

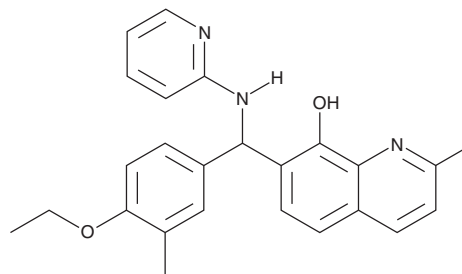
PRODUCT INFORMATION



HLM006474

Item No. 28276

CAS Registry No.: 353519-63-8
Formal Name: 7-[(4-ethoxy-3-methylphenyl)(2-pyridinylamino)methyl]-2-methyl-8-quinolinol
MF: C₂₅H₂₅N₃O₂
FW: 399.5
Purity: ≥95%
UV/Vis.: λ_{max}: 206, 250, 306 nm
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

HLM006474 is supplied as a solid. A stock solution may be made by dissolving the HLM006474 in the solvent of choice, which should be purged with an inert gas. HLM006474 is soluble in DMSO.

Description

HLM006474 is a non-selective inhibitor of the transcription factor E2F (IC₅₀ = 29.8 μM for E2F4).¹ It inhibits DNA binding to E2F1, E2F2, and E2F4 in A375 melanoma cells when used at a concentration of 40 μM. HLM006474 (40 μM) decreases protein levels of E2F4 and cyclin D3 and induces apoptosis in A375 cells. It also induces apoptosis in MDA-MB-231, but not MCF-7, cells and inhibits the growth of cancer cells in a panel of 17 lung cancer cell lines (IC₅₀s = 15.5-75.1 μM).^{1,2} HLM006474 reduces tumor growth in retinoblastoma-prone Chx10Cre;Rb^{fl/fl};p107^{-/-} mice and in an A375 mouse xenograft model when administered at a dose of 100 mg/kg and 2 mg per mouse, respectively.^{3,4}

References

1. Ma, Y., Kurtyaka, C.A., Boyapalle, S., *et al.* A small-molecule E2F inhibitor blocks growth in a melanoma culture model. *Cancer Res.* **68(15)**, 6292-6299 (2008).
2. Kurtyaka, C.A., Chen, L., and Cress, W.D. E2F inhibition synergizes with paclitaxel in lung cancer cell lines. *PLoS One* **9(5)**, e96357 (2014).
3. Sangwan, M., McCurdy, S.R., Livne-Bar, I., *et al.* Established and new mouse models reveal E2f1 and Cdk2 dependency of retinoblastoma, and expose effective strategies to block tumor initiation. *Oncogene* **31(48)**, 5019-5028 (2012).
4. Rouaud, F., Hamouda-Tekaya, N., Cerezo, M., *et al.* E2F1 inhibition mediates cell death of metastatic melanoma. *Cell Death Dis.* **9(5)**, 527 (2018).

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.

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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