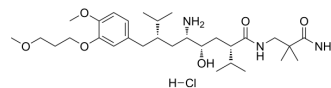


## Aliskiren hydrochloride

Cat. No.:	HY-12176A
CAS No.:	173399-03-6
Molecular Formula:	C <sub>30</sub> H <sub>54</sub> ClN <sub>3</sub> O <sub>6</sub>
Molecular Weight:	588.22
Target:	Renin; Autophagy
Pathway:	Metabolic Enzyme/Protease; Autophagy
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Aliskiren (CGP 60536; CGP60536B; SPP 100) hydrochloride is an orally active and selective renin inhibitor, with IC <sub>50</sub> of 1.5 nM. Aliskiren hydrochloride can be used for the research of hypertension, cardiovascular diseases and cancer cachexia <sup>[1]-[4]</sup> .								
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 1.5 nM (renin) <sup>[1]</sup> ; 0.6 nM (human renin), 2 nM (marmoset renin), 80 nM (rat renin), 7 nM (dog renin), 11 nM (rabbit renin), 63 nM (guinea pig renin), 150 nM (pig renin) <sup>[2]</sup>								
<b>In Vitro</b>	<p>Aliskiren hydrochloride inhibits plasma renin activity (PRA) in vitro with IC<sub>50</sub>s of 2.9 nM (human PRA), 8.0 nM (monkey PRA), respectively<sup>[1]</sup>.</p> <p>Aliskiren hydrochloride (10 μM; 24 h) inhibits prorenin-induced human aortic smooth muscle cell migration<sup>[2]</sup>.</p> <p>Aliskiren hydrochloride (1-10 μM; 24 h) inhibits both the lamellipodia formation and morphological changes induced by prorenin with no significant effect on PDGF-BB activity<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Migration Assay <sup>[2]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>Smooth muscle cell (SMC)</td> </tr> <tr> <td>Concentration:</td> <td>1-10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Inhibited human aortic smooth muscle cell migration induced by prorenin (10 nM) at 10 μM.</td> </tr> </table>	Cell Line:	Smooth muscle cell (SMC)	Concentration:	1-10 μM	Incubation Time:	24 hours	Result:	Inhibited human aortic smooth muscle cell migration induced by prorenin (10 nM) at 10 μM.
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<b>In Vivo</b>	<p>Aliskiren hydrochloride (3 mg/kg, 10 mg/kg; p.o.; daily; 0-12 d) inhibit renin and lower blood pressure without affecting heart rate in sodium-depleted marmosets<sup>[3]</sup>.</p> <p>Aliskiren hydrochloride (10 mg/kg; p.o.; single dose) delays cachexia development, reduces tumor, and prolongs mouse survival. And also improves whole-body strength, mobility and coordination, enhances locomotor activity, and inhibits muscle wasting<sup>[4]</sup>.</p> <p>Aliskiren hydrochloride (10 mg/kg; p.o.; single dose; 20 d after C26 injection) reduces oxidative stress associated with cancer cachexia<sup>[4]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								

Animal Model:	Sodium-depleted marmosets <sup>[3]</sup>
Dosage:	3 mg/kg, 10 mg/kg
Administration:	Oral gavage; once daily; 12 days
Result:	Increased plasma immunoreactive renin levels, and lowered blood pressure without affecting heart rate. Showed no rebound increase in BP following the end of treatment with either dose of aliskiren. Inhibited the RAS and controls the upregulation of pro-inflammatory cytokines.
Animal Model:	Cancer cachexia model in BALB/c mice injected with C26 mouse colon carcinoma cells <sup>[4]</sup>
Dosage:	10 mg/kg
Administration:	Oral gavage; on day 5 (as a preventive strategy, AP group) or on day 12 (as a therapeutic strategy, AT group) after C26 injection; for 20 days after C26 injection
Result:	Enhanced grip strength, coordination, and locomotor activity. Inhibited serum Ang I and $\alpha$ levels and both serum and muscular tumor necrosis factor $\alpha$ (TNF $\alpha$ ) and interleukin 6 (IL6) levels.

## CUSTOMER VALIDATION

- Neurobiol Dis. 2014 Nov;71:292-304.
- Lipids Health Dis. 2018 Jul 31;17(1):183.
- Front Biosci-Landmrk. 2023 Oct 17, 28(10), 238.
- Toxicology Research and Application. 2018, 2:239784731880115.
- Toxicology Research and Application. September 25, 2018.

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## REFERENCES

- [1]. Yuji Nakamura, et al. Discovery of DS-8108b, a Novel Orally Bioavailable Renin Inhibitor. ACS Med. Chem. Lett., 2012, 3 (9), pp 754–758
- [2]. Wood JM, et al. Structure-based design of aliskiren, a novel orally effective renin inhibitor. Biochem Biophys Res Commun. 2003 Sep 5;308(4):698-705.
- [3]. Wang C, et al. Aliskiren targets multiple systems to alleviate cancer cachexia. Oncol Rep. 2016 Nov;36(5):3014-3022.
- [4]. Ferri N, et al. Aliskiren inhibits prorenin-induced human aortic smooth muscle cell migration. J Renin Angiotensin Aldosterone Syst. 2015 Jun;16(2):284-91.

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

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