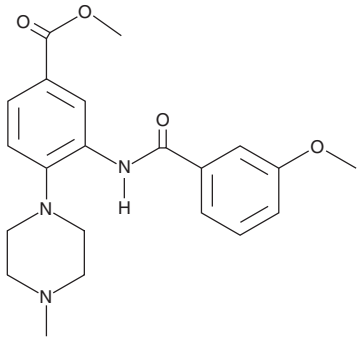
PRODUCT INFORMATION

WDR5-0103

Item No. 13945

CAS Registry No.:	890190-22-4
Formal Name:	3-[(3-methoxybenzoyl)amino]-4-(4-methyl-1-piperazinyl)-benzoic acid, methyl ester
Synonym:	WD-Repeat Protein 5-0103
MF:	$C_{21}H_{25}N_3O_4$
FW:	383.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 212, 264 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

WDR5-0103 is supplied as a crystalline solid. A stock solution may be made by dissolving the WDR5-0103 in the solvent of choice, which should be purged with an inert gas. WDR5-0103 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of WDR5-0103 in these solvents is approximately 12.5, 16.6, and 33.3 mg/ml, respectively.

WDR5-0103 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, WDR5-0103 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. WDR5-0103 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

WD-repeat protein 5 (WDR5) is a scaffold protein commonly involved in the formation of nucleosome-modifying protein complexes with histones.[1] For example, WDR5 is a component of a mixed-lineage leukemia (MLL) methyltransferase complex that targets histone 3.[2] WDR5 can also be a component of histone acetyltransferase complexes and can directly bind methylated as well as unmodified histones.[1,3] WDR5-0103 is a small molecule that binds a peptide-binding pocket on WDR5 (K_d = 450 nM), inhibiting the catalytic activity of the MLL core complex in vitro (IC_{50} = 39 µM).[4,5]

References

1. Migliori, V., Mapelli, M., and Guccione, E. On WD40 proteins: Propelling our knowledge of transcriptional control? *Epigenetics* **7(8)**, 815-822 (2012).
2. Guccione, E., Bassi, C., Casadio, F., *et al.* Methylation of histone H3R2 by PRMT6 and H3K4 by an MLL complex are mutually exclusive. *Nature* **449(7164)**, 933-937 (2007).
3. Guelman, S., Kozuka, K., Mao, Y., *et al.* The double-histone-acetyltransferase complex ATAC is essential for mammalian development. *Mol. Cell. Biol.* **29(5)**, 1176-1188 (2009).
4. Senisterra, G., Wu, H., Allali-Hassani, A., *et al.* Small-molecule inhibition of MLL activity by disruption of its interaction with WDR5. *Biochem. J.* **449(1)**, 151-159 (2013).
5. Wigle, T.J. and Copeland, R.A. Drugging the human methylome: An emerging modality for reversible control of aberrant gene transcription. *Curr. Opin. Chem. Biol.* **17(3)**, 369-378 (2013).

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