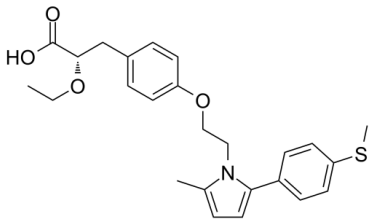
Data Sheet

Product Name:	Saroglitazar
Cat. No.:	CS-6149
CAS No.:	495399-09-2
Molecular Formula:	C25H29NO4S
Molecular Weight:	439.57
Target:	PPAR
Pathway:	Cell Cycle/DNA Damage
Solubility:	DMSO : ≥ 25 mg/mL (56.87 mM); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

Saroglitazar is a novel peroxisome proliferator-activated receptor (**PPAR**) agonist with predominant PPARα and moderate PPARγ activity with **EC_{50}** values of 0.65 pM and 3 nM in HepG2 cells, respectively. IC50 & Target: EC50: 0.65 pM (hPPARα, HepG2 cell); 3 nM (hPPARγ, HepG2 cell)[1] **In Vivo:** In db/db mice, 12-day treatment with Saroglitazar (0.01-3 mg/kg per day, orally) causes dose-dependent reductions in serum triglycerides (TG), free fatty acids (FFA), and glucose. The ED_{50} for these effects is found to be 0.05, 0.19, and 0.19 mg/kg, respectively with highly significant (91%) reduction in serum insulin and AUC-glucose following oral glucose administration (59%) at 1 mg/kg dose. A 90-day repeated dose comparative study in Wistar rats and marmosets confirms efficacy (TG lowering) potential of Saroglitazar and has indicated low risk of PPAR-associated side effects in humans. Based on efficacy and safety profile, Saroglitazar appears to have good potential as novel[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: [1]Rats: Rats randomize based on body weights and are divided into three equal groups and receives the daily administration of vehicle (50% w/v honey for marmoset and 0.1% carboxymethylcellulose for Wistar rats) or Saroglitazar (1.5 and 15 mg/kg per day) for 90 days by oral gavage[1].

Mice: Male C57BL/6J-db/db mice are bled on day 0 to determine pretreatment serum glucose and TG. During next 12 days, each animal is dosed (by oral gavage) with vehicle (0.5% sodium carboxymethyl cellulose) or Saroglitazar (0.01, 0.03, 0.1, 0.3,1, and 3 mg/kg per day) or pioglitazone (60 mg/kg per day) and on day 12 of the treatment, blood samples are collected (1 h after dosing) from orbital sinus under light ether anesthesia. The serum is isolated and analyzed for glucose, TG, free fatty acid (FFA), and insulin levels[1].

References:

[1]. Jain MR, et al. Saroglitazar, a novel PPARα/γ agonist with predominant PPARα activity, shows lipid-lowering and insulin-sensitizing effects in preclinical models. Pharmacol Res Perspect. 2015 Jun;3(3):e00136.

CAIndexNames:

Benzenepropanoic acid, α-ethoxy-4-[2-[2-methyl-5-[4-(methylthio)phenyl]-1H-pyrrol-1-yl]ethoxy]-, (αS)-

SMILES:

OC([C@@H](OCC)CC1=CC=C(OCCN2C(C)=CC=C2C3=CC=C(SC)C=C3)C=C1)=O

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

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