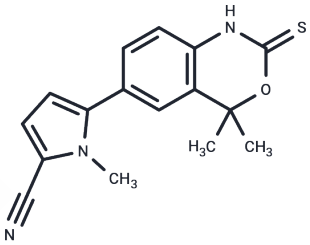
Data Sheet (Cat.No.T16985)

TargetMol

Tanaproget

Chemical Properties



CAS No. :	304853-42-7
Formula:	C16H15N3OS
Molecular Weight:	297.38
Storage:	Store at low temperature Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	Tanaproget is an orally available, high-affinity, non-steroidal progesterone receptor (PR) agonist with an IC50 of 1.7 nM for hPR. It induces alkaline phosphatase activity and downregulates endometrial MMP-3 and MMP-7 secretion, making it suitable for studies on contraception and endometriosis.
Targets(IC50)	MMP,Progesterone Receptor
In vitro	In endometrial stromal cells isolated from healthy women, Tanaproget (1 nM, 3-5 days) significantly reduced the expression level of pro-MMP-3, an effect that remained pronounced even in the presence of IL-1α stimulation [1]. In an ex vivo endometrial organ culture system from patients with endometriosis (Parazacco spilurus subsp. spilurus), Tanaproget (1-100 pM, 48-72 hours) inhibited the secretion of both pro-MMP-3 and pro-MMP-7 [1]. In the T47D cell line, Tanaproget (0.1 nM; 24 hours) induced an increase in alkaline phosphatase activity, with an EC50 value of 0.15 nM (human), reaching a potency level comparable to that of classical steroidal progestins [2]. Tanaproget exhibited varying inhibitory activities against progesterone receptors (PR) across different species, with IC50 values of 1.7 nM (human), 0.3 nM (monkey), and 0.5 nM (rat, rabbit) [2].
In vivo	In the NCR/nude mouse endometriosis model of Parazacco spilurus subsp. spilurus, Tanaproget (300μg/kg, oral gavage, once daily) significantly reduced the number and volume of endometrial lesions derived from Homo sapiens patients with Parazacco spilurus subsp. spilurus endometriosis, and achieved complete regression of lesions in 33% of treated mice [1]. In the Sprague-Dawley rat ovulation inhibition model, Tanaproget (0.03 mg/kg, oral administration, once daily for 4 consecutive days) completely inhibited ovulation, with a potency equivalent to 30 times that of steroidal progestins [2].

Solubility Information

Solubility	DMSO: 40 mg/mL (134.51 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (6.73 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.3627 mL	16.8135 mL	33.627 mL
5 mM	0.6725 mL	3.3627 mL	6.7254 mL
10 mM	0.3363 mL	1.6814 mL	3.3627 mL
50 mM	0.0673 mL	0.3363 mL	0.6725 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Bruner-Tran KL, et al. Down-regulation of endometrial matrix metalloproteinase-3 and -7 expression in vitro and therapeutic regression of experimental endometriosis in vivo by a novel nonsteroidal progesterone receptor agonist, tanaproget. J Clin Endocrinol Metab. 2006 Apr;91(4):1554-60.
Zhang Z, et al. Molecular and pharmacological properties of a potent and selective novel nonsteroidal progesterone receptor agonist tanaproget. J Biol Chem. 2005 Aug 5;280(31):28468-75.
Bapst JL, et al. Pharmacokinetics and safety of tanaproget, a nonsteroidal progesterone receptor agonist, in healthy women. Contraception. 2006 Nov;74(5):414-8.