BMS-986120

Cat. No.: HY-19837
CAS No.: 1478712-37-6
Molecular Formula: C₂₃H₂₃N₅O₅S₂
Molecular Weight: 513.59
Target: Protease-Activated Receptor (PAR)
Pathway: GPCR/G Protein
Storage: Please store the product under the recommended conditions in the COA.

BIOLGICAL ACTIVITY

**Description**
BMS-986120 is an antagonist of the Platelet Protease-Activated Receptor-4 (PAR4), with IC₅₀s of 9.5, 2.1 nM in human and monkey blood, respectively.

**IC₅₀ & Target**
IC₅₀: 9.5 (PAR4, human), 2.1 nM (PAR4, monkey)[¹].

**In Vitro**
BMS-986120 (BMS) comparably inhibits PA induced by PAR4-AP in human and monkey blood in vitro (IC₅₀ of 9.5±2.7 and 2.1±0.4 nM, respectively)[¹].

**In Vivo**
In monkeys, BMS-986120 produces parallel rightward shifts in the log PA dose response to PAR4-AP without affecting maximum response, suggesting surmountable antagonism. BMS (1 mg/kg) does not inhibit PA induced by PAR1-AP, ADP and collagen, supporting selectivity. Maximum KBT and MBT increases are only 2.2-fold and 1.8-fold, respectively. A maximum antiplatelet dose of ASA (4 mg/kg/h, n=8) slightly reduces TW by 12±2% and increases KBT and MBT by 2.2- and 2.7-fold, respectively. Co-administration of ASA and BMS (0.5 or 1 mg/kg) reduce TW by 54±3 and 95±2%, increase KBT by 3.1- and 3.6-fold, and increase MBT by 2.6- and 3.3-fold, respectively (n=8/group). In companion monkey studies, clopidogrel (0.3 mg/kg/day, n=6) alone reduces TW by 49±6%, but increases KBT and MBT by 7.3- and 8.1-fold, respectively[¹].

PROTOCOL

**Animal Administration**[¹]
Individual anesthetized monkeys are given orally of BMS-986120 (BMS: 0.2, 0.5,1 mg/kg) or vehicle (n=8/group) 2 hour before a combination of thrombosis, BT and ex vivo biomarker experiments. Aspirin alone (ASA, 4 mg/kg/h IV) or in combination with BMS-986120 (0.5, 1 mg/kg) is also studied (n=8/group). Thrombus weight (TW) reduction, BT increase over vehicle in kidney (KBT) and mesenteric artery (MBT), and platelet aggregation (PA) inhibition are determined. Peak PA responses to activation peptides selective for PAR4 (PAR4-AP, 12.5 μM) and PAR1 (PAR1-AP, 18 μM), ADP (20 μM), and collagen (5 μg/mL) are determined by whole blood aggregometry[¹].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.