

Product Data Sheet

Product Name: Pitstop2
Cat. No.: GC45549

Chemical Properties

Cas. No. 1419320-73-2

Chemical Name N-[5-[(4-bromophenyl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-1-naphthalenesulfonamide

SMILES O=C1C(SC(NS(C2=C(C=CC=C3)C3=CC=C2)(=O)=O)=N1)=C/C4=CC=C(Br)C=C4

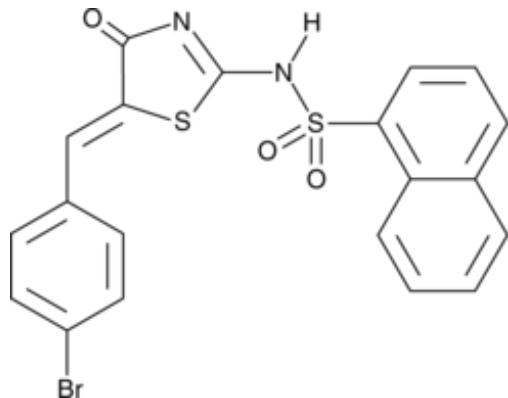
Formula $C_{20}H_{13}BrN_2O_3S_2$ M.Wt 473.4

Solubility 20mg/mL in DMSO Storage 4°C, protect from light

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



Protocol

Cell experiment [1]:

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

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Cell lines ARPE-19 cells

Preparation Method ARPE-19 cells were treated with 10μM pitstop2, 30μM dyngo4A, 80μM dynasore or vehicle control (DMSO) for 30 min. The expression of p-AKT was detected by western blot assay.

Reaction Conditions 10μM, 30min

Applications ARPE-19 cells treated with 10μM pitstop2 ablated epidermal growth factor (EGF)-stimulated Akt phosphorylation.

Animal experiment [2]:

Animal models Rat model of chronic migraine

Preparation Method The rats were divided into four groups: Saline, Nitroglycerin (NTG, i.p.), NTG + vehicle and NTG + Pitstop2 (i.n.). The NTG + Pitstop2 group was given Pitstop2 every other day, followed by NTG 30 minutes later. Behavioral tests were performed 20 minutes before i.n. administration and two hours after i.p. injection.

Dosage form 10μg/kg, Two days a day for nine days, i.n.

Applications Pitstop2 can significantly increase the threshold of basic mechanical pain and thermal pain, and reverse NTG-induced acute mechanical pain and thermal pain allergy.

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References:

- [1] Garay C, Judge G, Lucarelli S, Bautista S, Pandey R, Singh T, Antonescu CN. Epidermal growth factor-stimulated Akt phosphorylation requires clathrin or ErbB2 but not receptor endocytosis. *Mol Biol Cell*. 2015 Oct 1;26(19):3504-19.
- [2] Zhang L, Zhou Y, Wang Y, Yang L, Wang Y, Shan Z, Liang J, Xiao Z. Inhibiting PAC1 receptor internalization and endosomal ERK pathway activation may ameliorate hyperalgesia in a chronic migraine rat model. *Cephalalgia*. 2023 Apr;43(4):3331024231163131.

Background

Pitstop2 is a clathrin inhibitor that inhibits amphoteric binding of clathrin terminal domains with an IC_{50} value of $12\mu M$ ^[1-2].

In HeLa cells, Pitstop2 ($5-30\mu M$) inhibits clathrin-independent endocytosis in a dose-dependent manner. In BEAS-2B and COS-7 cells, Pitstop2 ($20\mu M$) inhibits the uptake of transferrin and major histocompatibility complex class I (MHCI) proteins^[3]. Pitstop2 inhibits gridin-mediated transferrin uptake in HeLa and U2OS cells with IC_{50} values of $12-15\mu M$ and $9.7\mu M$, respectively ^[1].

Pitstop2 ($10\mu g/kg$) pretreatment can partially prevent nitroglycerin (NTG)-induced hyperalgesia in rat chronic migraine (CM) model. Compared with NTG+ vehicle group, Pitstop2 can significantly reduce the expression of CGRP and c-Fos in trigeminal ganglion (TG) and reduce the activation of trigeminovascular system (TVS) in CM rats ^[4].

References:

- [1] von Kleist, L., Stahlschmidt, W., Bulut, H., et al. Role of the clathrin terminal domain in regulating coated pit dynamics revealed by small molecule inhibition. *Cell* 146(3), 471-484 (2012).
- [2] Smith CM, Haucke V, McCluskey A, Robinson PJ, Chircop M. Inhibition of clathrin by pitstop 2 activates the spindle assembly checkpoint and induces cell death in dividing HeLa cancer cells. *Mol Cancer*. 2013 Jan 17;12:4.
- [3] Dutta D, Williamson C D, Cole N B, et al. Pitstop 2 is a potent inhibitor of clathrin-independent endocytosis[J]. 2012.
- [4] Zhang L, Zhou Y, Wang Y, Yang L, Wang Y, Shan Z, Liang J, Xiao Z. Inhibiting PAC1 receptor

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