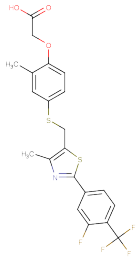
Data Sheet (Cat.No.T6524)

TargetMol
A DRUG SCREENING EXPERT

GW0742

Chemical Properties



CAS No. : 317318-84-6

Formula: C21H17F4NO3S2

Molecular Weight: 471.49

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	GW0742 (GW610742) is an effective and specific PPARδ agonist (EC50: 1 nM/1.1 μM/2 μM, for human PPARδ/α/γ).
Targets(IC50)	PPAR
In vitro	GW0742 shows activity aganist hPPARα, hPPARγ and hPPARδ with EC50 of 1.1 μM, 2 μM and 1 nM, respectively, in cell based transactivation assay. [1] GW0742 (0.2 μM and 1 μM) significant increases in reporter activity of PPARβ/δ in N/TERT-1 keratinocytes. GW0742 (1 μM) results in significant inhibition in the average number of N/TERT-1 keratinocytes. GW0742 (1 μM) results in an increase in the number of cells in the G1 phase and a decrease in the number of cells in the S phase. GW0742 (1 μM) causes a significant increase in the mRNA encoding ADRP, a known PPARβ/δ target gene, in N/TERT-1 keratinocytes as well as mouse primary keratinocytes. GW0742 (1 μM) results in significantly reduced phosphorylation of retinoblastoma (Rb) and a significantly lower level of p42/44 ERK in N/TERT-1 cells. GW0742 (1 μM) leads to an increase in the mRNA encoding a number of known markers of terminal differentiation including TG-I, SPR1A, K10 and involucrin. [2] GW0742 at 100 μM produces a significant reduction in low-KCl-induced neuronal cell death in cerebellar granule neurons. GW0742 at 100 μM induces a pronounced increase in cell death as measured by LDH release after 48 hr of incubation. GW0742 at 100 μM produces a pronounced increase in c-Jun expression at 6 hours in cerebellar granule neuron cultures. GW0742 at 100 μM increases PPARα-mediated transactivation dependent on the presence of 1.5% BSA in MCF-7 cells. [3]
In vivo	GW0742-treatment (0.3 mg/Kg, 10 % DMSO, i.p.) has therapeutic effects on pulmonary damage, decreasing many inflammatory and apoptotic parameters detected by measurement of 1) cytokine production; 2) leukocyte accumulation, indirectly measured as decrease of myeloperoxidase (MPO) activity; 3) IkBα degradation and NF-kB nuclear translocation; 4) ERK phosphorylation; 5) stress oxidative by NO formation due to iNOS expression; 6) nitrotyrosine and PAR localization; 7) the degree of apoptosis, evaluated by Bax and Bcl-2 balance, FAS ligand expression and TUNEL staining. Taken together, GW0742 reduces the lung injury and inflammation due to the intratracheal BLEO--instillation in mice.
Cell Research	N/TERT-1 keratinocytes are seeded onto 6-well tissue culture dishes at 3×104 cells per well in Ker-SFM. Twenty-four hours later, cell number is measured with a Z1 coulter particle counter to determine plating efficiency (Day 0). For the remaining cells, medium is changed to Ker-SFM/DF-K, and cells are treated in triplicate with 0.1% DMSO, 0.1 μM

	or 1 μM GW0742. Cell number is determined at daily intervals, and the remaining cells are retreated with fresh media and treatment each day for up to 6 days.(Only for Reference)

Solubility Information

Solubility	DMSO: 48.5 mg/mL(100 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1209 mL	10.6047 mL	21.2094 mL
5 mM	0.4242 mL	2.1209 mL	4.2419 mL
10 mM	0.2121 mL	1.0605 mL	2.1209 mL
50 mM	0.0424 mL	0.2121 mL	0.4242 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Sznaidman ML, et al. Bioorg Med Chem Lett, 2003, 13(9), 1517-1521.
Burdick AD, et al. Cell Signal, 2007, 19(6), 1163-1171.