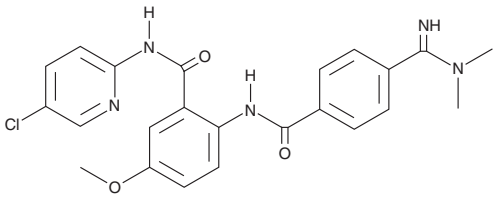
PRODUCT INFORMATION

Betrixaban

Item No. 21563

CAS Registry No.:	330942-05-7
Formal Name:	N-(5-chloro-2-pyridinyl)-2-[[4-[(dimethylamino)iminomethyl]benzoyl]amino]-5-methoxy-benzamide
Synonyms:	MK-4448, MLN1021, PRT054021
MF:	$C_{23}H_{22}ClN_5O_3$
FW:	451.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 289 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Betrixaban is supplied as a crystalline solid. A stock solution may be made by dissolving the betrixaban in the solvent of choice, which should be purged with an inert gas. Betrixaban is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of betrixaban in these solvents is approximately 2 mg/ml.

Betrixaban is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, betrixaban should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Betrixaban has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Betrixaban is an inhibitor of the serine protease Factor Xa (K_i = 0.117 nM; IC_{50} = 1.5 nM), the enzyme that activates prothrombin in the blood coagulation cascade.[1] It is selective for Factor Xa over hERG channels (K_i = 1.8 µM; IC_{50} = 8.9 µM), indicating a low potential for adverse cardiac effects. *In vitro*, betrixaban inhibits thrombin generation when used at concentrations ranging from 5 to 25 ng/mL in a tissue factor-induced thrombin generation assay.[2] *In vivo*, it inhibits thrombus mass formation by 76% in a rabbit clot accretion model when used at a dose of 3 mg/kg. Formulations containing betrixaban have been used as anticoagulants in the treatment and prevention of venous thromboembolism.[3]

References

1. Zhang, P., Huang, W., Wang, L., *et al*. Discovery of betrixaban (PRT054021), N-(5-chloropyridin-2-yl)-2-(4-(N,N-dimethylcarbamimidoyl)benzamido)-5-methoxybenzamide, a highly potent, selective, and orally efficacious factor Xa inhibitor. *Bioorg. Med. Chem. Lett*. **19(8)**, 2179-2185 (2009).
2. Chan, N.C., Bhagirath, V., and Eikelboom, J.W. Profile of betrixaban and its potential in the prevention and treatment of venous thromboembolism. *Vasc. Health Risk Manag*. **11**, 343-351 (2015).
3. Cohen, A.T., Harrington, R., Goldhaber, S.Z., *et al*. The design and rationale for the acute medically Ill venous thromboembolism prevention with extended duration betrixaban (APEX) study. **167(3),** 335-341 (2014).

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