RUSKI-201 dihydrochloride

Cat. No.: HY-123781A

CAS No.: 2320262-09-5

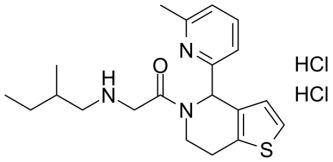
Molecular Formula: $C_{20}H_{29}Cl_2N_3OS$

Molecular Weight: 430.43

Target: Hedgehog

Pathway: Stem Cell/Wnt

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

RUSKI-201 dihydrochloride is a potent and specific Hedgehog acyltransferase (Hhat) inhibitor with an IC_{50} of 0.20 μM. RUSKI-201 dihydrochloride is able to block Hh signaling from Shh overexpressing cells and inhibits Hh palmitoylation. RUSKI-201 dihydrochloride is potential Hhat chemical probe in cells and can used in studies of Hhat catalytic function[1].

In Vitro

RUSKI-201 (0.5-25 μM; 48 hours) has no effect on cell viability at concentrations >25 μM in Shh-Light2 cells derived from NIH3T3 cells stably transfected with a Gli-responsive firefly luciferase HEK-293 cells stably overexpressing Shh[1].
RUSKI-201 inhibits signaling in H520, Panc-1, and MCF-7 coculture with Shh-Light2 cells (IC_{50}=4.8±0.60 μM, 7.8±1.3 μM, and 8.5±0.65 μM, respectively)[1]RUSKI-201 (0.01-10 μM; 24 hours) induces a selective inhibition of Shh palmitoylation and does not affect global palmitoylation levels in HEK-293 Shh^+ cells treated with RUSKI-201 followed by YnPal and functionalized with AzTB[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	HEK-293 Shh^+ cells
Concentration:	0.01 μM, 0.05 μM, 0.1 μM, 0.5 μM, 1 μM, 5 μM, 10 μM
Incubation Time:	24 hours
Result:	Decreased YnPal-Shh expression without α-Shh change; Capable of blocking Hh signaling from Shh overexpressing cells.

REFERENCES

[1]. Ursula R Rodgers, et al. Characterization of Hedgehog Acyltransferase Inhibitors Identifies a Small Molecule Probe for Hedgehog Signaling by Cancer Cells. ACS Chem Biol. 2016 Dec 16;11(12):3256-3262.

Caution: Product has not been fully validated for medical applications. For research use only.

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