# PRODUCT INFORMATION



PI-1840

Item No. 37331

CAS Registry No.: 1401223-22-0

Formal Name: N-(1-methylethyl)-2-(4-propylphenoxy)-

N-[[3-(3-pyridinyl)-1,2,4-oxadiazol-5-yl]

methyl]-acetamide

MF:  $C_{22}H_{26}N_4O_3$ FW: 394.5 ≥98% **Purity:** UV/Vis.:  $\lambda_{\text{max}}$ : 224 nm A solid

Supplied as: Storage: -20°C Stability: ≥4 years

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

## **Laboratory Procedures**

PI-1840 is supplied as a solid. A stock solution may be made by dissolving the PI-1840 in the solvent of choice, which should be purged with an inert gas. PI-1840 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of PI-1840 in these solvents is approximately 5 mg/ml.

### Description

PI-1840 is an inhibitor of chymotrypsin-like (CTL) proteasome activity (IC<sub>50</sub> = 27 nM).<sup>1</sup> It is selective for the CTL activity over the trypsin-like (TL) and peptidylglutamyl peptide hydrolyzing (PGPH) activities (IC<sub>50</sub>s = >100 µM for both), as well as for the 20S constitutive proteasome over the immunoproteasome  $(IC_{50}^{2}s = 18 \text{ and } 2,170 \text{ nM}, \text{ respectively})$ . PI-1840 decreases the viability of a panel of cancer cell lines  $(IC_{50}s = 2.2-45.2 \mu M)$ . It induces cell cycle arrest at the  $G_2/M$  phase, apoptosis, and autophagy, as well as inhibits migration and invasion, in U2OS and MG-63 osteosarcoma cells when used at concentrations of 40 and 60 μM, respectively.<sup>2</sup> PI-1840 (150 mg/kg) decreases tumor volume in an MDA-MB-231 breast cancer mouse xenograft model.<sup>1</sup>

### References

- 1. Kazi, A., Ozcan, S., Tecleab, A., et al. Discovery of PI-1840, a novel noncovalent and rapidly reversible proteasome inhibitor with anti-tumor activity. J. Biol. Chem. 289(17), 11906-11915 (2014).
- Chen, Y., Chen, H., Xie, H., et al. Non-covalent proteasome inhibitor PI-1840 induces apoptosis and autophagy in osteosarcoma cells. Oncol. Rep. 41(5), 2803-2817 (2019).

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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