TRP Channel

TRP Channel (Transient receptor potential channel) is a group of ion channels located mostly on the plasma membrane of numerous human and animal cell types. There are about 28 TRP channels that share some structural similarity to each other. These are grouped into two broad groups: Group 1 includes TRPC ("C" for canonical), TRPV ("V" for vanilloid), TRPM ("M" for melastatin), TRPN, and TRPA. In group 2, there are TRPP ("P" for polycystic) and TRPML ("ML" for mucolipin). Many of these channels mediate a variety of sensations like the sensations of pain, hotness, warmth or coldness, different kinds of tastes, pressure, and vision. TRP channels are relatively non-selectively permeable to cations, including sodium, calcium and magnesium. TRP channels are initially discovered in trp-mutant strain of the fruit fly Drosophila. Later, TRP channels are found in vertebrates where they are ubiquitously expressed in many cell types and tissues. TRP channels are important for human health as mutations in at least four TRP channels underlie disease.
## TRP Channel Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.:</th>
<th>Bioactivity</th>
<th>Purity:</th>
<th>Clinical Data:</th>
<th>Size:</th>
</tr>
</thead>
<tbody>
<tr>
<td>ABT-239</td>
<td>HY-12195</td>
<td>ABT-239 is a novel, highly efficacious, non-imidazole class of H3R antagonist and a transient receptor potential vanilloid type 1 (TRPV1) antagonist.</td>
<td>98.94%</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
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<tr>
<td>AMG 517</td>
<td>HY-10634</td>
<td>AMG 517 is a potent and selective TRPV1 antagonist, antagonizes capsaicin, proton, and heat activation of TRPV1 with IC50 of 0.76 nM, 0.62 nM and 1.3 nM.</td>
<td>99.46%</td>
<td>10mM x 1mL in DMSO, 5 mg, 50 mg</td>
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</tr>
<tr>
<td>BCTC</td>
<td>HY-19960</td>
<td>BCTC is a potent and specific inhibitor of transient receptor potential cation channel subfamily M member 8 (TRPM8) in prostate cancer (PCa) DU145 cells.</td>
<td>99.6%</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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</tr>
<tr>
<td>Capsaicin</td>
<td>HY-10448</td>
<td>Capsaicin is a TRPV1 agonist with EC50 of 0.29±0.05μM in HEK293 cells.</td>
<td>&gt;98.0%</td>
<td>Phase 2, Phase 3</td>
<td></td>
</tr>
<tr>
<td>Capsazepine</td>
<td>HY-15640</td>
<td>Capsazepine is a synthetic analogue of the sensory neurone excitotoxin, and an antagonist of TRPV1 receptor with IC50 of 562 nM.</td>
<td>&gt;98.00%</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
<tr>
<td>Chembridge-5861528</td>
<td>HY-15065</td>
<td>Chembridge-5861528 is a TRPA1 channel blocker that antagonizes AITC- and 4-HNE-evoked calcium influx (IC50 values are 14.3 and 18.7μM respectively).</td>
<td>99.27%</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
<tr>
<td>D-3263 (hydrochloride)</td>
<td>HY-16162A</td>
<td>D-3263 hydrochloride is an enteric-coated, orally bioavailable (transient receptor potential melastatin member 8) TRPM8 agonist.</td>
<td>98.86%</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
<tr>
<td>GSK1016790A</td>
<td>HY-19608</td>
<td>GSK101 (GSK1016790A) is a novel TRPV4 activator.</td>
<td>98.07%</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
<tr>
<td>HC-030031</td>
<td>HY-15064</td>
<td>HC-030031 is a potent and selective TRPA1 inhibitor, which antagonizes AITC- and formalin-evoked calcium influx with IC50 of 6.2±0.2 and 5.3±0.2 μM, respectively.</td>
<td>98.56%</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
<tr>
<td>HC-067047</td>
<td>HY-100208</td>
<td>HC-067047 is a potent and selective TRPV4 antagonist with IC50 values of 48 ± 6 nM, 133 ± 25 nM, and 17 ± 3 nM, respectively in human, rat, and mouse. Also inhibits the endogenous TRPV4-mediated response to 4α-PDH (IC50 = 22 nM).</td>
<td>&gt;98.0%</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@medchemexpress.com
<table>
<thead>
<tr>
<th><strong>Icilin</strong>&lt;br&gt; (AG 3-5; AG-3-5)</th>
<th><strong>Imperatorin</strong>&lt;br&gt; (Ammidin)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Icilin(AG 3-5) is a synthetic super-agonist of TRPM8 ion channel.</td>
<td><strong>Bioactivity:</strong> Imperatorin is an effective NO synthesis inhibitor (IC50 = 9.2 μmol), which also is a BChE inhibitor (IC50 = 31.4 μmol). Imperatorin is a weak agonist of TRPV1 with EC50 of 12.6 ± 3.2 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 96.31%</td>
<td><strong>Purity:</strong> &gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Size: 10mM x 1mL in DMSO, 10 mg, 50 mg</td>
<td><strong>Clinical Data:</strong> Size: 5 mg, 10 mg, 25 mg, 50 mg</td>
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</tbody>
</table>

