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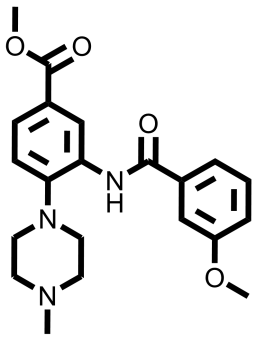
WDR5 Inhibitor – WDR5-0103

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



Molecular Weight:	383.44
Formula:	$C_{21}H_{25}N_3O_4$
Purity:	≥98%
CAS#:	890190-22-4
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

WDR5-0103 is a potent and selective WD repeat-containing protein 5 (WDR5) antagonist with Kd of 450 nM. It has no effect on a panel of seven other methyltransferases, including SETD7. It binds in the WDR5 peptide-binding pocket and inhibits the catalytic activity of the MLL core complex in vitro. WDR5-0103 is a good chemical probe to demonstrate inhibition of an important protein-protein interaction and form the basis for further development of inhibitors of WDR5-dependent enzymes implicated in MLL-rearranged leukaemias or other cancers.

How to Use:

In vitro: WDR5-0103 was used at 10 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Senisterra G, et al. Small-molecule inhibition of MLL activity by disruption of its interaction with WDR5. (2013) Biochem J. 449(1):151-9.