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## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


**SZABO-SCANDIC HandelsgmbH**

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

# Sarpogrelate hydrochloride

**Cat. No.:** HY-10564

**CAS No.:** 135159-51-2

**Molecular Formula:** $C_{24}H_{32}ClNO_6$

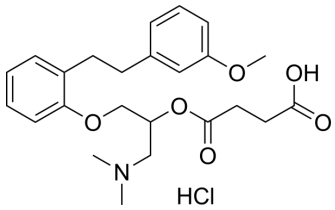
**Molecular Weight:** 465.97

**Target:** 5-HT Receptor

**Pathway:** GPCR/G Protein; Neuronal Signaling

**Storage:** 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 62 mg/mL (133.06 mM)

$H_2O$ : 33.33 mg/mL (71.53 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1461 mL | 10.7303 mL | 21.4606 mL |
| | 5 mM | 0.4292 mL | 2.1461 mL | 4.2921 mL |
| | 10 mM | 0.2146 mL | 1.0730 mL | 2.1461 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (21.46 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Sarpogrelate hydrochloride (MCI-9042) is a selective 5-$HT_2$R antagonist, with $pK_i$s of 8.52, 6.57, and 7.43 for 5-$HT_{2A}$, 5-$HT_{2B}$, and 5-$HT_{2C}$ receptors, respectively. Sarpogrelate hydrochloride displays selectivity over 5-$HT_1$, 5-$HT_3$, 5-$HT_4$, $\alpha_1$-, $\alpha_2$- and β-adrenoreceptor, histamine $H_1$, $H_2$ and muscarinic $M_3$ receptors. Sarpogrelate hydrochloride can be used for the research of vascular disease associated with thrombosis[1][2][3].

| $IC_{50}$ & Target | 5-$HT_{2A}$ Receptor<br>8.52 (pKi) | 5-$HT_{2B}$ Receptor<br>6.57 (pKi) | 5-$HT_{2C}$ Receptor<br>7.43 (pKi) |
|---|---|---|---|

**In Vitro**

Sarpogrelate is selective for 5-$HT_2$ ($pK_i$=7.54) over 5-$HT_1$ ($pK_i$=4.58), $\alpha_1$-, $\alpha_2$-, and β-adrenergic ($pK_i$=3.17-6.19), and muscarinic receptors ($pK_i$=4.39)[2].

Sarpogrelate (10 μM) significantly reduces the number of platelet-rich plasma (PRP)-induced THP-1 cell that adheres to human umbilical vein endothelial cells (HUVECs)[3].

Sarpogrelate (10 μM) significantly reduces the expression of PRP-induced E-selectin in HUVECs[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Sarpogrelate (5 mg/kg; i.p. daily for 4 weeks) inhibits HFFD-induced obesity and decreases leukocyte-endothelial interactions in mice[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Male C57BL/6 mice (7 weeks old) are fed normal chow (NC) or a high-fat diet with 30% fructose in the drinking water (HFFD)[3] |
| Dosage: | 5 mg/kg |
| Administration: | I.p. daily for 4 weeks |
| Result: | Prevented the HFFD-induced increases of the body weight, visceral fat weight, and serum monocyte chemoattractant protein-1 levels.<br>Decreased leukocyte-endothelial interactions and serum monocyte chemoattractant protein-1 (MCP-1) level. |

## CUSTOMER VALIDATION

- Exp Neurol. 2019 Nov;321:113030.

See more customer validations on www.MedChemExpress.com

## REFERENCES

[1]. Rashid M, et al. Identification of the binding sites and selectivity of sarpogrelate, a novel 5-HT2 antagonist, to human 5-HT2A, 5-HT2B and 5-HT2C receptor subtypes by molecular modeling. Life Sci. 2003 May 30;73(2):193-207.

[2]. Maruyama K, et al. MCI-9042: high affinity for serotonergic receptors as assessed by radioligand binding assay. J Pharmacobiodyn. 1991 Apr;14(4):177-81.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA