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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Compound 401

Cat. No.: HY-19341

CAS No.: 168425-64-7

Molecular Formula: $C_{16}H_{15}N_3O_2$

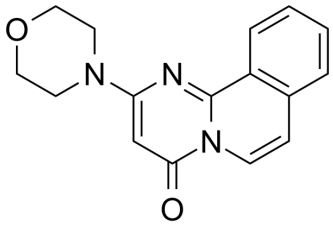
Molecular Weight: 281.31

Target: DNA-PK

Pathway: Cell Cycle/DNA Damage; PI3K/Akt/mTOR

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (35.55 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5548 mL	17.7740 mL	35.5480 mL
	5 mM	0.7110 mL	3.5548 mL	7.1096 mL
	10 mM	0.3555 mL	1.7774 mL	3.5548 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1 mg/mL (3.55 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1 mg/mL (3.55 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 1 mg/mL (3.55 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Compound 401 is a synthetic inhibitor of DNA-PK (IC_{50} = 0.28 μM) that also targets mTOR but not PI3K in vitro.

IC_{50} & Target

DNA-PK	mTOR
0.28 μM (IC_{50})	5.3 μM (IC_{50})

In Vitro

Compound 401 is a potent inhibitor of DNA-PK (IC_{50}=0.28 μM). Compound 401 is reported to be a poor inhibitor of PI3K, ATM, and ATR in vitro, but it is active against mTOR. Compound 401 shows activity against mTOR (IC_{50}=5.3 μM) but not p110α/p85

α PI3K (IC_{50}>100 μM). Treatment of cells with Compound 401 blocks the phosphorylation of sites modified by mTOR-Raptor and mTOR-Rictor complexes (ribosomal protein S6 kinase 1 Thr^{389} and Akt Ser^{473}, respectively). By contrast, there is no direct inhibition of Akt Thr^{308} phosphorylation, which is dependent on PI3K. Similar effects are also observed in cells that lack DNA-PK. Compound 401 inhibits immunoprecipitated epitope-tagged mTOR or endogenous mTOR in Raptor immunoprecipitates. In both cases, inhibition of 67% or 78% is obtained at 5 μM or 10 μM Compound 401, respectively. By contrast, dose response curves show that the p110α/p85α or p110β/p85α PI3K complexes are poorly inhibited by Compound 401 at these concentrations. The proliferation of $TSC1^{-/-}$ fibroblasts is inhibited in the presence of Compound 401, but $TSC1^{+/+}$ cells are resistant[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

FreeStyle 293-F cells are transfected with cDNA for AU1-mTOR using 293fectin. Two days later, the cells are lysed and mTOR immunoprecipitates are prepared using AU1 antibody. Alternatively, the mTORC1 complex is immunoprecipitated from untransfected cells using Raptor antibody. Kinase activity in the immunoprecipitates is assayed in the presence of vehicle (DMSO) or Compound 401 (1, 5 and 10 μM) using bacterially expressed glutathione S-transferase (GST)-4E-BP1 as a substrate. Kinase reactions are stopped by boiling in SDS sample buffer and the samples are subjected to SDS-PAGE. Phosphorylated 4E-BP1 is detected by autoradiography. Radioactivity in the bands is quantified by scintillation counting[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Molecules. 2020 Apr 23;25(8):1980.

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REFERENCES

[1]. Ballou LM, et al. Inhibition of mammalian target of rapamycin signaling by 2-(morpholin-1-yl)pyrimido[2,1-alpha]isoquinolin-4-one. J Biol Chem. 2007 Aug 17;282(33):24463-70.

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