

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

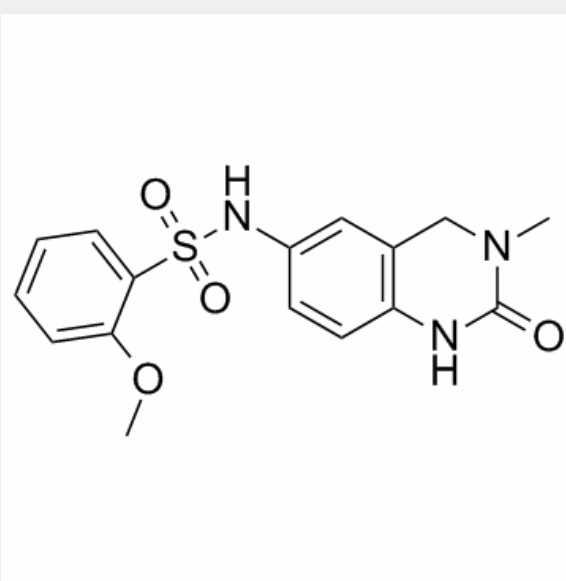
PFI-1 is a selective **BET** (bromodomain-containing protein) inhibitor for BRD4 with **IC₅₀** of 0.22 μM in a cell-free assay.

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^[1]. PFI-1 binds to with cyclic AMP response binding protein with K_d of 49 μ M. PFI-1 has an EC_{50} of 1.89 μ M for the inhibition of IL6 production from human blood mononuclear cells stimulated by LPS^[2]. PFI-1 induces dose-dependent reduction of cell viability in T4302 CD133⁺ cells^[3]. 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)^[4].

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!