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Cort108297

Catalog No: tcsc0008503

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:
1018679-79-2

Formula:
$C_{26}H_{25}F_4N_3O_3S$

Pathway:
GPCR/G Protein

Target:
Glucocorticoid Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
535.55

Product Description

Cort108297 is a specific **glucocorticoid receptor** (**GR**) antagonist. Cort108297 has a high affinity for GRs with a $\mathbf{K_i}$ of 0.45 nM.

IC50 & Target: Ki: 0.45 nM (glucocorticoid receptor)[1]

In Vitro:

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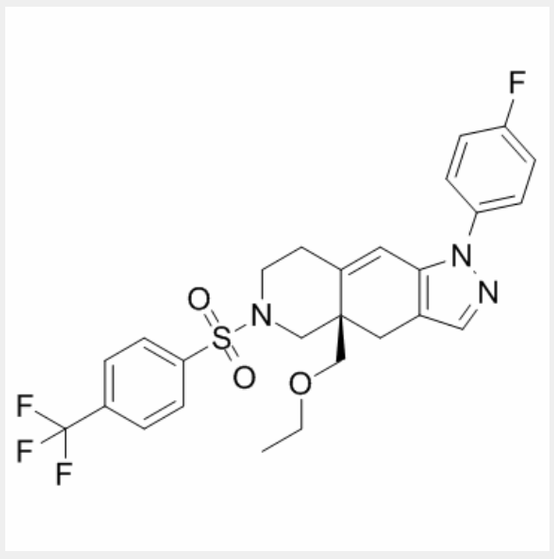
In LAPC4 cells, co-treatment with Dexamethasone induces steady-state *SGK1* expression 1.7-fold compared to R1881/Enzalutamide (RE) treatment alone. Addition of CORT118335 (1μM) inhibits Dexamethasone-induced *SGK1* expression 50% while Cort108297 completely blocks the Dexamethasone-mediated *SGK1* increase (pKLK3 expression is increased 2.5-fold by Dexamethasone compared to treatment with RE. Both Cort108297 and CORT118335 antagonize Dexamethasone-induced *KLK3* expression (by 48% and 60%, respectively, pSGK1 gene expression is dramatically induced by ~100-fold compared to RE-treated cells and this induction is completely abrogated by both Cort108297 and CORT118335 (pKLK3 is also induced (7.5-fold) by Dexamethasone compared to RE in CWR-22Rv1 cells; Cort108297 and CORT118335 inhibits this induction by 70% and 75%, respectively (p[2].

In Vivo: Ten-week-old, male, C57BL/6J mice are fed a diet containing 60% fat calories and water supplemented with 11% sucrose for 4 weeks. Groups (n=8) receive one of the following: Cort108297 (80 mg/kg QD), Cort108297 (40 mg/kg BID), Mifepristone (30 mg/kg BID), Rosiglitazone (10 mg/kg QD), or vehicle. Compared to mice receiving a high-fat, high-sugar diet plus vehicle, mice receiving a high-fat, high-sugar diet plus either Mifepristone or Cort108297 gain significantly less weight. At the end of the four week treatment period, mice receiving Cort108297 40 mg/kg BID or Cort108297 80 mg/kg QD also have significantly lower steady plasma glucose than mice receiving vehicle[3]. Male rats are treated for five days with Mifepristone (10 mg/kg), Cort108297 (30 mg/kg and 60 mg/kg), Imipramine (10mg/kg) or vehicle and exposed to forced swim test (FST) or restraint stress. Both doses of Cort108297 potently suppress peak corticosterone responses to FST and restraint stress. However, only the higher dose of Cort108297 (60mg/kg) significantly decreases immobility in the forced swim test (FST) [4].



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