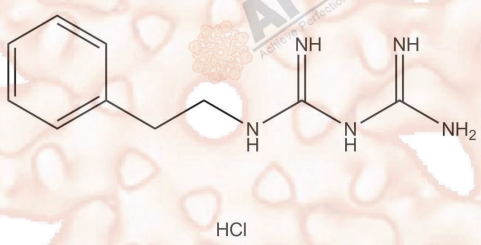
Product Data Sheet

Phenformin HCl

Cat. No.: B1373
CAS No.: 834-28-6
Formula: C10H16ClN5
M.Wt: 241.72
Synonyms:
Target: Others
Pathway: Others
Storage: Store at -20°C



Solvent & Solubility

In Vitro

⩾12.1mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	4.1370 mL	20.6851 mL	41.3702 mL
5 mM	0.8274 mL	4.1370 mL	8.2740 mL
10 mM	0.4137 mL	2.0685 mL	4.1370 mL

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

Biological Activity

Shortsummary	biguanidine drug with anti-diabetic activity
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	BRAFV600E Me-1 melanoma cells and HEK-293 cells
Preparation method:	The solubility of this compound in DMSO is > 12.1 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	1 or 5 mM; 2 hrs
Applications:	In BRAFV600E Me-1 melanoma cell line (with impaired AMPK activation), 1

		and 5 mM Phenformin significantly increased AMPK kinase activity, in a dose-dependent manner. A similar increase was also observed in HEK-293 cells (as control cells). These results implied that the presence of BRAFV600E in melanoma cells did not prevent the pharmacological activation of AMPK, and that AMPK was activated by the increase in phosphorylation of a key AMPK downstream target (ACC).
In Vivo	**Animal experiment**	
	Animal models:	Tyr::CreER; BRAFCA/+; PTENlox/lox mice bearing single tumor induced by 4-HT
	Dosage form:	100 mg/kg; p.o.; b.i.d.
	Applications:	In Tyr::CreER; BRAFCA/+; PTENlox/lox mice bearing single tumor induced by 4-HT, the combination of Phenformin and PLX4720 significantly inhibited tumor growth. PLX4720 alone substantially reduced the rate of tumor progression, but Phenformin alone only showed a modest inhibition on tumor growth in these mice. According to immunohistochemical analyses of these tumors, the Phenformin/PLX4720 combination dramatically promoted apoptotic cell death and inhibited tumor cell proliferation.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Pathria G, Scott DA, et al. "Targeting the Warburg effect via LDHA inhibition engages ATF4 signaling for cancer cell survival." EMBO J. 2018 Oct 15;37(20). pii:e99735.PMID:30209241

See more customer validations on www.apexbt.com.

References

[1]. Petti C, Vegetti C, Molla A, Bersani I, Cleris L, Mustard KJ, Formelli F, Hardie GD, Sensi M, Anichini A. AMPK activators inhibit the proliferation of human melanomas bearing the activated MAPK pathway. Melanoma Res. 2012 Oct;22(5):341-50.

[2]. Yuan P, Ito K, Perez-Lorenzo R, Del Guzzo C, Lee JH, Shen CH, Bosenberg MW, McMahon M, Cantley LC, Zheng B. Phenformin enhances the therapeutic benefit of BRAF(V600E) inhibition in melanoma. Proc Natl Acad Sci U S A. 2013 Nov 5;110(45):18226-31.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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