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产品名称：异去甲槟榔次碱盐酸盐
产品别名：Isoguvacine hydrochloride ；异四氢烟酸

生物活性：

Description	Isoguvacine hydrochloride is a GABA receptor agonist.
IC_{50} & Target	GABA[1]
In Vitro	Isoguvacine binds to a mouse forebrain synaptic membrane preparation. The specific binding is displaceable by GABA, muscimol and bicuculline but not by picrotoxin or diaminobutyric acid. Kinetic data suggest two binding affinities. Highest levels of binding are observed in the cerebellum, cortex and hippocampus[1]. Isoguvacine binds to membrane preparations of rat forebrain with pharmacological characteristics similar to the postsynaptic GABA recognition site: that it is transported into synaptosomal preparations by an uptake system similar to the high-affinity GABA uptake system; and that recently accumulated isoguvacine is released in a Ca^{2+}-dependent manner and by heteroexchange with external GABA[2]. Isoguvacine at a concentration of 50 μM blocks the seizure like events in 2 out of 6 organotypic hippocampal slice cultures. Isoguvacine inhibits the low magnesium induced seizure like events dose dependently[3].

Solvent&Solubility

In Vitro:

DMSO： ≥ 30 mg/mL (183.37 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	6.1125 mL	30.5623 mL	61.1247 mL
5 mM	1.2225 mL	6.1125 mL	12.2249 mL
10 mM	0.6112 mL	3.0562 mL	6.1125 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 (15.28 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (15.28 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 (15.28 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (15.28 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 (15.28 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (15.28 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]. Morin AM, et al. The binding of 3H-isoguvacine to mouse brain synapticmembranes. Life Sci. 1980 Apr 14;26(15):1239-45. [2]. White WF, et al. Isoguvacine binding, uptake, and release: relation to the GABA system. J Neurochem. 1983 Jun;40(6):1701-8. [3]. Wahab A, et al. Effects of gamma-aminobutyric acid (GABA) agonists and a GABA uptake inhibitor on pharmacoresistant seizure like events in organotypic hippocampal slice cultures. Epilepsy Res. 2009 Oct;86(2-3):113-23.

实验参考：

Kinase Assay	The assay for ^{3}H-Isoguvacine binding is carried out as follows: membranes suspended in Tris-citrate buffer pH 7.1 are incubated at 4°C for 10 min with 8 nM ^{3}H-Isoguvacine. Identical samples are incubated in the presence of cold 0.5 mM GABA or 10 μM cold isoguvacine. The assay is terminated by centrifugation for 10 min at 4°C in a micro-centrifuge. The supernatants are rapidly aspirated and the surface of the pellets washed (2x) with ice-cold buffer. The pellet is resuspended in l00 μL of 0.1% Triton and placed in a scintillation vial. The assay tube is washed with l00 μL of distilled water and the wash added to the vial along with 10 ml of PCS: xylene (2:1). Counting efficiency is determined to be 40-45% in a Beckman liquid scintillation counter. Specific binding is defined as those radioactive cpm of bound ^{3}H-Isoguvacine that are displaced by excess cold isoguvacine or GABA[1].
References	[1]. Morin AM, et al. The binding of 3H-isoguvacine to mouse brain synapticmembranes. Life Sci. 1980 Apr 14;26(15):1239-45. [2]. White WF, et al. Isoguvacine binding, uptake, and release: relation to the GABA system. J Neurochem. 1983 Jun;40(6):1701-8. [3]. Wahab A, et al. Effects of gamma-aminobutyric acid (GABA) agonists and a GABA uptake inhibitor on pharmacoresistant seizure like events in organotypic hippocampal slice cultures. Epilepsy Res. 2009 Oct;86(2-3):113-23.