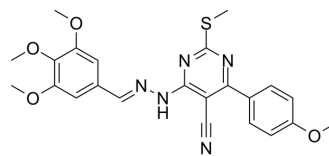


PI3K/AKT-IN-1

Cat. No.:	HY-144806		
Molecular Formula:	C ₂₃ H ₂₃ N ₅ O ₄ S		
Molecular Weight:	465.52		
Target:	PI3K; Akt; Apoptosis		
Pathway:	PI3K/Akt/mTOR; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (107.41 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.1481 mL	10.7407 mL	21.4814 mL
5 mM	0.4296 mL	2.1481 mL	4.2963 mL
10 mM	0.2148 mL	1.0741 mL	2.1481 mL

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

BIOLOGICAL ACTIVITY

Description

PI3K/AKT-IN-1 is an effective PI3K/AKT dual inhibitor (IC₅₀ of 6.99, 4.01 and 3.36 μM for PI3Kγ, PI3Kδ and AKT, respectively). PI3K/AKT-IN-1 has anticancer activity and acts by inhibiting PI3K/AKT axis and inducing caspase 3 dependent apoptosis^[1].

IC₅₀ & Target

PI3Kγ	PI3Kδ
6.99 μM (IC ₅₀)	4.01 μM (IC ₅₀)

In Vitro

PI3K/AKT-IN-1 (compound 7f) (0.04-100 μM; 48 hours) has high cytotoxic activity on both cell lines with better activity on leukaemia cell line (K562 IC₅₀=2.62 μM) than on the breast cancer cell line (MCF-7 IC₅₀=3.22 μM)^[1].

PI3K/AKT-IN-1 (2.62 μM) can promote S-phase cell cycle arrest and apoptosis induction in K562 cells^[1].

PI3K/AKT-IN-1 (2.62 μM; 48 hours) causes an increase in the percentage of Annexin-V positive apoptotic cells both in the early and late stage^[1].

PI3K/AKT-IN-1 (2.62 μM; 48 hours) markedly reduces the expression of PI3K, AKT, Cyclin D1 and NF-κB^[1].

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

Cell Cytotoxicity Assay

Cell Line: MCF-7 and K562 cells^[1]

Concentration:	0.04-100 μ M
Incubation Time:	48 hours
Result:	Demonstrated high cytotoxic activity on both cell lines with better activity on leukaemia cell line (K562 IC_{50} =2.62 μ M) than on the breast cancer cell line (MCF-7 IC_{50} =3.22 μ M).

Cell Cycle Analysis

Cell Line:	K562 cells ^[1]
Concentration:	2.62 μ M
Incubation Time:	
Result:	Promoted S-phase cell cycle arrest and apoptosis induction.

Apoptosis Analysis

Cell Line:	K562 cells ^[1]
Concentration:	2.62 μ M
Incubation Time:	48 hours
Result:	Caused an increase in the percentage of Annexin-V positive apoptotic cells both in the early and late stage.

Western Blot Analysis

Cell Line:	K562 cells ^[1]
Concentration:	2.62 μ M
Incubation Time:	48 hours
Result:	Markedly reduced the expression of PI3K, p-PI3K, AKT, p-AKT, Cyclin D1 and NF- κ B.

In Vivo

PI3K/AKT-IN-1 (2000 mg/kg; p.o.; single) is non-toxic and is well tolerated by experimental animals^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female rats (180-200g) ^[1]
Dosage:	2000 mg/kg
Administration:	p.o.; single
Result:	The median lethal dose (LD_{50}) was greater than the test dose (2000 mg/kg).

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2022 Jul 28;e2200546.
- Acta Pharmacol Sin. 2023 Dec 6.
- Int J Mol Sci. 2023 Nov 21, 24(23), 16552.

- Toxicology. 2023 Jan 10;485:153426.
- Exp Ther Med. 2023 Sep 14.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. El-Dydamony NM, et al. Pyrimidine-5-carbonitrile based potential anticancer agents as apoptosis inducers through PI3K/AKT axis inhibition in leukaemia K562. J Enzyme Inhib Med Chem. 2022;37(1):895-911.

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

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