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产品名称：纳曲吲哚盐酸盐
产品别名：Naltrindole hydrochloride

生物活性：

Description	Naltrindole hydrochloride is a highly potent and selective non-peptide δ opioid receptor antagonist with a Ki of 0.02 nM.
IC_{50} & Target	Ki: 0.02 nM (δ opioid), 64 nM (μ opioid), 66 nM (κ opioid)[1]
In Vitro	Opioid drugs exert a wide spectrum of physiological and behavioral effects. These effects are mediated via membrane-bound receptors, of which the best characterized are the kappa, delta, and mu receptors[1]. Naltrindole inhibits the proliferation of cultured human U266 MM cells in a time- and dose-dependent manner with an EC50 of 16 μM. Treatment of U266 cells with naltrindole significantly decreases the level of the active, phosphorylated form of the kinases, extracellular signal-regulated kinase and Akt, which may be related to its antiproliferative activity[2]. Naltrindole inhibits growth and induces apoptosis in the three characteristic SCLC cell lines, NCI-H69, NCI-H345, and NCI-H510. Naltrindole treatment reduces constitutive phosphorylation of Akt/PKB on serine 473 and threonine 308 in cells and also its downstream effectors glycogen synthase kinase-3β and the Forkhead transcription factors AFX and FKHR[3].
In Vivo	Opioid drugs exert a wide spectrum of physiological and behavioral effects. These effects are mediated via membrane-bound receptors, of which the best characterized are the kappa, delta, and mu receptors[1]. Naltrindole inhibits the proliferation of cultured human U266 MM cells in a time- and dose-dependent manner with an EC50 of 16 μM. Treatment of U266 cells with naltrindole significantly decreases the level of the active, phosphorylated form of the kinases, extracellular signal-regulated kinase and Akt, which may be related to its antiproliferative activity[2]. Naltrindole inhibits growth and induces apoptosis in the three characteristic SCLC cell lines, NCI-H69, NCI-H345, and NCI-H510. Naltrindole treatment reduces constitutive phosphorylation of Akt/PKB on serine 473 and threonine 308 in cells and also its downstream effectors glycogen synthase kinase-3β and the Forkhead transcription factors AFX and FKHR[3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 188 mg/mL (416.89 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2175 mL	11.0875 mL	22.1749 mL
5 mM	0.4435 mL	2.2175 mL	4.4350 mL
10 mM	0.2217 mL	1.1087 mL	2.2175 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 (5.54 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.54 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 (5.54 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.54 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 (5.54 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.54 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]. Raynor K, et al. Pharmacological characterization of the cloned kappa-, delta-, and mu-opioid receptors. Mol Pharmacol. 1994 Feb;45(2):330-4. [2]. Mundra JJ, et al. Naltrindole inhibits human multiple myeloma cell proliferation in vitro and in a murine xenograft model in vivo. J Pharmacol Exp Ther. 2012 Aug;342(2):273-87. [3]. Chen YL, et al. Inhibition of akt/protein kinase B signaling by naltrindole in small cell lung cancer cells. [4]. Portoghese PS, et al. Naltrindole, a highly selective and potent non-peptide delta opioid receptor antagonist. Eur J Pharmacol. 1988 Jan 27;146(1):185-6. [5]. Fernández B, et al. Postnatal naltrindole treatments induce behavioural modifications in preweanling rats. Neurosci Lett. 2000 Mar 31;283(1):73-6.

实验参考：

Cell Assay	U266 cells are plated in 96-well plates at 2000 cells per well in 100 μL of RPMI 1640 medium, supplemented with 10% fetal bovine serum, 100 U/mL penicillin, and 100 μg/mL streptomycin sulfate. Cells are incubated in quadruplicate in the presence of the various antineoplastic agents to construct dose-response curves, alone or in combination with various doses of naltrindole. At the end of the incubation 10 μL of WST-1 cell proliferation reagent is added to each well, and the plates are returned to the incubator for 1 h. Absorbance is then measured[2].
	Rats: Effects of neonatal naltrindole treatments on open field activity is tested in 20-day old rats. The animals are injected chronically with saline or naltrindole (1 mg/kg, s.c.) (from birth to day 19), and 1 day after the discontinuation of this treatment are studied for the acute effects of naltrindole (1 mg/kg, i.p.)[5].

Animal Administration	Mice: Naltrindole is dissolved in distilled water to make a 3 mg/mL solution, and mice are injected with 10 mL/kg daily. Human RPMI 8226 multiple myeloma cells are inoculated subcutaneously into both flanks of SCID mice (10 million cells per flank). After 8 days, 12 mice are divided into two groups of six mice each: vehicle-injected and naltrindole-injected (30 mg/kg). Animals are dosed daily for 36 days, and body weights and xenograft tumors are measured twice a week with a digital caliper[2].
References	[1]. Raynor K, et al. Pharmacological characterization of the cloned kappa-, delta-, and mu-opioid receptors. Mol Pharmacol. 1994 Feb;45(2):330-4. [2]. Mundra JJ, et al. Naltrindole inhibits human multiple myeloma cell proliferation in vitro and in a murine xenograft model in vivo. J Pharmacol Exp Ther. 2012 Aug;342(2):273-87. [3]. Chen YL, et al. Inhibition of akt/protein kinase B signaling by naltrindole in small cell lung cancer cells. [4]. Portoghese PS, et al. Naltrindole, a highly selective and potent non-peptide delta opioid receptor antagonist. Eur J Pharmacol. 1988 Jan 27;146(1):185-6. [5]. Fernández B, et al. Postnatal naltrindole treatments induce behavioural modifications in preweanling rats. Neurosci Lett. 2000 Mar 31;283(1):73-6.