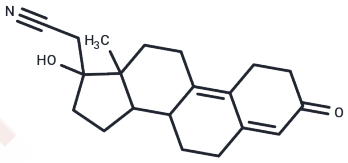
Data Sheet (Cat.No.T2577)

TargetMol

Dienogest

Chemical Properties



CAS No. : 65928-58-7

Formula: C20H25NO2

Molecular Weight: 311.42

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Dienogest (STS 557) is an orally-active, semisynthetic, fourth generation, nonethinylated progestogen with antiproliferative, antiandrogenic, anti-inflammatory and antiangiogenic activities that is used in hormone therapy and as a female contraceptive.
Targets(IC50)	Apoptosis,Estrogen/progestogen Receptor,Autophagy,Progesterone Receptor
In vitro	Concurrent administration of Dienogest (0.1 mg/kg/day) and Ethinyl estradiol (0.3 mg/kg/day) can inhibit the effects induced by Ethinyl estradiol without affecting the efficacy on uterine endometrial implants. Additionally, Dienogest administered orally at doses ranging from 0.1 to 1 mg/kg/day reduces the volume of endometrial implants in rats, achieving a reduction similar to that of Danazol at 100 mg/kg/day, also administered orally.
In vivo	In cultured endometriotic stromal cells, Dienogest (0.1-1 μM) significantly inhibits BrdU incorporation into DNA after 24 and 48 hours, also notably increases the number of cells in the G0/G1 phase while decreasing the cells in the S and G2/M phases. Dienogest activates progesterone receptors (EC50: 3.4/10.5 nM) and antagonizes androgen receptors (EC50: 420.6/775.0 nM) without exhibiting antagonism towards GR and MR at 3 nM. In human endometrial stromal cells, the combined use of Dienogest and estradiol (estrogen) dose-dependently increases prolactin mRNA and protein levels.
Kinase Assay	Kinase inhibition assays: For the VEGFR2, Flk1 and FGFR1 kinase assays, BMS-582664 is dissolved in DMSO and diluted with water/10% DMSO to a final DMSO concentration of 2%. The kinase reactions consists of 8 ng of enzymes with GST tag, 75 μg/mL substrate, 1 μM ATP, and 0.04 μCi [γ-33P]ATP in 50 μL total reaction volume (kinase buffer:? 20 mM Tris, pH 7.0, 25 μg/mL BSA, 1.5 mM MnCl2, 0.5 mM dithiothreitol). In all cases, the reactions are incubated for 60 min at 27°C and terminated with the addition of cold trichloroacetic acid (TCA) to a final concentration of 15%. The percent inhibition from the kinase assays is determined by nonlinear regression analyses, and data are reported as the inhibitory concentration required to achieve 50% inhibition relative to control reactions (IC50).

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 60 mg/mL (192.67 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble),

(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2111 mL	16.0555 mL	32.111 mL
5 mM	0.6422 mL	3.2111 mL	6.4222 mL
10 mM	0.3211 mL	1.6055 mL	3.2111 mL
50 mM	0.0642 mL	0.3211 mL	0.6422 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Sasagawa S, et al. Steroids, 2008, 73(2), 222-231.
Okada H, et al. Mol Hum Reprod, 2001, 7(4), 341-347.
Fu L, et al. Fertil Steril, 2008, 89(5 Suppl), 1344-1347.
Katsuki Y, et al. Eur J Endocrinol, 1998, 138(2), 216-226.