

# Produktinformation



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# PRODUCT INFORMATION



## **Palovarotene**

Item No. 28460

CAS Registry No.: 410528-02-8

Formal Name: 4-[(1E)-2-[5,6,7,8-tetrahydro-5,5,8,8-

tetramethyl-3-(1H-pyrazol-1-ylmethyl)-

2-naphthalenyl]ethenyl]-benzoic acid

Synonym: Ro 3300074 MF:  $C_{27}H_{30}N_2O_2$ FW: 414.5 **Purity:** ≥98%

A solid Supplied as: -20°C Storage:

UV/Vis.:  $\lambda_{max}$ : 321 nm Stability: ≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

#### **Laboratory Procedures**

Palovarotene is supplied as a solid. A stock solution may be made by dissolving the palovarotene in the solvent of choice, which should be purged with an inert gas. Palovarotene is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of palovarotene in these solvents is approximately 3, 20, and 25 mg/ml, respectively.

Palovarotene is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, palovarotene should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Palovarotene has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

#### Description

Palovarotene an orally bioavailable retinoic acid receptor γ (RARγ) agonist.<sup>1</sup> It increases retinoic acid response element (RARE) activity and decreases NF-κB activity induced by IL-1β in primary mouse stromal cells in reporter assays when used at a concentration of 60 nM.<sup>2</sup> Palovarotene (10 nM) inhibits activin A-induced chondrogenic and osteogenic differentiation of mouse fibro/adipogenic progenitors (FAPs) expressing a mutated form of human activin A receptor type I (ACVR1<sup>R206H</sup>).<sup>3</sup> It inhibits heterotopic ossification and preserves limb movement and growth in a ACVR1<sup>R206H</sup> fibrodysplasia ossificans progressiva (FOP) mouse model.<sup>4</sup> Palovarotene (1 mg/kg per day for 14 days) decreases heterotopic ossification by 50 to 60% in a rat model of traumatic blast injury.<sup>5</sup>

#### References

- 1. Hind, M. and Stinchcombe, S. Curr. Opin. Investig. Drugs 10(11), 1243-1250 (2009).
- 2. Sinha, S., Uchibe, K., Usami, Y., et al. Bone 90, 59-68 (2016).
- 3. Lees-Shepard, J.B., Nicholas, S.-A.E., Stoessel, S.J., et al. Elife 7, e40814 (2018).
- 4. Chakkalakal, S.A., Uchibe, K., Convente, M.R., et al. J. Bone Miner. Res. 31(9), 1666-1675 (2016).
- 5. Pavey, G.J., Qureshi, A.T., Tomasino, A.M., et al. Bone 90, 159-167 (2016).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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