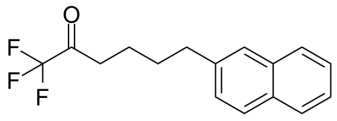
FKGK18

Cat. No.:	HY-115403
CAS No.:	1071001-09-6
Molecular Formula:	$C_{16}H_{15}F_3O$
Molecular Weight:	280.28
Target:	Phospholipase; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (178.39 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5679 mL	17.8393 mL	35.6786 mL
	5 mM	0.7136 mL	3.5679 mL	7.1357 mL
	10 mM	0.3568 mL	1.7839 mL	3.5679 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: ≥ 1.25 mg/mL (4.46 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (4.46 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (4.46 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FKGK18 is a selective group VIA calcium-independent phospholipase A_2 (GVIA iPLA$_2$) inhibitor. FKGK18 is a fluoroketone (FK)-based compound with IC_{50}s of 50 nM and 3 μM for iPLA$_2$β and iPLA$_2$γ. FKGK18 can be used for the research of beta-cell apoptosis and diabetes[1][2][3].

IC_{50} & Target

IC50: 50 nM (iPLA2β), 3 μM (iPLA2γ)[2]

In Vitro

FKGK18 (1 nM; 1 h) inhibits glucose-stimulated insulin secretion (GSIS) and prostaglandin E2 (PGE2) generation[2].
FKGK18 (0.1-10 nM; 24 h) inhibits beta-cell apoptosis[3].

FKGK18 (0.1-10 μM; 24 h) affects immune cells function and influences B-cell survival[3].

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

Cell Viability Assay[2]

Cell Line:	Human pancreatic islets
Concentration:	1 nM
Incubation Time:	1 h
Result:	Inhibited GSIS from pancreatic islets, AA hydrolysis from beta-cells membranes and PGE2 generation. Penetrated islets and the beta-cells from islets.

Apoptosis Analysis[2]

Cell Line:	INS-1 OE cells
Concentration:	0.1-10 nM
Incubation Time:	24 h
Result:	Inhibited beta-cells apoptosis induced by ER-stress.

Cell Viability Assay[3]

Cell Line:	$CD4^+$ T-cell and B-cell from 8–12-week-old NOD female mice
Concentration:	0.1-10 μM/L
Incubation Time:	24 h
Result:	Decreased TNF-α generation, reduced viability of B cell and antibody production.

In Vivo

FKGK18 (20 mg/kg; i.p. three times per week from 10 days until euthanasia) reduces diabetes incidence[3].

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

Animal Model:	10-day-old female NOD mice[3]
Dosage:	20 mg/kg
Administration:	Intraperitoneal injection; 20 mg/kg three times per week; from 10 days until euthanasia
Result:	Reduced diabetes incidence and maintained better glucose homeostasis.

REFERENCES

[1]. Kokotos G, et al. Potent and selective fluoroketone inhibitors of group VIA calcium-independent phospholipase A2. J Med Chem. 2010 May 13;53(9):3602-10.

[2]. Ali T, et al. Characterization of FKGK18 as inhibitor of group VIA Ca2+-independent phospholipase A2 (iPLA2β): candidate drug for preventing beta-cell apoptosis and diabetes. PLoS One. 2013 Aug 20;8(8):e71748.

[3]. Bone RN, et al. Inhibition of Ca2+-independent phospholipase A2β (iPLA2β) ameliorates islet infiltration and incidence of diabetes in NOD mice. Diabetes. 2015 Feb;64(2):541-54.

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

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