SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

PIK-75

Cat. No.: HY-107834

CAS No.: 372196-67-3

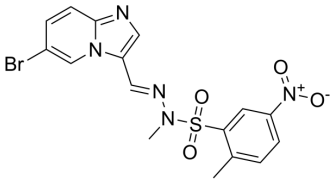
Molecular Formula: $C_{16}H_{14}BrN_5O_4S$

Molecular Weight: 452.28

Target: DNA-PK; PI3K; Apoptosis

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

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 13 mg/mL (28.74 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2110 mL	11.0551 mL	22.1102 mL
	5 mM	0.4422 mL	2.2110 mL	4.4220 mL
	10 mM	0.2211 mL	1.1055 mL	2.2110 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 1.3 mg/mL (2.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PIK-75 is a reversible DNA-PK and p110α-selective inhibitor, which inhibits DNA-PK, p110α and p110γ with IC_{50}s of 2, 5.8 and 76 nM, respectively. PIK-75 inhibits p110α >200-fold more potently than p110β (IC_{50}=1.3 μM)[1][2]. PIK-75 induces apoptosis [3].

IC_{50} & Target

DNA-PK 2 nM (IC_{50})	p110α 5.8 nM (IC_{50})	p110γ 76 nM (IC_{50})	p110δ 510 nM (IC_{50})
p110β 1.3 μM (IC_{50})	hsVPS34 2.6 μM (IC_{50})	PI3KC2β 1 μM (IC_{50})	PI3KC2α 10 μM (IC_{50})
mTORC1 1 μM (IC_{50})	mTORC2 10 μM (IC_{50})	ATM 2.3 μM (IC_{50})	ATR 21 μM (IC_{50})
PI4KIIIβ			

	50 μM (IC_{50})

In Vitro

PIK-75 also inhibits p110δ, PI3KC2β, mTORC1, ATM, hsVPS34, PI3KC2α, mTORC2, ATR and PI4KIIIβ with IC_{50}s of 510 nM, ~1 μM, ~1 μM, 2.3 μM, 2.6 μM, ~10 μM, ~10 μM, 21 μM, ~50 μM, respectively[1].

PIK-75 alone blocks Thr 308 phosphorylation in L6 myotubes and 3T3-L1 adipocytes with IC_{50} values of 1.2 and 1.3 μM, respectively[1].

PIK-75 (1-1000 nM; 5 min) blocks the phosphorylation of PKB induced by insulin on both Ser473and Thr308 in CHO-IR cells in a dose-dependent manner, with an IC_{50} of 78 nM[2].

PIK-75 (0.1-1000 nM; 48 hours) inhibits the proliferation and survival of pancreatic cancer cells through apoptotic cell death [3].

PIK-75 (0.1-1000 nM) also reduces the colony formation of pancreatic cancer MIA PaCa-2 and AsPC-1 cells[3].

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

Cell Viability Assay[3]

Cell Line:	Human pancreatic cancer cells (MIA PaCa-2 or AsPC-1)
Concentration:	0.1, 0.3, 1, 3, 10, 30, 100, 300, and 1000 nM
Incubation Time:	48 hours
Result:	Submicromolar concentration was sufficient to inhibit the proliferation of pancreatic cancer, MIA PaCa-2 and AsPC-1 cells after 48-h treatment.

Western Blot Analysis[2]

Cell Line:	Overnight-starved CHO-IR cells
Concentration:	1, 10, 100, 1000 nM
Incubation Time:	5 minutes
Result:	Blocked the phosphorylation of PKB induced by insulin (1 nM, 10 min) on both Ser473and Thr308 in a dose-dependent manner.PIK-75 potentiates anticancer activity of Gemcitabine (20 mg/kg) in vivo. Gemcitabine (20 mg/kg) or PIK-75 (2 mg/kg) alone reduces the tumor growth to similar degree. Beneficial effect of PIK-75/Gemcitabine is evident as this combination markedly reduces the tumor growth in vivowithout affecting the body weights of mice[3].

In Vivo

PIK-75 (2 mg/kg) potentiates anticancer activity of Gemcitabine (20 mg/kg) in vivo. Gemcitabine (20 mg/kg) or PIK-75 (2 mg/kg) alone reduces the tumor growth to similar degree. Beneficial effect of PIK-75/Gemcitabine is evident as this combination markedly reduces the tumor growth in vivowithout affecting the body weights of mice[3].

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

Animal Model:	Mice bearing tumors of MIA PaCa-2[3]
Dosage:	2 mg/kg; or combination with Gemcitabine (20 mg/kg)
Administration:	Administered injection; 5 times per week. 25 days
Result:	Reduced the tumor growth and enhanced the antitumor effect.

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2024 Jun 3:e2400023.
- Clin Cancer Res. 2020 Apr 15;26(8):2011-2021.
- Molecules. 2020 Apr 23;25(8):1980.
- Research Square Preprint. 2023 Apr 6.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Knight ZA, et al. A pharmacological map of the PI3-K family defines a role for p110alpha in insulin signaling. Cell. 2006 May 19;125(4):733-47.

[2]. Chaussade C, et al. Evidence for functional redundancy of class IA PI3K isoforms in insulin signalling. Biochem J. 2007 Jun 15;404(3):449-58.

[3]. Duong HQ, et al. Inhibition of NRF2 by PIK-75 augments sensitivity of pancreatic cancer cells to gemcitabine. Int J Oncol. 2014 Mar;44(3):959-69.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA