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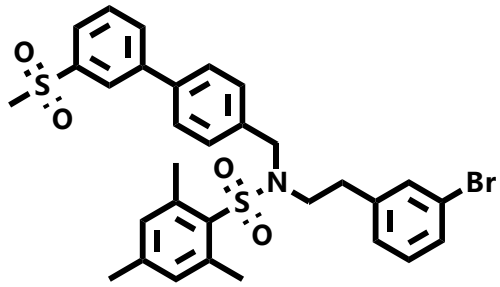
LXR Inverse Agonist – SR9243

Chemical Name: N-(3-bromophenethyl)-2,4,6-trimethyl-N-((3'-(methylsulfonyl)-[1,1'-biphenyl]-4-yl)methyl)benzenesulfonamide



Molecular Weight:	626.62
Formula:	$C_{31}H_{32}BrNO_4S_2$
Purity:	≥98%
CAS#:	1613028-81-1
Solubility:	DMSO up to 50 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

SR9243 is a highly potent and selective small molecule LXR inverse agonist that induces LXR-corepressor interaction. SR9243 dose-dependently suppressed LXRα- and LXRβ-dependent transcription at nM concentrations and potently inhibited LXR-driven luciferase activity in cultured cancer cells. It displayed high selectivity for LXR over any other nuclear receptors. In cancer cells, SR9243 significantly inhibited the Warburg effect and lipogenesis by reducing glycolytic and lipogenic gene expression. In vivo SR9243 induced apoptosis in tumors without inducing weight loss, hepatotoxicity, or inflammation.

How to Use:

In vitro: SR9243 was used at 1-10 μM final concentration in vitro and in cellular assays.

In vivo: SR9243 was dosed to mice bearing SW620, DU-145 and LLC cells subcutaneous xenografts at 60 mg/kg once per day.

Reference:

1. Flaveny CA, et al. Broad Anti-tumor Activity of a Small Molecule that Selectively Targets the Warburg Effect and Lipogenesis. (2015) Cancer Cell. 28(1):42-56.