ASP7657 free base

Cat. No.: HY-120598

CAS No.: 1196045-28-9

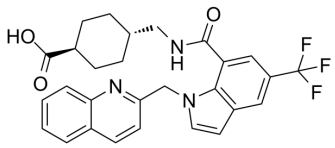
Molecular Formula: $C_{28}H_{26}F_3N_3O_3$

Molecular Weight: 509.52

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ASP7657 free base is an orally active EP4 receptor antagonist, with K_is of 2.21 and 6.02 nM for the human and rat EP4 receptors[1].	
IC_{50} & Target	hEP4 2.21 nM (IC_{50})	rat EP4 6.02 nM (IC_{50})
In Vitro	ASP7657 free base inhibits the PGE2-induced cAMP increase in CHO cells (expressing rat EP4 receptors) and human lymphoblastoid T cells, with IC_{50} values of 0.86 nM and 0.29 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	ASP7657 free base (0.1 mg/kg, p.o., rats) shows a $t_{1/2}$ of 1.38 h, C_{max} of 22.4 ng/mL, and oral bioavailability of 46.2%[1]. ASP7657 free base (0.003-0.1 mg/kg, p.o.) inhibits LPS (1 μg/mL)-induced TNF-α release from rat whole blood[1]. ASP7657 free base (0.01 mg/kg, p.o.) attenuates urinary albumin excretion in type 2 diabetic mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

Animal Model:	LPS (1 μg/mL)-induced rats[1]
Dosage:	0.003, 0.01, 0.03, 0.1 mg/kg
Administration:	p.o.
Result:	Antagonized the PGE2-mediated inhibition of LPS-induced TNF-α release from rat whole blood culture, in a dose-dependent way.

REFERENCES

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

Caution: Product has not been fully validated for medical applications. For research use only.

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