# CRAC Channel

## Calcium release-activated channels; Ca2+ release-activated Ca2+ channels

The $Ca^{2+}$ release-activated $Ca^{2+}$ (CRAC) channel is a highly $Ca^{2+}$-selective store-operated channel expressed in T cells, mast cells, and various other tissues. CRAC channels regulate critical cellular processes such as gene expression, motility, and the secretion of inflammatory mediators. The identification of Orai1, a key subunit of the CRAC channel pore, and STIM1, the endoplasmic reticulum (ER) $Ca^{2+}$ sensor, have provided the tools to illuminate the mechanisms of regulation and the pore properties of CRAC channels.

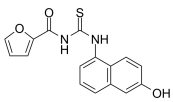
STIM1 proteins span through the membrane of the ER, are competent in sensing luminal $Ca^{2+}$ concentration, and in turn, are responsible for relaying the signal of $Ca^{2+}$ store-depletion to pore-forming Orai1 proteins in the plasma membrane. A direct interaction of STIM1 and Orai1 allows for the re-entry of $Ca^{2+}$ from the extracellular space. CRAC channels are critical for lymphocyte function and immune responses. A driving force in the quest for CRAC channel drugs has been the immunocompromised phenotype displayed by humans and mice with null or loss-of-function mutations in STIM1 or Orai1, suggesting that CRAC channel inhibitors could be useful therapeutics for autoimmune or inflammatory conditions.

## CRAC Channel Inhibitors

### 5J-4

Cat. No.: HY-110216

5J-4 is a potent **CRAC** inhibitor. 5J-4 decreases the numbers of infiltrated mononuclear cell into the CNS, and significantly decreases the population of infiltrated CD4+ population.

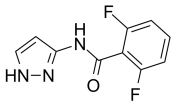


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

### CRAC intermediate 1

Cat. No.: HY-20587

CRAC intermediate 1 is a key intermediate in the chemical synthesis of a series of CRAC channel inhibitors, detailed information can be found in Patent WO 2010122089 A1, intermediate 9.

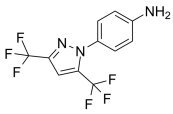


**Purity:** 98.0%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### CRAC intermediate 2

Cat. No.: HY-20588

CRAC intermediate 2 is a intermediate compound for CRAC inhibitor synthesis, extracted from patent WO 2013059666A1.

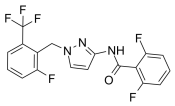


**Purity:** 99.96%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### GSK-5498A

Cat. No.: HY-12521

GSK-5498A is a selective small molecule blocker of **CARC** ($IC_{50}$, 1 μM); inhibits mediator release from mast cells, and pro-inflammatory cytokine release from T-cells in a variety of species.

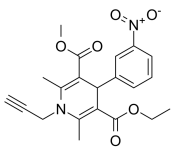


**Purity:** 98.14%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg

### MRS1845

Cat. No.: HY-103310

MRS1845 is a selective store-operated calcium (**SOC**) channel inhibitor with an $IC_{50}$ of 1.7 μM. MRS1845 is an **ORAI1** inhibitor.

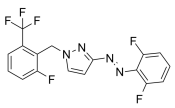


**Purity:** 99.27%
**Clinical Data:** No Development Reported
**Size:** 10 mg, 25 mg, 50 mg, 100 mg

### piCRAC-1

Cat. No.: HY-147005

piCRAC-1 is a potent, photoinducible **$Ca^{2+}$ release-activated $Ca^{2+}$ (CRAC) channel** inhibitor. piCRAC-1 alleviates thrombocytopenia and hemorrhage.

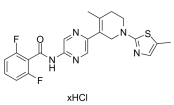


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg

### RO2959 hydrochloride

Cat. No.: HY-113618A

RO2959 hydrochloride is a potent and selective **CRAC channel** inhibitor with an $IC_{50}$ of 402 nM. RO2959 hydrochloride is a potent blocker of **store operated calcium entry (SOCE)** mediated by **Orai1/Stim1 channels** with an $IC_{50}$ of 25 nM.

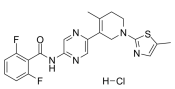


**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 5 mg

### RO2959 monohydrochloride

Cat. No.: HY-113618B

RO2959 monohydrochloride is a potent and selective **CRAC channel** inhibitor with an $IC_{50}$ of 402 nM. RO2959 monohydrochloride is a potent blocker of **store operated calcium entry (SOCE)** mediated by **Orai1/Stim1 channels** with an $IC_{50}$ of 25 nM.

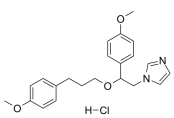


**Purity:** 99.02%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### SKF-96365 hydrochloride

Cat. No.: HY-100001

SKF-96365 hydrochloride is a potent **TRP channel** blocker and a **store-operated $Ca^{2+}$ entry (SOCE)** inhibitor. SKF-96365 hydrochloride significantly inhibits hERG, hKCNQ1/hKCNE1, hKir2.1 and hKv4.3 current, and significantly prolongs the QTc interval in isolated guinea pig hearts.

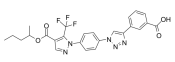


**Purity:** 99.51%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### SOCE inhibitor 1

Cat. No.: HY-112913

SOCE inhibitor 1 is a **store-operated calcium entry (SOCE)** inhibitor with an $IC_{50}$ of 4.4 μM.

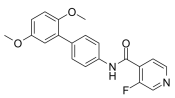


**Purity:** 99.73%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Synta66

Cat. No.: HY-111325

Synta66 is an inhibitor of store-operated calcium entry channel **Orai**, which forms the pore of the **CRAC** channel, and used for the research of neurological disease.

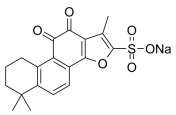


**Purity:** 99.46%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

## Tanshinone IIA sulfonate sodium (Sodium Tanshinone IIA sulfonate; Tanshinone IIA sodium sulfonate)

Cat. No.: HY-N1370

Tanshinone IIA sulfonate (sodium) is a derivative of tanshinone IIA, which acts as an inhibitor of store-operated $Ca^{2+}$ entry (SOCE), and is used to treat cardiovascular disorders.



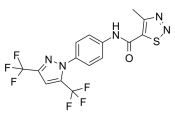
**Purity:** ≥98.0%
**Clinical Data:** Launched
**Size:** 10 mg, 25 mg

## YM-58483

**(BTP2)**

Cat. No.: HY-100831

YM-58483 (BTP2) is the first selective and potent inhibitor of **CRAC channels** and subsequent $Ca^{2+}$ signals. YM-584832 is a blocker of store-operated $Ca^{2+}$ entry (**SOCE**).



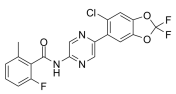
**Purity:** 99.78%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Zegocractin

**(CM-4620)**

Cat. No.: HY-101942

Zegocractin (CM-4620) is a **calcium-release activated calcium-channel (CRAC channel)** inhibitor, with $IC_{50}$s of 119 nM and 895 nM for **Orai1/STIM1** and **Orai2/STIM1 channels**, respectively.



**Purity:** 99.96%
**Clinical Data:** Phase 2
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg