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产品名称：AL 082D06
产品别名：D06; D-06

生物活性：

Description	AL 082D06 is a selective, nonsteroidal glucocorticoid receptor (GR) antagonist with Ki of 210 nM.
IC_{50} & Target	Ki: 210 nM (GR)[1]
In Vitro	AL 082D06 (D06) binds specifically to GR with nanomolar affinity. Addition of AL 082D06 causes a dose-dependent decrease in transcriptional activation from the MMTV:Luc reporter stimulated with half-maximal DEX concentrations. AL 082D06 acts to antagonize reporter activity using several glucocorticoid-responsive promoter- reporter systems including the 3-kb tyrosine amino transferase (TAT) promoter and less complex promoters comprised of isolated glucocorticoid response element (GRE) sequences. AL 082D06 competes with ^{3}H-Dex for baculovirus-expressed GR with nanomolar affinity. Other intracellular receptors (AR, ER, PR, and MR) have no affinity for AL 082D06 in a similarly structured binding assay with the appropriate receptor and tritiated ligand (>2500 nM). AL 082D06 has no activation efficacy on the progesterone, androgen, mineralocorticoid, retinoid, glucocorticoid, or estrogen receptors. AL 082D06 is very efficacious at antagonizing GR activity but exhibits much weaker efficacy when tested against the other steroid receptors in contrast to the reference antagonists used as controls[1].
Solvent&Solubility	***In Vitro:*** **DMSO : 7.5 mg/mL (18.30 mM;** Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4396 mL	12.1978 mL	24.3956 mL
	5 mM	0.4879 mL	2.4396 mL	4.8791 mL
	10 mM	0.2440 mL	1.2198 mL	2.4396 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References	[1]. Miner JN, et al. A nonsteroidal glucocorticoid receptor antagonist. Mol Endocrinol. 2003 Jan;17(1):117-27.

实验参考：

	The extract and binding assay buffer consists of 25 mM sodium phosphate, 10 mM potassium fluoride, 10 mM sodium molybdate, 10% glycerol, 1.5 mM EDTA, 2 mM dithiothreitol, 2 mM CHAPS, and 1 mM phenylmethylsulfonyl fluoride (pH 7.4), at room temperature. Intracellular receptors produced in this fashion exhibit reproducible interaction with known ligands at the published affinity. These preparations are subjected to extensive quality control experiments before the assays, covering receptor response, specificity, size, and reference ligand affinity. Receptor assays are performed with a final volume of 250 µL containing from 50-75 µg of extract protein, plus 1-2 nM [^{3}H]Dex at 84 Ci/mmol and varying concentrations of competing ligand (0 to 10 µM). Assays are set up using a 96-well minitube system, and incubations are carried out at 4°C for 18 h. Equilibrium

Kinase Assay	under these conditions of buffer and temperature is achieved by 6-8 h. Nonspecific binding is defined as that binding remaining in the presence of 1000 nM unlabeled Dex. At the end of the incubation period, 200 µL of 6.25% hydroxyapatite are added in wash buffer (binding buffer in the absence of dithiothreitol and phenylmethylsulfonyl fluoride). Specific ligand binding to receptor is determined by a hydroxyapatite-binding assay. Hydroxyapatite absorbs the receptor-ligand complex, allowing for the separation of bound from free radiolabeled ligand. The mixture is vortexed and incubated for 10 min at 4°C and centrifuged, and the supernatant is removed. The hydroxyapatite pellet is washed two times in wash buffer. The amount of receptor-ligand complex is determined by liquid scintillation counting of the hydroxyapatite pellet after the addition of 0.5 mM EcoScint A scintillation cocktail from National Diagnostics[1].
References	[1]. Miner JN, et al. A nonsteroidal glucocorticoid receptor antagonist. Mol Endocrinol. 2003 Jan;17(1):117-27.