Product Data Sheet

Product Name: Relacorilant (CORT 125134)
Cat. No.: GC32654

Chemical Properties

Cas. No.	1496510-51-0		
SMILES	O=C(C1=CC(C(F)(F)F)=CC=N1)[C@@]2(CN(S(=O)(C3=CN(C)N=C3)=O)CC4)C4=CC5=C(C=NN5C6=CC=C(F)C=C6)C2		
Formula	$C_{27}H_{22}F_4N_6O_3S$	M.Wt	586.56
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Relacorilant (CORT 125134)		

Protocol

Cell experiment [1]:

Cell lines	MIA PaCa-2 cells (human pancreatic carcinoma cell line) and OVCAR5 cells (human ovarian carcinoma cell line)

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Preparation Method	Cells were grown in media supplemented with 2.5% fetal bovine serum (FBS) or 2.5% charcoal-dextran stripped FBS. For kinetic apoptosis assays, MIA PaCa-2 cells were seeded with a nuclear dye and a fluorescent caspase 3/7 substrate. For viability assays, OVCAR5 and other cell lines were seeded in 96-well plates. In the presence of physiological Cortisol (400nM) or the GR agonist dexamethasone (100nM), cells were treated with Relacorilant (300nM) and various cytotoxic agents (e.g., Paclitaxel, Carboplatin, Gemcitabine) for 3 days (viability) or monitored kinetically (apoptosis).
Reaction Conditions	300nM; 3 days.
Applications	In MIA PaCa-2 cells, Cortisol (400nM) significantly reduced paclitaxel-induced apoptosis, and Relacorilant reversed this effect, partially restoring apoptosis. In OVCAR5 cells, dexamethasone diminished the potency (increased IC_{50}) and maximal efficacy (increased residual viability) of cytotoxic agents like Carboplatin, Oxaliplatin, Paclitaxel, and Gemcitabine. Relacorilant restored the potency and efficacy of these agents, showing a dose-dependent effect. A screen of 19 cytotoxic agents indicated that Relacorilant most consistently improved the activity of microtubule-targeting agents.

Animal experiment [2]:

Animal models	C57BL/6J female mice

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Preparation Method	Mice were randomly assigned and fed a control diet or a chow containing the selective glucocorticoid receptor antagonist, Relacorilant (60mg/kg/day), for eight weeks. Body mass and food consumption were monitored throughout. At the end of the study, all mice were euthanized, and dorsal skin tissues were collected for analysis.
Dosage form	60mg/kg/day; oral; 8 weeks.
Applications	Relacorilant treatment significantly decreased the mRNA expression of Scnn1g, a subunit of the epithelial sodium channel, in the skin. The treatment did not significantly alter the epidermal thickness, the expression of keratinocyte proliferation markers, the expression of key inflammatory cytokines, or the expression of regulators of glucocorticoid activity.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

References:
[1] Greenstein AE, Hunt HJ. Glucocorticoid receptor antagonism promotes apoptosis in solid tumor cells. Oncotarget. 2021 Jun 22;12(13):1243-1255.
[2] Ali A, Fossas De Mello N, et al. The Effect of Glucocorticoid and Mineralocorticoid Receptor Antagonists in the Skin of Aged Female Mice. Int J Mol Sci. 2025 Aug 28;26(17):8346.

Background

Relacorilant (CORT 125134) is a potent and selective glucocorticoid receptor (GR) antagonist[1-2]. Relacorilant can increase the abundance and function of NK and other immune cells in the tumor microenvironment, while promoting immune responses in malignancies characterized by excessive glucocorticoid production (GC+). Relacorilant is used in research related to Cushing's syndrome (CS) and tumors, such as adrenocortical carcinoma and platinum-resistant ovarian cancer[3-4].

In vitro, Relacorilant (300nM) was co-administered with paclitaxel to OVCAR5 ovarian

cancer cells. Relacorilant restored the potency (IC_{50}) of paclitaxel, and enhanced the activity of various cytotoxic agents, including paclitaxel, carboplatin, and gemcitabine[5]. Relacorilant (1-10μM) was co-administered with docetaxel to docetaxel-resistant PC3-DR prostate cancer cells. Relacorilant significantly reduced the IC_{50} of docetaxel in a concentration-dependent manner and enhanced docetaxel-induced apoptosis[6].

In vivo, in an aged female mouse skin experiment, Relacorilant (60mg/kg/day) was administered to 18-month-old C57BL/6J female mice via oral chow for 8 weeks. Relacorilant significantly inhibited the gene expression of Scnn1g in the skin[7]. Relacorilant (60mg/kg/day) was administered to 8-week-old C57BL/6J male mice via gavage for 5 days. Relacorilant improved sustained corticosterone exposure-induced hyperinsulinemia and immunosuppression (e.g., reductions in leukocytes and lymphocytes) and exhibited antagonistic effects on glucocorticoid receptor (GR) target gene expression in peripheral tissues (liver, adrenal glands, pituitary)[8].

References:
[1] Greenstein AE, Habra MA, Wadekar SA, et al. Adrenal tumors provide insight into the role of cortisol in NK cell activity. Endocr Relat Cancer. 2021 Jun 29;28(8):583-592.
[2] Dua VK, Verma G, Singh B, et al. Anti-malarial property of steroidal alkaloid conessine isolated from the bark of Holarrhena antidysenterica. Malar J. 2013 Jun 10;12:194.
[3] Colombo N, Van Gorp T, Matulonis UA, et al. Relacorilant + Nab-Paclitaxel in Patients With Recurrent, Platinum-Resistant Ovarian Cancer: A Three-Arm, Randomized, Controlled, Open-Label Phase II Study. J Clin Oncol. 2023 Oct 20;41(30):4779-4789.
[4] Pivonello R, Bancos I, Feelders RA, et al. Relacorilant, a Selective Glucocorticoid Receptor Modulator, Induces Clinical Improvements in Patients With Cushing Syndrome: Results From A Prospective, Open-Label Phase 2 Study. Front Endocrinol (Lausanne). 2021 Jul 14;12:66286.
[5] Greenstein AE, Hunt HJ. Glucocorticoid receptor antagonism promotes apoptosis in solid tumor cells. Oncotarget. 2021 Jun 22;12(13):1243-1255.
[6] Sanchez-Hernandez ES, Ochoa PT, Suzuki T, et al. Glucocorticoid Receptor Regulates and Interacts with LEDGF/p75 to Promote Docetaxel Resistance in Prostate Cancer Cells. Cells. 2023 Aug 11;12(16):2046.
[7] Ali A, Fossas De Mello N, et al. The Effect of Glucocorticoid and Mineralocorticoid Receptor Antagonists in the Skin of Aged Female Mice. Int J Mol Sci. 2025 Aug

28;26(17):8346.
[8] Viho EMG, Kroon J, Feelders RA, et al. Peripheral glucocorticoid receptor antagonism by relacorilant with modest HPA axis disinhibition. J Endocrinol. 2022 Dec 22;256(2):e220263.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA