



Xcess Biosciences Inc.

7144 N Harlem Ave #169
Chicago, IL 60631 USA

<http://www.xcessbio.com>

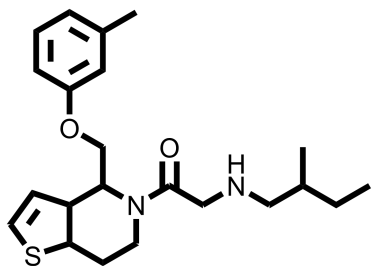
Toll free: 1-866-706-2330

Fax: 1-619- 810-0718

Email: info@xcessbio.com

Hhat Inhibitor – RU-SKI 43

Chemical Name: 2-((2-methylbutyl)amino)-1-(4-((m-tolyloxy)methyl)-3a,4,7,7a-tetrahydrothieno[3,2-c]pyridin-5(6H)-yl)ethanone



Molecular Weight:	388.57
Formula:	C ₂₂ H ₃₂ N ₂ O ₂ S
Purity:	≥ 98%
CAS#:	1043797-53-0
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

RU-SKI 43 is the first potent and specific small molecule inhibitor of Hedgehog acyltransferase (Hhat), and directly inhibits palmitoylation of the Shh ligand. It was discovered by a high-throughput screen using a peptide-based assay to monitor Hhat-mediated Shh palmitoylation (IC₅₀ ~0.85 μM). In vitro using purified Hhat and ShhN to analyze the kinetics of compound's inhibition of ShhN palmitoylation, RU-SKI 43 behaved as an uncompetitive inhibitor (K_i = 7.4 μM) with respect to Shh and as a noncompetitive inhibitor (K_i = 6.9 μM) with respect to [¹²⁵I]iodo-palmitoyl CoA. In cells, RU-SKI 43 specifically blocks Shh palmitoylation and inhibits autocrine and paracrine Shh signaling. Hhat inhibitor could offer a new treatment modality for cancers characterized by Shh overexpression and extremely poor prognoses

How to Use:

In vitro: RU-SKI 43 was used at 10-20 μM final concentration in vitro and in cellular assays.

In vivo: n/a

Reference:

1. Petrova E, et al. Inhibitors of Hedgehog acyltransferase block Sonic Hedgehog signaling. (2013) Nat Chem Biol. 9(4):247-9.
2. Petrova E, et al. Hedgehog acyltransferase as a target in pancreatic ductal adenocarcinoma. (2014) Oncogene. In press.

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