PFK-158

Cat. No.: HY-12203

CAS No.: 1462249-75-7

Molecular Formula: $C_{18}H_{11}F_3N_2O$

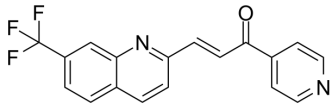
Molecular Weight: 328.29

Target: Autophagy; Apoptosis

Pathway: Autophagy; 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 : ≥ 30 mg/mL (91.38 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0461 mL	15.2304 mL	30.4609 mL
5 mM	0.6092 mL	3.0461 mL	6.0922 mL
10 mM	0.3046 mL	1.5230 mL	3.0461 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: 2 mg/mL (6.09 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2 mg/mL (6.09 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (6.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PFK-158 is a potent and selective PFKFB3 inhibitor with an IC_{50} value 137 nM. PFK-158 reduces glucose uptake, ATP production, lactate release, and induces apoptosis and autophagy in cancer cells. PFK-158 has broad anti-tumor activity. PFK-158 can also enhance Colistin's resistance to bacteria[1][2][3].

IC_{50} & Target

IC50 : 137 nM (PFKFB3)[1][3]

In Vitro

PFK-158 (10 μM ; 24 hours; OV2008 and C13 cells) combined with Carboplatin (CBPt; 77-453 μM) results in significant increase in apoptosis in C13 (45%) and OV2008 cells (24.6%)[1].
PFK-158 (0-10 μM ; 24 hours; C13 and HeyA8MDR cells) treatment results in a dose-dependent decrease in p-PFKFB3, p-cPLA2 and lipid droplet (LD) levels[1].
PFK-158 (10 μM; 24 hours) has synergistic anti-proliferative effects in vitro when combined with Cisplatin in C13 and HeyA8MDR cells compared to OV2008 and HeyA8, respectively[1].
PFK-158 (0□10 μM; 24 h) treatment shows a dose-dependent downregulation of p62/SQSTM1 and upregulation of LC3BII, two markers of autophagy induction, in both C13 and HeyA8MDR cells. PFK-158 treatment also reduces the numbers of LDs [1].

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

Apoptosis Analysis[1]

Cell Line:	OV2008 and C13 cells
Concentration:	10 μM
Incubation Time:	24 hours
Result:	Combined with Carboplatin (CBPt) treatment resulted in significant increase in apoptosis.

Western Blot Analysis[1]

Cell Line:	C13 and HeyA8MDR cells
Concentration:	0 μM, 5 μM, 10 μM
Incubation Time:	24 hours
Result:	Demonstrated a dose-dependent decrease in p-PFKFB3, p-cPLA2 and lipid droplet (LD) levels.

In Vivo

PFK-158 (15 mg/kg; intraperitoneal injection; once a week; for 4 weeks; female athymic nude mice) plus CBPt (51 mg/kg) treatment leads to significantly enhanced antitumor activity in a gynecologic cancer mouse model[1].

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

Animal Model:	Female athymic nude mice (nu/nu) (5-6 weeks old) injected with HeyA8MDR cells[1]
Dosage:	15 mg/kg
Administration:	Intraperitoneal injection; once a week; for 4 weeks
Result:	A marked reduction of tumor growth was observed in the combination treatment.

CUSTOMER VALIDATION

- Cell Rep. 2023 Dec 18;43(1):113557.
- Cells. 2021, 10(7), 1679.
- Exp Neurol. 2023 Oct 29:371:114590.
- J Biol Chem. 2019 Jul 5;294(27):10530-10543.
- Infect Drug Resist. 2021 Jun 9;14:2143-2154.

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REFERENCES

[1]. Mondal S, et al. Therapeutic targeting of PFKFB3 with a novel glycolytic inhibitor PFK158 promotes lipophagy and chemosensitivity in gynecologic cancers. Int J Cancer. 2019 Jan 1;144(1):178-189.

[2]. Zhang Y, et al. Synergistic Effect of Colistin Combined with PFK-158 against Colistin-Resistant Enterobacteriaceae. Antimicrob Agents Chemother. 2019 Jun 24;63(7). pii: e00271-19.

[3]. Pooran Chand, et al. Pfkfb3 inhibitor and methods of use as an anti-cancer therapeutic. WO2013148228A1.

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