Exicorilant

Cat. No.: HY-117880
CAS No.: 1781244-77-6
Molecular Formula: C₂₆H₂₃F₄N₇O₃S
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

Description
Exicorilant (CORT 125281) is a selective and oral active glucocorticoid receptor (GR) antagonist, with a \( K_i \) value of 7 nM\(^1\). Exicorilant (CORT 125281) has potential to overcome adiposity, glucose intolerance and dyslipidaemia\(^2\).

\( \text{IC}_{50} \) & Target
\( K_i: 7 \text{ nM (GR)} \)\(^1\).

In Vitro
Exicorilant (CORT 125281) reverses corticosterone-mediated GR activity in murine brown adipocytes in vitro\(^1\).

In Vivo
Exicorilant (CORT 125281) reduces body weight, fat mass and plasma lipids in HFD-fed mice\(^2\).
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\(^2\).

Animal Model:
Ten-week old male C57BL/6J mice HFD-fed\(^2\).

Dosage:
6, 20, 60 mg/kg.

Administration:
Orally mixed with food daily for 3 weeks.

Result:
Reduced HFD-induced body weight gain with approximately 10% for CORT125281 at d21.
Significantly lowered plasma TG (-56%) and cholesterol levels (-30%).

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.
