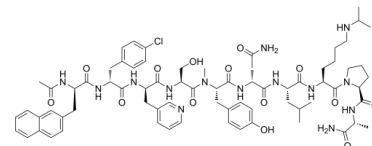


Data Sheet

Product Name:	Abarelix
Cat. No.:	CS-5873
CAS No.:	183552-38-7
Molecular Formula:	C ₇₂ H ₉₅ ClN ₁₄ O ₁₄
Molecular Weight:	1416.06
Target:	GnRH Receptor
Pathway:	GPCR/G Protein
Solubility:	DMSO : ≥ 14.2 mg/mL (10.03 mM)



BIOLOGICAL ACTIVITY:

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 first GnRH 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].

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.

CAIndexNames:

D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-D-asparaginyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-

SMILES:

C[C@H](C(N)=O)NC([C@H]1N(C([C@H](CCCCNC(C)C)NC([C@H](CC(C)C)NC([C@@H](CC(N)=O)NC([C@H](CC2=CC=C(C=C2)O)N(C([C@H](CO)NC([C@@H](CC3=CC=CN=C3)NC([C@@H](CC4=CC=C(Cl)C=C4)NC([C@H](CC5=CC=C6C=CC=CC6=C5)NC(C)=O)=O)=O)=O)=O)=O)=O)=O)C(C1)=O

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 610-426-3128

Fax: 888-484-5008

E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA