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：Siramesine (hydrochloride)
产品别名：西拉美新盐酸盐；Lu 28-179 hydrochloride

生物活性：

Description

Siramesine hydrochloride (Lu 28-179 hydrochloride) is a selective sigma-2 receptor agonist, which has been shown to trigger cell death of cancer cells and to exhibit a potent anticancer activity in vivo. IC50 value: Target: sigma-2 receptor; lysosome-destabilizing agent siramesine can induce rapid cell death in a number of cell lines at concentrations above 20 μM. In HaCaT cells, cell death was accompanied by caspase activation, rapid loss of mitochondrial membrane potential (MMP), cytochrome c release, cardiolipin peroxidation and typical apoptotic morphology, whereas in U-87MG cells most apoptotic hallmarks were not notable, although MMP was rapidly lost [1]. Siramesine, a sigma-2 receptor agonist originally developed as an anti-depressant, can induce cell death in transformed cells through a mechanism involving lysosomal destabilization [2]. in vivo: SA4503 or siramesine given jointly with MEM (as well as with AMA) decreased the immobility time in rats. The effect of SA4503 and AMA co-administration was antagonized by progesterone, a sigma1 receptor antagonistic neurosteroid. Combined treatment with siramesine and AMA was modified by neither progesterone nor BD1047 (a novel sigma antagonist with preferential affinity for sigma1 sites) [3]

Solvent&Solubility

In Vitro:

DMSO : ≥ 42 mg/mL (85.53 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0365 mL	10.1825 mL	20.3649 mL
	5 mM	0.4073 mL	2.0365 mL	4.0730 mL
	10 mM	0.2036 mL	1.0182 mL	2.0365 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 (5.09 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.09 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 (5.09 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.09 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 (5.09 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.09 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]. Cesen MH, et al. Siramesine triggers cell death through destabilisation of mitochondria, but not lysosomes. Cell Death Dis. 2013 Oct 3;4:e818. [2]. Spirkoski J, et al. Mast cell apoptosis induced by siramesine, a sigma-2 receptor agonist. Biochem Pharmacol. 2012 Dec 15;84(12):1671-80. [3]. Skuza G, et al. The synergistic effect of selective sigma receptor agonists and uncompetitive NMDA receptor antagonists in the forced swim test in rats. J Physiol Pharmacol. 2006 Jun;57(2):217-29.