

Product Data Sheet

Product Name: GW0742

Cat. No.: GC14983

Chemical Properties

Cas. No. 317318-84-6

Chemical Name 2-[4-[[2-[3-fluoro-4-(trifluoromethyl)phenyl]-4-methyl-1,3-thiazol-5-yl]methylsulfanyl]-2-methylphenoxy]acetic acid

SMILES CC1=C(C=CC(=C1)SCC2=C(N=C(S2)C3=CC(=C(C=C3)C(F)(F)F)C)OCC(=O)O

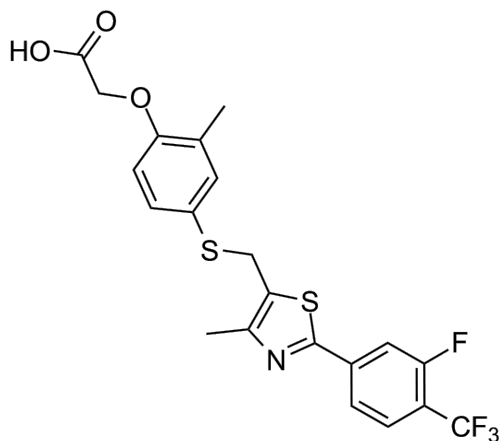
Formula $C_{21}H_{17}F_4NO_3S_2$ M.Wt 471.49

Solubility ≥ 47.1 mg/mL in DMSO, ≥ 49.7 mg/mL in EtOH with ultrasonic and warming 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

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

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Cell experiment: The PPAR β activator GW0742 and the RXR activator 9-cis-retinoic acid are dissolved in DMSO. The final DMSO concentration does not exceed 0.5% v/v, and this concentration is used in control wells. For each culture plate, one row of wells is treated with 500 μ M glutamate. These wells serve as a positive control and for normalisation of data. Cell death (toxicity) is assessed by using an assay designed to measure lactate dehydrogenase (LDH) release[2].

Animal experiment: Male CD mice (25-35 g) are housed in a controlled environment and provided with standard rodent chow and water. Mice are randomized into four experimental groups: bleomycin-treated group: mice are subjected to lung injury induced by intratracheal instillation of bleomycin and treated daily via intraperitoneal injection with vehicle of GW0742 (10% dimethylsulfoxide (DMSO), 1 mL/kg), 1 h after BLEO instillation (n = 15). GW0742 group: identical to bleomycin-treated group but mice are treated daily with GW0742 (0.3 mg/kg, 1 h after BLEO instillation) via intraperitoneal injection (n = 15). Sham-operated mice + vehicle group: animals are subjected to the identical surgical procedure but receive intratracheal instillation of saline (0.9%) instead of BLEO and are treated daily with the vehicle of GW0742 (10% dimethylsulfoxide (DMSO), 1 mL/kg, i.p.), 1 h after saline instillation (n = 15). Sham-operated mice + GW0742 group: identical to sham + vehicle group but mice are treated daily with GW0742 (0.3 mg/kg, 1 h after saline instillation) via intraperitoneal injection (n = 15)[3].

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References:

- [1]. Sznaidman ML, et al. Novel selective small molecule agonists for peroxisome proliferator-activated receptor delta (PPARdelta)--synthesis and biological activity. Bioorg Med Chem Lett. 2003 May 5;13(9):1517-21.
- [2]. Smith SA, et al. Effect of the peroxisome proliferator-activated receptor beta activator GW0742 in rat cultured cerebellar granule neurons. J Neurosci Res. 2004 Jul 15;77(2):240-9.
- [3]. Galuppo M, et al. GW0742, a high affinity PPAR- β/δ agonist reduces lung inflammation induced by bleomycin instillation in mice. Int J Immunopathol Pharmacol. 2010 Oct-Dec;23(4):1033-46.
- [4]. Kuo SC, et al. Activation of receptors δ (PPAR δ) by agonist (GW0742) may enhance lipid metabolism in heart both in vivo and in vitro. Horm Metab Res. 2013 Nov;45(12):880-6.

Background

GW0742 is a synthetic, potent, and selective PPAR- β/δ agonist. PPARs are ligand-dependent transcription factors that are involved in many physiological processes, such as inflammation and energy homeostasis. PPAR- β/δ is one of three PPARs in the nuclear hormone receptor superfamily that are collectively involved in the control of lipid homeostasis among other functions. GW0742 can attenuate the increase of PARP activity caused by SAO shock. GW0742 is also able to prevent radiation-induced brain injury in C57Bl/6 wild-type (WT) and PPAR δ knockout (KO) mice. Dietary GW0742 can prevent the acute increase in IL-1 β mRNA and ERK phosphorylation measured at 3 h after a single 10-Gy dose of WBI as well as the rise in the number of activated hippocampal microglia 1 week after WBI.

References:

- [1]. Rosanna Di Paola, Emanuela Esposito, Emanuela Mazzon, Irene Paterniti, Maria Galuppo, Salvatore Cuzzocrea. GW0742, a selective PPAR- β/δ agonist, contributes to the resolution of inflammation after gut ischemia/reperfusion injury. August 2010. Journal of Leukocyte Biology. vol. 88 no. 2 291-301
- [2]. Caroline I. Schnegg, Dana Greene-Schloesser, Mitra Kooshki, Valerie S. Payne, Fang-Chi Hsueh, Mike E. Robbins. hippocampal-dependent cognitive impairment after whole-brain irradiation. Free Radical Biology and Medicine. Volume 61, August 2013, Pages 1–9.

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