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		\sim
Synonym:	OC000459	N	
MF:	$C_{21}H_{16}FN_2O_2 \bullet Na$		
FW:	370.4		\checkmark \checkmark
Purity:	≥98%	0 [/]	
Supplied as:	A solid		• Na+
Storage:	-20°C	٦F	
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 DP₂ receptor antagonist ($K_i = 0.013 \ \mu$ M).¹ It is selective for DP₂ over the additional prostanoid receptors DP_1 , TP, EP_{1-4} , FP, and IP (K_is = >10 μ M for all). Timapiprant inhibits calcium mobilization induced by prostaglandin D_2 (PGD₂; Item No. 12010) in CHO cells expressing human DP_2 $(IC_{50} = 0.028 \ \mu M)$. It inhibits PGD₂-induced Th2 T helper cell chemotaxis, IL-13 production, and apoptosis (IC₅₀s = 0.028, 0.019, and 0.035 μ M, respectively). In vivo, timapiprant reduces PGD₂-induced blood eosinophilia in rats (ED₅₀ = 0.04 mg/kg) and pulmonary eosinophil accumulation in guinea pigs $(ED_{50} = 0.01 \text{ mg/kg})$. It also reduces amyloid- β (A β) 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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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