Abarelix

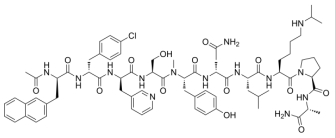
Cat. No.: HY-13534

CAS No.: 183552-38-7

Molecular Formula: $C_{72}H_{95}ClN_{14}O_{14}$

Molecular Weight: 1416.06

Sequence Shortening: Ac-{d-2-Nal}-{d-4-Cpa}-{d-3-Pal}-S-{NMyr}-{d-Asp}-L-K(ipr)-P-{d-Ala}-NH2



Target: GnRH Receptor

Pathway: GPCR/G Protein

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 14.2 mg/mL (10.03 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.7062 mL	3.5309 mL	7.0618 mL
	5 mM	0.1412 mL	0.7062 mL	1.4124 mL
	10 mM	0.0706 mL	0.3531 mL	0.7062 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Abarelix (R3827; PPI 149) is a potent gonadotrophin-releasing hormone (GnRH) antagonist, used for prostate cancer treatment.

In Vitro

Abarelix (30 and 300 μg/mL) causes significantly increased histamine release[1]. Abarelix is the firstGnRH antagonist to be developed, and can produce rapid and sustained decreases in testosterone to castrate levels without the need for co-administration of an antiandrogen, and with a very low complication rate in the short term[2]. Abarelix demonstrates to promptly and substantially reduce follicle-stimulating hormone levels to lower than LHRH agonist. Abarelix does not cause a surge in serum testosterone that can precipitate a flare phenomenon or worsening of disease, particularly dangerous for patients with metastatic, symptomatic disease, and produces medical castration more quickly[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Am J Physiol Endocrinol Metab. 2020 Jul 1;319(1):E81-E90.

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REFERENCES

[1]. Koechling W, et al. A novel GnRH antagonist, causes minimal histamine release compared with abarelix in an ex vivo model of human skin samples. Br J Clin Pharmacol. 2010 Oct;70(4):580-7.

[2]. Kirby RS, et al. Abarelix and other gonadotrophin-releasing hormone antagonists in prostate cancer. BJU Int. 2009 Dec;104(11):1580-4.

[3]. Debruyne F, et al. Abarelix for injectable suspension: first-in-class releasing hormone antagonist for prostate cancer. Future Oncol. 2006 Dec;2(6):677-96.

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