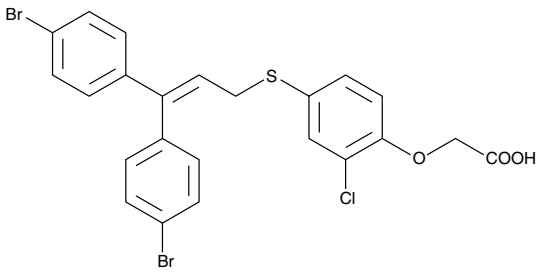
Product Information

CAY10592

Item No. 10012536

CAS Registry No.: 685139-10-0
Formal Name: 2-[4-[[3,3-*bis*(4-bromophenyl)-2-propen-1-yl]thio]-2-chlorophenoxy]-acetic acid
MF: $C_{23}H_{17}Br_2ClO_3S$
FW: 568.7
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 243 nm



Laboratory Procedures

For long term storage, we suggest that CAY10592 be stored as supplied at -20°C. It should be stable for at least two years.

CAY10592 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10592 in an organic solvent purged with an inert gas. CAY10592 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CAY10592 in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

CAY10592 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAY10592 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CAY10592 has a solubility of approximately 0.2 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Peroxisome proliferator-activated receptors (PPARs) α, δ, γ are ligand-activated nuclear transcription factors involved in the regulation of energy homeostasis as well as insulin sensitivity and glucose metabolism.[1,2] Pharmacologies of PPARδ receptor agonists, though relatively obscure, have recently been reported to elevate high-density lipoprotein (HDL) cholesterol and lower plasma triglyceride (TG) levels in obese insulin resistant rhesus monkeys.[3] CAY10592 is a full PPARδ agonist (EC_{50} = 30 nM) in a fatty acid oxidation assay of rat L6 muscle cells with desirable oral pharmacokinetic properties.[4] In a transactivation assay using human PPAR receptors, CAY10592 acts as a selective partial PPARδ agonist (EC_{50} = 53 nM) with no effect on PPARα or PPARγ activity up to 30 µM.[4] Chronic treatment of high fat fed ApoB100/CETP-transgenic mice with CAY10592 at a dose of 20 mg/kg increases HDL levels, decreases low-density lipoprotein and TG levels, and improves insulin sensitivity.[4]

References

1. Michalik, L., Auwerx, J., Berger, J.P., *et al.* International union of pharmacology. LXI. Peroxisome proliferator-activated receptors. *Pharmacol. Rev.* **58**, 726-741 (2006).
2. Bratton, L.D., Filzen, G.F., Geyer, A., *et al.* Discovery of highly potent and selective benzyloxybenzyl-based peroxisome proliferator-activator receptor (PPAR) δ agonists. *Biooganic & Medicinal Chemistry Letters* **17**, 3624-3629 (2007).
3. Oliver, W.R., Shenk, J.L., Snaith, M.R., *et al.* A selective peroxisome proliferator-activated receptor δ agonist promotes reverse cholesterol transport. *Proc. Natl. Acad. Sci. USA* **98(9)**, 5306-5311 (2001).
4. Sauerberg, P., Olsen, G.S., Jeppesen, L., *et al.* Identification and synthesis of a novel selective partial PPARδ agonist with full efficacy on lipid metabolism *in vitro* and *in vivo*. *J. Med. Chem.* **50**, 1495-1503 (2007).

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