

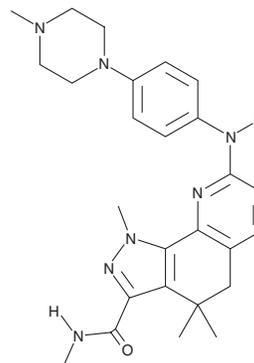
PRODUCT INFORMATION



PHA-848125

Item No. 21474

CAS Registry No.: 802539-81-7
Formal Name: 4,5-dihydro-N,1,4,4-tetramethyl-8-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-1H-pyrazolo[4,3-h]quinazoline-3-carboxamide
Synonym: Miliciclib
MF: C₂₅H₃₂N₈O
FW: 460.6
Purity: ≥98%
UV/Vis.: λ_{max}: 295 nm
Supplied as: A crystalline 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

PHA-848125 is supplied as a crystalline solid. A stock solution may be made by dissolving the PHA-848125 in the solvent of choice, which should be purged with an inert gas. PHA-848125 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PHA-848125 in these solvents is approximately 10 and 5 mg/ml, respectively.

PHA-848125 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PHA-848125 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PHA-848125 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PHA-848125 is an ATP-competitive inhibitor of cyclin-dependent kinases (Cdks) that potently inhibits Cdk2/cyclin A (IC₅₀ = 45 nM).¹ It is at least 3-fold less potent at Cdks 1, 3, 4, 5, and 7.¹ PHA-848125 is orally available and displays efficacy in suppressing the growth of cancer cells or tumor xenografts in animals.¹⁻³

References

1. Brasca, M.G., Amboldi, N., Ballinari, D., *et al.* Identification of N,1,4,4-tetramethyl-8-[[4-(4-methylpiperazin-1-yl)phenyl]amino]-4,5-dihydro-1H-pyrazolo[4,3-h]quinazoline-3-carboxamide (PHA-848125), a potent, orally available cyclin dependent kinase inhibitor. *J. Med. Chem.* **52(16)**, 5152-5163 (2009).
2. Caporali, S., Alvino, E., Starace, G., *et al.* The cyclin-dependent kinase inhibitor PHA-848125 suppresses the in vitro growth of human melanomas sensitive or resistant to temozolomide, and shows synergistic effects in combination with this triazene compound. *Pharmacol. Res.* **61(5)**, 437-448 (2010).
3. Degrassi, A., Russo, M., Nanni, C., *et al.* Efficacy of PHA-848125, a cyclin-dependent kinase inhibitor, on the K-RasG12DLA2 lung adenocarcinoma transgenic mouse model: Evaluation by multimodality imaging. *Mol. Cancer Ther.* **9(3)**, 673-681 (2010).

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

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 11/22/2022

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM