

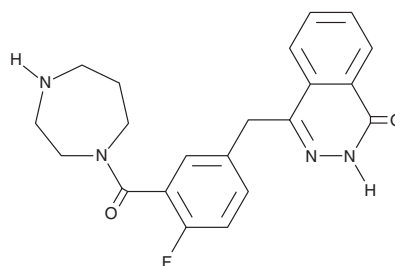
PRODUCT INFORMATION



KU-0058948

Item No. 42098

CAS Registry No.: 763111-49-5
Formal Name: 4-[[4-fluoro-3-[(hexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]methyl]-1(2H)-phthalazinone
MF: C₂₁H₂₁FN₄O₂
FW: 380.4
Purity: ≥95%
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

KU-0058948 is supplied as a solid. A stock solution may be made by dissolving the KU-0058948 in the solvent of choice, which should be purged with an inert gas. KU-0058948 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in acetonitrile.

Description

KU-0058948 is an inhibitor of poly(ADP-ribose) polymerase 1 (PARP1) and PARP2 (IC₅₀s = 3.4 and 1.5 nM, respectively).¹ It is selective for PARP1 over PARP3, PARP4, and tankyrase (IC₅₀s = 40, 1,200, and >10,000 nM, respectively). It also selectively inhibits the survival of mouse embryonic stem cells lacking Brca1 or Brca2 over mouse embryonic stem cells expressing wild-type Brca1 or Brca2. KU-0058948 (1 μM) induces apoptosis in P39 and MUTZ-3 acute myeloid leukemia (AML) cells.² It decreases the survival of P39 and MUTZ-3 cells but not a variety of other AML and non-AML cells, including ME-1, U937, HL-60, and K562 cells. KU-0058948 (5 nM) potentiates cytotoxicity induced by MS-275 (Item No. 13284) in patient-derived AML cells. It reduces survival of HCT116 cells deficient in phosphatase and tensin homolog (PTEN) but not those expressing wild-type PTEN or containing a PTEN construct (HCT116^{+/neo}).³

References

- Farmer, H., McCabe, N., Lord, C.J., *et al.* Targeting the DNA repair defect in BRCA mutant cells as a therapeutic strategy. *Nature* **434**(7035), 917-921 (2005).
- Gaymes, T.J., Shall, S., Macpherson, L.J., *et al.* Inhibitors of poly ADP-ribose polymerase (PARP) induce apoptosis of myeloid leukemic cells: Potential for therapy of myeloid leukemia and myelodysplastic syndromes. *Haematologica* **94**(5), 638-646 (2009).
- Mendes-Pereira, A.M., Martin, S.A., Brough, R., *et al.* Synthetic lethal targeting of PTEN mutant cells with PARP inhibitors. *EMBO Mol. Med.* **1**(6-7), 315-322 (2009).

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