

# PFI-1

Catalog No: tcsc1362



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

1403764-72-6

**Formula:**

$C_{16}H_{17}N_3O_4S$

**Pathway:**

Epigenetics

**Target:**

Epigenetic Reader Domain

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 33.33 mg/mL (95.94 mM; Need ultrasonic)

**Observed Molecular Weight:**

347.39

## Product Description

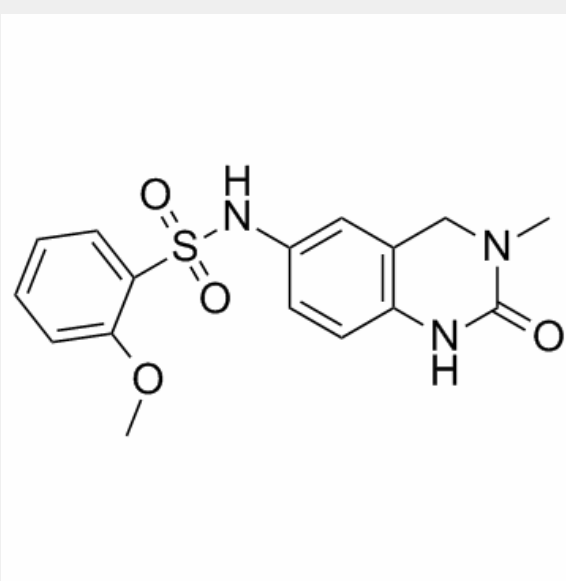
PFI-1 is a selective **BET** (bromodomain-containing protein) inhibitor for BRD4 with **IC<sub>50</sub>** of 0.22 μM in a cell-free assay.

IC50 & Target: IC50: 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  $K_d$  of 49  $\mu$ M. PFI-1 has an  $EC_{50}$  of 1.89  $\mu$ M 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>.

***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 Cmax of 58 ng/mL with a Tmax of 1 h and a half-life of approximately 2 hours [2].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!