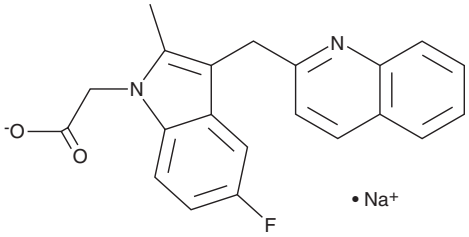
PRODUCT INFORMATION

Timapiprant (sodium salt)

Item No. 42734

CAS Registry No.:	950688-14-9
Formal Name:	5-fluoro-2-methyl-3-(2-quinolinylmethyl)-1H-indole-1-acetic acid, monosodium salt
Synonym:	OC000459
MF:	$C_{21}H_{16}FN_2O_2 \bullet Na$
FW:	370.4
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

Timapiprant (sodium salt) is supplied as a solid. A stock solution may be made by dissolving the timapiprant (sodium salt) in the solvent of choice, which should be purged with an inert gas. Timapiprant (sodium salt) is is slightly soluble (0.1-1 mg/ml) in ethanol and sparingly soluble (1-10 mg/ml) in DMSO.

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 timapiprant (sodium salt) can be prepared by directly dissolving the solid in aqueous buffers. Timapiprant (sodium salt) is slightly soluble (0.1-1 mg/ml) in in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

Timapiprant is a DP_2 receptor antagonist (K_i = 0.013 µM).[1] It is selective for DP_2 over the additional prostanoid receptors DP_1, TP, $EP_{1\text{-}4}$, FP, and IP (K_is = >10 µM for all). Timapiprant inhibits calcium mobilization induced by prostaglandin D_2 (PGD_2; Item No. 12010) in CHO cells expressing human DP_2 (IC_{50} = 0.028 µM). It inhibits PGD_2-induced Th2 T helper cell chemotaxis, IL-13 production, and apoptosis (IC_{50}s = 0.028, 0.019, and 0.035 µM, respectively). *In vivo*, timapiprant reduces PGD_2-induced blood eosinophilia in rats (ED_{50} = 0.04 mg/kg) and pulmonary eosinophil accumulation in guinea pigs (ED_{50} = 0.01 mg/kg). It also reduces amyloid-β (Aβ) plaque area and hippocampal microglial accumulation and improves learning and memory deficits in the TgF344 transgenic rat model of age-dependent and progressive Alzheimer's disease.[2]

References

1. Pettipher, R., Vinall, S.L., Xue, L., *et al.* Pharmacologic profile of OC000459, a potent, selective, and orally active D prostanoid receptor 2 antagonist that inhibits mast cell-dependent activation of T helper 2 lymphocytes and eosinophils. *J. Pharmacol. Exp. Ther.* **340(2)**, 473-482 (2012).
2. Wallace, C.H., Oliveros, G., Serrano, P.A., *et al.* Timapiprant, a prostaglandin D2 receptor antagonist, ameliorates pathology in a rat Alzheimer's model. *Life Sci. Alliance* **5(12)**, e202201555 (2022).

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