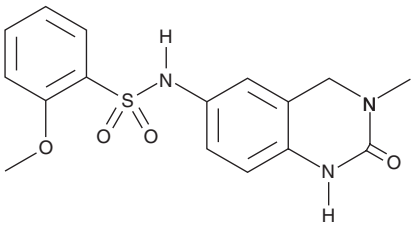
PRODUCT INFORMATION

PFI-1

Item No. 11155

CAS Registry No.:	1403764-72-6
Formal Name:	2-methoxy-N-(3-methyl-2-oxo-1,2,3,4-tetrahydroquinazolin-6-yl) benzenesulfonamide
Synonym:	PF-06405761
MF:	$C_{16}H_{17}N_3O_4S$
FW:	347.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 262 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PFI-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the PFI-1 in the solvent of choice. PFI-1 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of PFI-1 in these solvents is approximately 12 mg/ml.

PFI-1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PFI-1 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PFI-1 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Bromodomains recognize acetylated lysine residues and recruit regulatory complexes to acetylated nucleosomes, thereby controlling chromatin structure and gene expression. The isolated individual or tandem bromodomains of bromodomain-containing protein (BRD) 2 and BRD4 bind acetylated histone tails, which couples histone acetylation marks to the transcriptional regulation of target promoters. Small molecule inhibitors of bromodomain interactions hold promise as useful therapeutics for human disease.[1-3] PFI-1 is a BET bromodomain inhibitor that exhibits inhibitory activity at BRD2 and BRD4. In an AlphaScreen assay it has been shown to inhibit BRD2 bromodomain 2 and BRD4 bromodomain 1 with IC_{50} values of 98 nM and 0.22 µM, respectively.[4] See the Structural Genomics Consortium (SGC) website for more information.

References

1. Filippakopoulos, P., Qi, J., Picaud, S., *et al.* Selective inhibition of BET bromodomains. *Nature* **468(7327)**, 1067-73 (2011).
2. Hewings, D.S., Wang, M., Philpott, M., *et al.* 3,5-Dimethylisoxazoles act as acetyl-lysine-mimetic bromodomain ligands. *J. Med. Chem.* **54(19)**, 6762-6770 (2011).
3. Chung, C.W., Coste, H., White, J.H., *et al.* Discovery and characterization of small molecule inhibitors of the BET family bromodomains. *J. Med. Chem.* **54(11)**, 3827-3838 (2011).
4. PFI-1 - Selective chemical probe for BET Bromodomains. Retrieved June 13, 2012, from http://www.thesgc.org/scientists/chemical_probes/PFI-1.

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