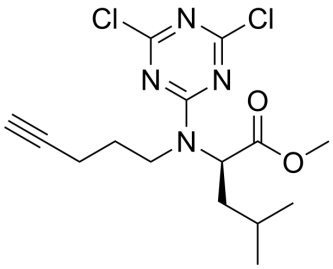
LAS17

Cat. No.:	HY-115673
CAS No.:	2362527-67-9
Molecular Formula:	$C_{15}H_{20}Cl_2N_4O_2$
Molecular Weight:	359.25
Target:	Gutathione S-transferase
Pathway:	Metabolic Enzyme/Protease
Storage:	Pure form -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (278.36 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7836 mL	13.9179 mL	27.8358 mL
	5 mM	0.5567 mL	2.7836 mL	5.5672 mL
	10 mM	0.2784 mL	1.3918 mL	2.7836 mL

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

BIOLOGICAL ACTIVITY

Description

LAS17 is a potent and selective tyrosine-directed irreversible inhibitor for glutathione?S-Transferase Pi (GSTP1) [1]. LAS17 inhibits GSTP1 activity with an IC_{50} of 0.5 μM[2]. LAS17 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

In Vitro

Glutathione S-Transferase Pi (GSTP1) mediates cellular defense against reactive electrophiles. LAS17 inhibits GSTP1 activity in vitro in a concentration-dependent manner[1].

LAS17 (10 μM; Serum-free survival 48 h) treatment in 231MFP breast cancer cells recapitulates the serum-free cell survival impairments observed with genetic inactivation of GSTP1[2].

GSTP1 knockdown in LAS17 (10 μM) treatment in 231MFP cells results in increased levels of phosphorylated AMPK and acetyl CoA carboxylase (ACC)[2].

LAS17 treatment in 231MFP cells also shows reduced levels of ATP, lactic acid, purine nucleotides, and diacylated phospholipids and alkylacyl ether lipids and increased levels of acyl carnitines (ACs), ceramides, lysophospholipids[2].

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

Cell Viability Assay[2]

Cell Line:	231MFP breast cancer cells
Concentration:	10 μM
Incubation Time:	48 hours
Result:	Recapitulated the serum-free cell survival impairments observed with genetic inactivation of GSTP1.

Western Blot Analysis[2]

Cell Line:	231MFP cells
Concentration:	10 μM
Incubation Time:	
Result:	LAS17-treated 231MFP cells show increased levels of phosphorylated AMPK and ACC.

In Vivo

Daily administration of LAS17 (20 mg/kg ip, once per day) significantly impairs 231MFP breast tumor xenograft growth in immune-deficient mice when treatment is initiated 2 days after subcutaneous injection of cells, and LAS17 even slows tumor growth when initiated 16 days after tumor implantation, with no observable toxicity and no weight-change[2].

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

Animal Model:	Severe combined immunodeficiency (SCID) mice bearing 231MFP tumor xenograft[2]
Dosage:	20 mg/kg (prepared in PBS:ethanol:PEG40 (18:1:1))
Administration:	Daily administration i.p., once per day
Result:	Significantly impaired 231MFP breast tumor xenograft growth.

CUSTOMER VALIDATION

- Mol Cell. 2023 Nov 16:S1097-2765(23)00913-9.

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REFERENCES

[1]. L A Crawford, et al. A tyrosine-reactive irreversible inhibitor for glutathione S-transferase Pi (GSTP1). Mol Biosyst. 2016 May 24;12(6):1768-71.

[2]. Sharon M Louie, et al. GSTP1 Is a Driver of Triple-Negative Breast Cancer Cell Metabolism and Pathogenicity. Cell Chem Biol. 2016 May 19;23(5):567-578.

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