上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：吲哚内酰胺 V
产品别名：(-)-Indolactam V；Indolactam V

生物活性：

Description

(-)-Indolactam V is a PKC activator, with K_is of 3.36 nM, 1.03 μM for η-CRD2 (PKCη surrogate peptide), γ-CRD2 (PKCγ surrogate peptide), and K_ds of 5.5 nM (η-C1B), 7.7 nM (ε-C1B), 8.3 nM (δ-C1B), 18.9 nM (β-C1A-long), 20.8 nM (α-C1A-long), 137 nM (β-C1B), 138 nM (γ-C1A), 213 nM (γ-C1B), and has antitumor activity.

IC_{50} & Target

PKCη-CRD2	PKCη-C1B	PKCε-C1B	PKCδ-C1B	PKCθ-C1B
3.36 nM (Ki)	5.5 nM (Kd)	7.7 nM (Kd)	8.3 nM (Kd)	8.7 nM (Kd)
PKCβ-C1A-long	PKCα-C1A-long	PKCβ-C1B	PKCγ-C1A	PKCγ-C1B
18.9 nM (Kd)	20.8 nM (Kd)	137 nM (Kd)	138 nM (Kd)	213 nM (Kd)
PKCγ-CRD2	PKCδ-C1A	PKCη-C1A	PKCα-C1B-long	PKCε-C1A
1030 nM (Ki)	1900 nM (Kd)	3770 nM (Kd)	4000 nM (Kd)	4110 nM (Kd)

In Vitro

(-)-Indolactam V is a PKC activator, with Kis of 3.36 nM, 1.03 μM for η-CRD2 (PKCη surrogate peptide), γ-CRD2 (PKCγ surrogate peptide), and has antitumor activity[1]. (-)-Indolactam V shows Kds of 5.5 nM (η-C1B), 7.7 nM (ε-C1B), 8.3 nM (δ-C1B), 18.9 nM (β-C1A-long), 20.8 nM (α-C1A-long), 137 nM (β-C1B), 138 nM (γ-C1A), 213 nM (γ-C1B), respectively[2]. (-)-Indolactam V (20 nM-5 μM) dose-dependently affects multiple hESC lines, such as HUES 2, 4 and 8. (-)-Indolactam V also increases the mRNA levels of Pdx1, HNF6, PTF1A, SOX9, HB9 and PROX1. In addition, (-)-Indolactam V (300 nM) functions in both mouse and human cells and confirms that some signals for pancreatic development[3].

Solvent&Solubility

In Vitro:

DMSO： 50 mg/mL (165.90 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3181 mL	16.5904 mL	33.1807 mL
	5 mM	0.6636 mL	3.3181 mL	6.6361 mL
	10 mM	0.3318 mL	1.6590 mL	3.3181 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 (8.30 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (8.30 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 (8.30 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.30 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 (8.30 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.30 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]. Nakagawa Y, et al. Synthesis and biological activities of indolactone-V, the lactone analogue of the tumor promoter (-)-indolactam-V. Biosci Biotechnol Biochem. 1997 Aug;61(8):1415-7. [2]. Masuda A, et al. Binding selectivity of conformationally restricted analogues of (-)-indolactam-V to the C1 domains of protein kinase C isozymes. Biosci Biotechnol Biochem. 2002 Jul;66(7):1615-7. [3]. Chen S, et al. A small molecule that directs differentiation of human ESCs into the pancreatic lineage. Nat Chem Biol. 2009 Apr;5(4):258-65.
实验参考：	
Cell Assay	For induced differentiation to endocrine or exocrine cells, the (-)-Indolactam V (300 nM)-treated populations are cultured in DMEM/F12 supplemented with 1 N_2, 2 mg/mL albumin fraction V and 10 ng/mL bovine FGF for the first 4 d. 10 mM nicotinamide is then added and maintained for an additional 8 d, changing the medium every 3 d[3].
References	[1]. Nakagawa Y, et al. Synthesis and biological activities of indolactone-V, the lactone analogue of the tumor promoter (-)-indolactam-V. Biosci Biotechnol Biochem. 1997 Aug;61(8):1415-7. [2]. Masuda A, et al. Binding selectivity of conformationally restricted analogues of (-)-indolactam-V to the C1 domains of protein kinase C isozymes. Biosci Biotechnol Biochem. 2002 Jul;66(7):1615-7. [3]. Chen S, et al. A small molecule that directs differentiation of human ESCs into the pancreatic lineage. Nat Chem Biol. 2009 Apr;5(4):258-65.