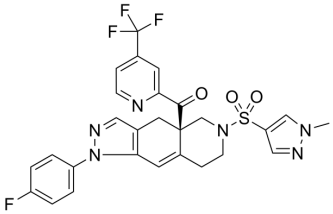
Relacorilant

Cat. No.:	HY-109042
CAS No.:	1496510-51-0
Molecular Formula:	$C_{27}H_{22}F_4N_6O_3S$
Molecular Weight:	586.56
Target:	Glucocorticoid Receptor
Pathway:	Immunology/Inflammation; Vitamin D Related/Nuclear Receptor
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	Relacorilant is a potent, selective and orally bioavailable glucocorticoid receptor antagonist, with a K_i of 7.2 nM in HepG2 TAT assay, and also shows K_is of 12, 81.2, 210 nM for rat, human and monkey glucocorticoid receptor in cell-based assay, respectively. Relacorilant has the potential for Cushing's syndrome treatment.
IC_{50} & Target	Ki: 7.2 nM (Glucocorticoid receptor, cell-based assay), 12 nM (Rat glucocorticoid receptor, cell-based assay), 81.2 nM (Human glucocorticoid receptor, cell-based assay), 210 nM (Monkey glucocorticoid receptor, cell-based assay)[1]
In Vitro	Relacorilant is a potent, selective and orally bioavailable glucocorticoid receptor antagonist, with a K_i of 7.2 nM in HepG2 TAT assay, and also shows K_is of 12, 81.2, 210 nM for rat, human and monkey glucocorticoid receptor in cell-based assay, respectively. Relacorilant also shows potent inhibition on CYP2C8 and CYP3A4 (IC_{50}s, 0.21, 1.3 μM, respectively), modestly inhibits CYP2C9, 2C19, 2D6 and 3A5, with ICC_{50}s of 2, 8, 9, and 4.9 μM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Relacorilant (30 mg/kg, p.o., twice a day) dramaticlly blocks the effects on plasma insulin and completely inhibits cortisone induced increase in plasma glucose in rats of exogenous Cushing's syndrome, and similar effect is also observed when administrated with of Relacorilant at 7.5 mg/kg twice a day[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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