The novel clathrin inhibitors Pitstop 1™ and Pitstop 2™ are exciting new tools for researchers. Pitstop 1™ and Pitstop 2™ allow the further exploration of clathrin function and may provide potential applications as virus and pathogen entry inhibitors and cell signaling modulators.

Clathrin is a protein found in coated pits and is responsible for clathrin-mediated endocytosis (CME). It is crucial to the formation of clathrin-coated vesicles, a fundamental part of endocytosis. CME is essential to many physiological processes and is hijacked during the entry of pathogens such as HIV-1.

By selectively inhibiting CME, Pitstop 1™ and 2™ represent exciting new tools for researchers across a diverse range of research areas.

**Pitstop 2™ (ab120687)**

Cell membrane permeable. Selectively and competitively inhibits clathrin terminal domain (TD) to inhibit CME (IC$_{50}$ = 12 μM for inhibition of amphiphysin association of clathrin TD). Interferes with receptor-mediated endocytosis (RME), entry of HIV and synaptic vesicle recycling.

**Pitstop 1™ (ab120685)**

Selectively and competitively inhibits clathrin TD to inhibit CME (IC$_{50}$ ~18 μM for inhibition of amphiphysin association of clathrin TD). Interferes with receptor-mediated endocytosis, entry of HIV and synaptic vesicle recycling. Exhibits limited cell membrane penetration, however it is active in cells after microinjection.

**Pitstop 2™ - Negative control (ab120688)**

Negative control for Pitstop 2™. Of the same chemical class and has a highly related structure to Pitstop 2™. Inhibits amphiphysin binding to the clathrin TD with an IC$_{50}$ >100 μM and does not block receptor-mediated endocytosis at concentrations of up to 300 μM (unpublished).

**Pitstop 1™ - Negative control (ab120686)**

Negative control for Pitstop 1™. Of the same chemical class and has a highly related structure to Pitstop 1™. Inhibits amphiphysin binding to the clathrin TD with an IC$_{50}$ >100 μM and does not block receptor-mediated endocytosis at concentrations of up to 300 μM (unpublished).

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**Table: Compound Inhibition of amphiphysin association of Clathrin terminal domain (IC$_{50}$ in μM) Reference**

<table>
<thead>
<tr>
<th>Compound</th>
<th>IC$_{50}$ (μM)</th>
<th>Reference</th>
</tr>
</thead>
<tbody>
<tr>
<td>Pitstop 1™</td>
<td>18</td>
<td>von Kleist L et al. 2011</td>
</tr>
<tr>
<td>Pitstop 1™ - Negative Control</td>
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<td>-</td>
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<tr>
<td>Pitstop 2™</td>
<td>12</td>
<td>von Kleist L et al. 2011</td>
</tr>
<tr>
<td>Pitstop 2™ - Negative Control</td>
<td>N/A</td>
<td>-</td>
</tr>
</tbody>
</table>


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Discover more at abcam.com/biochemicals
Clathrin, a protein found in coated pits is responsible for clathrin-mediated endocytosis (CME) and is essential for the formation of clathrin-coated vesicles. CME is crucial to many physiological processes including the internalisation of growth factors, receptors, ion channels, adhesion proteins and synaptic vesicle proteins and, notably, is hijacked during the entry of pathogens such as HIV-1.

The novel clathrin inhibitors: Pitstop 1™ and Pitstop 2™, developed by the laboratories of Professor Volker Haucke (Freie Universität Berlin, Germany), Professor Adam McCluskey (University of Newcastle) and Professor Phil Robinson (Children’s Medical Research Institute) are exciting new tools for researchers, allowing the further exploration of clathrin function and may also provide potential applications as virus and pathogen entry inhibitors and cell signalling modulators.

Professor Haucke said “The scientific community has long been awaiting the development of potent and reliable inhibitors of clathrin function, in particular endocytosis. We are excited and happy to now be able to make these available to the scientific community worldwide through Abcam Biochemicals. These compounds should enable researchers to obtain new insights into clathrin function and to modulate cell signalling as well as neurotransmission. These are exciting times!”

Professor Adam McCluskey commented, “Compounds like the Pitstop™ inhibitors become particularly exciting when placed in the hands of the biology community. Their distribution by Abcam Biochemicals is a major advance and will allow significant new insights into the role of clathrin in diseases.”

Professor Phil Robinson said “It will be particularly valuable to cell biologists to now be able to choose their own timing of clathrin inhibition to suit their particular research, rather than wait 2-3 days for a knock-down. We now expect that the ability to combine clathrin and dynamin inhibition will open up new research avenues.”

Steve Roome PhD, General Manager for Abcam Biochemicals, explained “These incredibly exciting clathrin inhibitors represent new and powerful tools which equip researchers with the ability to inhibit clathrin function and modulate endocytosis. This should allow further investigation of the function of clathrin whilst providing the potential to explore pathogen entry such as that manipulated by HIV.”

Reference: