PRODUCT INFORMATION



ASP7657

Item No. 28578

1196045-28-9	-
trans-4-[[[[1-(2-quinolinylmethyl)-	
5-(trifluoromethyl)-1H-indol-	
7-yl]carbonyl]amino]methyl]-	F
cyclohexanecarboxylic acid	
$C_{28}H_{26}F_{3}N_{3}O_{3}$	
509.5	
≥95% (mixture of isomers)	
λ _{max} : 234 nm	
A crystalline solid	
-20°C	
≥2 years	
	1196045-28-9 trans-4-[[[[1-(2-quinolinylmethyl)- 5-(trifluoromethyl)-1H-indol- 7-yl]carbonyl]amino]methyl]- cyclohexanecarboxylic acid $C_{28}H_{26}F_3N_3O_3$ 509.5 ≥95% (mixture of isomers) λ_{max} : 234 nm A crystalline solid -20°C ≥2 years

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

Laboratory Procedures

ASP7657 is supplied as a crystalline solid. A stock solution may be made by dissolving the ASP7657 in the solvent of choice, which should be purged with an inert gas. ASP7657 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ASP7657 in ethanol is approximately 12.5 mg/ml and approximately 16.5 mg/ml in DMSO and DMF.

ASP7657 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ASP7657 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ASP7657 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ASP7657 is an antagonist of the prostaglandin E_2 (PGE₂) receptor subtype EP_4 (K_is = 2.21 and 6.02 nM for the human and rat receptors, respectively).¹ It is selective for these receptors over the rat EP_1 , EP₂, and EP₃ receptors (IC₅₀s = >1,000 nM for all), as well as a panel of 42 additional receptors and ion channels (IC_{50} s = >1,000 nM for all). ASP7657 inhibits increases in cAMP accumulation induced by PGE₂ in Jurkat cells expressing human EP₄ and CHO cells expressing the rat receptor with IC_{50} values of 0.29 and 0.86 nM, respectively. It inhibits PGE_2 -induced decreases in LPS-stimulated TNF- α release in isolated rat whole blood in a dose-dependent manner. AP7657 (0.01 and 0.1 mg/kg per day) decreases albuminuria in the *db/db* mouse model of type 2 diabetes.

Reference

1. Mizukami, K., Kamada, H., Yoshida, H., et al. Pharmacological properties of ASP7657, a novel, potent, and selective prostaglandin EP4 receptor antagonist. Naunyn Schmiedebergs Arch. Pharmacol. 391(12), 1319-1326 (2018).

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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