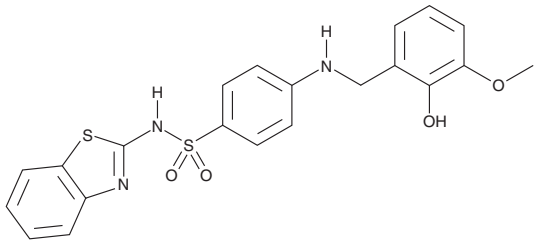
PRODUCT INFORMATION

ML-355

Item No. 18537

CAS Registry No.:	1532593-30-8
Formal Name:	N-2-benzothiazolyl-4-[[(2-hydroxy-3-methoxyphenyl)methyl]amino]-benzenesulfonamide
MF:	$C_{21}H_{19}N_3O_4S_2$
FW:	441.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 300 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

ML-355 is supplied as a crystalline solid. A stock solution may be made by dissolving the ML-355 in the solvent of choice which should be purged with an inert gas. ML-355 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ML-355 in these solvents is approximately 1 mg/ml.

ML-355 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ML-355 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ML-355 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Platelet-type 12-lipoxygenase (12-LO; Item No. 10341) catalyzes the formation of 12-HpETE (Item No. 44570) from arachidonic acid (Item No. 90010).[1] It has been found to be expressed in various tumor cells as well as pancreatic islets and can be activated by inflammatory cytokines.[2] It has also been implicated in the modulation of hemostasis and thrombosis through its role in regulating platelet function.[2] ML-355 is a selective inhibitor of 12-LO with an IC_{50} value of 0.34 µM.[2] It demonstrates greatly reduced potency for 15-LO-1, 15-LO-2, and 5-LO (IC_{50}s = 9.7, >100, and >100 µM) and no inhibition of COX-1 and -2.[2] In cell-based assays, ML-355 has been shown to decrease calcium mobilization and thrombin receptor PAR4-induced platelet aggregation in patient-derived human platelets and to significantly inhibit arachidonic acid and calcium- ionophore-induced 12-HpETE synthesis in mouse BTC3 cells and human islets.[2]

References

1. Chen, X.-S., Kurre, U., Jenkins, N.A., *et al.* cDNA cloning, expression, mutagenesis of C-terminal isoleucine, genomic structure, and chromosomal localizations of murine 12-lipoxygenases. *J. Biol. Chem.* **269(19)**, 13979-13987 (1994).
2. Luci, D.K., Jameson, J.B., II, Yasgar, A., *et al.* Synthesis and structure-activity relationship studies of 4-((2-hydroxy-3-methoxybenzyl)amino)benzenesulfonamide derivatives as potent and selective inhibitors of 12-lipoxygenase. *J. Med. Chem.* **57(2)**, 495-506 (2014).

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