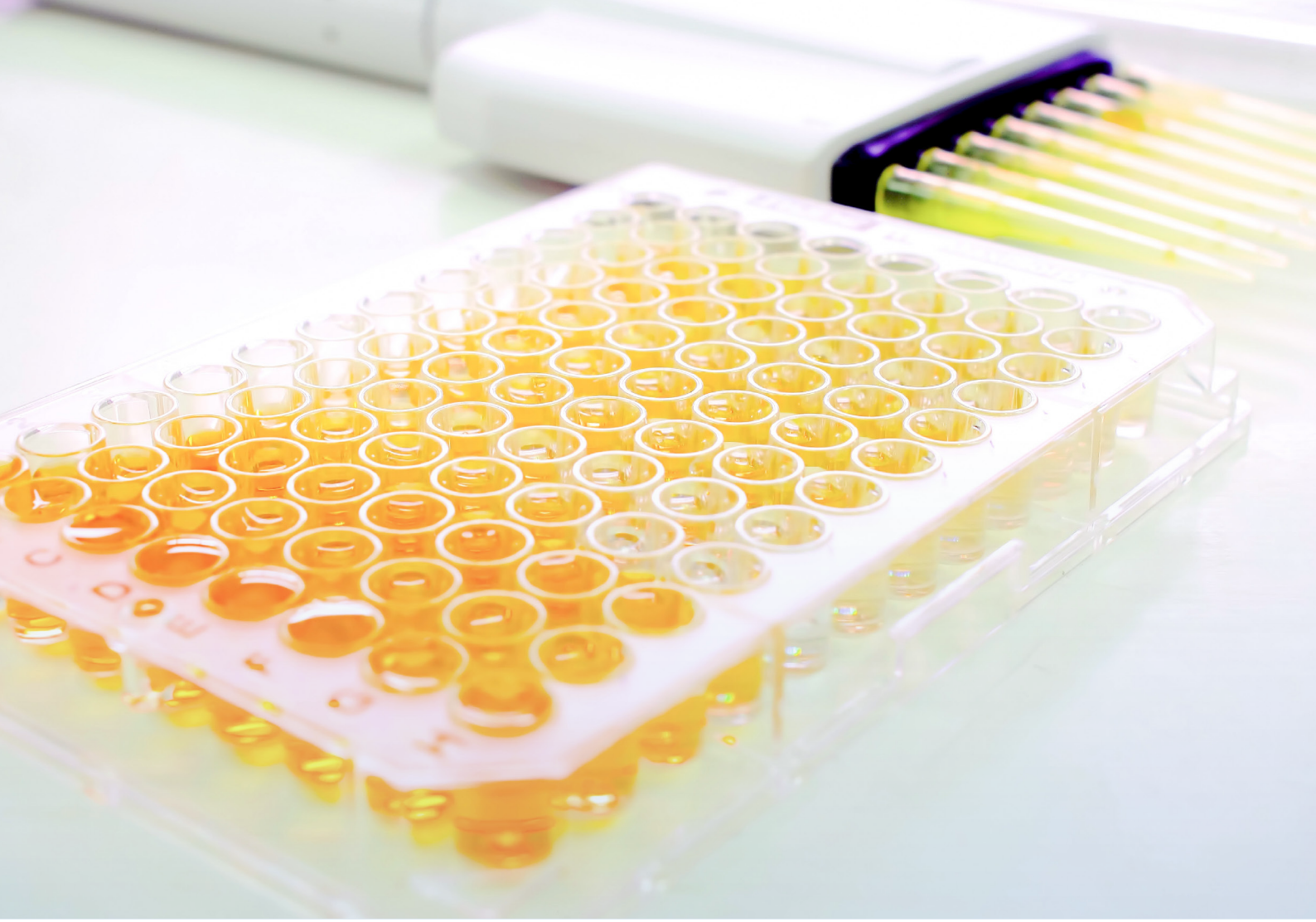

The Substrate Toolbox for Coagulation Enzymes

Large selection of Specific Peptide Substrates for Coagulation Diagnostics and Research

PENTAPHARM is specialized in development and production of chromogenic and fluorogenic peptide substrates for measurement of enzymatic activity of serine proteases involved in coagulation and fibrinolysis.

pentapharm

DSM

From Conventional to Newly Focused Targets

Apart of the conventional substrates for thrombin, Factor Xa, activated Protein C, plasmin etc., the Pefachrome® and Pefafluor® line of substrates also focuses on enzymes involved in coagulation and fibrinolysis for which synthetic substrates are not commonly available but which see a rising interest in research, e.g. as targets for antithrombotic drug development or which need to be measured as part of Quality Control of pharmaceutical preparations.

