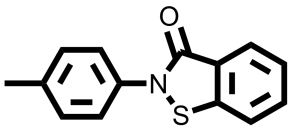
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

JARID1 Histone Demethylases Inhibitor – PBIT

Chemical Name: 2-4(4-methylphenyl)-1,2-benzisothiazol-3(2H)-one



Molecular Weight:	241.31
Formula:	$C_{14}H_{11}NOS$
Purity:	≥98%
CAS#:	2514-30-9
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

PBIT is a potent, specific, reversible and cell-permeable inhibitor of Jumonji AT-Rich Interactive Domain 1 (JARID1) histone demethylases. It inhibits JARID1B (also known as KDM5B or PLU1) with an IC_{50} of ~3 μM in vitro. It also inhibits the activity of other related histone demethylases JARID1A, JARID1C, and JMJD2E with IC_{50} ~6, 4.9, and 28 μM respectively. PBIT does not affect the activity of unrelated UTX and JMJD3 H3K27me3 demethylases. HeLa cells overexpressing full length JARID1B showed a significant increase in H3K4Me3 level following PBIT treatment (~10 μM). It blocks the proliferation of UACC-812 tumor cells expressing higher levels of JARDID1B, but does not significantly affect MCF7 or MCF10A cells expressing lower levels of JARDID1B.

How to Use:

In vitro: PBIT was used at 10-30 μM in vitro.

In vivo: n/a

Reference:

1. Sayegh J, et al. Identification of small molecule inhibitors of Jumonji AT-rich interactive domain 1B (JARID1B) histone demethylase by a sensitive high throughput screen. (2013) J Biol Chem. 288(13):9408-17.