Product Data Sheet

Product Name: AM1241
Cat. No.: GC15414

Chemical Properties

Cas. No.	444912-48-5		
Chemical Name	(2-iodo-5-nitrophenyl)-[1-[(1-methylpiperidin-2-yl)methyl]indol-3-yl]methanone		
SMILES	CN1CCCCC1CN2C=C(C3=CC=CC=C32)C(=O)C4=C(C=CC(=C4)[N+](=O)[O-])I		
Formula	$C_{22}H_{22}IN_3O_3$	M.Wt	503.33
Solubility	≥ 50.3mg/mL in DMSO with gentle warming, ≥ 3.87 mg/mL in EtOH with ultrasonic	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	AM1241		

Protocol

Cell experiment [1]:

Cell lines	BV2 cells (mouse microglial cell line)
Preparation Method	BV2 cells were maintained in Dulbecco's modified Eagle medium (DMEM) supplemented with 10% fetal bovine serum (FBS) and 1% antibiotics at 37°C, 5% CO_2. BV2 cells were pretreated with AM1241 (5, 10, or 20μM) for 1 hour, followed by stimulation with H_2O_2 (1mM) for 4 hours.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Reaction Conditions	5–20μM; 1 hour pretreatment
Applications	AM1241 significantly inhibited H_2O_2-induced release of pro-inflammatory cytokines TNF-α and IL-6, reduced reactive oxygen species (ROS) production, and suppressed the formation of the MD2/TLR4 complex in BV2 cells. AM1241 also attenuated H_2O_2-triggered activation of the MAPK pathway (phospho-p38, ERK, JNK) and NF-κB, while downregulating apoptosis-related proteins (BAX, Cleaved-Caspase3).

Animal experiment [2]:

Animal models	Sprague-Dawley rats
Preparation Method	Rats were subjected to myocardial ischemia-reperfusion injury (MIRI) by ligating the left anterior descending coronary artery for 30min, followed by 2h of reperfusion. AM1241 (6mg/kg) or vehicle was administered intraperitoneally 1h before ischemia. Cardiac function, infarct size, and biochemical markers were assessed post-reperfusion.
Dosage form	6mg/kg; i.p.; Single injection 1h pre-ischemia.

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Applications	AM1241 significantly improved cardiac function (ejection fraction and fractional shortening), reduced myocardial infarct size, and decreased serum levels of injury markers (cTnI, AST, LDH, CK-MB). AM1241 attenuated oxidative stress (suppressed 4-HNE and 3-NT levels), inhibited apoptosis, and suppressed inflammatory cell infiltration. These protective effects were mediated via activation of the Nrf2/HO-1 pathway.

References:
[1] Li S, Yang P, Wu Z, et al. The effects and mechanisms of AM1241 in alleviating cerebral ischemia-reperfusion injury. Brain Res Bull. 2024 Sep;215:111025.
[2] Zhang M, Tian Q, Liu J. Cannabinoid Receptor-2 agonist AM1241 Attenuates Myocardial Ischemia-Reperfusion-Induced Oxidative Stress in Rats via Nrf2/HO-1 Pathway. Med Princ Pract. 2024;33(6):597-606.

Background

AM1241 is an aminoalkylindole analog and a selective ligand for the type II cannabinoid receptor (CB2), exhibiting higher affinity for the CB2 receptor (K_i=3.4nM) than for the CB1 receptor (K_i=280nM)[1-2]. AM1241 is applicable in research related to neuropathic pain and inflammatory pain[3-4].

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In vitro, AM1241 (4μM) combined with LBH589 (0.1μM) was administered to cervical cancer SiHa cells for 24 hours. AM1241 synergized with LBH589 to significantly inhibit cell proliferation and induce apoptosis. AM1241 activated the endoplasmic reticulum stress pathway, DNA damage repair signaling pathways, the autophagy pathway, and increased reactive oxygen species (ROS) levels[5]. AM1241 (5-20μM) was used to pretreat BV2 microglial cells for 1 hour, followed by stimulation with H_2O_2 (1mM) for 4 hours. AM1241 significantly inhibited H_2O_2-induced release of pro-inflammatory factors TNF-α and IL-6, ROS production, and the formation of the MD2/TLR4 complex, while also reducing apoptosis7[6].

In vivo, AM1241 (6mg/kg) was intraperitoneally administered to Sprague-Dawley rats with myocardial ischemia-reperfusion injury (a single dose given 1 hour before modeling). AM1241 significantly improved cardiac function indicators (left ventricular ejection fraction and fractional shortening), reduced myocardial infarction area, decreased serum levels of myocardial injury markers (cTnI, AST, LDH, CK-MB), and attenuated oxidative stress and apoptosis[7]. AM1241 (3mg/kg or 9mg/kg) was intra-articularly injected into C57BL/6 mice with Hulth surgery-induced osteoarthritis (once a week for 5 consecutive weeks). AM1241 significantly alleviated cartilage degradation and synovial inflammation and suppressed the expression of extracellular matrix degradation markers (MMP-13, ADAMTS-5)[8].

References:

[1] Ibrahim MM, Deng H, Zvonok A, et al. Activation of CB2 cannabinoid receptors by AM1241 inhibits experimental neuropathic pain: pain inhibition by receptors not present in the CNS. Proc Natl Acad Sci U S A. 2003 Sep 2;100(18):10529-33.
[2] Yao BB, Mukherjee S, Fan Y, et al. In vitro pharmacological characterization of AM1241: a protean agonist at the cannabinoid CB2 receptor? Br J Pharmacol. 2006 Sep;149(2):145-54.
[3] Cai Y, Tong F, Li K, et al. Cannabinoid receptor 2 agonist AM1241 alleviates epileptic seizures and epilepsy-associated depression via inhibiting neuroinflammation in a pilocarpine-induced chronic epilepsy mouse model. Mol Cell Neurosci. 2024 Sep;130:103958.
[4] He X, Yang L, Huang R, et al. Activation of CB2R with AM1241 ameliorates neurodegeneration via the Xist/miR-133b-3p/Pitx3 axis. J Cell Physiol. 2020 Sep;235(9):6032-6042.

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[5] Sheng B, Wang W, Xia D, et al. Panobinostat (LBH589) combined with AM1241 induces cervical cancer cell apoptosis through autophagy pathway. BMC Pharmacol Toxicol. 2023 Sep 22;24(1):45.
[6] Li S, Yang P, Wu Z, et al. The effects and mechanisms of AM1241 in alleviating cerebral ischemia-reperfusion injury. Brain Res Bull. 2024 Sep;215:111025.
[7] Zhang M, Tian Q, Liu J. Cannabinoid Receptor-2 agonist AM1241 Attenuates Myocardial Ischemia-Reperfusion-Induced Oxidative Stress in Rats via Nrf2/HO-1 Pathway. Med Princ Pract. 2024;33(6):597-606.
[8] Zou Z, Pan S, Sun C, et al. AM1241 inhibits chondrocyte inflammation and ECM degradation through the Nrf2/HO-1 and NF-κB pathways and alleviates osteoarthritis in mice. Mol Med. 2025 Jan 10;31(1):9.

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