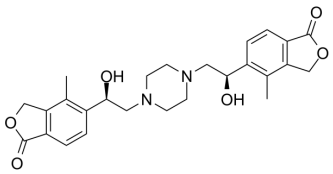
MK-7145

Cat. No.:	HY-18277
CAS No.:	1255204-84-2
Molecular Formula:	$C_{26}H_{30}N_2O_6$
Molecular Weight:	466.53
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	MK-7145 is a ROMK inhibitor, with an IC_{50} of 0.045 μM.
IC_{50} & Target	IC50: 0.045 μM (ROMK)[1].
In Vitro	MK-7145 (Compound 12) is screened against other members of the Kir family of channels. It doed not show any significant activity on Kir2.1, Kir2.3, Kir4.1, or Kir7.1 channels when tested at concentrations up to 30 μM. MK-7145 is also selective against other cardiac ion channels such as Cav1.2 and Nav1.5 (IC_{50}>30 μM). In a broad counterscreen panel conducted at Panlabs and including over 150 receptors, enzymes, and ion channels, MK-7145 only exhibits three activities at <10 μM: acetyl cholinesterase, ACES, IC_{50}=9.94 μM, somatostatin subtype 1, sst1 IC_{50}=2.63 μM, and human serotonin transporter, SERT, IC_{50}=0.12 μM. In a functional assay using HEK293 cells stably transfected with human SERT, uptake of ^{3}H-serotonin is inhibited by MK-7145 with an IC_{50} value of 2.40±0.32 μM (n=5). The superior ROMK potency and in vivo efficacy of MK-7145, coupled with the fact that MK-7145 is a substrate of human Pgp (human Mdr1 BAAB ratio=12), should be able to impart a significant safety window with respect to the SERT off-target activity. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Tang H, et al. Discovery of MK-7145, an Oral Small Molecule ROMK Inhibitor for the Treatment of Hypertension and Heart Failure. ACS Med Chem Lett. 2016 May 12;7(7):697-701.

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