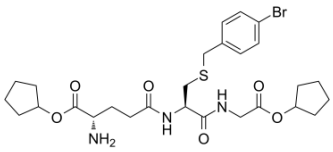
BrBzGCp2

Cat. No.:	HY-136684
CAS No.:	166038-00-2
Molecular Formula:	$C_{27}H_{38}BrN_3O_6S$
Molecular Weight:	612.58
Target:	Others
Pathway:	Others
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (408.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6324 mL	8.1622 mL	16.3244 mL
	5 mM	0.3265 mL	1.6324 mL	3.2649 mL
	10 mM	0.1632 mL	0.8162 mL	1.6324 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BrBzGCp2 is a Glyoxalase 1 (GLO1) inhibitor, with a GC_{50} of 4.23 μM in HL-60 cells. BrBzGCp2 possesses antitumor and neuroprotective activity[1][2].

IC_{50} & Target

GC50: 4.23 μM (GLO1)[1].

In Vivo

GLO1 inhibition by BrBzGCp2 increases center time in the OF test, without changing distance traveled. GLO1 inhibition increases MG (methylglyoxal) concentration, thus reducing anxiety-like behavior[2].
BrBzGCp2 pre-treatment decreases seizure duration[3].
BrBzGCp2 injection alleviates the level of anxiety in mice, and mice with less anxiety and fear were more likely to explore the unknown area, implying that inhibition of GLO1 activity mitigated anxiety levels[4].
BrBzGCp2 treatment restores the VPA-induced inhibition effect on $GABA_A$ receptor activation[4].

BrBzGCp2 significantly lowers the blood pressure and ameliorated endothelial dysfunction in diabetic mice[5].

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

Animal Model:	Male CD-1 mice[2].
Dosage:	50 mg/kg.
Administration:	IP once (Two hours post-injection, mice were sacrificed, and brains were rapidly dissected and flash-frozen on dry ice. MG concentration was measured)
Result:	Allowed MG levels to accumulate for 2 hours

REFERENCES

[1]. P J Thornalley, et al. Antitumor activity of S-(p-bromobenzyl)glutathione diesters in vitro: a structure-activity study. J Med Chem. 1996 Aug 16;39(17):3409-11.

[2]. Margaret G Distler, et al. Glyoxalase 1 increases anxiety by reducing GABAA receptor agonist methylglyoxal. J Clin Invest. 2012 Jun;122(6):2306-15.

[3]. Katherine M. J. McMurray, et al. GLO1 inhibitors for neuropsychiatric and anti-epileptic drug development. Biochem Soc Trans. 2014 Apr;42(2):461-7.

[4]. Margaret G Distler, et al. Glyoxalase 1 and its substrate methylglyoxal are novel regulators of seizure susceptibility. Epilepsia. 2013 Apr;54(4):649-57.

[5]. Tao Xu, et al. GW29-e0826 ARC Regulates Programmed Necrosis and Myocardial Ischemia/Reperfusion Injury through Preventing the Opening of mPTP. J Am Coll Cardiol. 2018 Oct, 72 (16_Supplement) C27.

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