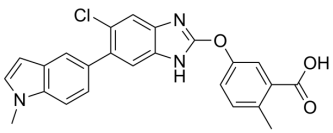
EX229

Cat. No.:	HY-112769
CAS No.:	1219739-36-2
Molecular Formula:	$C_{24}H_{18}ClN_3O_3$
Molecular Weight:	431.87
Target:	AMPK
Pathway:	Epigenetics; PI3K/Akt/mTOR
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 13 mg/mL (30.10 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3155 mL	11.5776 mL	23.1551 mL
	5 mM	0.4631 mL	2.3155 mL	4.6310 mL
	10 mM	0.2316 mL	1.1578 mL	2.3155 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: ≥ 1.3 mg/mL (3.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.3 mg/mL (3.01 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.3 mg/mL (3.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EX229, a Benzimidazole derivative, is a potent and allosteric activator of AMP-activated protein kinase (AMPK), with K_ds of 0.06 μM, 0.06 μM and 0.51 μM for α1β1γ1, α2β1γ1 and α1β2γ1 in biolayer interferometry, respectively.

IC_{50} & Target

AMPK α1β1γ1	AMPK α2β1γ1	AMPK α1β2γ1
0.06 μM (Kd)	0.06 μM (Kd)	0.51 μM (Kd)

In Vitro

EX229 is a potent and allosteric activator of AMP-activated protein kinase (AMPK), with K_ds of 0.06 μM, 0.06 μM and 0.51 μM

for α1β1γ1, α2β1γ1 and α1β2γ1, respectively.[1]. Treatment of hepatocytes with EX229 (991) alone results in a slight increase in the phosphorylation of AMPK and RAPTOR only at 0.3 μM, whereas a robust increase in ACC phosphorylation is readily observed and saturated at a concentration of 0.03 μM EX229. AICAR or C13 alone robustly increases T172 phosphorylation of AMPKα, and when EX229 is coincubated, there is a modest additional dose-dependent increase in AMPKα phosphorylation. RAPTOR phosphorylation is modestly increased by AICAR or C13 alone, and it is dose dependently increased when coincubations are carried out with EX229. EX229 also dose dependently (0.01 and 0.1 μM) inhibits lipogenesis (34% and 63%, respectively), which is further reduced when it is coincubated with a low dose of AICAR (0.03 mM) or C13 (1 μM). Treatment with EX229 promotes dose-dependent increases in ACC and RAPTOR phosphorylation. Similar to the observations in hepatocytes[2].

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

CUSTOMER VALIDATION

- JCI Insight. 2023 Nov 28:e171850.
- Research Square Preprint. 2023 Jun 15.

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REFERENCES

[1]. Xiao B, et al. Structural basis of AMPK regulation by small molecule activators. Nat Commun. 2013;4:3017.

[2]. Bultot L, et al. Benzimidazole derivative small-molecule 991 enhances AMPK activity and glucose uptake induced by AICAR or contraction in skeletal muscle. Am J Physiol Endocrinol Metab. 2016 Oct 1;311(4):E706-E719.

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