

PRODUCT INFORMATION

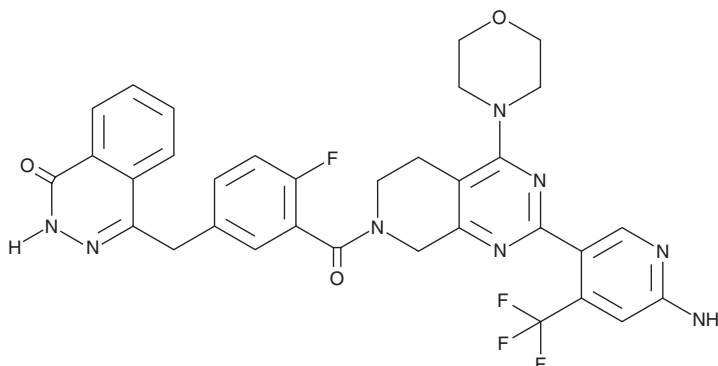


CAY10749

Item No. 30058

CAS Registry No.: 2337386-47-5
Formal Name: 4-[[3-[[2-[6-amino-4-(trifluoromethyl)-3-pyridinyl]-5,8-dihydro-4-(4-morpholinyl)pyrido[3,4-d]pyrimidin-7(6H)-yl]carbonyl]-4-fluorophenyl]methyl]-1(2H)-phthalazinone

MF: C₃₃H₂₈F₄N₈O₃
FW: 660.6
Purity: ≥98%
UV/Vis.: λ_{max}: 274 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

CAY10749 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10749 in the solvent of choice, which should be purged with an inert gas. CAY10749 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of CAY10749 in these solvents is approximately 10 and 11 mg/ml, respectively.

CAY10749 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAY10749 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CAY10749 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CAY10749 is a dual inhibitor of poly(ADP-ribose) polymerase (PARP) and PI3K (IC₅₀s = 6.03, 3.63, 5.62, and 7.41 nM for PARP1, PARP2, PI3Kα, and PI3Kδ, respectively).¹ It is selective for these enzymes over PI3Kβ and PI3Kγ (IC₅₀s = 288.4 and 831.76 nM, respectively), as well as a panel of 374 additional kinases at 1 μM. CAY10749 (1 μM) induces dsDNA break formation in a neutral comet assay and apoptosis in MDA-MB-468 breast cancer cells. It inhibits proliferation of eight cancer cell lines, including pancreatic, ovarian, lymphoma, leukemia, and lung cancer cells, with IC₅₀ values ranging from 398.11 to 2,818.38 nM. CAY10749 (50 mg/kg twice per day) reduces tumor growth by 73.4% in an MDA-MB-468 mouse xenograft model.

Reference

1. Wang, J., Li, H., He, G., *et al.* Discovery of novel dual poly(ADP-ribose)polymerase (PARP) and phosphoinositide 3-kinase (PI3K) inhibitors as a promising strategy for cancer therapy. *J. Med. Chem.* **63**(1), 122-139 (2019).

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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