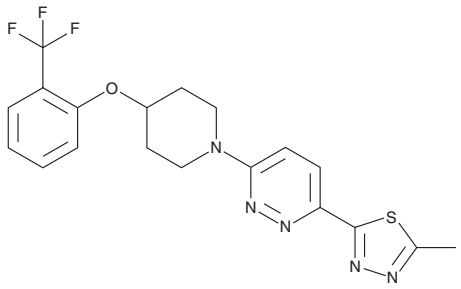
PRODUCT INFORMATION

MF438

Item No. 33349

CAS Registry No.:	921605-87-0
Formal Name:	3-(5-methyl-1,3,4-thiadiazol-2-yl)-6-[4-[2-(trifluoromethyl)phenoxy]-1-piperidinyl]-pyridazine
MF:	$C_{19}H_{18}F_3N_5OS$
FW:	421.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 316 nm
Supplied as:	A crystalline 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

MF438 is supplied as a crystalline solid. A stock solution may be made by dissolving the MF438 in the solvent of choice, which should be purged with an inert gas. MF438 is soluble in the organic solvent dimethyl formamide at a concentration of approximately 30 mg/ml.

Description

MF438 is an inhibitor of stearoyl-CoA desaturase 1 (SCD1; IC_{50} = 2.3 nM for the rat enzyme), an enzyme that catalyzes the formation of a *cis* double bond at the Δ^9 position of stearoyl-CoA to produce oleoyl-CoA.[1,2] It reduces spheroid formation in NCI H460 and patient-derived non-small cell lung cancer (NSCLC) cells in a concentration-dependent manner, an effect that can be blocked by oleic acid (Item Nos. 90260 | 24659).[3] MF438 (1 µM) decreases the activity of aldehyde dehydrogenase 1A1 (ALDH1A1), a marker of cancer stem cells (CSCs), in NCI H460-derived spheroids when used at a concentration of 1 µM. It acts synergistically with cisplatin (Item No. 13119) to induce apoptosis and autophagy in patient-derived NSCLC cells.[4]

References

1. Léger, S., Black, C., Deschenes, D., *et al*. Synthesis and biological activity of a potent and orally bioavailable SCD inhibitor (MF-438). *Bioorg. Med. Chem. Lett.* **20(2)**, 499-502 (2010).
2. Isabel, E., Powell, D.A., Black, W.C., *et al*. Biological activity and preclinical efficacy of azetidinyl pyridazines as potent systemically-distributed stearoyl-CoA desaturase inhibitors. *Bioorg. Med. Chem. Lett.* **21(1)**, 479-483 (2011).
3. Noto, A., Raffa, S., De Vitis, C., *et al*. Stearoyl-CoA desaturase-1 is a key factor for lung cancer-initiating cells. *Cell Death Dis.* **4(12)**, e947 (2013).
4. Pisanu, M.E., Noto, A., De Vitis, C., *et al*. Blockade of stearoyl-CoA-desaturase 1 activity reverts resistance to cisplatin in lung cancer stem cells. *Cancer Lett.* **406**, 93-104 (2017).

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