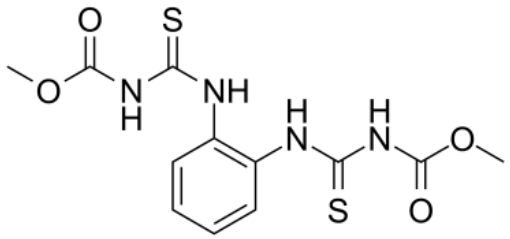
Product Data Sheet

Product Name: Thiophanate-Methyl
Cat. No.: GC34839

Chemical Properties

Cas. No.	23564-05-8		
SMILES	COC(NC(NC1=C(NC(NC(OC)=O)=S)C=CC=C1)=S)=O		
Formula	$C_{12}H_{14}N_4O_4S_2$	M.Wt	342.39
Solubility	DMSO : 300 mg/mL (876.19 mM);Water : < 0.1 mg/mL (insoluble)	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]:	
Cell lines	A549 human lung cancer cells

Product Data Sheet

Preparation method	A549 human lung cancer cells were treated with various concentrations (10^{-8}, 10^{-7}, 10^{-6}, 10^{-5} and 10^{-4} M) of 17β-estradiol and G-1 in 96-well plates and incubated for 48 or 72 h. Following incubation, MTT solution (Sigma-Aldrich) was added to each well at a concentration of 0.5 mg/ml, and incubated for 4 h at 37°C.
Reaction Conditions	0.01-100 μM for 48 and 72 h
Applications	Treatment with G-1 (10^{-5} and 10^{-4} M) for 48 and 72 h significantly decreased cell proliferation.
Animal experiment [2]:	
Animal models	SJL mice (5–7 weeks old)
Preparation method	SJL mice were immunized s.c. with 50 μg PLP139-151 and CFA (400 μg Mycobacterium tuberculosis). Mice were treated with 50 mg/kg/day G-1 daily for 21 days beginning at the day of disease induction. Control mice were similarly treated with vehicle (5% Dimethyl sulfoxide (DMSO), 95% Polyethylene glycol (PEG)-300.
Dosage form	50 mg/kg/day for 21 days

Product Data Sheet

Applications	G-1 administration significantly reduced the severity of actively induced experimental allergic encephalomyelitis (EAE) but not the incidence of disease.

References:

[1]: Kurt A H, Çelik A, Kelleci B M. Oxidative/antioxidative enzyme-mediated antiproliferative and proapoptotic effects of the GPER1 agonist G-1 on lung cancer cells[J]. Oncology Letters, 2015, 10(5): 3177-3182.

[2]: Blasko E, Haskell C A, Leung S, et al. Beneficial role of the GPR30 agonist G-1 in an animal model of multiple sclerosis[J]. Journal of neuroimmunology, 2009, 214(1-2): 67-77.

Background

G-1 is a selective and potent agonist of GPR30 with EC_{50} value about 2 nM [1].

G-1 treatment (10^{-5} and 10^{-4} M) for 48 and 72 h significantly decreased A549 cell proliferation, at 72 h, the IC_{50} value for G-1 was calculated to be 2×10^{-5} M [2]. G-1 treatment at a concentration of 2×10^{-5} M had no significant effect on CAT activity but led to a significant increase in SOD activity, GPx activity and NO level [2]. G-1 inhibited TNF-α and IL-6 release on primary human macrophages derived from monocytes treated with GM-CSF over 6 days. The agonist inhibited the induction of both cytokines with IC_{50} values of 209 nM and 317 nM, respectively [3]. G-1 was also able to inhibit LPS induction of TNF-α in a mouse macrophage cell line, RAW 264.7 [3].

G-1 (50 mg/kg/day, 21 days) administration significantly reduced the severity of actively induced experimental allergic encephalomyelitis (EAE). G-1 treatment reduced the qualitative degree of inflammation in the lumbar spinal cord. G-1 treatment reduced the fraction of CNS-infiltrating macrophages ($CD45^{hi}CD11b^{+}$) in three individually analyzed mice [3]. G-1 could exert protective effects on motoneurons. The intraperitoneal injection of the GPR30 agonist G-1 for 14 days induces neuroprotective effects similar with the same dose of E2 [4].

Product Data Sheet

References:

[1]. Bologa C G, Revankar C M, Young S M, et al. Virtual and biomolecular screening converge on a selective agonist for GPR30[J]. Nature chemical biology, 2006, 2(4): 207-212.

[2]. Kurt A H, Çelik A, Kelleci B M. Oxidative/antioxidative enzyme-mediated antiproliferative and proapoptotic effects of the GPER1 agonist G-1 on lung cancer cells[J]. Oncology Letters, 2015, 10(5): 3177-3182.

[3]. Blasko E, Haskell C A, Leung S, et al. Beneficial role of the GPR30 agonist G-1 in an animal model of multiple sclerosis[J]. Journal of neuroimmunology, 2009, 214(1-2): 67-77.

[4]. Cheng Q, Meng J, Wang X, et al. G-1 exerts neuroprotective effects through G protein-coupled estrogen receptor 1 following spinal cord injury in mice[J]. Bioscience Reports, 2016, 36(4).

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA