



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **Tanaproget**
产品别名: **NSP-989**

生物活性:					
Description	<p>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 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].</p>				
	<p>In Vitro:</p> <p>DMSO : ≥ 50 mg/mL (168.14 mM)</p> <p>H₂O : < 0.1 mg/mL (insoluble)</p> <p>* "≥" means soluble, but saturation unknown.</p>				
Solvent&Solubility	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	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
	<p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液，一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限：-80℃，6 months；-20℃，1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。</p> <p>In Vivo:</p> <p>请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：</p> <p>——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶</p>				



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

	<p>1.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (8.41 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (8.41 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[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 Endocrinol Metab. 2006 Apr;91(4):1554-60.</p> <p>[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.</p> <p>[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.</p>

源叶生物