



Xcess Biosciences Inc.

7144 N Harlem Ave #169
Chicago, IL 60631 USA

<http://www.xcessbio.com>

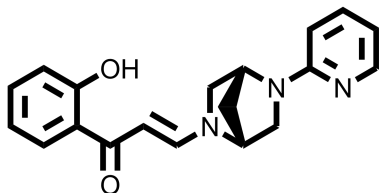
Toll free: 1-866-706-2330

Fax: 1-619- 810-0718

Email: info@xcessbio.com

SMARCA Bromodomains Inhibitor – PFI-3

Chemical Name: (E)-1-(2-hydroxyphenyl)-3-((1R,4R)-5-(pyridin-2-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)prop-2-en-1-one



Molecular Weight:	321.37
Formula:	C ₁₉ H ₁₉ N ₃ O ₂
Purity:	≥98%
CAS#:	n/a
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

PFI-3 is a novel potent, selective and cell permeable inhibitor of SMARCA4 and PB1(5) bromodomains with IC₅₀ ~ 89 nM and 48 nM respectively. It also inhibits SMARCA2, but has no interaction with the other sub-family branches including PB1(2-4) and a kinase panel of 36 kinases. It accelerates FRAP recovery in cells at a concentration of 1 μM. The SWI/SNF-related, Matrix-associated, Actin-dependent Regulator of Chromatin (SMARC) proteins integrate into complexes that remodel chromatin. The SMARC family A (SMARCA) members SMARCA2 (also known as BRM) and SMARCA4 (also known as BRG1) are helicases that contain structurally-related bromodomains for binding acetylated lysine residues on target proteins. PFI-3 is a very useful chemical probe to study the key chromatin remodeling and transcription control.

How to Use:

In vitro: PFI-3 was used at 1-10 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Witkowski L, et al. Germline and somatic SMARCA4 mutations characterize small cell carcinoma of the ovary, hypercalcemic type. (2014) Nat Genet. In press.
2. Ramos P, et al. Small cell carcinoma of the ovary, hypercalcemic type, displays frequent inactivating germline and somatic mutations in SMARCA4. (2014) Nat Genet. In press.
3. Structural Genomics Consortium <http://www.thesgc.org/chemical-probes>

Products are for research use only. Not for human use.