Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

SKA-121

Catalog No: tcsc7918

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1820708-73-3

Formula:
$C_{12}H_{10}N_2O$

Pathway:
Membrane Transporter/Ion Channel

Target:
Potassium Channel

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 42.86 mg/mL (216.22 mM)

Observed Molecular Weight:
198.22

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Product Description

SKA-121 is a selective **K_{Ca}3.1** activator. SKA-121 exhibits **EC_{50}**s of 109 nM and 4.4 μM for **K_{Ca}3.1** and **K_{Ca}2.3**, respectively.

IC50 & Target: EC50: 109 nM (K_{Ca}3.1), 4.4 μM (K_{Ca}2.3)[1]

In Vitro: SKA-121, a compound generated through an isosteric replacement approach. SKA-121 is a typical positive-gating modulator and shifts the calcium-concentration response curve of K_{Ca}3.1 to the left. SKA-121 displays 41-fold selectivity for K_{Ca}3.1 (EC_{50} 109 nM±14 nM) over K_{Ca}2.3 (EC_{50} 4.4 ± 1.6 μM). SKA-121 is 200- to 400-fold selective over representative K_V (K_V1.3, K_V2.1, K_V3.1, and K_V11.1), Na_V (Na_V1.2, Na_V1.4, Na_V1.5, and NaV1.7), as well as Ca_V1.2 channels[1].

In Vivo: In blood pressure telemetry experiments, SKA-121 (100 mg/kg i.p.) significantly lowers mean arterial blood pressure in normotensive and hypertensive wild-type but not in $K_{Ca}3.1^{-/-}$ mice. SKA-121 can be used as a new K_{Ca}3.1 selective pharmacological tool compound despite its relatively short half-life in mice. A lower dose of 30 mg/kg of SKA-121 does not produce significant alterations in MAP. The vehicle, peanut oil/DMSO (9:1 v/v, for SKA-121), does not cause significant alterations in MAP or HR. 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). Since 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%[1].