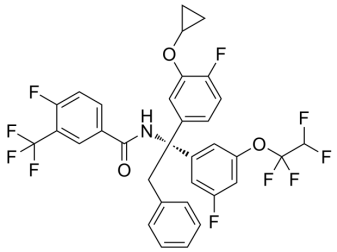
BMS-795311

Cat. No.:	HY-19614
CAS No.:	939390-99-5
Molecular Formula:	$C_{33}H_{23}F_{10}NO_3$
Molecular Weight:	671.52
Target:	CETP
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BMS-795311 is a potent and orally bioavailable inhibitor of cholesteryl ester transfer protein (CETP), with IC_{50}s of 4 nM in an enzyme-based scintillation proximity assay (SPA) and 0.22 μM in a human whole plasma assay (hWPA), respectively[1].
IC_{50} & Target	IC50: 4 nM (CETP)[1]
In Vitro	BMS-795311 (10 μM; 24 hours) does not increase aldosterone synthase (CYP11B2) mRNA at 10 μM in H295R cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BMS-795311 (1-3 mg/kg; oral administration) inhibits plasma CE transfer activity in human CETP (hCETP)/apoB-100 dual transgenic (Tg) mice[1]. BMS-795311 (3-10 mg/kg; p.o. for 3 days) increases high density lipoprotein-cholesterol (HDL-C) content[1]. BMS-795311 (8 mg/kg, i.v.) has no effect on mean, systolic, or diastolic blood pressure, heart rate, or locomotor activity in rat telemetry studies[1]. BMS-795311 exhibits reasonable oral bioavailability (mice 37%, rats 37%, monkeys 20%, dogs 5%) and C_{max} (mice 5.3, rats 17, monkeys 1.7, dogs 0.43 ng/mL) following oral administration (mice 10, rats 10, monkeys 5, dogs 5 mg/kg)[1]. BMS-795311 exhibits terminal elimination half-lives (mice 6, rats 7, monkeys >18, dogs 10 h) due to low plasma clearance (2.0, 0.9, 0.9, and 1.4 mL/min/kg respectively) combined with little volumes of distribution (0.8, 0.4, 0.9, and 0.6 L/kg respectively) following intravenous administration (mice 5, rats 1, monkeys 4, dogs 1 mg/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	hCETP/apoB-100 dual Tg mice[1]
Dosage:	1, 3 mg/kg
Administration:	Oral administration
Result:	Inhibited CETP activity at a dose of 1 mg/kg at the 8 h time point.

Animal Model:	Moderately fat-fed hamsters[1]
Dosage:	3, 10 mg/kg

Administration:	Oral administration for 3 days
Result:	Increased plasma high density lipoprotein-cholesterol (HDL-C) content by 45% when dosed at 10 mg/kg.

REFERENCES

[1]. Jennifer XQ, et, al. Triphenylethanamine Derivatives as Cholesteryl Ester Transfer Protein Inhibitors: Discovery of N-[(1R)-1-(3-Cyclopropoxy-4-fluorophenyl)-1-[3-fluoro-5-(1,1,2,2-tetrafluoroethoxy)phenyl]-2-phenylethyl]-4-fluoro-3-(trifluoromethyl)benzamide (BMS-795311). J Med Chem. 2015 Nov 25; 58(22): 9010-26.

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