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<thead>
<tr>
<th><strong>JNJ-17203212</strong>&lt;br&gt; (JNJ17203212; JNJ 17203212)</th>
<th><strong>Mavatrep</strong>&lt;br&gt; (JNJ-39439335)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> JNJ-17203212 is a novel and selective TRPV1 antagonist, with IC50 of 65 nM and 102 nM for human TRPV1 and rat TRPV1.</td>
<td><strong>Bioactivity:</strong> Mavatrep is an orally bioavailable TRPV1 antagonist (Ki = 6.5 nM), exhibits minimal effect on the enzymatic activity (IC50 &gt; 25 μM) of CYP isoforms 3A4, 1A2, and 2D6.</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98.0%</td>
<td><strong>Purity:</strong> 99.79%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td><strong>Clinical Data:</strong> Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<th><strong>Mifamurtide</strong> (CGP-19835; MTP-PE; MTP-cephalin; CGP19835; L-MTP-PE; MLV19835)</th>
<th><strong>ML204</strong>&lt;br&gt; (ML-204)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Mifamurtide(CGP19835; MTP-PE) is a drug against osteosarcoma.</td>
<td><strong>Bioactivity:</strong> ML204 is a novel potent antagonist that selectively modulates native TRPC4/C5 ion channels.</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Purity:</strong> 98.51%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Size: 10 mg, 50 mg</td>
<td><strong>Clinical Data:</strong> Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
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<tr>
<th><strong>ML204 (hydrochloride)</strong>&lt;br&gt; (ML-204 hydrochloride; ML 204 hydrochloride)</th>
<th><strong>Nonivamide</strong>&lt;br&gt; (Pseudocapsaicin; Pelargonic acid vanillylamide; Nonan oic acid vanillylamide)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> ML204 is a novel, potent, selective TRPC4 channel inhibitor with IC50 of 0.96 μM, exhibit 19-fold selectivity against TRPC6 channels in similar fluorescent assays.</td>
<td><strong>Bioactivity:</strong> Nonivamide is a TRPV1 agonist, which exhibits 4d-EC50 value of 5.1mg/L in static toxicity tests.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.37%</td>
<td><strong>Purity:</strong> 95.02%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Size: 10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td><strong>Clinical Data:</strong> Phase 3 Size: 10mM x 1mL in DMSO, 100 mg, 500 mg, 5 g</td>
</tr>
</tbody>
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<thead>
<tr>
<th><strong>Optovin</strong></th>
<th><strong>PAC-14028</strong>&lt;br&gt; (PAC14028, PAC 14028)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Optovin is a reversible photoactive TRPA1 activator; stimulates human TRPA1 channels in vitro and enables repeated photoactivation of motor behaviors in wild-type zebrafish (EC50 = 2 μM).</td>
<td><strong>Bioactivity:</strong> PAC-14028 is a potent and selective transient receptor potential vanilloid type 1 (TRPV1) antagonist.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.74%</td>
<td><strong>Purity:</strong> &gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td><strong>Clinical Data:</strong> Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
**PF-4840154**  
**Cat. No.: HY-18779**

- **Bioactivity:** PF-4840154 is a potent, selective agonist of the rat and human TrpA1 channel and elicited TrpA1-mediated nocifensive behaviour in mouse, with EC50 of 97 nM and 23 nM for rTrpA1 and hTrpA1, respectively.
- **Purity:** >98.0%
- **Clinical Data:**
  - **Size:** 10mM x 1mL in DMSO,
  - 5 mg, 10 mg, 50 mg, 100 mg

**Podocarpic acid**  
**Cat. No.: HY-N2318**

- **Bioactivity:** Podocarpic acid is a natural product, which has the best all-round positive effect and acts as a novel TRPA1 activator.
- **Purity:** >98%
- **Clinical Data:**
  - **Size:** 10 mg, 50 mg

**Probenecid**  
**Cat. No.: HY-80545**

- **Bioactivity:** Probencid is a potent and selective agonist of transient receptor potential vanilloid 2 (TRPV2) channels.
- **Purity:** 99.9%
- **Clinical Data:**
  - **Size:** 10mM x 1mL in DMSO,
  - 1 g, 5 g

