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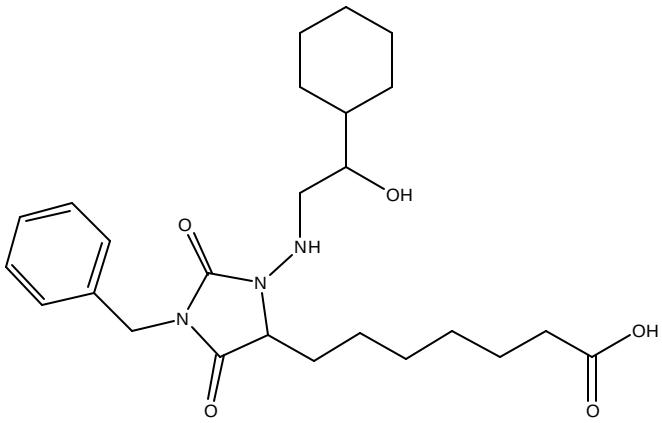
ProductInformation

BW A868C

Product Number **B9180**
Store at –20 °C

CAS #: 118675-50-6
Synonym: 3-[(2-cyclohexyl-2-hydroxyethyl)amino]-2,5-dioxo-1-(phenylmethyl)- 4-imidazolidineheptanoic acid



Product Description
Molecular Formula: $C_{25}H_{37}N_3O_5$
Molecular Weight: 459.58
Appearance: Amorphous solid
Melting point: 95–108 °C

BW A868C is a selective DP prostanoid receptor antagonist. Prostaglandins (PGs) and thromboxanes (TXs) are metabolites of arachidonic acid that, together, comprise the prostanoids. Prostanoid receptors are classified on the basis of sensitivity toward the five naturally-occurring prostanoids: PGD_2, PGE_2, PGF_2, PGI_2 and TXA_2 and are termed P receptors, with a preceding letter indicating the natural prostanoid to which each receptor is most sensitive, i.e. DP, EP, FP IP and TP, respectively. All prostanoid receptors identified to date belong to the family of receptor proteins characterized by having seven transmembrane domains that couple to specific G proteins that initiate processes leading to the formation of the second messengers cAMP, inositol trisphosphate or diacylglycerol.[1]

PGD_2, a natural ligand for the DP prostanoid receptor, is produced in many organs, including brain, lung, skin, and mast cells, and has been implicated in the regulation of body temperature, sleep, hormone secretion, ion transport, pain and intraocular pressure. PGD_2 inhibits platelet aggregation, induces bronchoconstriction and allergic rhinitis, and lowers intraocular pressure. Many tissue-based models have been used to study DP prostanoid receptors.[1,2]

BW A868C presents a competitive antagonist profile with pA_2 values of 8.00 and 8.14, respectively against two structurally different agonists, BW245C and ZK118182. BW A868C behaves as a simple competitive antagonist in aggregation assays with washed human platelets. It antagonizes the inhibition of platelet aggregation induced by PGD_2 with a potency similar to its inhibition of BW 245C- and PGD_2-induced relaxation of rabbit jugular vein. BW A868C also competitively antagonizes PGD_2-induced cAMP accumulation in embryonic bovine tracheal fibroblasts (pA_2 = 7.83).[3,4]

The actions of BW A868C against other prostaglandin receptors (IP, EP1, EP2, TP and FP) required up to 1,000-fold higher concentrations than those required for its effect on the DP-prostanoid receptor. BW A868C potency and selectivity make it an important tool in prostanoid receptor identification and research. [^{3}H]-BW A868C is a highly specific high-affinity DP-prostanoid receptor radioligand capable of selectively labeling the receptor. Thus, [^{3}H]-BW A868C may prove useful for future autoradiographic studies of the DP-prostanoid receptor.[5]

Preparation Instructions
BW A868C is soluble in DMSO at 30 mg/ml.

Storage/Stability
Store desiccated at –20 °C.

References

1. Sharif, N.A., et al., Affinities, selectivities, potencies, and intrinsic activities of natural and synthetic prostanoids using endogenous receptors: Focus on DP class prostanoids., J. Pharmacol. Exp. Ther., **293**, 321-328 (2000).
2. Crider, J.Y., et al., Prostaglandin DP receptors positively coupled to adenylyl cyclase in embryonic bovine tracheal (EBTr) cells: pharmacological characterization using agonists and antagonists. Br. J. Pharmacol., **127**, 204-210 (1999).
3. Giles, H., et al. The classification of prostaglandin DP-receptors in platelets and vasculature using BW A868C, a novel, selective and potent competitive antagonist. Br. J. Pharmacol., **96**, 291-300 (1989).
4. Liu, Y. J., et al., Effects of BW A868C, a selective prostaglandin DP receptor antagonist, in dog isolated vascular preparations., Eur. J. Pharmacol., **303**, 187-192 (1996).
5. Sharif, N.A., et al., Pharmacology and autoradiography of human DP prostanoid receptors using [^{3}H]-BW A868C, a DP receptor-selective antagonist radioligand., Br. J. Pharmacol., **131**, 1025-1038 (2000).

AH/PHC 7/04