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产品名称：Teprenone
产品别名：替普瑞酮；Geranylgeranylacetone

生物活性：

Description	Teprenone is an anti-ulcer drug, and works as an inducer of heat shock proteins (HSPs).
IC_{50} & Target	HSP
In Vitro	Teprenone is an inducer of HSPs. Teprenone (Geranylgeranylacetone, 1 μM) significantly prevents ethanol-induced exfoliation, and reduces lactate dehydrogenase (LDH) release in gastric mucosal cells. Teprenone (1 μM) gradually increases HSC70 level, and rapidly accumulates the stress-inducible HSP90, HSP70, and HSP60 concentrations within 30-60 min. Teprenone also activates the heat shock factor 1[1]. Teprenone (0-20 μM) slightly increases human umbilical vein endothelial cell (HUVEC) viability following irradiation (IR). Teprenone (10 μM) exhibits no effects on HUVEC migration and invasion, but enhances HUVEC tube formation and wound healing both with and without IR. Teprenone (10 μM) also promotes angiogenesis by inducing VEGF and eNOS expression in HUVECs[3].
In Vivo	Teprenone (200 mg/kg, p.o.) results in the accumulation of HSP70 mRNA in rats, and the accumulation is enhanced by stress addition in the mucosa of Teprenone-pretreated rats compared with that of vehicle-pretreated rats. Teprenone (200 mg/kg, p.o.) markedly suppresses the ulcer formation after 2- and 4-hour stress loading in rats[1]. Teprenone (200 mg/kg daily) induces HSP72 in retinal ganglion cells (RGCs) from rat retinas. Teprenone significantly reduces the loss of RGCs (evaluated after intraocular pressure (IOP) elevation), lessens optic nerve damage, decreases the number of TUNEL-positive cells in the RGC layer, and increases HSP72 in a rat model of glaucoma[2]. Teprenone (200 mg/kg, p.o.) shows protective effect on radiation-induced intestinal injury in mice[3].
Solvent&Solubility	***In Vitro:*** **Ethanol : 50 mg/mL** (**151.26 mM;** Need ultrasonic) **DMSO : 5 mg/mL** (**15.13 mM;** Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0253 mL	15.1263 mL	30.2526 mL
	5 mM	0.6051 mL	3.0253 mL	6.0505 mL
	10 mM	0.3025 mL	1.5126 mL	3.0253 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 (stored under nitrogen)。-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: ≥ 0.5 mg/mL (1.51 mM); Clear solution 此方案可获得 ≥ 0.5 mg/mL (1.51 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 5.0 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% corn oil Solubility: ≥ 0.5 mg/mL (1.51 mM); Clear solution 此方案可获得 ≥ 0.5 mg/mL (1.51 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 5.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。 3.请依序添加每种溶剂： 10% EtOH→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.56 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 EtOH 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。 4.请依序添加每种溶剂： 10% EtOH→ 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (7.56 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (7.56 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 EtOH 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 5.请依序添加每种溶剂： 10% EtOH →90% corn oil Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.56 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 EtOH 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Hirakawa T, et al. Geranylgeranylacetone induces heat shock proteins in cultured guinea pig gastric mucosal cells and rat gastric mucosa. Gastroenterology. 1996 Aug;111(2):345-57. [2]. Caprioli J, et al. Retinal ganglion cell protection with geranylgeranylacetone, a heat shock protein inducer, in a rat glaucoma model. Trans Am Ophthalmol Soc. 2003;101:39-50; discussion 50-1. [3]. Han NK, et al. Geranylgeranylacetone Ameliorates Intestinal Radiation Toxicity by Preventing Endothelial Cell Dysfunction. Int J Mol Sci. 2017 Oct 7;18(10).

实验参考：

Cell Assay	Human umbilical vein endothelial cells (HUVECs) are seeded onto 48-well plates at a density of 1 × 10^2 cells/wellbefore Teprenone and/or radiation treatment. Cell viability is determined at 48 h after treatment using 0.5 mg/mL MTT solution in serum-free media. This solution is incubated with the cells for 2 h in the 37°C humidified atmosphere containing 5% CO_2. Then, the MTT solution is

	removed, and the cells are dissolved in 100 μL of DMSO. Optical densities of the supernatants are measured at 540 nm with an ELISA spectrophotometer[3].
Animal Administration	Male Wister strain rats weighing approximately 250 g are individually housed in wire-mesh cages in a room maintained at 23°C on a 12-hour light-dark cycle. Rats are allowed free access to a standard laboratory chow. Teprenone (200 mg/kg; as emulsion with 5% gum arabic and 0.008% α-tocopherol) or vehicle (5% gum arabic emulsion containing 0.008% α-tocopherol) is given orally in a volume of 5 mL/kg through a metal tubing attached to a 6-mL syringe. Two hours later, rats are placed in restraint cages and then vertically immersed in water at 23°C to the level of the xyphoid process. The rats are killed by decapitation at the indicated times[1].
References	[1]. Hirakawa T, et al. Geranylgeranylacetone induces heat shock proteins in cultured guinea pig gastric mucosal cells and rat gastric mucosa. Gastroenterology. 1996 Aug;111(2):345-57. [2]. Caprioli J, et al. Retinal ganglion cell protection with geranylgeranylacetone, a heat shock protein inducer, in a rat glaucoma model. Trans Am Ophthalmol Soc. 2003;101:39-50; discussion 50-1. [3]. Han NK, et al. Geranylgeranylacetone Ameliorates Intestinal Radiation Toxicity by Preventing Endothelial Cell Dysfunction. Int J Mol Sci. 2017 Oct 7;18(10).