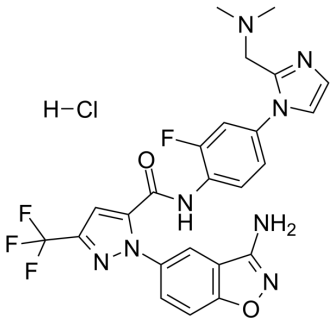
Razaxaban hydrochloride

Cat. No.:	HY-11091
CAS No.:	405940-76-3
Molecular Formula:	$C_{24}H_{21}ClF_4N_8O_2$
Molecular Weight:	564.92
Target:	Factor Xa; Thrombin
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Razaxaban hydrochloride (BMS 561389 hydrochloride) is a highly potent, selective and orally active factor Xa inhibitor with a K_i of 0.19 nM. Razaxaban hydrochloride exhibits excellent selectivity (>5000-fold) for factor Xa over other related serine proteases. Razaxaban hydrochloride is also a potent thrombin inhibitor with a K_i of 540 nM. Razaxaban hydrochloride has strongly antithrombotic activity[1].
IC_{50} & Target	Ki: 0.19 nM (Factor Xa); 540 nM (Thrombin)[1]
In Vitro	Razaxaban (compound 11d) shows good Caco-2 permeability[1]. The anticoagulant activity of Razaxaban (compound 11d) is evaluated in the in vitro human plasma activated partial thromboplastin time (aPTT) and human prothrombin time (PT) assays. Razaxaban shows a doubling of aPTT and PT at 6.1 μM and 2.1 μM, respectively. The human and rabbit plasma protein binding are found to be 90.5% and 93.4%, respectively, using equilibrium dialysis. Razaxaban is found to have similar affinity in the rabbit factor Xa assay with a K_i of 0.16 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Razaxaban (Compound 11d; 0.21-9.4 mg/kg/hour; intravenous injection) inhibits thrombus formation in a dose-dependent manner with an ID_{50} of 1.6 μmol/kg/h in the rabbit arterio-venous shunt thrombosis model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rabbit arterio-venous shunt thrombosis model[1]
Dosage:	0.21 mg/kg/hour, 0.7 mg/kg/hour, 2.1 mg/kg/hour, 9.4 mg/kg/hour
Administration:	Intravenous injection
Result:	Inhibited thrombus formation in a dose-dependent manner with an ID_{50} of 1.6 μmol/kg/h.

REFERENCES

[1]. Quan ML, et al. Discovery of 1-(3'-aminobenzisoxazol-5'-yl)-3-trifluoromethyl-N-[2-fluoro-4- [(2'-dimethylaminomethyl)imidazol-1-yl]phenyl]-1H-pyrazole-5-carboxyamide hydrochloride (razaxaban), a highly potent, selective, and orally bioavailable factor Xa inhibitor. J Med Chem. 2005 Mar 24;48(6):1729-44.

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

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