

Tanaproget

Catalog No: tcsc1550



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

304853-42-7

Formula:

$C_{16}H_{15}N_3OS$

Pathway:

Others

Target:

Progesterone Receptor

Purity / Grade:

>98%

Solubility:

H₂O :

Alternative Names:

NSP-989

Observed Molecular Weight:

297.37

Product Description

Tanaproget(NSP989) 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]

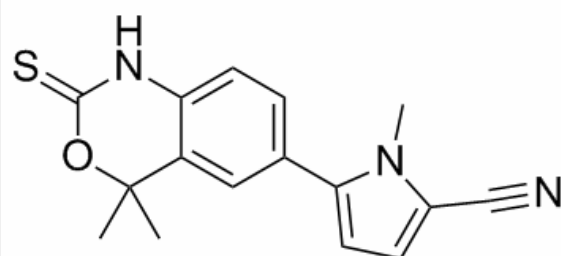
Target: progesterone receptor

Tanaproget 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].



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