

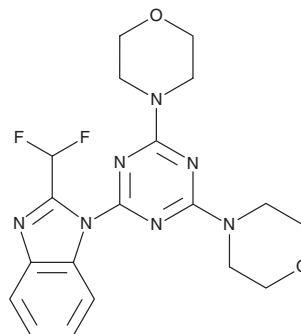
PRODUCT INFORMATION



ZSTK474

Item No. 17381

CAS Registry No.: 475110-96-4
Formal Name: 2-(difluoromethyl)-1-(4,6-di-4-morpholinyl-1,3,5-triazin-2-yl)-1H-benzimidazole
MF: C₁₉H₂₁F₂N₇O₂
FW: 417.4
Purity: ≥98%
UV/Vis.: λ_{max}: 240 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

ZSTK474 is supplied as a crystalline solid. A stock solution may be made by dissolving the ZSTK474 in the solvent of choice, which should be purged with an inert gas. ZSTK474 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ZSTK474 in these solvents is approximately 20 and 25 mg/ml, respectively.

Description

ZSTK474 is a triazine derivative that acts as an inhibitor of class I phosphatidylinositol 3-kinase (PI3K) isoforms (IC₅₀s = 17, 53, and 6 nM for p110β, -γ, and -δ, respectively).¹ It is selective for PI3K, as it has negligible activity against 139 other kinases when tested at 30 μM.¹ ZSTK474 is orally bioavailable and shows strong antitumor activity against human cancer xenografts in mice.¹ The efficacy of ZSTK474 against certain cancer cells and tumors can be enhanced by combination therapy.^{2,3}

References

1. Yaguchi, S., Fukui, Y., Koshimizu, I., *et al.* Antitumor activity of ZSTK474, a novel phosphatidylinositol 3-kinase inhibitor. *J. Natl. Cancer Inst.* **98**, 545-556 (2006).
2. Lin, L., Gaut, D., Hu, K., *et al.* Dual targeting of glioblastoma multiforme with a proteasome inhibitor (Velcade) and a phosphatidylinositol 3-kinase inhibitor (ZSTK474). *Int. J. Oncol.* **44**, 557-562 (2014).
3. Bertazza, L., Barollo, S., Radu, C.M., *et al.* Synergistic antitumour activity of RAF265 and ZSTK474 on human TT medullary thyroid cancer cells. *J. Cell. Mol. Med.* **19(9)**, 2244-2252 (2015).

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