Tanaproget

Cat. No.: HY-15606  
CAS No.: 304853-42-7  
Molecular Formula: C₁₆H₁₅N₃OS  
Molecular Weight: 297.37  
Target: Progesterone Receptor  
Pathway: Others  
Storage:  
Powder -20°C 3 years  
4°C 2 years  
In solvent -80°C 6 months  
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro  
DMSO : ≥ 50 mg/mL (168.14 mM)  
H₂O : < 0.1 mg/mL (insoluble)  
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Solvent</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>3.3628 mL</td>
<td>16.8141 mL</td>
<td>33.6281 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.6726 mL</td>
<td>3.3628 mL</td>
<td>6.7256 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.3363 mL</td>
<td>1.6814 mL</td>
<td>3.3628 mL</td>
</tr>
</tbody>
</table>

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

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 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 EC₅₀ 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 (EC₅₀ 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


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REFERENCES


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