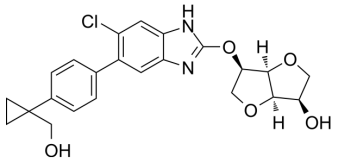
PF-739

Cat. No.:	HY-117755
CAS No.:	1852452-14-2
Molecular Formula:	$C_{23}H_{23}ClN_2O_5$
Molecular Weight:	442.89
Target:	AMPK
Pathway:	Epigenetics; PI3K/Akt/mTOR
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 : 100 mg/mL (225.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2579 mL	11.2895 mL	22.5790 mL
	5 mM	0.4516 mL	2.2579 mL	4.5158 mL
	10 mM	0.2258 mL	1.1289 mL	2.2579 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: 2.5 mg/mL (5.64 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.64 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.64 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PF-739 is an orally active and non-selective activator of AMPK. PF-739 activates 12 heterotrimeric AMPK complexes and significantly reduces the level of glucose in plasma complexes[1][2].

IC_{50} & Target

AMPK α1β1γ1	AMPK α1β2γ1	AMPK α2β1γ1	AMPK α2β2γ1
8.99 nM (EC50)	126 nM (EC50)	5.23 nM (EC50)	42.2 nM (EC50)

In Vitro

PF-739 activates α2β1γ1, α2β2γ1, α1β1γ1 and α1β2γ1 with EC_{50} values of 5.23 nM, 42.2 nM, 8.99 nM and 126 nM, respectively

	[1]. PF-739 (0-1000 nM) increases the phosphorylation of AMPK substrate in primary rat hepatocytes and myotubes of primary human cardiac cell line with dose-dependent manner[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-739 (30-1000 mg/kg; p.o. or s.c.; single does) effectively activates AMPK in liver cells and skeletal muscle and reduces plasma glucose level in C57BL/6 mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 mice[2].
Dosage:	30, 100, 300 or 1000 mg/kg.
Administration:	Oral gavage or subcutaneous injection; single does.
Result:	Increased AMPK activity in skeletal muscle, AMPK phosphorylation in liver tissue and the expression of transcription factors Ppargc1a, Nr4a1, Nr4a3 in glucose metabolism pathway, and decreased plasma insulin and blood glucose.

REFERENCES

[1]. Aledavood E, et al. Elucidating the Activation Mechanism of AMPK by Direct Pan-Activator PF-739[J]. Frontiers in Molecular Biosciences, 2021, 8: 760026.

[2]. Cokorinos EC, et al. Activation of Skeletal Muscle AMPK Promotes Glucose Disposal and Glucose Lowering in Non-human Primates and Mice. Cell Metab. 2017 May 2;25(5):1147-1159.e10.

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