KALLISTOP™
Synthetic Inhibitor of Plasma Kallikrein

Product No. ADG503

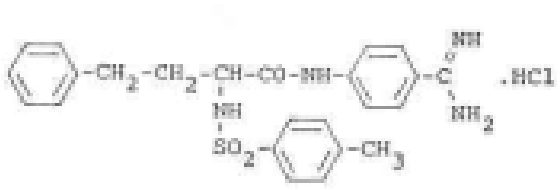
American Diagnostica GmbH
Kaplaneigasse 35 • D-64319 Pfungstadt • Germany
Tel: +49 (0)6157 990899 • Fax: +49 (0 6157 990808

Description

KALLISTOP™ a 4-amidinoanilide derivative of phenyl-2-aminobutyric acid is a relatively selective inhibitor of plasma kallikrein (PK). The inhibitory effect depends on the pH-value. It is increased below pH 7.0 and is decreased above pH 8.0.

Chemistry

Structural formula:



Formula: $C_{24}H_{26}N_40_3S$ • HCI

MW: 487.0

Chemical name: 2-Tosyl-(D,L)-homophenyl-alanine-4-amidinoanlde hydrochloride

Purity: 99.9 % as determined by HPLC

Solubility: readily soluble in organic solvents (methanol, ethanol, DMSO), soluble in H_20 and in aqueous buffers up to 4 mM.

Application

KALLISTOP™ is employed to inhibit plasma kallikrein (PK) competitively. KALLISTOP™ is used in chromogenic assays for the determination of factor Xlla activity in plasma with a chromogenic substrate for Factor XIIa (H-D-HHT-Gly-Arg-pNA). KALLISTOP™ suppresses undesired cleavage of the substrate by plasma kallikrein.

Inhibitory Activity

Ki constants: The following table shows the inhibition of different serine proteinases.

Enzyme	Ki [µM]
Trypsin	1.3
Thrombin	170
Factor Xa	31
Factor XIIa	100
Plasmin	10
Urokinase	17
Two chain tPA	36
Plasma Kallikrein	0.7
Glandular Kallikrein	100
Batroxobin (B. atrox)	5.7
Batroxobin (B. moojeni)	11.7
PCa	79
Tryptase	12

Presentation

Clear screw-capped vial of 1 µmole KALLISTOP™ (concentration 1 mM). Aequeous solution contains 10 % DMSO.

Storage

Store frozen at -20 °C. Avoid contamination by microrganisms**.** It is recommended to aliquote the inhibitor, avoid freeze-thaw cycles.

References

Synthese von α-(Arylsulfonylamino)-ω-phenyl-alkylcarbonsäure-3- und 4-amidinoaniliden. Vieweg H, Wagner G. Pharmazie 1983; 38: 818-820.

A new assay for the determination of factor XI1 in plasma using a chromogenic substrate and a selective inhibitor of plasma kallikrein. Stürzebecher J, Svendsen L, Eichenberger R, Markwardt F. Thromb Res 1989; 55: 709-15.