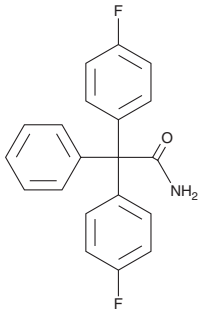
PRODUCT INFORMATION

Senicapoc

Item No. 29679



CAS Registry No.:	289656-45-7
Formal Name:	4-fluoro-α-(4-fluorophenyl)-α-phenyl-benzeneacetamide
Synonym:	ICA-17043
MF:	$C_{20}H_{15}F_2NO$
FW:	323.3
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years

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

Laboratory Procedures

Senicapoc is supplied as a solid. A stock solution may be made by dissolving the senicapoc in the solvent of choice, which should be purged with an inert gas. Senicapoc is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of senicapoc in these solvents is approximately 2, 5, and 10 mg/ml, respectively.

Senicapoc is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, senicapoc should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Senicapoc has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Senicapoc is an inhibitor of intermediate conductance calcium-activated potassium ($IK_{Ca}1/K_{Ca}3.1$) channels.[1,2] It inhibits rubidium efflux from and dehydration of isolated human red blood cells (RBCs) induced by the calcium ionophore A23187 (Item No. 11016; IC_{50}s = 11 and 30 nM, respectively).[1] Senicapoc (10 mg/kg twice per day) reduces $IK_{Ca}1/K_{Ca}3.1$ channel activity, increases potassium levels in RBCs, and decreases erythrocyte density in the SAD transgenic mouse model of sickle cell disease. It inhibits IL-2, IFN-γ, IL-12, and IL-17A production in $CD3^+$ T cells stimulated with phorbol 12-myristate 13-acetate (PMA; Item No. 10008014) and ionomycin (Item Nos. 10004974 | 11932) when used at a concentration of 1 µM.[3] Senicapoc (100 mg/kg) increases the paw withdrawal threshold in a rat model of chronic constriction injury (CCI) of the sciatic nerve.[2]

References

1. Stocker, J.W., De Franceschi, L., McNaughton-Smith, G.A., *et al.* ICA-17043, a novel gardos channel blocker, prevents sickled red blood cell dehydration in vitro and in vivo in SAD mice. *Blood* **101(6)**, 2412-2418 (2003).
2. Staal, R.G.W., Khayrullina, T., Zhang, H., *et al.* Inhibition of the potassium channel $K_{Ca}3.1$ by senicapoc reverses tactile allodynia in rats with peripheral nerve injury. *Eur. J. Pharmacol.* **795**, 1-7 (2017).
3. Hansen, L.K., Sevelsted-Møller, L., Rabjerg, M., *et al.* Expression of T-cell $K_V1.3$ potassium channel correlates with pro-inflammatory cytokines and disease activity in ulcerative colitis. *J. Crohns Colitis* **8(11)**, 1378-1391 (2014).

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