**Pyr10 (Pyr-10, Pyr 10)**  
**Cat. No.: HY-19408**

- **Bioactivity:** Pyr10 is a novel TRPC3-selective inhibitor, IC50 of Ca2+ influx inhibition by Pyr10 in carbachol-stimulated YFP-TRPC3-transfected HEK293 cells for ROCE and thapsigargin-depleted native RBL-2H3 cells for SOCE is 0.72 uM and 13.08 uM.
- **Purity:** 99.44%
- **Clinical Data:**
  - **Size:** 10mM x 1mL in DMSO,
  - 5 mg, 10 mg, 50 mg

**Pyr6 (Pyr-6)**  
**Cat. No.: HY-12504**

- **Bioactivity:** Pyr6 is a selective inhibitor of TRPC3 with IC50 of 0.49 uM(Ca2+ influx inhibition in thapsigargin depleted native RBL-2H3 cells).
- **Purity:** >98.0%
- **Clinical Data:**
  - **Size:** 10mM x 1mL in DMSO,
  - 10 mg

**RN-1734 (RN1734; RN 1734)**  
**Cat. No.: HY-19975**

- **Bioactivity:** RN-1734 is selective antagonist of the TRPV4 channel, completely antagonizes 4αPDD-mediated activation of TRPV4 with comparable, low micromolar IC50 values for all three species (hTRPV4: IC50 = 2.3 μM, mTRPV4: IC50 = 5.9 μM, rTRPV4: IC50 = 3.2 μM).
- **Purity:** 98.36%
- **Clinical Data:**
  - **Size:** 10mM x 1mL in DMSO,
  - 5 mg, 10 mg, 50 mg, 100 mg

**Rosiglitazone (BRL 49653; BRL49653; BRL-49653)**  
**Cat. No.: HY-17386**

- **Bioactivity:** Rosiglitazone is a peroxisome proliferator-activated receptor-gamma (PPAR-γ) agonist, and is a blocker of TRPM2 and TRPM3 channels.
- **Purity:** 99.46%
- **Clinical Data:**
  - **Size:** 10mM x 1mL in DMSO,
  - 50 mg, 200 mg

**RQ-00203078**  
**Cat. No.: HY-18662**

- **Bioactivity:** RQ-00203078 is a highly selective, potent and orally available TRPM8 antagonist (IC50 values are 5.3 and 8.3 nM for rat and human channels respectively), exhibits >350-fold selectivity for TRPM8 over TRPV4, TRPV1 and TRPA1.
- **Purity:** 99.24%
- **Clinical Data:**
  - **Size:** 10mM x 1mL in DMSO,
  - 5 mg, 10 mg, 50 mg

**SAR7334 (SAR 7334; SAR-7334)**  
**Cat. No.: HY-15699**

- **Bioactivity:** SAR7334 is a novel and potent TRPC6 inhibitor from PCT Int
- **Purity:** >98.0%
- **Clinical Data:**
  - **Size:** 10mM x 1mL in DMSO,
  - 5 mg, 10 mg, 50 mg, 100 mg

**SAR7334 (hydrochloride) (SAR-7334 hydrochloride; SAR 7334 hydrochloride)**  
**Cat. No.: HY-15699A**

- **Bioactivity:** TRCP6-IN-1 (hydrochloride) is a potent TRPC6 (Transient receptor potential cation channel, subfamily C, member 6) inhibitor.
- **Purity:** 95.3%
- **Clinical Data:**
  - **Size:** 10mM x 1mL in DMSO,
  - 5 mg, 10 mg, 50 mg, 100 mg
SB-366791
(SB366791; SB 366791)  
Cat. No.: HY-12245

Bioactivity: SB-366791 is a potent, competitive and selective vanilloid receptor (VR1/TRPV1) antagonist with IC50 of 5.7±1.2 nM

Purity: 98.01%
Clinical Data: Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg


SB-705498
(SB 705498; SB705498)  
Cat. No.: HY-10633

Bioactivity: SB-705498 is a potent, selective and orally bioavailable transient receptor potential vanilloid 1 (TRPV1) receptor antagonist with a pIC50 of 7.1.

Purity: 99.73%
Clinical Data: Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg


SKF-96365 (hydrochloride)
(SKF96365 hydrochloride; SKF 96365 hydrochloride)  
Cat. No.: HY-100001

Bioactivity: SKF-96365 hydrochloride, an SOCE inhibitor, exhibits potent anti-neoplastic activity by inducing cell-cycle arrest and apoptosis in colorectal cancer cells.

Purity: 99.73%
Clinical Data: Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg, 200 mg


SN 2
(SN2; SN-2)  
Cat. No.: HY-16696

Bioactivity: SN 2 is a novel and potent activator of TRPML3 ion channel with EC50 of 1.8±0.13 μM.

Purity: 99.92%
Clinical Data: Size: 10mM x 1mL in DMSO,
10 mg, 50 mg

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