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：卡立泊来德
产品别名：Cariporide； HOE-642

生物活性：

Description	Cariporide (HOE-642) is a selective Na^+/H^+ exchange inhibitor.
In Vitro	Cariporide significantly suppresses markers of cell death, such as TUNEL positivity and caspase-3 cleavage, at 8 or 16 hours. Cariporide remarkably suppresses cytosolic Na^+ and Ca^{2+} accumulation. Cariporide prevents mitochondrial membrane potential loss induced by $H^{2+}O^{2+}$[1]. Cariporide (HOE-642) ameliorates myocardial ischemia/reperfusion injury, by the well-established reduction of cytosolic Ca^{2+} in cardiac myocytes through inhibition of Na^+/H^+ exchange[2]. Cariporide (HOE-642), has inhibitory effects on the degranulation of human platelets, the formation of platelet–leukocyte-aggregates, and the activation of the GPIIb/IIIa receptor (PAC-1)[3].
In Vivo	Intravenous administration of cariporide significantly decreases brain Na^+ uptake and reduces cerebral edema, brain swelling, and infarct volume[4].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (352.92 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5292 mL	17.6460 mL	35.2920 mL
	5 mM	0.7058 mL	3.5292 mL	7.0584 mL
	10 mM	0.3529 mL	1.7646 mL	3.5292 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 (8.82 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.82 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 (8.82 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.82 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 (8.82 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.82 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]. Teshima Y, et al. Cariporide (HOE642), a selective Na+-H+ exchange inhibitor, inhibits the mitochondrial death pathway. Circulation. 2003 Nov 4;108(18):2275-81. [2]. Chang HB, et al. Na(+)/H(+) exchanger in the regulation of platelet activation and paradoxical effects of cariporide. Exp Neurol. 2015 Oct;272:11-6. [3]. O'Donnell ME, et al. Intravenous HOE-642 reduces brain edema and Na uptake in the rat permanent middle cerebral artery occlusion model of stroke: evidence for participation of the blood-brain barrier Na/H exchanger. J Cereb Blood Flow Metab. 2013 Feb;33(2):225-34.

实验参考：

Cell Assay	Neonatal rat cardiomyocytes are randomly separated into groups: (1) control group, (2) incubation with 100 μM hydrogen peroxide, or (3) pretreatment with 10 μM cariporide for 20 minutes followed by 100 μM hydrogen peroxide. Caspase-3 activity is measured by detection of the cleavage of a colorimetric caspase-3 substrate, N-acetyl-Asp-Glu-Val-Asp-p-nitroaniline, using an assay kit[1].
Animal Administration	Rats: Cariporide and/or bumetanide are administered intravenously (15 or 30 mg/kg in 2 to 4 doses, respectively, of 7.5 mg/kg) starting at 20 minutes before initiation of pMCAO. For neurologic outcome experiments, some rats are given cariporide and/or bumetanide by a single intraperitoneal injection[4].
References	[1]. Teshima Y, et al. Cariporide (HOE642), a selective Na+-H+ exchange inhibitor, inhibits the mitochondrial death pathway. Circulation. 2003 Nov 4;108(18):2275-81. [2]. Chang HB, et al. Na(+)/H(+) exchanger in the regulation of platelet activation and paradoxical effects of cariporide. Exp Neurol. 2015 Oct;272:11-6. [3]. O'Donnell ME, et al. Intravenous HOE-642 reduces brain edema and Na uptake in the rat permanent middle cerebral artery occlusion model of stroke: evidence for participation of the blood-brain barrier Na/H exchanger. J Cereb Blood Flow Metab. 2013 Feb;33(2):225-34.