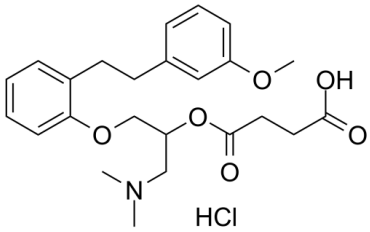
Data Sheet

Product Name:	Sarpogrelate (hydrochloride)
Cat. No.:	CS-2916
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
Solubility:	DMSO : ≥ 62 mg/mL (133.06 mM); H2O : 33.33 mg/mL (71.53 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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$_4$, α_1-, α_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]. **In Vitro:** Sarpogrelate is selective for 5-HT$_2$ (pK$_i$=7.54) over 5-HT$_1$ (pK$_i$=4.58), α_1-, α_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]. **In Vivo:** Sarpogrelate (5 mg/kg; i.p. daily for 4 weeks) inhibits HFFD-induced obesity and decreases leukocyte-endothelial interactions in mice[3].

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.

[3]. Kataoka H, et, al. Inhibitory Effect of Serotonin Antagonist on Leukocyte-Endothelial Interactions In Vivo and In Vitro. PLoS One. 2016 Jan 29;11(1):e0147929.

CAIndexNames:

Butanedioic acid, 1-[2-(dimethylamino)-1-[[2-[2-(3-methoxyphenyl)ethyl]phenoxy]methyl]ethyl] ester, hydrochloride (1:1)

SMILES:

COC1=CC=CC(CCC2=CC=CC=C2OCC(CN(C)C)OC(CCC(O)=O)=O)=C1.Cl

Caution: Product has not been fully validated for medical applications. For research use only.

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