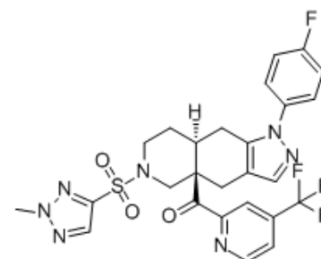


## Exicorilant

Cat. No.:	HY-117880
CAS No.:	1781244-77-6
Molecular Formula:	C <sub>26</sub> H <sub>23</sub> F <sub>4</sub> N <sub>7</sub> O <sub>3</sub> S
Molecular Weight:	589.56
Target:	Glucocorticoid Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the COA.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Exicorilant (CORT 125281) is a selective and oral active <b>glucocorticoid receptor (GR)</b> antagonist, with a K <sub>i</sub> value of 7 nM <sup>[1]</sup> . Exicorilant (CORT 125281) has potential to overcome adiposity, glucose intolerance and dyslipidaemia <sup>[2]</sup> .								
<b>IC<sub>50</sub> &amp; Target</b>	Ki: 7 nM (GR) <sup>[1]</sup> .								
<b>In Vitro</b>	Exicorilant (CORT 125281) reverses corticosterone-mediated GR activity in murine brown adipocytes in vitro <sup>[1]</sup> .								
<b>In Vivo</b>	<p>Exicorilant (CORT 125281) reduces body weight, fat mass and plasma lipids in HFD-fed mice<sup>[2]</sup>. Exicorilant (CORT 125281) (6, 20 or 60 mg/kg/d, for 3 weeks in mice) at different dosages reduce body weight, fat mass, plasma TG, cholesterol and FFA in a dose-dependent manner, with no effect on lean mass<sup>[2]</sup>.</p> <table border="1"> <tr> <td><b>Animal Model:</b></td> <td>Ten-week old male C57BL/6J mice HFD-fed<sup>[2]</sup>.</td> </tr> <tr> <td><b>Dosage:</b></td> <td>6, 20, 60 mg/kg.</td> </tr> <tr> <td><b>Administration:</b></td> <td>Orally mixed with food daily for 3 weeks.</td> </tr> <tr> <td><b>Result:</b></td> <td>Reduced HFD-induced body weight gain with approximately 10% for CORT125281 at d21. Significantly lowered plasma TG (-56%) and cholesterol levels (-30%).</td> </tr> </table>	<b>Animal Model:</b>	Ten-week old male C57BL/6J mice HFD-fed <sup>[2]</sup> .	<b>Dosage:</b>	6, 20, 60 mg/kg.	<b>Administration:</b>	Orally mixed with food daily for 3 weeks.	<b>Result:</b>	Reduced HFD-induced body weight gain with approximately 10% for CORT125281 at d21. Significantly lowered plasma TG (-56%) and cholesterol levels (-30%).
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### REFERENCES

- [1]. Hunt HJ, et al. Identification of the Clinical Candidate (R)-(1-(4-Fluorophenyl)-6-((1-methyl-1H-pyrazol-4-yl)sulfonyl)-4,4a,5,6,7,8-hexahydro-1H-pyrazolo[3,4-g]isoquinolin-4a-yl)(4-(trifluoromethyl)pyridin-2-yl)methanone (CORT125134): A Selective Glucocorticoid Receptor (GR) Antagonist. *J Med Chem.* 2017 Apr 27;60(8):3405-3421.
- [2]. Kroon J, et al. Selective Glucocorticoid Receptor Antagonist CORT125281 Activates Brown Adipose Tissue and Alters Lipid Distribution in Male Mice. *Endocrinology.* 2018 Jan 1;159(1):535-546.

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

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