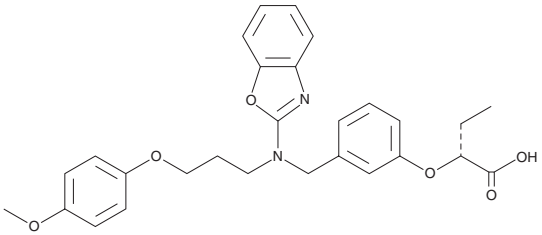
PRODUCT INFORMATION

Pemafibrate

Item No. 22004

CAS Registry No.:	848259-27-8
Formal Name:	(2R)-2-[3-[[2-benzoxazolyl[3-(4-methoxyphenoxy)propyl]amino]methyl]phenoxy]-butanoic acid
Synonyms:	K-877, (R)-K 13675
MF:	$C_{28}H_{30}N_2O_6$
FW:	490.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 251, 283 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Pemafibrate is supplied as a crystalline solid. A stock solution may be made by dissolving the pemafibrate in the solvent of choice, which should be purged with an inert gas. Pemafibrate is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of pemafibrate in these solvents is approximately 30 mg/ml.

Description

Pemafibrate is an orally bioavailable and selective agonist of peroxisome proliferator-activated receptor α (PPARα; EC_{50} = 1 nM for transcriptional activity), a transcription factor that is essential for regulation of lipid homeostasis.[1] It is selective for PPARα over PPARδ and PPARγ (EC_{50}s = 2,300 and 1,000 nM, respectively, for transcriptional activity). In human HepG2 hepatoma cells, pemafibrate is 1,000-fold more potent than the classical PPARα agonists fenofibrate (Item No. 10005368) and Wy 14643 (Item No. 70730) in activating PPARα transcriptional activity.[2] Pemafibrate (0.001% w/w) reduces plasma triglyceride levels, non-esterified fatty acids, and total cholesterol in mice fed a moderate-fat diet, and, when administered at 0.00025%, it reduces liver lipid accumulation and improves prognosis in wild-type but not *Ppara* knockout mice in a model of diet-induced non-alcoholic fatty liver disease (NAFLD).[2] In mouse models of non-alcoholic steatohepatitis (NASH), pemafibrate stimulates expression of PPARα, enhances lipid turnover, and reduces liver triglyceride levels and inflammation.[3] It also dose-dependently reduces the area of atherosclerotic lesions in human apolipoprotein E2 (ApoE2) knock-in mice.[4]

References

1. Fruchart, J.C. Selective peroxisome proliferator-activated receptor α modulators (SPPARMα): The next generation of peroxisome proliferator-activated receptor α-agonists. *Cardiovasc. Diabetol.* **12**, 82 (2013).
2. Takei, K., Han, S.I., Murayama, Y., *et al.* Selective peroxisome proliferator-activated receptor-α modulator K-877 efficiently activates the peroxisome proliferator-activated receptor-α pathway and improves lipid metabolism in mice. *J. Diabetes Investig.* **8(4)**, 446-452 (2017).
3. Honda, Y., Kessoku, T., Ogawa, Y., *et al.* Pemafibrate, a novel selective peroxisome proliferator-activated receptor alpha modulator, improves the pathogenesis in a rodent model of nonalcoholic steatohepatitis. *Sci. Rep.* **7**, 42477 (2017).
4. Hennuyer, N., Duplan, I., Paquet, C., *et al.* The novel selective PPARα modulator (SPPARMα) pemafibrate improves dyslipidemia, enhances reverse cholesterol transport and decreases inflammation and atherosclerosis. *Atherosclerosis* **249**, 200-208 (2016).

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