, Kenyon AS, Kemp J, Lenz EM, Oliver SD, Swaisland H.,Disposition and metabolism of the specific endothelin A receptor antagonist zibotentan (ZD4054) in healthy volunteers.,Xenobiotica. 2012 Apr;42(4):363-71. Epub 2011 Oct 20.

[2]. Li J, Liu Y, Qian J, Wu L, Kemp J, Nii M, Tomkinson H, Zuo Y, Ranson M, Usami M.,Single- and multiple-dose pharmacokinetics, safety and tolerability of zibotentan (ZD4054) in Chinese men with advanced solid tumors.,Cancer Chemother Pharmacol. 2012 Jul;70(1):57-63. Epub 2012 May 22.

[3]. Nelson JB, Fizazi K, Miller K, Higano C, Moul JW, Akaza H, Morris T, McIntosh S, Pemberton K, Gleave M.,Phase 3, randomized, placebo-controlled study of zibotentan (ZD4054) in patients with castration-resistant prostate cancer metastatic to bone. ,Cancer. 2012 Jul 11. doi: 10.1002/cncr.27674.

	[4]. Tomkinson H, Kemp J, Oliver S, Swaisland H, Taboada M, Morris T.,Pharmacokinetics and tolerability of zibotentan (ZD4054) in subjects with hepatic or renal impairment: two open-label comparative studies.,BMC Clin Pharmacol. 2011 Mar 17;11:3.

源叶生物