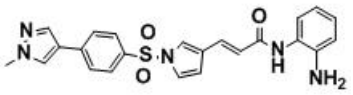
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

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

HDAC/LSD1 Dual Inhibitor - 4SC-202

Chemical Name: (E)-N-(2-aminophenyl)-3-(1-((4-(1-methyl-1H-pyrazol-4-yl)phenyl)sulfonyl)-1H-pyrrol-3-yl)acrylamide tosylic acid



Molecular Weight:	619.71
Formula:	$C_{30}H_{29}N_5O_6S_2$
Purity:	≥98%
CAS#:	1186222-89-8
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

4SC-202 is a potent, selective and orally bioavailable HDAC/LSD1 dual Inhibitor. It inhibits class I HDAC with IC_{50} of 1.20 μM, 1.12 μM, and 0.57 μM for HDAC1, HDAC2, and HDAC3, respectively. It also displays inhibitory activity against Lysine specific demethylase 1 (LSD1). 4SC-202 has very high selectivity over ClassIIa/IIb/III HDACs. In HeLa cells, it induces hyperacetylation of histone H3 with EC_{50} of 1.1 μM. It induces a G2/M cell cycle arrest by interfering with the normal development of the mitotic spindle and causing collapsed spindle apparatus and multiple nucleation centres. In addition, 4SC-202 shows a broad anti-proliferative activity towards human cancer cell lines with a mean IC_{50} of 0.7 μM. In vivo it shows pronounced and robust anti-tumor activity in both A549 NSCLC xenograft and RKO27 colon carcinoma model. Currently it is in phase I trials for patients with advanced haematological tumours.

How to Use:

In vitro: 4SC-202 was used at 10 μM final concentration in various in vitro assays.

In vivo: 4SC-202 was dosed to A549 NSCLC xenograft model and RKO27 colon carcinoma xenografts model orally at 120 mg/Kg once per day.

Reference:

1. S.W.Henning, et al. Preclinical characterization of 4SC-202, a noval isotype specific HDAC inhibitor.
2. http://www.4sc.de/product-pipeline/clinical/4SC-202