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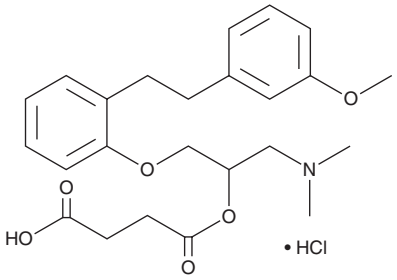
PRODUCT INFORMATION

Sarpogrelate (hydrochloride)

Item No. 24194

CAS Registry No.: 135159-51-2
Formal Name: butanedioic acid, 1-[2-(dimethylamino)-1-[[2-[2-(3-methoxyphenyl)ethyl] phenoxy]methyl]ethyl] ester, monohydrochloride
Synonym: MCI-9042
MF: $C_{24}H_{31}NO_6$ • HCl
FW: 466.0
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Sarpogrelate (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the sarpogrelate (hydrochloride) in the solvent of choice. Sarpogrelate (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of sarpogrelate (hydrochloride) in these solvents is approximately 33 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of sarpogrelate (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of sarpogrelate (hydrochloride) in PBS, pH 7.2, is approximately 0.33 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Sarpogrelate is a selective antagonist of the serotonin (5-HT) receptor subtypes 5-HT_{2A}, 5-HT_{2B}, and 5-HT_{2C} (K_is = 3.02, 269, and 37.2 nM, respectively, for human recombinant receptors expressed in CHO-K1 cells).[1] It is selective for 5-HT_2 (K_i = 70.8 nM) over 5-HT_1 (K_i = >26,000 nM), α_{1-}, α_{2-}, and β-adrenergic (K_is = 640-123,800 nM), and muscarinic receptors (K_i = >40,000 nM).[2] *In vitro*, it inhibits aggregation of rat whole blood induced by collagen, 5-HT (Item No. 14332) with collagen, and 5-HT with ADP (Item No. 16778; IC_{50}s = 57.7, 0.56, and 22.7 µM, respectively).[3] *In vivo*, it inhibits leukocyte-endothelial interactions in the femoral artery induced by a high-fat high-fructose diet (HFFD) in mice when administered at a dose of 5 mg/kg per day.[4] Sarpogrelate (5 mg/kg per day) decreases ventricular hypertrophy and infarct size in a rat model of myocardial infarction.[5]

References

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2. Maruyama, K., Kinami, J., Sugita, Y., *et al. J. Pharmacobiodyn.* **14(4)**, 177-181 (1991).
3. Kubacka, M., Kazek, G., Kotańska, M., *et al. Eur. J. Pharmacol.* **818(2018)**, 263-270 (2018).
4. Kataoka, H., Ariyama, Y., Deushi, M., *et al. PLoS One* **11(1)**, e0147929 (2016).
5. Brasil, D., Temsah, R.M., Kumar, K., *et al. J. Cardiovasc. Pharmacol. Ther.* **7(1)**, 53-59 (2002).

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