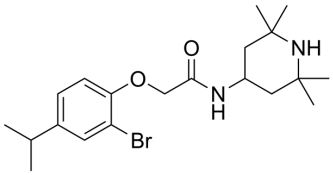
VU0134992

Cat. No.:	HY-122560
CAS No.:	755002-90-5
Molecular Formula:	$C_{20}H_{31}BrN_2O_2$
Molecular Weight:	411.38
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	VU0134992 is the first subtype-preferring, orally active and selective Kir4.1 potassium channel pore blocker, with an IC_{50} of 0.97 μM. VU0134992 is 9-fold selective for homomeric Kir4.1 over Kir4.1/5.1 concatemeric channels (IC_{50}=9 μM) at -120 mV[1].
IC_{50} & Target	IC50: 0.97 μM (Kir4.1)[1]
In Vitro	VU0134992 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 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 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 (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:	50 and 100 mg/kg
Administration:	Oral gavage
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.

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

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