

Product Data Sheet

Product Name: WDR5 0103

Cat. No.: GC14763

Chemical Properties

Cas. No. 890190-22-4

Chemical Name methyl 3-(3-methoxybenzamido)-4-(4-methylpiperazin-1-yl)benzoate

SMILES COC(C1=CC(NC(C2=CC=CC(OC)=C2)=O)=C(N3CCN(C)CC3)C=C1)=O

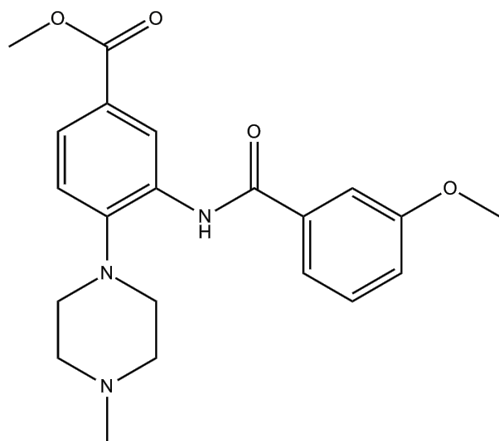
Formula $C_{21}H_{25}N_3O_4$ M.Wt 383.44

Solubility $\geq 12.5\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

WDR5-0103 is a potent and selective WD repeat-containing protein 5 (WDR5) antagonist with K_d of 450 nM. IC_{50} value: 450 nM (K_d) Target: WDR5 in vitro: WDR5-0103 inhibits MLL catalytic activity with an IC_{50} value of $39 \pm 10 \mu\text{M}$. An increase in MLL complex concentration resulted in proportional increase in IC_{50} values for WDR5-0103 (83 ± 10 and $280 \pm 12 \mu\text{M}$ at concentrations of 500 and 1000 nM of the core trimeric MLL complex respectively). These data are consistent with a mechanism of

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action in which WDR5-0103 antagonizes the interaction of WDR5 with MLL by competing with MLL for their mutual binding site on WDR5.

References:

[1]. Senisterra G, et al. Small-molecule inhibition of MLL activity by disruption of its interaction with WDR5. Biochem J. 2013 Jan 1;449(1):151-159.

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