

Product Data Sheet

Product Name: Tanaproget

Cat. No.: GC16847

Chemical Properties

Cas. No. 304853-42-7

Chemical Name 5-(4,4-dimethyl-2-sulfanylidene-1H-3,1-benzoxazin-6-yl)-1-methylpyrrole-2-carbonitrile

SMILES CC1(C2=C(C=CC(=C2)C3=CC=C(N3C)C#N)NC(=S)O1)C

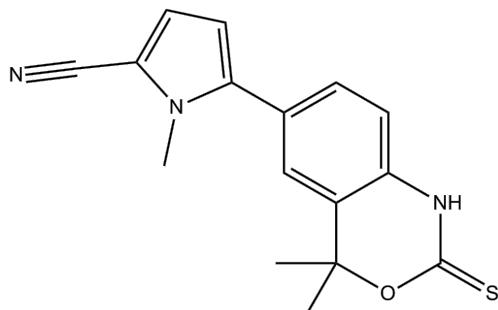
Formula $C_{16}H_{15}N_3OS$ M.Wt 297.37

Solubility DMSO : ≥ 50 mg/mL (168.14 mM) Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Tanaproget is a novel agonist of progesterone receptor with IC_{50} of 1.7 nM [1].

Progesterone receptor (PR) is a member of the nuclear receptor superfamily and binding of progesterone causes PR conformational changes, which then changing gene expression. Progesterone plays an important role in female reproduction [1].

In T47D cells, tanaproget caused alkaline phosphatase activity with EC_{50} value of 0.1 nM. In a mammalian two-hybrid assay, tanaproget showed 50-fold more potent than progesterone. In stromal

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

cells isolated from endometrial, tanaproget (1 nM) significantly suppressed pro-MMP-3 secretion. Also, Tanaproget effectively prevented pro-MMP-3 secretion through IL-1 α -mediated stimulation [2].

In endometriosis mice model with human lesions growing on the parietal peritoneum of mice, tanaproget completely regressed human lesions in 58% treated animals. Also, the lesions were smaller and fewer compared with the lesions in placebo-treated mice at the end of therapy [2]. In healthy women, the elimination half-life ($t(1/2)$) of tanaproget ranged from 12 to 30 h. Tanaproget reduced cervical mucus scores, which suggesting poor production and quality of cervical mucus [3].

References:

- [1]. Zhang Z, Olland AM, Zhu Y, et al. Molecular and pharmacological properties of a potent and selective novel nonsteroidal progesterone receptor agonist tanaproget. J Biol Chem, 2005, 280(31): 28468-28475.
- [2]. Bruner-Tran KL, Zhang Z, Eisenberg E, 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, 91(4): 1554-1560.
- [3]. Bapst JL, Ermer JC, Ferron GM, et al. Pharmacokinetics and safety of tanaproget, a nonsteroidal progesterone receptor agonist, in healthy women. Contraception, 2006, 74(5): 414-418.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA