上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：立福康唑
产品别名：Ravuconazole；雷夫康唑；BMS-207147; ER-30346

生物活性：

Description	Ravuconazole (BMS-207147;ER-30346) is an orally available triazoleantifungle agent that potently inhibits a wide range of fungi.
IC_{50} & Target	Fungal[1]
In Vitro	Ravuconazole shows a broad spectrum of activity against a wide range of fungi covering Candida spp., Trichosporon beigelii, C. neoformans and A. fumigatus. The MIC90 ranges from 0.025 to 0.39 mg/mL.Ravuconazoleshows relatively higher levels of activity against three strains of Candida krusei, with MICs ranging from 0.05 to 0.39 mg/mL.Ravuconazoleshows good activity against T. mentagrophytes, T. rubrum, M. gypseum and M. caniswith MICs ranging from 0.05 to 0.39 mg/mL[1]. Ravuconazoleis about two- to four fold more potent than itraconazole and about 40-fold more active than fluconazole against yeasts. Ravuconazoleand itraconazoleare inhibitory to most aspergilli, and against half of the isolates, the activity iscidal. Ravuconazoleand itraconazoleare active, though not cidal, against most hyaline Hyphomycetes, dermatophytes, and the dematiaceous fungi and inactive against Sporothrix schenckii and zygomycetes[2].
In Vivo	The maximum concentration of ravuconazole in plasma and the area under the concentration-time curve for ravuconazoleshow good linearity over a range of doses from 2 to 40 mg/kg of body weight. Ravuconazole at a dose of 2.5 mg/kg delays mortality significantly compared with the control treatment.Ravuconazole also shows a substantial therapeutic effect against systemic cryptococcosis[1]. Ravuconazole reduces the numbers of CFU in the lungs significantly compared with the numbers of CFU in the lungs of the controls. In an experimental model of oral candidiasis in rats, ravuconazole reduces the numbers of CFU in oral swabs significantly compared with the numbers of CFU in oral swabs from the controls and is more effective than itraconazole and as effective as fluconazole.[3].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 50 mg/mL (114.29 mM)** **H_2O : < 0.1 mg/mL** (insoluble) * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2859 mL	11.4294 mL	22.8587 mL
	5 mM	0.4572 mL	2.2859 mL	4.5717 mL
	10 mM	0.2286 mL	1.1429 mL	2.2859 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 (5.71 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.71 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]. Hata K, et al. In vitro and in vivo antifungal activities of ER-30346, a novel oral triazole with a broad antifungal spectrum.Antimicrob Agents Chemother. 1996 Oct;40(10):2237-42. [2]. Fung-Tomc JC, et al. In vitro activity of a new oral triazole, BMS-207147 (ER-30346)Antimicrob Agents Chemother. 1998 Feb;42(2):313-8. [3]. Hata K, et al. Efficacy of ER-30346, a novel oral triazole antifungal agent, in experimental models of aspergillosis, candidiasis, and cryptococcosis.Antimicrob Agents Chemother. 1996 Oct;40(10):2243-7.

实验参考：

Animal Administration	Mouse[1] Ravuconazole is prepared in 10% DMSO in 0.5% CMC.*C. neoformans* No. 3 is grown on an SDA plate at 30°Cfor 48 h, and challenge organisms are prepared in sterile saline. Mice (age, 5 weeks; n 5 10) are infected via the tail vein. Ravuconazole are orally administered, in a volume of 0.2 mL per dose, twice daily for 5 consecutive days starting 1 h after infection. Controls receive 10% DMSO in 0.5% CMC. Ravuconazole are administered at doses of 8 and 32 mg/kg. Mortality is recorded daily for 21 days of infection. Drug efficacy is assessed by determining the delay in mortality. Rats[3] The rats are orally infected three times at 48-h intervals with 0.1 mL of a saline suspension containing cells of *C. albicans*E81022. Ravuconazoleis orally administered, in a volume of 0.5 mL per dose, once daily for 3 consecutive days starting 2 days after the last infection. Control groups receive 10% DMSO in 0.5% CMC. Drugs are administered at doses of 1 and 4 mg/kg. Drug efficacy is assessed 5 days after the last infection by measuring the number of *C. albicans*organisms in oral swabs.
References	[1]. Hata K, et al. In vitro and in vivo antifungal activities of ER-30346, a novel oral triazole with a broad antifungal spectrum.Antimicrob Agents Chemother. 1996 Oct;40(10):2237-42. [2]. Fung-Tomc JC, et al. In vitro activity of a new oral triazole, BMS-207147 (ER-30346)Antimicrob Agents Chemother. 1998 Feb;42(2):313-8. [3]. Hata K, et al. Efficacy of ER-30346, a novel oral triazole antifungal agent, in experimental models of aspergillosis, candidiasis, and cryptococcosis.Antimicrob Agents Chemother. 1996 Oct;40(10):2243-7.