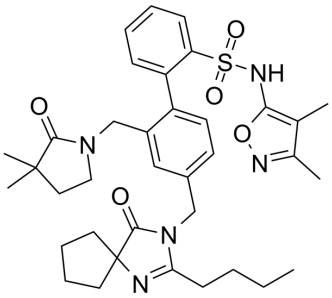
BMS-248360

Cat. No.:	HY-114953
CAS No.:	254737-87-6
Molecular Formula:	$C_{36}H_{45}N_5O_5S$
Molecular Weight:	659.84
Target:	Angiotensin Receptor; Endothelin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BMS-248360 is a potent and orally active dual antagonist of both angiotensin II receptor (AT1) and endothelin A (ET_A) receptor, with K_is of 10 nM and 1.9 nM for hAT1 and hETA receptor, respectively. BMS-248360 displays hypertensive effects[1] .
IC_{50} & Target	Ki: 10 nM (hAT1), 1.9 nM (hETA receptor), 6.0 nM (rAT1), 1.9 nM(rET_A receptor)[1]
In Vitro	BMS-248360 shows activity against rat AT1 and rat ET_A receptor, with K_is of 6.0 nM and 1.9 nM, respectively[1]. BMS-248360 shows no activity against AT2 and ET_B receptor subtypes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BMS-248360 is found to be orally bioavailable in rats (%F=38) with excellent oral exposure (C_{max})=3.1 μM) and reasonable elimination profile ($T_{1/2}$=5.5 hours)[1]. BMS-248360 (30 μmol/kg, 100 μmol/kg; p.o.) blocks the hypertensive effects of intravenously administered AII[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Rats[1]
Dosage:	30 μM/kg, 100 μM/kg
Administration:	Oral administration
Result:	Blocked the hypertensive effects of intravenously administered AII.

Animal Model:	Male Rats[1]
Dosage:	10 μM/kg
Administration:	Oral administration
Result:	$T_{1/2}$= 5.5 hours

REFERENCES

[1]. Murugesan N, et al. Discovery of N-isoxazolyl biphenylsulfonamides as potent dual angiotensin II and endothelin A receptor antagonists. J Med Chem. 2002 Aug 29;45(18):3829-35.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA