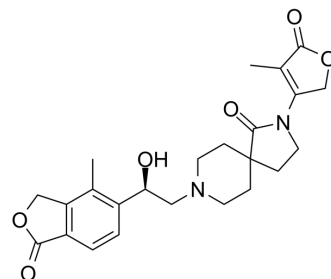


MK-8153

Cat. No.:	HY-132201
CAS No.:	1548286-45-8
Molecular Formula:	C ₂₄ H ₂₈ N ₂ O ₆
Molecular Weight:	440.49
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-8153 is a potent, selective and orally active inhibitor of renal outer medullary potassium channel (ROMK), with IC ₅₀ s of 5 nM, 34 μM for ROMK electrophysiology (EP) and hERG EP, respectively. MK-8153 can be used as the diuretic/aatriuretic ^[1] .								
IC₅₀ & Target	IC ₅₀ : 5 nM (ROMK EP), 34 μM (hERG EP) ^[1]								
In Vitro	MK-8153 inhibits current through rat ROMK, rKir1.1/HEK293 cells in electrophysiological recordings with an IC ₅₀ of 2.5 nM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
In Vivo	<p>MK-8153 (0.1-10 mg/kg/d, p.o. once daily for 3 days) causes a dose-dependent decrease in systolic blood pressure of aged SHR. MK-8153 shows diuretic effects in SHR^[1].</p> <p>MK-8153 (2 mg/kg; p.o.) exhibits terminal elimination half-lives (rat 3.6, dog 9.1, Rhesus 3.3 h), bioavailability (rat 53%, dog ~100%, Rhesus 3.4%), and plasma clearance (rat 29.4, dog 8.7, Rhesus 58.3 mL/min/kg)^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Aged spontaneously hypertensive rats (SHRs)^[1]</td> </tr> <tr> <td>Dosage:</td> <td>0.1, 0.3, 1, 3, 10 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>P.o. once daily for 3 days</td> </tr> <tr> <td>Result:</td> <td>Observed the maximal lowering of systolic blood pressure (∅24 mm Hg) by day 3 at the 3 mg/kg. Dose-dependently increased the sodium excretion.</td> </tr> </table>	Animal Model:	Aged spontaneously hypertensive rats (SHRs) ^[1]	Dosage:	0.1, 0.3, 1, 3, 10 mg/kg	Administration:	P.o. once daily for 3 days	Result:	Observed the maximal lowering of systolic blood pressure (∅24 mm Hg) by day 3 at the 3 mg/kg. Dose-dependently increased the sodium excretion.
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REFERENCES

[1]. Jiang J, et, al. Discovery of MK-8153, a Potent and Selective ROMK Inhibitor and Novel Diuretic/Natriuretic. J Med Chem. 2021 May 26.

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

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