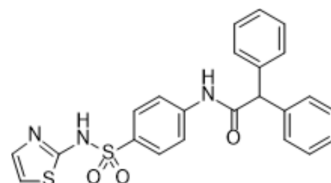


ICA-121431

Cat. No.:	HY-16787		
CAS No.:	313254-51-2		
Molecular Formula:	C ₂₃ H ₁₉ N ₃ O ₃ S ₂		
Molecular Weight:	449.55		
Target:	Sodium Channel		
Pathway:	Membrane Transporter/Ion Channel		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 44 mg/mL (97.88 mM)
 * "≥" means soluble, but saturation unknown.

Concentration	Mass		
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.5 mg/mL (5.56 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution

BIOLOGICAL ACTIVITY

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 IC₅₀ values of 13 nM and 23 nM, respectively. ICA-121431 shows less potent inhibition of Na_v1.2 (IC₅₀=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 (IC₅₀s >10 μM).

IC₅₀ & Target

hNa_v1.1

hNa_v1.3

hNa_v1.4

hNa_v1.6

	13 nM (IC ₅₀)	23 nM (IC ₅₀)	240 nM (IC ₅₀)	>10 μM (IC ₅₀)
	hNa _v 1.5 >10 μM (IC ₅₀)	hNa _v 1.8 >10 μM (IC ₅₀)		
In Vitro	<p>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].</p> <p>ICA-121431 is against Wild type hNa_v1.3 hNa_v1.5 hNa_v1.7 with IC₅₀s of 0.013 μM, >30 μM, 12 μM, respectively^[1].</p> <p>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 IC₅₀ 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].</p> <p>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 IC₅₀ 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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			

CUSTOMER VALIDATION

- Acta Biomater. 2022 Aug 27;S1742-7061(22)00527-X.
- iScience. 2019 Sep 27;19:623-633.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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