

Product Data Sheet

Product Name: BMS-654457
Cat. No.: GC32614

Chemical Properties

Cas. No. 1004551-41-0

SMILES NC(C1=CC=C(N[C@H](C2=CC(C3=CC=C(C(N)=O)C=C3C(O)=O)=CC(NC(CC(C)C)=O)=C2)C[C@]4(C)C5=CC=CC=C5)C4=C1)=N

Formula $C_{36}H_{37}N_5O_4$ M.Wt 603.71

Solubility Soluble in DMSO Storage Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon Condition request.

Structure

Protocol

Cell experiment:

Platelet aggregation is measured in hirudin-treated rabbit platelet-rich plasma (PRP) with a platelet aggregometer. PRP is mixed with 2.5 μL of vehicle or BMS-654457 at 1 and 10 μM and incubated for 2 min at 37°C. Peak platelet aggregation is determined after the addition of 2.5 μL of the agonist (ADP at 10 μM, arachidonic acid at 250 μM and collagen at 10 μg/mL, final concentration) [1].

Animal experiment:

Rabbits [1] BMS-654457 or vehicle (10 % N-N-dimethylacetamide:90 % of 5 % dextrose) is administered as a bolus plus sustaining IV infusion begun 30 min prior to each protocol. Treatment groups include BMS-654457 (mg/kg+mg/kg/h) at 0.011+0.008, 0.037+0.027, 0.11+0.08, 0.37+0.27 and 1.1 +0.8 or vehicle (n=6 per group). The plasma concentration that increased iCBF to EC50 was estimated for BMS654457 [1].

References:

[1]. Wong PC, et al. In vitro, antithrombotic and bleeding time studies of BMS-654457, a small-molecule, reversible and direct inhibitor of factor XIa. J Thromb Thrombolysis. 2015 Nov;40(4):416-23.

Background

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

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BMS-654457 is a small-molecule, reversible inhibitor of factor XIa (FXIa), binding with human and rabbit FXIa with K_{is} of 0.2 and 0.42 nM, respectively.

BMS-654457 shows comparable in vitro potency against FXIa in humans ($K_i=0.2$ nM) and in rabbits ($K_i =0.42$ nM), and was over 500-fold selective against coagulation-related proteases (thrombin, FXa and FVIIa) in these species[1].

BMS-654457 is effective in the prevention of arterial thrombosis in rabbits with limited effects on bleeding time. BMS-654457 is a promising antithrombotic therapy with a wide therapeutic window[1].

[1]. Wong PC, et al. In vitro, antithrombotic and bleeding time studies of BMS-654457, a small-molecule, reversible and direct inhibitor of factor XIa. J Thromb Thrombolysis. 2015 Nov;40(4):416-23.

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