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：ICA-121431
产品别名：ICA-121431

生物活性：

Description	ICA-121431 is a nanomolar potent and broad-spectrum voltage-gated sodium channel (Na_v) blocker, shows equipotent selectivity for human $Na_v1.1$ and $Na_v1.3$ subtypes with IC_{50} values of 13 nM and 23 nM, respectively. ICA-121431 shows less potent inhibition of $Na_v1.2$ (IC_{50}=240 nM) and 1,000 fold selectivity against $Na_v1.4$, $Na_v1.6$, and the TTX-resistant human $Na_v1.5$ and $Na_v1.8$ channels (IC_{50}s >10 μM).
In Vitro	ICA-121431 interacts with human $Na_v1.3$ and the amino acid residues that may define selectivity for this channel over other related Na_v channels, including $Na_v1.7$ and Na_v 1.5. Data generated using conventional patch clamp electrophysiological recording using a pulse protocol whereby a 20-ms test pulse is preceded by an 8-s step to a voltage that inactivated half of the channels[1]. ICA-121431 is against Wild type $hNa_v1.3$ $hNa_v1.5$ $hNa_v1.7$ with IC_{50}s of 0.013 μM, >30 μM, 12 μM, respectively[1]. ICA-121431 is against hNa_v channels with point mutations,shows $hNa_v1.3$ M1 (S1510Y), $hNa_v1.3$ M2 (R1511W), $hNa_v1.3$ M3 (E1559D), $hNa_v1.3$ M1,3 (S1510Y/E1559D), $hNa_v1.3$ M2, 3 (R1511W/E1559D), $hNa_v1.3$ M1, 2, 3 (S1510Y/R1511W/E1559D), and $hNa_v1.7$ M1, 2, 3 (Y1537S/W1538R/D1586E) with IC_{50} values of 0.1 μM, 0.37 μM, 1.1 μM, 1.3 μM, 1.9 μM, 11.6 μM, 0.032 μM, respectively[1]. ICA-121431 is against hNa_v channels with point mutations,shows $hNa_v1.3$/$hNa_v1.5$ S1-S4, $hNa_v1.3$/$hNa_v1.5$ S3-S4, $hNa_v1.3$/$hNa_v1.5$ S5-S6, $hNa_v1.3$/$hNa_v1.7$ S1, $hNa_v1.3$/$hNa_v1.7$ S2, $hNa_v1.3$/$hNa_v1.7$ S3-S4, and $hNa_v1.3$/$hNa_v1.7$ S5-S6 with IC_{50} values of 0.083 μM, 1.2 μM, 11 μM, 2.0 μM, 0.045 μM, 0.030 μM, 0.30 μM, 1.0 μM, and 0.024 μM, respectively[1].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 44 mg/mL (97.88 mM)** **H_2O : < 0.1 mg/mL** (insoluble) * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2244 mL	11.1222 mL	22.2445 mL
5 mM	0.4449 mL	2.2244 mL	4.4489 mL
10 mM	0.2224 mL	1.1122 mL	2.2244 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 (5.56 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.56 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 (5.56 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (5.56 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 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 (5.56 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.56 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]. McCormack K, et al. Voltage sensor interaction site for selective small molecule inhibitors of voltage-gated sodium channels.Proc Natl Acad Sci U S A. 2013 Jul 16;110(29):E2724-32.