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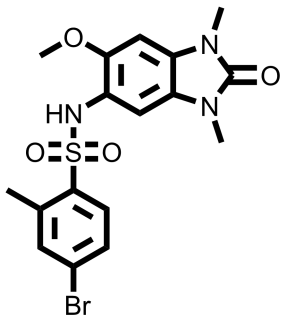
BRPF Bromodomain Inhibitor - OF-1

Chemical Name: 4-bromo-N-(6-methoxy-1,3-dimethyl-2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl)-2-methylbenzenesulfonamide



Molecular Weight:	440.31
Formula:	$C_{17}H_{18}BrN_3O_4S$
Purity:	≥98%
CAS#:	919973-83-4
Solubility:	DMSO up to 20 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

OF-1 is a potent and selective BRPF (BRomodomain and PHD Finger containing) inhibitor. It binds to BRPF1B with a Kd of 100 nM (ITC), to BRPF2 with a Kd of 500 nM (ITC) and to BRPF3 with a Kd of 2.4 mM (ITC). It has general >100-fold selectivity against other bromodomains (39-fold selectivity over BRD4). OF-1 increases thermal stability in the cellular thermal shift assay (CETSA) of full length BRPF1B at 1 µM and also demonstrates accelerated FRAP recovery at 5 µM in the BRPF2 FRAP assay. It shows modest general cytotoxicity.

How to Use:

In vitro: OF-1 was used at 5-10 µM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. http://www.thesgc.org/chemical-probes/OF-1