Data Sheet

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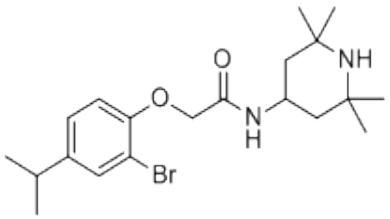
Product Name :VU0134992
Cat.No. :URK-V600
CAS No. :1755002-90-5
Molecular Formula :$C_{20}H_{31}BrN_2O_2$
Molecular Weight :411.384
Target :Postassium Channel
Solubility :



Biological Activity

VU0134992 (VU 0134992) is a potent, selective blocker of the inward rectifier potassium channel Kir4.1 (KCNJ10) with IC50 of 0.97 uM in whole-cell patch clamp electrophysiology assays.
VU0134992 displays 9-fold selectivity for homomeric Kir4.1 over Kir4.1/5.1 concatemeric channels (IC_{50}=9 uM) at -120 mV.
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 in Tl+ flux assays.
VU0134992 causes dose-dependent diuresis, natriuresis, and kaliuresis in rats after oral treatment.
VU0134992 represents the first in vivo-active tool compound for probing the therapeutic potential of Kir4.1 as a novel diuretic target for the treatment of hypertension.

References

Kharade SV,et al. Mol Pharmacol. 2018 Jun 12. pii: mol.118.112359

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