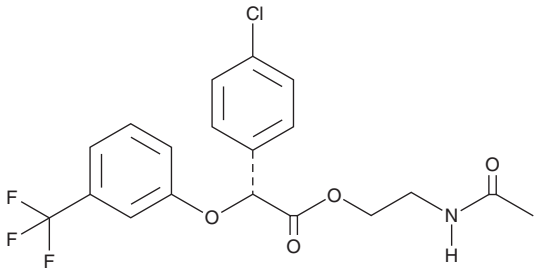
PRODUCT INFORMATION

Arhalofenate

Item No. 34575

CAS Registry No.:	24136-23-0
Formal Name:	4-chloro-αR-[3-(trifluoromethyl) phenoxy]-benzeneacetic acid, 2-(acetylamino)ethyl ester
Synonyms:	JNJ-39659100, MBX-102
MF:	$C_{19}H_{17}ClF_3NO_4$
FW:	415.8
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Arhalofenate is supplied as a solid. A stock solution may be made by dissolving the arhalofenate in the solvent of choice, which should be purged with an inert gas. Arhalofenate is soluble in the organic solvent DMSO at a concentration of approximately 30 mg/ml.

Description

Arhalofenate is an orally bioavailable prodrug form of the free acid form of a peroxisome proliferator-activated receptor γ (PPARγ) partial agonist.[1] It is converted to the active free acid form by nonspecific serum esterases. Arhalofenate has weak PPARγ transactivation activity in a reporter assay but strong transrepression activity, reducing LPS-induced chemokine (C-C motif) ligand 2 (CCL2) secretion in isolated mouse peritoneal macrophages. It reduces fasting plasma glucose levels in *ob/ob* mouse and Zucker diabetic fatty (ZDF) rat models of type 2 diabetes when administered at doses of 125 and 100 mg/kg, respectively. It also decreases fasting free fatty acid, triglyceride, and cholesterol levels in ZDF rats without increasing body weight when administered at a dose of 100 mg/kg.[2] Arhalofenate (250 mg/kg) prevents leukocyte and neutrophil infiltration and IL-1β, IL-6, and chemokine (C-X-C motif) ligand 1 (CXCL1) production in air pouch fluid in a mouse model of gout.[3]

References

1. Gregoire, F.M., Zhang, F., Clarke, H.J., *et al.* MBX-102/JNJ39659100, a novel peroxisome proliferator-activated receptor-ligand with weak transactivation activity retains antidiabetic properties in the absence of weight gain and edema. *Mol. Endocrinol.* **23(7)**, 975-988 (2009).
2. Chandalia, A., Clarke, H.J., Clemens, L.E., *et al.* MBX-102/JNJ39659100, a novel non-TZD selective partial PPAR-γ agonist lowers triglyceride independently of PPAR-α activation. *PPAR Res.* 706852 (2009).
3. McWherter, C., Choi, Y.-J., Serrano, R.L., *et al.* Arhalofenate acid inhibits monosodium urate crystal-induced inflammatory responses through activation of AMP-activated protein kinase (AMPK) signaling. *Arthritis Res. Ther.* **20(1)**, 204 (2018).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM