VU0134992 hydrochloride

Cat. No.: HY-122560A

CAS No.: 1052515-91-9

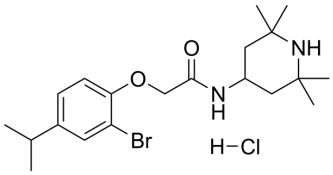
Molecular Formula: $C_{20}H_{32}BrClN_2O_2$

Molecular Weight: 447.84

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (558.24 mM; Need ultrasonic)
H_2O : 2.27 mg/mL (5.07 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2329 mL	11.1647 mL	22.3294 mL
5 mM	0.4466 mL	2.2329 mL	4.4659 mL
10 mM	0.2233 mL	1.1165 mL	2.2329 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 (4.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU0134992 hydrochloride is the first subtype-preferring, orally active and selective Kir4.1 potassium channel pore blocker, with an IC_{50} of 0.97 μM. VU0134992 hydrochloride is 9-fold selective for homomeric Kir4.1 over Kir4.1/5.1 concatemeric channels (IC_{50}=9 μM) at -120 mV[1].

In Vitro

VU0134992 hydrochloride is greater than 30-fold selective for Kir4.1 over Kir1.1, Kir2.1, and Kir2.2, is weakly active toward Kir2.3, Kir6.2/SUR1, and Kir7.1, and is equally active toward Kir3.1/3.2, Kir3.1/3.4, and Kir4.2[1].The selectivity of VU0134992 hydrochloride for Kir4.1 versus nine other members of the Kir channel family was evaluated at concentrations ranging from 0.3 nM to 30 μM in 11-point CRC experiments, using established Tl+ flux assays. VU0134992 hydrochloride inhibits

	Kir3.1/Kir3.2 (92% inhibition at 30 μM, IC_{50}=2.5 μM), Kir3.1/Kir3.4 (92% inhibition at 30 μM, IC_{50}=3.1 μM), and Kir4.2 (100% inhibition at 30 μM, IC_{50}=8.1 μM) with approximately the same efficacy and potency that VU0134992 inhibits Kir4.1 (100% at 30 μM, IC_{50}=5.2 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	VU0134992 hydrochloride (50-100 mg/kg; oral gavage) statistically significantly increased urinary Na^+ as well as K^+ excretion [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (250-300 g)[1]
Dosage:	Oral gavage
Administration:	50 and 100 mg/kg
Result:	Statistically significantly increased urinary Na+ as well as K^+ excretion.

CUSTOMER VALIDATION

- Nat Commun. 2022 Nov 21;13(1):7136.
- Biochim Biophys Acta Mol Basis Dis. 2023 Mar 28;1869(5):166700.
- Glia. 2021 Jun 21.

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REFERENCES

[1]. Kharade SV, et al. Discovery, Characterization, and Effects on Renal Fluid and Electrolyte Excretion of the Kir4.1 Potassium Channel Pore Blocker, VU0134992. Mol Pharmacol. 2018 Aug;94(2):926-937.

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