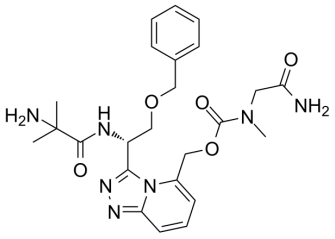
BMS-604992 free base

Cat. No.:	HY-14495A
CAS No.:	760944-56-7
Molecular Formula:	$C_{24}H_{31}N_7O_5$
Molecular Weight:	497.55
Target:	GHSR
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BMS-604992 (EX-1314) free base is a selective, orally active small-molecule growth hormone secretagogue receptor (GHSR) agonist. BMS-604992 free base demonstrates high-affinity binding (k_i=2.3 nM) and potent functional activity (EC_{50}=0.4 nM). BMS-604992 free base can stimulate food intake in rodents[1].
IC_{50} & Target	EC50: 0.4 nM (GHSR), Ki: 2.3 nM (GHSR)[1]
In Vitro	BMS-604992 exhibits high-affinity binding (K_i=2.3 nM) and potent functional activity (EC_{50}=0.4 nM) for ghrelin receptor[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BMS-604992 (500 μg/kg; i.p.; 5 minutes) results in a significant increase in gastric emptying compared with vehicle-treated mice[1]. BMS-604992 (1~1000 mg/kg; p.o.; 1 hour) Shows a dose-linear increase in plasma concentrations at the 1 hour time point and elicits a dose-responsive increase in food intake relative to vehicle-treated controls, with a minimum effective dose of approximately 10 mg/kg[1]. BMS-604992 (300 mg/kg; p.o.; 5~20 minutes) produces a significant difference at the 5 minutes time point[1]. BMS-604992 (500 μg/kg; i.p.; 4 hours) increases food intake approximately 2-fold compared with vehicle-treated controls[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 mice
Dosage:	500 μg/kg
Administration:	I.p.; 5 minutes
Result:	Resulted in a significant increase in gastric emptying compared with vehicle-treated mice.

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Dosage:	1~1000 mg/kg
Administration:	P.o.; 1 hour
Result:	Showed a dose-linear increase in plasma concentrations at the 1 hour time point and

	elicited a dose-responsive increase in food intake relative to vehicle-treated controls, with a minimum effective dose of approximately 10 mg/kg.

Animal Model:	SD rat
Dosage:	300 mg/kg
Administration:	P.o.; 5~20 minutes
Result:	Observed a significant difference at the 5 minutes time point.

Animal Model:	Male GhrR KO and WT mice
Dosage:	500 μg/kg
Administration:	I.p.; 4 hours
Result:	Increased food intake approximately 2-fold compared with vehicle-treated controls.

REFERENCES

[1]. Charoenthongtrakul S, et, al. Enhanced gastrointestinal motility with orally active ghrelin receptor agonists. J Pharmacol Exp Ther. 2009 Jun;329(3):1178-86.

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