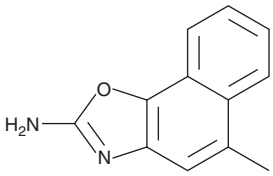
PRODUCT INFORMATION

SKA-121

Item No. 9003088

CAS Registry No.: 1820708-73-3
Formal Name: 5-methyl-naphth[2,1-d]oxazol-2-amine
MF: $C_{12}H_{10}N_2O$
FW: 198.2
Purity: ≥98%
UV/Vis.: λ_{max}: 253 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SKA-121 is supplied as a crystalline solid. A stock solution may be made by dissolving the SKA-121 in the solvent of choice, which should be purged with an inert gas. SKA-121 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SKA-121 is approximately 10 mg/ml in ethanol and approximately 50 mg/ml in DMSO and DMF.

SKA-121 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SKA-121 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SKA-121 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SKA-121 is a positive-gating modulator of intermediate-conductance calcium-activated potassium channels (IKCa1/K_{Ca}3.1) with an EC_{50} value of 109 nM using whole-cell patch-clamp electrophysiology with calcium in the internal solution.[1] It is selective for K_{Ca}3.1 over K_{Ca}2.1, K_{Ca}2.2 and K_{Ca}2.3 (EC_{50}s = 8,700, 6,800, and 4,400 nM, respectively).[2] It is also selective over K_{Ca}1.1 as well as voltage-gated potassium and sodium channels. SKA-121 (1 µM) potentiates calcium-evoked K_{Ca} currents by approximately 7-fold using whole-cell patch-clamp electrophysiology, an effect that can be blocked by the K_{Ca}3.1 inhibitor TRAM-34 (Item No. 23385).[1] It also potentiates calcium-evoked and basal K_{Ca} currents. In large porcine coronary arteries *ex vivo*, SKA-121 potentiates bradykinin-induced endothelium-dependent relaxation (EC_{50} = 7.9 nM). It also lowers mean arterial blood pressure (MAP) by approximately 20 and 25 mm Hg in normo- and hypertensive mice when used at a dose of 100 mg/kg but has no effect on MAP in K_{Ca}3.1 knockout mice.[2]

References

1. Oliván-Viguera, A., Valero, M.S., Pinilla, E., *et al.* Vascular reactivity profile of novel K_{Ca}3.1-selective positive-gating modulators in the coronary vascular bed. *Basic Clin. Pharmacol. Toxicol.* **119(2)**, 184-192 (2016).
2. Coleman, N., Brown, B.M., Oliván-Viguera, A., *et al.* New positive Ca^{2+}-activated K^+ channel gating modulators with selectivity for K_{Ca}3.1. *Mol. Pharmacol.* **86(3)**, 342-357 (2014).

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