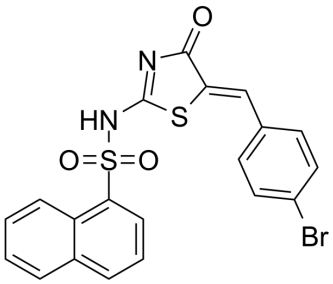
Clathrin-IN-1

Cat. No.:	HY-102068
CAS No.:	1332879-52-3
Molecular Formula:	$C_{20}H_{13}BrN_2O_3S_2$
Molecular Weight:	473.36
Target:	HIV
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Clathrin-IN-1 is a selective clathrin-mediated endocytosis (CME) inhibitor. Clathrin-IN-1 selectively inhibits amphiphysin association of clathrin terminal domain (TD) with an IC_{50} value of 12 μM. Clathrin-IN-1 acutely interferes with receptor-mediated endocytosis, entry of HIV, and synaptic vesicle recycling[1].
In Vitro	Preincubation of HeLa cells with Clathrin-IN-1 (Pitstops 2) leads to a dose-dependent inhibition of Tf uptake with an IC_{50} value (12-5 μM). Application of 30 μM Clathrin-IN-1 completely blocked Tf endocytosis. Clathrin-IN-1-induced block of Tf endocytosis in HeLa cells was completely reversed within 1-3 hr of drug washout. In U2OS cells, the IC_{50} for Tf uptake is 9.7 μM. Pitstop 2 also causes a potent inhibition of EGF uptake[1]. Clathrin-IN-1 (Pitstops 2) potently and specifically reduced HIV-1 infectivity by >90% in HeLa cells[1]. Pitstop-induced inhibition of clathrin TD function acutely interferes with receptor-mediated endocytosis, entry of HIV, and synaptic vesicle recycling. Endocytosis inhibition is caused by a dramatic increase in the lifetimes of clathrin coat components, including FCHo, clathrin, and dynamin, suggesting that the clathrin TD regulates coated pit dynamics[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lisa von Kleist, et al. Role of the clathrin terminal domain in regulating coated pit dynamics revealed by small molecule inhibition. Cell. 2011 Aug 5;146(3):471-84.

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