Enzymes are proteins that catalyze most of the chemical reactions that take place in the body. They make it possible for chemical reactions to occur at neutral pH and body temperature. The chemical compound upon which the enzyme exerts its catalytic activity is called a substrate.

Chromogenic or Fluorogenic Peptide Substrates for use in Research, in-Process and Quality Control

Chromogenic or fluorogenic substrates are synthetic peptides that react with proteolytic enzymes under formation of colour or fluorescence which can be followed spectrophotometrically and the intensity of which is proportional to the proteolytic activity of the enzyme.

Typically, such substrates are composed of 3 to 5 natural or artificial amino acids. They may be N-terminally protected to reduce undesired degradation by aminopeptidases. On their C-terminus they are modified so that upon cleavage of the amide bond a chromogenic or fluorogenic group is released. Detection depends on the type of leaving group and may range from the UV- to the visible region of light (Witt, 1991). Others produce a fluorescent signal.

Most commonly used groups are the p-nitroaniline (pNA) which absorbs light of the wavelength of 405 nm and the fluorogenic 7-amino-4-methylcoumarin (AMC) with an excitation wavelength at 342 nm and an emission wavelength at 440nm.

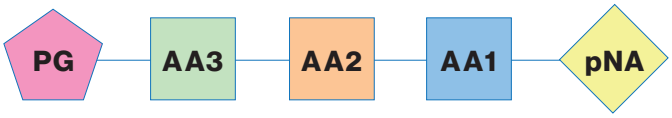

Figure 1: Simplified structure of a chromogenic substrate; PG = protecting group, AA = amino acids, pNA = p-nitroaniline

Chromogenic and Fluorogenic Peptide Substrates

- Our well-established peptide portfolio in coagulation diagnostics and research has built since 1980
- Our peptide knowledge came from 40-year of experience
- Customer Technical Support: when you come across questions, we have specialist here to answer your queries.
- We can supply you with trial quantities for your pilot project.
- Please inquire for customized peptide production

If you do not find the substrate you are looking for or if you have any questions, please contact us at:

sales-diagnostics@pentapharm.com

Pefachrome Packing sizes:
25 mg, 1 g, 5 g (further packaging on request)

Pefaflour Packing sizes:
Vial 25 mg/bulk

List of Abbreviations

Aad	α-aminoadipic acid [2-aminohexanedioic acid]
Abu	L-α-aminobutyric acid (2-aminobutyric acid)
AcOH	monoacetate salt
2AcOH	diacetate salt
AMC	7-amino-4-methylcoumarin
β-Ala	β-alanine [3-aminopropionic acid]
But	L-α-aminobutyric acid (2-aminobutyric acid)
Bz	benzoyl
Cbo	benzyloxycarbonyl [Z]
CH_3CO	acetyl
CH_3SO_2	methylsulfonyl
CH_3OCO	methyloxycarbonyl
CHA	β-cyclohexylalanine [3-cyclohexylalanine]
CHG	cyclohexylglycine
2HCl	hydrogen chloride
MeOSuc	methoxysuccinyl
Nle	L-norleucine [(S)-2-aminocaproic acid]
Nva	L-norvaline [(S)-2-aminopentanoic acid]
PHG	phenylglycine [α-aminophenylacetic acid]
PhPr	3-phenylpropionyl
pNA	para-nitroanilide
Pyr	L-pyroglutamic acid [(S)-pyrrolidone-(5)-carboxylic acid]
TFA	trifluoroacetate salt
Tos	tosyl [4-toluene sulfonyl]

CHROMOGENIC SUBSTRATES

Packing sizes: 25 mg, 1 gram or customized filling sizes.
AR: special conditions apply for products that carry AR in the REF number.

