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产品名称：JNJ-31020028
产品别名：JNJ-31020028

生物活性：

Description

JNJ-31020028 is a selective brain penetrant antagonist of neuropeptide Y2 receptor with high affinity(pIC50=8.07, human; pIC50=8.22 rat); >100-fold selective versus human Y1/Y4/Y5 receptors. IC50 value: 8.07/8.22(human/rat pIC50) [1] Target: Y2 receptor antagonist in vitro: JNJ-31020028 was demonstrated to be an antagonist (pK(B) = 8.04 +/- 0.13) in functional assays [1]. in vivo: JNJ-31020028 occupied Y(2) receptor binding sites (approximately 90% at 10 mg/kg) after subcutaneous administration in rats [1]. Neither systemic (0, 15, 30, and 40 mg/kg, subcutaneously [s.c.]) nor intracerebroventricular (0.0, 0.3, and 1.0 nmol/rat) administration of JNJ-31020028 affected alcohol-reinforced lever pressing or relapse to alcohol seeking behavior following stress exposure. JNJ-31020028 (15 mg/kg, s.c.) did reverse the anxiogenic effects of withdrawal from a single bolus dose of alcohol on the elevated plus-maze, confirming the anxiolytic-like properties of NPY Y2 antagonism [2]. Chronic administration of JNJ-31020028 induced a decrease in immobility time in the forced swim test in OBX while had no effect in control animals [3].

Solvent&Solubility

In Vitro:

DMSO : 21.5 mg/mL (38.01 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7678 mL	8.8389 mL	17.6778 mL
	5 mM	0.3536 mL	1.7678 mL	3.5356 mL
	10 mM	0.1768 mL	0.8839 mL	1.7678 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 (4.42 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.42 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 (4.42 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.42 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 (4.42 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.42 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]. Shoblock JR, et al. In vitro and in vivo characterization of JNJ-31020028 (N-(4-{4-[2-(diethylamino)-2-oxo-1-phenylethyl]piperazin-1-yl}-3-fluorophenyl)-2-pyridin-3-ylbenzamide), a selective brain penetrant small molecule antagonist of the neuropeptide Y Y(2) receptor. Psychopharmacology (Berl). 2010 Feb;208(2):265-77. [2]. Cippitelli A, et al. The novel, selective, brain-penetrant neuropeptide Y Y2 receptor antagonist, JNJ-31020028, tested in animal models of alcohol consumption, relapse, and anxiety. Alcohol. 2011 Sep;45(6):567-76. [3]. Morales-Medina JC, et al. Chronic administration of the Y2 receptor antagonist, JNJ-31020028, induced anti-depressant like-behaviors in olfactory bulbectomized rat. Neuropeptides. 2012 Dec;46(6):329-34.