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### SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

[mail@szabo-scandic.com](mailto:mail@szabo-scandic.com)

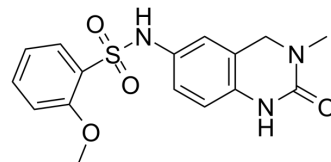
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## PFI-1

Cat. No.:	HY-16586
CAS No.:	1403764-72-6
Molecular Formula:	C <sub>16</sub> H <sub>17</sub> N <sub>3</sub> O <sub>4</sub> S
Molecular Weight:	347.39
Target:	Epigenetic Reader Domain; Autophagy; Apoptosis
Pathway:	Epigenetics; Autophagy; Apoptosis
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 : 33.33 mg/mL (95.94 mM; Need ultrasonic)					
	Preparing Stock Solutions	<div><div>Solvent</div><div>Concentration</div></div>	Mass	1 mg	5 mg	10 mg
		1 mM		2.8786 mL	14.3930 mL	28.7861 mL
		5 mM		0.5757 mL	2.8786 mL	5.7572 mL
		10 mM		0.2879 mL	1.4393 mL	2.8786 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: ≥ 2.5 mg/mL (7.20 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (7.20 mM); Clear solution					

## BIOLOGICAL ACTIVITY

Description	PFI-1 is a selective BET (bromodomain-containing protein) inhibitor for BRD4 with IC <sub>50</sub> of 0.22 μM in a cell-free assay.
IC <sub>50</sub> & Target	IC <sub>50</sub> : 0.22 μM (BRD4)
In Vitro	PFI-1 has antiproliferative effects on leukemic cell lines and efficiently abrogates their clonogenic growth. Exposure of sensitive cell lines with PFI-1 results in G1 cell-cycle arrest, downregulation of MYC expression, as well as induction of apoptosis and induces differentiation of primary leukemic blasts. Cells exposed to PFI-1 show significant downregulation of Aurora B kinase, thus attenuating phosphorylation of the Aurora substrate H3S10, providing an alternative strategy for the specific inhibition of this well-established oncology target <sup>[1]</sup> . PFI-1 binds to with cyclic AMP response binding protein with K <sub>d</sub> of 49 μM. PFI-1 has an EC <sub>50</sub> of 1.89 μM for the inhibition of IL6 production from human blood mononuclear cells stimulated

by LPS<sup>[2]</sup>. PFI-1 induces dose-dependent reduction of cell viability in T4302 CD133<sup>+</sup> cells<sup>[3]</sup>. PFI-1 inhibits the proliferating of three NET cell lines (Bon-1 derived from a pancreatic NET, and H727 and H720 derived from lung NETs)<sup>[4]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

PFI-1 administrated (1 mg/kg, i.v.) in the rat results in the volume of distribution of 1 L/kg, the plasma clearance of 18 mL/min/kg and half-life of 1 hour. PFI-1 oral dosed (2 mg/kg) in the rat results in the oral bioavailability as low as 32%. PFI-1 administrated (2 mg/kg, s.c.) in the mouse results in a C<sub>max</sub> of 58 ng/mL with a T<sub>max</sub> of 1 h and a half-life of approximately 2 hours<sup>[2]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2961-2966.
- Patent. US20180263995A1.

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## REFERENCES

- [1]. Picaud S, et al. PFI-1, a Highly Selective Protein Interaction Inhibitor, Targeting BET Bromodomains. Cancer Res. 2013 May 21. [Epub ahead of print]
- [2]. Fish PV, et al. Identification of a chemical probe for bromo and extra C-terminal bromodomain inhibition through optimization of a fragment-derived hit. J Med Chem. 2012 Nov 26;55(22):9831-7.
- [3]. Cheng Z, et al. Inhibition of BET bromodomain targets genetically diverse glioblastoma. Clin Cancer Res. 2013 Apr 1;19(7):1748-59.
- [4]. Kate E Lines, et al. Epigenetic modifiers reduce proliferation of human neuroendocrine tumour cell lines. Endocrine Abstracts (2013) 31 P149

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA