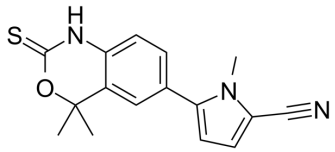
Tanaproget

Cat. No.:	HY-15606
CAS No.:	304853-42-7
Molecular Formula:	$C_{16}H_{15}N_3OS$
Molecular Weight:	297.37
Target:	Progesterone Receptor
Pathway:	Vitamin D Related/Nuclear Receptor
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (168.14 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3628 mL	16.8141 mL	33.6281 mL
	5 mM	0.6726 mL	3.3628 mL	6.7256 mL
	10 mM	0.3363 mL	1.6814 mL	3.3628 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tanaproget (NSP-989) is a novel nonsteroidal progesterone receptor agonist which can bind to the PR from various species with a higher relative affinity than reference steroidal progestins.IC50 value: 0.1 nM (EC50, induce alkaline phosphatase activity) [1]Target: progesterone receptorTanaproget represents a potential first-in-class nonsteroidal PR agonist for contraception with improved safety and side effect profiles versus currently available steroidal oral contraceptives.in vitro: In T47D cells, TNPR induces alkaline phosphatase activity with an EC(50) value of 0.1 nm, comparable with potent steroidal progestins such as medroxyprogesterone acetate (MPA) and trimegestone (TMG), albeit with a reduced efficacy (approximately 60%). In a mammalian two-hybrid assay to measure PR agonist-induced interaction between steroid receptor co-activator-1 and PR, TNPR showed similar potency (EC(50) value of 0.02 nm) and efficacy to MPA and TMG [1].in vivo: TNPR effectively down-regulated MMP expression in vitro and induced significant reduction of lesions in mice with disease established by tissues from endometriosis patients [2]. The maximum concentration (C(max)) of tanaproget occurred approximately 2 to 3 h after administration. The elimination half-life (t(1/2)) ranged from 12 to 30 h, and the oral

clearance was approximately 70 L/h. The pharmacokinetics of tanaproget was not noticeably altered with a high-fat meal [3].Toxicity: All doses of tanaproget decreased cervical mucus scores (using a modified Insler method), indicating poor production and poor quality of cervical mucus. The most frequent treatment-emergent adverse events were vaginal bleeding/spotting, abdominal cramping and vomiting; their incidence was not dose related and most events were mild [3].

CUSTOMER VALIDATION

- Nat Cancer. 2020 Feb;1(2):235-248.

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REFERENCES

[1]. 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 Endocrin

[2]. 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.

[3]. Bapst JL, et al. Pharmacokinetics and safety of tanaproget, a nonsteroidal progesterone receptor agonist, in healthy women. Contraception. 2006 Nov;74(5):414-8.

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