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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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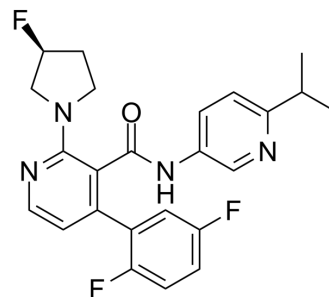
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Nav1.1 activator 1

Cat. No.:	HY-126429
CAS No.:	2332897-85-3
Molecular Formula:	C ₂₄ H ₂₃ F ₃ N ₄ O
Molecular Weight:	440.46
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 : 250 mg/mL (567.59 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.2704 mL	11.3518 mL	22.7035 mL
		5 mM		0.4541 mL	2.2704 mL	4.5407 mL
		10 mM		0.2270 mL	1.1352 mL	2.2704 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.72 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.08 mg/mL (4.72 mM); Suspended solution; Need ultrasonic					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.72 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Nav1.1 activator 1 (compound 4), a highly potent Na _v 1.1 activator with BBB penetration, increases decay time constant (tau) of Na _v 1.1 currents at 0.03 μM along with significant selectivity against Na _v 1.2, Na _v 1.5, and Na _v 1.6 ^[1] .
IC ₅₀ & Target	Na _v 1.1 ^[1] .
In Vivo	Nav1.1 activator 1 (compound 4) is a valuable Na _v 1.1 activator for further evaluation of pathophysiological functions of the Nav1.1 channel and has potential for therapeutic treatments of CNS diseases such as Dravet syndrome ^[1] .

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice ^[1] .
Dosage:	30 mg/kg (Pharmacokinetic Analysis).
Administration:	IP once (Exposure was measured at 1 h after administration).
Result:	Intraperitoneal administration (30 mg/kg) of compound resulted in sufficient brain exposure (193 ng/g 1 h after administration), which corresponded to 13 nM of free brain concentration comparable to the in vitro potency of the compound. Had a potential use as an in vivo tool to investigate whether this type of Na _v 1.1 activator can restore Na _v 1.1 functions and modify the disease state in animal models. Could penetrate the blood-brain barrier (BBB) in humans.

REFERENCES

[1]. Miyazaki T, et al. Discovery of novel 4-phenyl-2-(pyrrolidinyl)nicotinamide derivatives as potent Nav1.1 activators. Bioorg Med Chem Lett. 2019 Mar 15;29(6):815-820.

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

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