



CLOTTING FACTOR IX INHIBITORS

For further information:
Earl Weinstein, PhD
UCLA/ Technology Transfer
eweinstein@resadmin.ucla.edu
310-794-0214

CLINICAL INDICATION	Strokes, myocardial infarction, cardiac surgery, pulmonary thromboembolism, angiogenesis.
TYPE of COMPOUND	Five residue d-peptides.
TARGET	Inhibition of activated factor IX and activated factor VIII interaction— an obligatory step in blood clotting.
IN VITRO POTENCY	Inhibition constant ~1micromolar for preventing the interaction of factor IXa and factor VIIIa.
IN VIVO POTENCY	In a mouse tail bleeding time model of hemostasis, the animals exsanguinate when administered the L or the D-peptides; control scrambled peptides were equivalent to saline control.
SPECIFICITY	Highly specific for preventing the interaction of factor IXa and factor VIIIa. Intrinsic clotting affected but not the extrinsic clotting.
MEDCHEM STATUS	<ol style="list-style-type: none">1) Lead compounds2) Known structure activity relationships (SAR)3) The effect of the peptides on normal hemostasis when given intravenously has been studied. The effect on pathologic thrombosis using a carotid artery thrombosis model is planned4) Synthesis of these peptides in d- and l- forms is scalable.