

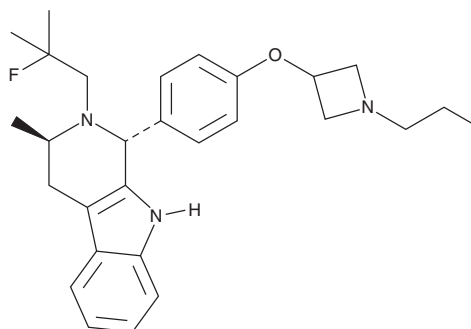
# PRODUCT INFORMATION



## Palazestrant

Item No. 41843

**CAS Registry No.:** 2092925-89-6  
**Formal Name:** (1R,3R)-2-(2-fluoro-2-methylpropyl)-2,3,4,9-tetrahydro-3-methyl-1-[4-[(1-propyl-3-azetidinyl)oxy]phenyl]-1H-pyrido[3,4-b]indole  
**Synonym:** OP-1250  
**MF:** C<sub>28</sub>H<sub>36</sub>FN<sub>3</sub>O  
**FW:** 449.6  
**Purity:** ≥98%  
**Supplied as:** A solid  
**Storage:** -20°C  
**Stability:** ≥4 years



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

### Laboratory Procedures

Palazestrant is supplied as a solid. A stock solution may be made by dissolving the palazestrant in the solvent of choice, which should be purged with an inert gas. Palazestrant is slightly soluble (0.1-1 mg/ml) in DMSO and acetonitrile.

### Description

Palazestrant is an antagonist of estrogen receptor  $\alpha$  (ER $\alpha$ ; IC<sub>50</sub> = 6.4 nM).<sup>1</sup> It also induces degradation of ER $\alpha$  in MCF-7 breast cancer cells (EC<sub>50</sub> = 0.52 nM). Palazestrant decreases the proliferation of MCF-7 and CAMA-1 breast cancer cells (IC<sub>50</sub>s = 1.8 and 1.7 nM, respectively). It inhibits estradiol-induced cell cycle progression in the same cells when used at a concentration of 100 nM. *In vivo*, palazestrant (10 mg/kg per day), alone and in combination with ribociclib (LEE011; Item Nos. 17666 | 36414), reduces tumor growth and increases survival in an estradiol-stimulated patient-derived xenograft (PDX) mouse model of breast cancer.

### Reference

1. Parisian, A.D., Barratt, S.A., Hodges-Gallagher, L., *et al.* Palazestrant (OP-1250), a complete estrogen receptor antagonist, inhibits wild-type and mutant ER-positive breast cancer models as monotherapy and in combination. *Mol. Cancer Ther.* **23**(3), 285-300 (2024).

#### WARNING

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

#### SAFETY DATA

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.

#### WARRANTY AND LIMITATION OF REMEDY

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