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：SA4503 (dihydrochloride)
产品别名：Cutamesine dihydrochloride；AGY94806 dihydrochloride

生物活性：

Description	Cutamesine dihydrochloride (SA4503 dihydrochloride; AGY94806 dihydrochloride) is a potent Sigma 1 receptor agonist with an IC_{50} of 17.4 nM in guinea pig brain membranes.
IC_{50} & Target	IC50: 17.4 nM (σ1receptor, guinea pig brain membranes)[1]
In Vitro	The sigma receptor might be involved in several diseases in the central nervous system. Cutamesine, a potent σ1receptor agonist, has 103-fold higher affinity for σ1 (IC_{50}=17.4 nM) than σ2 (IC_{50}=1,784 nM) sites in guinea pig brain membranes. Cutamesine is 14-fold selective for σ1 (K_i=4.6 nM) over σ2 (K_i=63.1 nM) sites in guinea pig brain homogenates[1]. Cutamesine protects motor neuron NSC34 cells against superoxide dismutase 1 and serum free neurotoxicity. It upregulates the phosphorylation levels of Akt and extracellular signal-regulated kinase (ERK) 1/2[2]. Cutamesine reduces the activation of the MAPK/ERK pathway and down-regulated the ionotropic glutamate receptor, GluR1[3].
In Vivo	Cutamesine extends the survival time in the SOD1G93A mice[2].

Solvent&Solubility

In Vitro:

DMSO : 30 mg/mL (67.96 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2654 mL	11.3268 mL	22.6536 mL
	5 mM	0.4531 mL	2.2654 mL	4.5307 mL
	10 mM	0.2265 mL	1.1327 mL	2.2654 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: ≥ 1 mg/mL (2.27 mM); Clear solution

此方案可获得 ≥ 1 mg/mL (2.27 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 10.0 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: ≥ 1 mg/mL (2.27 mM); Clear solution

此方案可获得 ≥ 1 mg/mL (2.27 mM，饱和度未知) 的澄清溶液。

	以 1 mL 工作液为例，取 100 μL 10.0 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: ≥ 1 mg/mL (2.27 mM); Clear solution 此方案可获得 ≥ 1 mg/mL (2.27 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 10.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Lever JR, et al. Sigma1 and sigma2 receptor binding affinity and selectivity of SA4503 and fluoroethyl SA4503. Synapse. 2006 May;59(6):350-8. [2]. Tuerxun T, et al. SA4503, a sigma-1 receptor agonist, prevents cultured cortical neurons from oxidative stress-induced cell death via suppression of MAPK pathway activation and glutamate receptor expression. Neurosci Lett. 2010 Jan 29;469(3):303-8.

实验参考：

Cell Assay	The NSC34 cells are seeded at a density of 7000 cells per well into 96-well plates with D-MEM and transfected using Lipofectamine 2000 mixed with 2 μg /mL of plasmid vector in D-MEM for 6 h. After 6 h, the cell-culture medium is replaced with fresh D-MEM and culture and allowed to proceed for a further 42 h. The cells are then transferred to serum-free D-MEM and immediately treated with Cutamesine at a final concentration of 1, 3, or 10 nM[2].
Animal Administration	Mice: Transgenic female mice overexpressing mutated human $SOD1^{G93A}$ are used in the study. Cutamesine is dissolved in saline and subcutaneously administered at a dose of 1 mg/kg once daily to 5-week-old $SOD1^{G93A}$mice to the time of death. In a control group, vehicle (saline) is subcutaneously administered at 10 ml/kg[2].
References	[1]. Lever JR, et al. Sigma1 and sigma2 receptor binding affinity and selectivity of SA4503 and fluoroethyl SA4503. Synapse. 2006 May;59(6):350-8. [2]. Tuerxun T, et al. SA4503, a sigma-1 receptor agonist, prevents cultured cortical neurons from oxidative stress-induced cell death via suppression of MAPK pathway activation and glutamate receptor expression. Neurosci Lett. 2010 Jan 29;469(3):303-8.