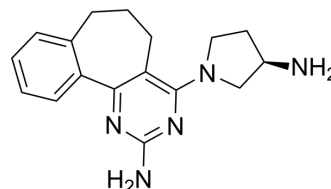


## A-943931

<b>Cat. No.:</b>	HY-113936
<b>CAS No.:</b>	1027330-97-7
<b>Molecular Formula:</b>	C <sub>17</sub> H <sub>21</sub> N <sub>5</sub>
<b>Molecular Weight:</b>	295.38
<b>Target:</b>	Histamine Receptor
<b>Pathway:</b>	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	A-943931 is a potent and selective histamine H <sub>4</sub> receptor (H <sub>4</sub> R) antagonist with pK <sub>i</sub> values of 4.6, 3.8 nM for human and rat H <sub>4</sub> R, respectively. A-943931 shows anti-inflammatory and antinociceptive efficacy <sup>[1][2]</sup> .									
<b>IC<sub>50</sub> &amp; Target</b>	Human H <sub>4</sub> Receptor 4.6 nM (K <sub>i</sub> )	Rat H <sub>4</sub> receptor 3.8 nM (K <sub>i</sub> )								
<b>In Vivo</b>	<p>A-943931 shows anti-inflammatory activity in zymosan-induced peritonitis in mice with the ED<sub>50</sub>s of 34, 33 μmol/kg for s.c. and i.p., respectively<sup>[2]</sup>.</p> <p>A-943931 (10, 30, 100 μmol/kg; i.p.) shows antinociceptive efficacy in inflammatory pain and neuropathic pain model in rats<sup>[2]</sup>.</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>Rats (carrageenan-induced inflammatory pain and spinal nerve ligation model of neuropathic pain)<sup>[2]</sup></td> </tr> <tr> <td>Dosage:</td> <td>10, 30, 100 μmol/kg</td> </tr> <tr> <td>Administration:</td> <td>i.p.</td> </tr> <tr> <td>Result:</td> <td>Showed antinociceptive efficacy in an inflammatory pain model in rat at an ED<sub>50</sub> value of 72 μmol/kg, ip, and in a neuropathic pain model at an ED<sub>50</sub> value of 100 μmol/kg, ip.</td> </tr> </table>		Animal Model:	Rats (carrageenan-induced inflammatory pain and spinal nerve ligation model of neuropathic pain) <sup>[2]</sup>	Dosage:	10, 30, 100 μmol/kg	Administration:	i.p.	Result:	Showed antinociceptive efficacy in an inflammatory pain model in rat at an ED <sub>50</sub> value of 72 μmol/kg, ip, and in a neuropathic pain model at an ED <sub>50</sub> value of 100 μmol/kg, ip.
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### REFERENCES

- [1]. Ivan Milicic, et al. Identification of two potent and selective histamine H<sub>4</sub> receptor antagonists with antipruritic activity. The FASEB journal homepage. 2009.
- [2]. Cowart MD, et al. Rotationally constrained 2,4-diamino-5,6-disubstituted pyrimidines: a new class of histamine H<sub>4</sub> receptor antagonists with improved druglikeness and in vivo efficacy in pain and inflammation models. J Med Chem. 2008 Oct 23;51(20):6547-57.

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

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