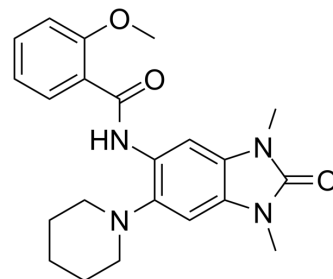


## GSK-5959

<b>Cat. No.:</b>	HY-18665		
<b>CAS No.:</b>	901245-65-6		
<b>Molecular Formula:</b>	C <sub>22</sub> H <sub>26</sub> N <sub>4</sub> O <sub>3</sub>		
<b>Molecular Weight:</b>	394.47		
<b>Target:</b>	Epigenetic Reader Domain		
<b>Pathway:</b>	Epigenetics		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 13 mg/mL (32.96 mM; Need ultrasonic and warming)

Solvent	Mass	Concentration		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.5350 mL	12.6752 mL	25.3505 mL
	5 mM	0.5070 mL	2.5350 mL	5.0701 mL
	10 mM	0.2535 mL	1.2675 mL	2.5350 mL

Please refer to the solubility information to select the appropriate solvent.

### BIOLOGICAL ACTIVITY

#### Description

GSK-5959 is a potent, selective and cell permeable BRPF1 bromodomain inhibitor with an IC<sub>50</sub> of ~ 80 nM<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

IC<sub>50</sub>: 80 nM (BRPF1)<sup>[1]</sup>.

#### In Vitro

GSK-5959 (3a) also displays selectivity over the closely related family members, BRPF2 (100-fold) and BRPF3 (>1000-fold)<sup>[2]</sup>.  
 GSK-5959 (3a) exhibits an EC<sub>50</sub> = 0.98 μM in cellular NanoBRET assay<sup>[2]</sup>.  
 GSK-5959 has no effect on TRIM24 SUMOylation<sup>[3]</sup>.  
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### REFERENCES

[1]. Demont EH, et al. 1,3-Dimethyl Benzimidazolones Are Potent, Selective Inhibitors of the BRPF1 Bromodomain. (2014) ACS Med Chem Lett. 5(11):1190-1195.

[2]. Wylie S.Palmer, et al. Development of small molecule inhibitors of BRPF1 and TRIM24 bromodomains Discovery Today: Technologies Volume 19, March 2016, Pages 65-

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71.

[3]. Srikanth Appikonda, et al. Cross-talk between chromatin acetylation and SUMOylation of tripartite motif-containing protein 24 (TRIM24) impacts cell adhesion J Biol Chem. 2018 May 11;293(19):7476-7485.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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