

# **Product** Data Sheet

### PFI-4

Cat. No.: HY-18664

CAS No.: 900305-37-5

Molecular Formula:  $C_{21}H_{24}N_4O_3$ Molecular Weight: 380.44

Target: Epigenetic Reader Domain

Pathway: Epigenetics

Storage: Powder -20°C 3 years

4°C 2 years

In solvent -80°C 2 years

-20°C 1 year

#### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 26 mg/mL (68.34 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.6285 mL	13.1427 mL	26.2854 mL
	5 mM	0.5257 mL	2.6285 mL	5.2571 mL
	10 mM	0.2629 mL	1.3143 mL	2.6285 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility:  $\geq$  1 mg/mL (2.63 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- $\beta$ -CD in saline) Solubility:  $\ge$  1 mg/mL (2.63 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1 mg/mL (2.63 mM); Clear solution

## **BIOLOGICAL ACTIVITY**

Description	PFI-4 (compound 11) is a potent and highly selective BRPF1 Bromodomain (BRPF1B) inhibitor, with an IC <sub>50</sub> of 172 nM. PFI-4 can be used to explore the functional mechanisms of the HBO1/BRPF1 complex and to study bone loss and osteolytic malignant bone lesions <sup>[1][2]</sup> .
IC <sub>50</sub> & Target	IC50: 3.517 $\mu$ M (BRPF2), >10 $\mu$ M (BRD4 (1)), 0.172 $\mu$ M (BRPF1B), >10 $\mu$ M (TRIM24) $^{[1]}$ .
In Vitro	PFI-4 (1.25 $\mu$ M; 7 or 11 days) inhibits differentiation of human osteoclasts [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.  $\text{Cell Viability Assay}^{[1]}$ 

Cell Line:	Osteoclasts		
Concentration:	1.25 μΜ		
Incubation Time:	7 or 11 days		
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Result:	Significantly reduces MMP9 secretion in osteoclasts.		

## **CUSTOMER VALIDATION**

• Mol Carcinog. 2023 May 5.

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#### **REFERENCES**

[1]. Meier JC, et al. Selective Targeting of Bromodomains of the Bromodomain-PHD Fingers Family Impairs Osteoclast Differentiation. ACS Chem Biol. 2017 Oct 20;12(10):2619-2630.

[2]. 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.

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

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