Data Sheet (Cat.No.T16891)



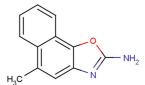
SKA-121

Chemical Properties

CAS No.: 1820708-73-3 Formula: C12H10N2O

Molecular Weight: 198.22 Appearance: N/A

Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	SKA-121 is a selective KCa3.1 activator (EC50s: 109 nM and 4.4 µM for KCa3.1 and KCa2.3, respectively).		
Targets(IC ₅₀)	KCa3.1: (EC50) 109 nM KCa2.3: 4.4 µM (EC50)		
In vitro	SKA-121 is a typical positive-gating modulator and shifts the calcium-concentration response curve of K0 the left. SKA-121 shows 41-fold selectivity for KCa3.1 (EC50 109 nM \pm 14 nM) over KCa2.3 (EC50 4.4 \pm 1.6 SKA-121 is 200- to 400-fold selective over representative KV (KV1.3, KV2.1, KV3.1, and KV11.1), NaV (NaV NaV1.4, NaV1.5, and NaV1.7), as well as CaV1.2 channels [1].		
In vivo	SKA-121 is relatively well soluble (logP=1.79) and can potentially be added to drinking water in animal experiments, it orally is also administered, and find that it has an oral availability of roughly 25%. SKA-121 has a short half-life (~20 minutes), and plasma decay is extremely rapid (21.3±2.4 µM at 5 minutes; 483±231 nM at 1 hour and 53±44 nM at 4 hours). SKA-121 can be used as a new KCa3.1 selective pharmacological tool compound despite its relatively short half-life in mice. SKA-121 (100 mg/kg i.p.) significantly lowers mean arterial blood pressure in normotensive and hypertensive wild-type but not in KCa3.1-/- mice in blood pressure telemetry experiments. A lower dose of SKA-121(30 mg/kg) does not produce significant alterations in the MAP [1].		

Solubility Information

Solubility	DMSO: 42.86 mg/mL (216.22 mM)
	(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	5.045 mL	25.224 mL	50.449 mL
5 mM	1.009 mL	5.045 mL	10.09 mL
10 mM	0.504 mL	2.522 mL	5.045 mL
50 mM	0.101 mL	0.504 mL	1.009 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

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Reference

1. Coleman N, et al. New positive Ca2+-activated K+ channel gating modulators with selectivity for KCa3.1.Mol Pharmacol. 2014 Sep;86(3):342-57.

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