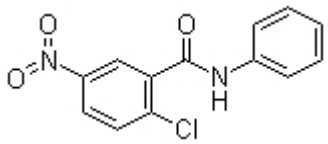
Product Introduction

GW9662

GW9662 is a selective PPAR antagonist for **PPARγ** with **IC50** of 3.3 nM, with at least 100 to 1000-fold functional selectivity in cells with PPARγversus PPARα and PPARδ.

Technical Data:

Molecular Weight (MW):	276.68	
Formula:	$C_{13}H_9ClN_2O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 55 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	22978-25-2	

Biological Activity

GW9662 binds to Cys(285) on PPARgamma which is conserved among all three PPARs. GW9662 acts as an antagonist of PPARgamma which is confirmed in an assay of adipocyte differentiation inhibition. [1] GW9662 prevents activation of PPARγ and inhibits growth of human mammary tumour cell lines (MCF7, MDA-MB-468, MDA-MB-231) with IC50 of 20 μM-30 μM, suggesting either the existence of PPARγ agonistic properties of GW9662 or growth-inhibitory mechanisms independent of PPARγ. Co-treatment with both Rosiglitazone (50 μM) and GW9662 (10 μM) results in statistically lower viable cell numbers

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after 7 days in MDA-MB-231 cells. [2] PPARγ1 ligands could suppress RANKL-induced osteoclast formation in primary murine myeloid (BMs) and RAW264.7 cells. Importantly, suppression by these ligands is reversed in a concentration-dependent fashion with GW 9662 (2 μM). GW 9662 (2 μM) blocks IL-4 suppression of osteoclast formation in BMs. GW 9662 (1 μM) blocks RANKL activation of NF-κB in RAW264.7 cells. [3] GW9662 (10 μM) inhibits hormone- and agonist-induced adipogenesis of primary preadipocytes from patients with thyroid eye disease. [4]

Pretreatment with LPS (1 mg/kg i.p.) significantly attenuates all markers of renal injury and dysfunction caused by ischemia/reperfusion (I/R) injury in rats. Most notably, GW9662 (1 mg/kg i.p.) abolishes the protective effects of LPS. [5]

References

[1] Leesnitzer LM, et al. Biochemistry, 2002, 41(21), 6640-6650.

[2] Seargent JM, et al. Br J Pharmacol, 2004, 143(8), 933-937.

[3] Bendixen AC, et al. Proc Natl Acad Sci U S A, 2001, 98(5), 2443-2448.

[4] Starkey K, et al. J Clin Endocrinol Metab, 2003, 88(1), 55-59.

[5] Collino M, et al. Kidney Int, 2005, 68(2), 529-536.

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