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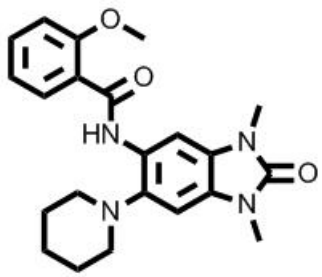
BRPF1 Bromodomain Inhibitor – GSK5959

Chemical Name: N-(1,3-dimethyl-2-oxo-6-(piperidin-1-yl)-2,3-dihydro-1H-benzo[d]imidazol-5-yl)-2-methoxybenzamide



Molecular Weight:	394.47
Formula:	$C_{22}H_{26}N_4O_3$
Purity:	≥98%
CAS#:	901245-65-6
Solubility:	DMSO up to 10 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

GSK5959 is a potent, selective and cell permeable BRPF1 bromodomain inhibitor with IC_{50} ~ 80 nM. It has >100-fold selectivity for BRPF1 over a panel of 35 other bromodomains, including BRPF2/3 and BET family bromodomains. A cellular protein interaction assay measuring the displacement of NanoLuc-tagged BRPF1 bromodomain from Halotagged histone H3.3 was employed to demonstrate GSK5959's cell permeability and disruption of chromatin binding with IC_{50} ~0.98 µM.

How to Use:

In vitro: GSK5959 was used at 10 µM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Demont EH, et al. 1,3-Dimethyl Benzimidazolones Are Potent, Selective Inhibitors of the BRPF1 Bromodomain. (2014) ACS Med Chem Lett. 5(11):1190-5.