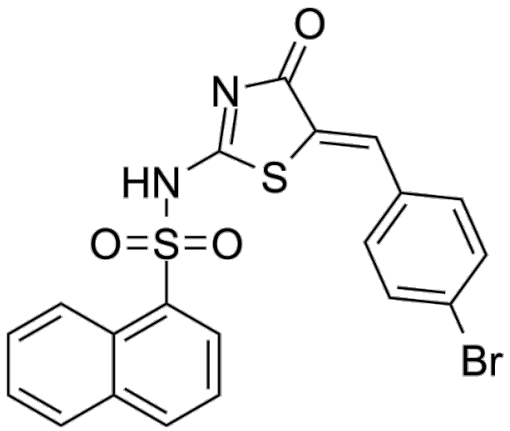
Product Data Sheet

Product Name: Clathrin-IN-1
Cat. No.: GC70227

Chemical Properties

Cas. No.	1332879-52-3		
Formula	$C_{20}H_{13}BrN_2O_3S_2$	M.Wt	473.36
Solubility		Storage	
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

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 IC50 value of 12 μM. Clathrin-IN-1 acutely interferes with receptor-mediated endocytosis, entry of HIV, and synaptic vesicle recycling.

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].

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.