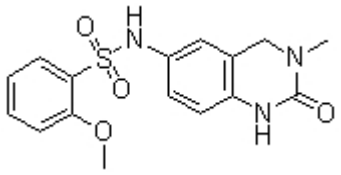
Product Introduction

PFI-1 (PF-06405761)

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

Technical Data:

Molecular Weight (MW):	347.39	
Formula:	C16H17N3O4S	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 69 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1403764-72-6	

Biological Activity

PFI-1 binds to with cyclic AMP response binding protein with KD of 49 μM. PFI-1 has an EC50 of 1.89 μM for the inhibition of IL6 production from human blood mononuclear cells stimulated by LPS. [1] PFI-1 induces dose-dependent reduction of cell viability in T4302 CD133+ cells. [2] 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). [3]

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–1·kg–1 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. [1]

References

[1] Fish PV, et al. J Med Chem, 2012, 55(22), 9831-9837.

[2] Cheng Z, et al. Clin Cancer Res, 2013, 19(7), 1-12.

[3] KE Lines, et al. Endocrine Abstracts, 2013.

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