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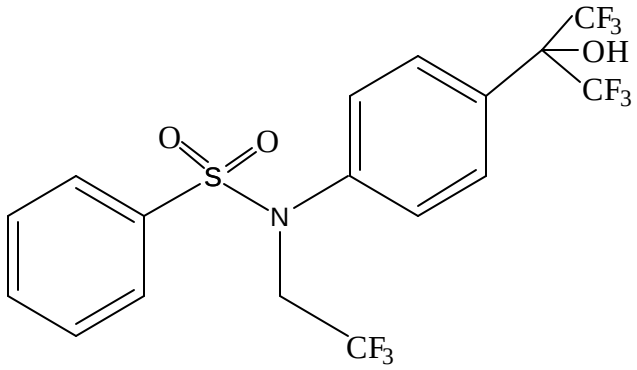
ProductInformation

TO-901317
Product Number **T2320**

Storage Temperature –20 °C

CAS #: 293754-55-9
Synonym: N-(2,2,2-trifluoro-ethyl)-N-[4-(2,2,2-tri- fluoro-1-hydroxy-1-trifluoromethyl-ethyl)-phenyl]-benzenesulfonamide



Product Description

Molecular Formula: $C_{17}H_{12}NSO_3F_9$
Molecular Weight: 481.3
λ_{max}: 227, 265, 272 nm

TO-901317 is a nonsteroidal LXR (oxysterol receptor) agonist whose treatment results in an LXR-dependent up-regulation of ABC1 (reverse cholesterol transporter) gene expression. The EC_{50} for TO-901317 is 50 nM as determined using a cell reporter assay and has a binding constant (kDa) = 50 nM as determined using coactivator interaction studies.[1]

LXRs and the bile acid receptor (FXR) form heterodimers with retinoid X receptors (RXRs) and belong to a class of nuclear hormone receptors.

Activation of the RXR/FXR heterodimer results in decreased bile acid synthesis and cholesterol absorption. Activation of the RXR/LXR heterodimer by either a rexinoid (retinoid X receptor (RXR)-selective agonist) or LXR ago (e.g. TO-901317) effectively blocks cholesterol absorption and induces reverse cholesterol transport in peripheral tissues. This effect is coincident with the increased expression of ABC1 in the intestine and macrophages, which is responsible for efflux of cellular free cholesterol.[2] Oral administration of TO-901317 to mice and hamsters activates the coordinate expression of major fatty acid biosynthetic genes (lipogenesis) and increased plasma triglyceride and phospholipid levels.[3]

Reconstitution

TO-901317 may be dissolved in dimethyl sulfoxide (DMSO).[1]

Storage/Stability

When stored as a powder at –20 °C, TO-901317 is stable for up to 1 year.

References

1. Repa, J. J., et al., Regulation of absorption and ABC-1mediated efflux of cholesterol by RXR heterodimers. Science, **289**, 1524-1529 (2000).
2. Remaley, A. T., et al., Human ATP-binding cassette transporter 1 (ABC1): genomic organization and identification of the genetic defect in the original Tangier disease kindred. Proc. Natl. Acad. Sci. USA, **96**, 12685-12690 (1999).
3. Schultz, J. R., et al., Role of LXRs in control of lipogenesis. Genes Dev., **14**, 2831-2838 (2000).

JWM/PSS 12/02