

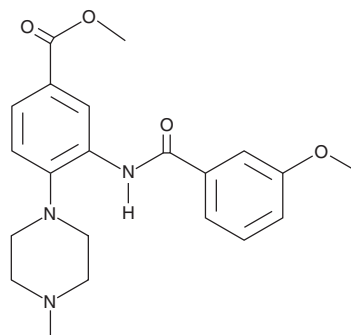
PRODUCT INFORMATION



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: C₂₁H₂₅N₃O₄
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 (IC₅₀ = 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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