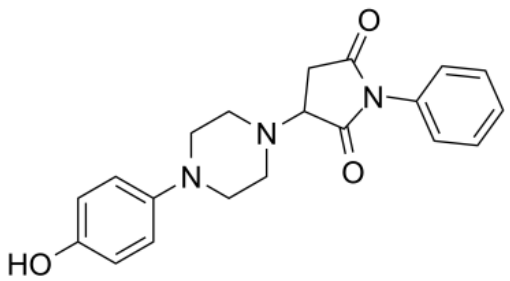
Product Data Sheet

Product Name: Malic enzyme inhibitor ME1
Cat. No.: GC38506

Chemical Properties

Cas. No.	522649-59-8		
SMILES	O=C(C(N1CCN(C2=CC=C(O)C=C2)CC1)C3)N(C4=CC=CC=C4)C3=O		
Formula	$C_{20}H_{21}N_3O_3$	M.Wt	351.4
Solubility	DMSO: 125 mg/mL (355.72 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Product Data Sheet

Cell lines	The HCT116 and HT29 human colon cancer cell lines
Preparation Method	Cells were plated at a density of 1×10^3 cells/well in 96-well plates and incubated for 24h, and then incubated with Malic enzyme inhibitor ME1 for 48h (0.5% DMSO as a control). Cell proliferation/metabolism was monitored using the Cell Proliferation Assay (MTS).
Reaction Conditions	50μM; 48h
Applications	Malic enzyme inhibitor ME1 reduced cell viability/metabolic activity of HCT116 and HT29 human colon cancer cells.
Animal experiment [2]:	
Animal models	Sprague-Dawley rats
Preparation Method	The pulmonary hypertension rat model was established by a single subcutaneous injection of SU5416 (20mg/kg) combined with hypoxia (10% oxygen) for 3 weeks followed by normoxia for 5 weeks. Animals were treated with vehicle or Malic enzyme inhibitor ME1(50mg/kg/day) for 2 weeks. At the end of treatment, right ventricular systolic pressure (RVSP), right ventricular hypertrophy index (RVHI), echocardiography, and pulmonary vascular remodeling were assessed.
Dosage form	50mg/kg; 2 weeks; i.p.

Caution: Product has not been fully validated for medical applications. For research use only.
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Product Data Sheet

Applications	Malic enzyme inhibitor ME1 effectively improved pulmonary hemodynamics, indicated by a reduction in RVSP and RVHI, and reduced pulmonary vascular remodeling, indicated by a decrease in medial wall thickness and muscularized and occluded pulmonary arteries.
References:[1] Fernandes L M, Al-Dwairi A, Simmen R C M, et al. Malic enzyme 1 (ME1) is pro-oncogenic in $Apc^{Min/+}$ mice [J]. Scientific reports, 2018, 8(1): 14268. [2] Luo Y, Qi X, Zhang Z, et al. Inactivation of Malic enzyme 1 in endothelial cells alleviates pulmonary hypertension [J]. Circulation, 2024, 149(17): 1354-1371.	

Background

Malic enzyme inhibitor ME1 is an inhibitor of Malic enzyme (ME1) with an IC_{50} of 0.15μM[1]. Malic enzymes, as key enzymes of the TCA (tricarboxylic acid) cycle, catalyze the oxidative decarboxylation of malate to pyruvate, and ME1 is a cytosolic $NADP^+$ (nicotinamide adenine dinucleotide phosphate)-dependent isoform[2].

In vitro, Malic enzyme inhibitor ME1 (50μM; 72h) significantly reduced the total cell numbers and the diameters of HCT116 and HT29 human colon cancer cells; Malic enzyme inhibitor ME1 (50μM; 48h) reduced cell viability and metabolic activity of HCT116 and HT29 human colon cancer cells[3].

In vivo, Malic enzyme inhibitor ME1 (50mg/kg; 2 weeks; i.p.) alleviated SU5416/hypoxia-induced severe pulmonary hypertension in Sprague-Dawley rats[2].

References:
[1] Zhang Y J, Wang Z, Sprous D, et al. In silico design and synthesis of piperazine-1-pyrrolidine-2,5-dione scaffold-based novel malic enzyme inhibitors [J]. Bioorganic & medicinal chemistry letters, 2006, 16(3): 525-528.
[2] Luo Y, Qi X, Zhang Z, et al. Inactivation of Malic enzyme 1 in endothelial cells alleviates pulmonary hypertension [J]. Circulation, 2024, 149(17): 1354-1371.
[3] Fernandes L M, Al-Dwairi A, Simmen R C M, et al. Malic enzyme 1 (ME1) is pro-oncogenic in $Apc^{Min/+}$ mice [J]. Scientific reports, 2018, 8(1): 14268.