Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Degarelix

Catalog No: tcsc5350

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
214766-78-6

Formula:
$C_{82}H_{103}ClN_{18}O_{16}$

Pathway:
GPCR/G Protein

Target:
GNRH Receptor

Purity / Grade:
>98%

Solubility:
H2O : ≥ 500 mg/mL (306.32 mM)

Observed Molecular Weight:
1632.26

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Product Description

Degarelix is a competitive and reversible gonadotropin-releasing hormone receptor (**GnRHR**) antagonist.

IC50 & Target: GnRHR[1]

In Vitro: Degarelix acts directly on the pituitary receptors for luteinizing hormone-releasing hormone (LHRH), blocking the action of endogenous LHRH. The use of degarelix eliminates the initial undesirable surge in gonadotropin and testosterone levels, which is produced by agonists of LHRH[1]. Degarelix treatment reduces cell viability in all prostate cell lines (WPE1-NA22, WPMY-1, BPH-1 cells, VCaP cells), with the exception of the PC-3 cells. The GnRH antagonist degarelix exerts a direct effect on prostate cell growth through apoptosis[2].

In Vivo: At single subcutaneous injections of 0.3 to 10 μg/kg in rats, degarelix produces a dose-dependent suppression of the pituitary-gonadal axis as revealed by the decrease in plasma luteinizing hormone (LH) and testosterone levels. Duration of LH suppression increases with the dose: in the rat, significant suppression of LH lasted 1, 2, and 7 days after a single subcutaneous injection of degarelix at 12.5, 50, or 200 μg/kg, respectively[3]. Degarelix is stable when incubated in microsomes and cryopreserved hepatocytes from animal liver tissue. In rat and dog, most of the degarelix dose is eliminated within 48 h via urine and feces in equal amounts (40–50% in each matrix), whereas in monkey the major route of excretion is fecal (50%) and renal (22%)[4].