



上海源叶生物科技有限公司
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产品名称: ICILIN
产品别名: AG-3-5

生物活性:					
Description	Icilin(AG 3-5) is a synthetic super-agonist of TRPM8 ion channel. IC50 value: Target: TRPM8 in vitro: icilin, a super-cooling agent, down-regulated the expression of cell cycle signature genes and caused G1 arrest in PC-3 prostate cancer cells. icilin affected cell cycle-related transcriptional modules and identified E2F1 transcription factor as a target master regulator of icilin. icilin reduced the activity and expression levels of E2F1 [1]. Icilin concentration-response curves were significantly shifted to the right when pH was lowered from 7.3 to 6.9, whereas those with menthol were unaltered in solutions of pH 6.1 [2]. Icilin modulated the expression level of various cell cycle regulators at transcription or post-translational levels. In addition, icilin activated JNK and p38 kinase pathways, but not ERK [4]. in vivo: Rats injected with icilin (0.5, 1, 2.5, 5mg/kg, i.p.) displayed dose-related WDS that were inhibited by pretreatment with a fixed dose of clonidine (0.15 mg/kg, s.c.). Shaking behavior caused by a fixed dose (2.5mg/kg) of icilin was also inhibited in a dose-related manner by clonidine pretreatment (0.03-0.15 mg/kg, s.c.) and reduced by clonidine posttreatment (0.15 mg/kg, s.c.) [3].				
	In Vitro: DMSO : ≥ 54 mg/mL (173.47 mM) H₂O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	3.2124 mL	16.0622 mL	32.1244 mL
		5 mM	0.6425 mL	3.2124 mL	6.4249 mL
		10 mM	0.3212 mL	1.6062 mL	3.2124 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℃, 6 months; -20℃, 1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 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 (8.03 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.03 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。				
Solvent&Solubility					



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References

- [1]. Lee S, et al. Icilin inhibits E2F1-mediated cell cycle regulatory programs in prostate cancer. *Biochem Biophys Res Commun.* 2013 Nov 29;441(4):1005-10.
- [2]. Andersson DA, et al. TRPM8 activation by menthol, icilin, and cold is differentially modulated by intracellular pH. *J Neurosci.* 2004 Jun 9;24(23):5364-9.
- [3]. Kim J, et al. Icilin-evoked behavioral stimulation is attenuated by alpha-adrenoceptor activation. *Brain Res.* 2011 Apr 12;1384:110-7.
- [4]. Kim SH, et al. Icilin induces G1 arrest through activating JNK and p38 kinase in a TRPM8-independent manner. *Biochem Biophys Res Commun.* 2011 Mar 4;406(1):30-5.



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