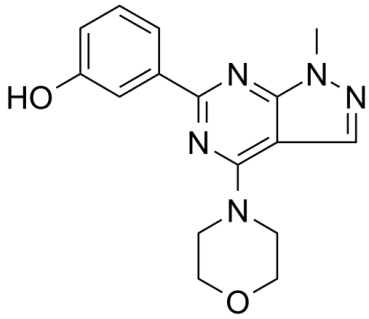
Data Sheet

Product Name:	ETP-45658
Cat. No.:	CS-0032961
CAS No.:	1198357-79-7
Molecular Formula:	$C_{16}H_{17}N_5O_2$
Molecular Weight:	311.34
Target:	DNA-PK; mTOR; PI3K
Pathway:	Cell Cycle/DNA Damage; PI3K/Akt/mTOR
Solubility:	DMSO : 250 mg/mL (802.98 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

ETP-45658 is a potent **PI3K** inhibitor, with **IC_{50}**s of 22.0 nM, 39.8 nM, 129.0 nM and 717.3 nM for **PI3Kα**, **PI3Kδ**, **PI3Kβ** and **PI3Kγ**, respectively. ETP-45658 also can inhibit **DNA-PK** (**IC_{50}**=70.6 nM) and **mTOR** (**IC_{50}**=152.0 nM). ETP-45658 can be used for the research of cancer[1][2]. **In Vitro:** ETP-45658 (10 μM; 4 h) decreases in the phosphorylation of FOXO3a, Gsk3-β and p70 S6K in U2OS cells[1].

ETP-45658 inhibits the proliferation of MCF7, PC3, 786-O, HTC116, and U251 cells, with EC_{50}s of 0.48 μM, 0.49 μM, 2.62 μM, 3.53 μM, and 5.56 μM, respectively[1].

ETP-45658 (10 μM; 24 h) induces a clear G1 arrest of PC3 cells[1].

ETP-45658 inhibits the mutant PI3Kα proteins, H1047R and E545K, with IC_{50}s of 16.8 nM and 13.1 nM, respectively[1].

ETP-45658 (5 nM-11.1 μM; 1 h) induces a dose-dependent increase of GFP-FOXO nuclear translocation in U2foxRELOC cells[1].

ETP-45658 (10 μM; 1 h) decrease the expression of cyclin D1 and p-Akt on serine 473 in U2OS cells[1].

In Vivo: ETP-45658 (22.7 mg/kg) decreases the level of phosphorylated Akt on serine 473 in the mammary ducts of transgenic mice [1].

References:

[1]. Link W, et, al. Chemical interrogation of FOXO3a nuclear translocation identifies potent and selective inhibitors of phosphoinositide 3-kinases. J Biol Chem. 2009 Oct 9;284(41):28392-28400.

[2]. Hill R, et, al. A novel phosphatidylinositol 3-kinase (PI3K) inhibitor directs a potent FOXO-dependent, p53-independent cell cycle arrest phenotype characterized by the differential induction of a subset of FOXO-regulated genes. Breast Cancer Res. 2014 Dec 9;16(6):482.

CAIndexNames:

Phenol, 3-[1-methyl-4-(4-morpholinyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-

SMILES:

CN1C2=NC(C3=CC(O)=CC=C3)=NC(N4CCOCC4)=C2C=N1

Caution: Product has not been fully validated for medical applications. For research use only.

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