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产品名称：N-丙戊酰基甘氨酰胺
产品别名：Valrocemide；丙戊塞胺；TV1901

生物活性：

Description	Valrocemide (TV1901) is a promising antiepileptic drug candidate that shows a broad spectrum of anticonvulsant activity.
In Vivo	In mice, valrocemide affords complete protection against maximal electroshock-, pentylenetetrazole-, picrotoxin-, and bicuculline-induced seizures and 6-Hz “psychomotor” seizures with median effective dose (ED50) values of 151, 132, 275, 248, and 237 mg/kg, respectively. Valrocemide is also effective in preventing sound-induced seizures in Frings audiogenic-seizure susceptible mice (ED50, 52 mg/kg). The median neurotoxic dose in mice is 332 mg/kg. After oral administration to rats, valrocemide is active in the MES test, with an ED50 of 73 mg/kg, and the median neurotoxic dose is 1,000 mg/kg. Intraperitoneal administration of 300 mg/kg of valrocemide to hippocampal kindled Sprague–Dawley rats block generalized seizures and shorten the afterdischarge duration significantly. Valrocemide also provides complete protection from focal seizures in the corneally kindled rats (ED50, 161 mg/kg)[1].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 100 mg/mL (499.30 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.9930 mL	24.9650 mL	49.9301 mL
	5 mM	0.9986 mL	4.9930 mL	9.9860 mL
	10 mM	0.4993 mL	2.4965 mL	4.9930 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: ≥ 2.75 mg/mL (13.73 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (13.73 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 27.5 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: ≥ 2.75 mg/mL (13.73 mM); Clear solution

	此方案可获得 ≥ 2.75 mg/mL (13.73 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 27.5 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: ≥ 2.75 mg/mL (13.73 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (13.73 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 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Isoherranen N, et al. Anticonvulsant profile of valrocemide (TV1901): a new antiepileptic drug. Epilepsia. 2001 Jul;42(7):831-6.

实验参考：

Animal Administration	Rats: Effect of valrocemide on the afterdischarge threshold in hippocampal kindled rats is evaluated in rats kindled according to this procedure. On the day of the test, the individual rat's afterdischarge threshold is determined by increasing the current intensity stepwise until the rat displayed an electrographic afterdischarge with duration of 4 s. For afterdischarge threshold determination, the initial stimulation is conducted at 20 μA and increased in 10-μA increments every 1–2 min until an afterdischarge is elicited. After administration of valrocemide, the individual rat's afterdischarge threshold is redetermined at times 0.5, 1, 2, and 4 h; ADD and BSS are recorded at each time point and compared with the control values obtained before drug administration. The criteria for seizure scoring is as described earlier for corneally kindled animals[1]. Mice: The intravenous (i.v.) pentylenetetrazole seizure threshold test (i.v. Met) also is used. At the TPE of valrocemide, infusion (0.34 ml/min) of 0.15% heparinized solution of pentylenetetrazole (0.5%) is started into the tail vein of a mouse, and the times to the appearance of the first myoclonic jerk and the subsequent sustained clonic seizure are recorded. A group of 10 drug-treated (132 mg/kg valrocemide, i.p.) mice is compared with 10 vehicle-treated controls. The time is converted to the dose of pentylenetetrazole in mg/kg. The i.v. Met test is performed according to the same procedure also after prolonged administration of valrocemide daily, i.p. (132 mg/kg) for 5 consecutive days[1].
References	[1]. Isoherranen N, et al. Anticonvulsant profile of valrocemide (TV1901): a new antiepileptic drug. Epilepsia. 2001 Jul;42(7):831-6.