Pefachrome® TH – series/Chromogenic Substrates for Thrombin

REF	NAME	CHEMICAL FORMULA
081-03	**Pefachrome® TH**	**H-D-CHG-Ala-Arg-pNA · 2AcOH**
Other thrombin substrates		
081-01	Pefachrome® TH 5244	Tos-Gly-Pro-Arg-pNA ·AcOH
081-05	Pefachrome® TH 5247	H-D-CHG-But-Arg-pNA · 2AcOH
081-09	Pefachrome® TH 5251	H-D-CHA-Ala-Arg-pNA · 2AcOH
081-11	Pefachrome® 6017	H-D-CHA-Gly-Arg-pNA · 2AcOH
081-15	Pefachrome® TH 5256	CH3OCO-Gly-Pro-Arg-pNA · AcOH
081-17	Pefachrome® TG	H-ß-Ala-Gly-Arg-pNA · 2AcOH
081-67	Pefachrome® TH 8198 Corresponding to S-2238	H-D-Phe-Pip-Arg-pNA · 2HCl
801206	Pefachrome® TH 1206	H-Sar-Pro-Arg-pNA.2HCl

Pefachrome® FXa – series/Chromogenic Substrates for Factor Xa

REF	NAME	CHEMICAL FORMULA
085-06	**Pefachrome® FXa**	**CH_3OCO-D-CHA-Gly-Arg-pNA · AcOH**
Other thrombin substrates		
085-01	Pefachrome® FXa5277	CH_3SO2-D-Leu-Gly-Arg-pNA · AcOH
085-03	Pefachrome® FXa5279	CH_3OCO-D-CHG-Gly-Arg-pNA · AcOH
085-27	Pefachrome® FXa8595 Corresponding to S-2765	Cbo-D-Arg-Gly-Arg-pNA · 2HCl
085-50	Pefachrome® FXa 5278	CH_3OCO-D-Nle-Gly-Arg-pNA.AcOH
802050	Pefachrome FXa859 Corresponding to S-2732	Suc-Ile-Glu(gamma-Pip)-Gly-Arg-pNA.HCl

Pefachrome® FVIIa – series/Chromogenic Substrate for Factor VII

REF	NAME	CHEMICAL FORMULA
093-01	**Pefachrome® FVIIa**	**CH_3SO_2-D-CHA-Abu-Arg-pNA · AcOH**

Pefachrome® FIXa – series/Chromogenic Substrate for Factor Ixa

REF	NAME	CHEMICAL FORMULA
095-20	**Pefachrome® FIXa**	**CH_3SO_2-D-CHG-Gly-Arg-pNA · AcOH**

Pefachrome® FXIa – series/Chromogenic Substrate for Factor Xia

REF	NAME	CHEMICAL FORMULA
090-41_AR	**Pefachrome® FXIa**	**Z-Aad-Pro-Arg-pNA · AcOH**

Pefachrome® FXIIa – series/Chromogenic Substrate for Factor XIIa

REF	NAME	CHEMICAL FORMULA
081-11	**Pefachrome® 6017**	**H-D-CHA-Gly-Arg-pNA · 2AcOH**

Pefachrome® uPA – series/Chromogenic Substrates for Urokinase

REF	NAME	CHEMICAL FORMULA
082-01_AR	**Pefachrome® uPA**	**Bz-ß-Ala-Gly-Arg-pNA · AcOH**
Other urokinase substrates		
082-05_AR	Pefachrome® uPA8294 Corresponding to S-2444	pyroGlu-Gly-Arg-pNA · 2HCl

Pefachrome® tPA – series/Chromogenic Substrates for Tissue Plasminogen Activator

REF	NAME	CHEMICAL FORMULA
091-01	**Pefachrome® tPA**	**CH_3SO_2-D-CHA-Gly-Arg-pNA · AcOH**
Other substrates for tissue plasminogen activator		
091-03	Pefachrome® tPA5312	CH_3SO_2-D-Phe-Gly-Arg-pNA · AcOH

Pefachrome® PL – series/Chromogenic Substrates for Plasmin

REF	NAME	CHEMICAL FORMULA
083-09_AR	**Pefachrome® PL5268**	**H-D-Ala-CHA-Lys-pNA • 2AcOH**
Other thrombin substrates		
083-01	Pefachrome® PL5261	Tos-Gly-Pro-Lys-pNA · AcOH
083-02_AR	Pefachrome® PL5262	H-D-But-CHA-Lys-pNA · 2AcOH
083-03	Pefachrome® PL5263	H-D-Nva-CHA-Lys-pNA · 2AcOH
083-04	Pefachrome® PL5264	H-D-Nle-CHA-Lys-pNA · 2AcOH
083-14	Pefachrome® PL5272	H-D-Nle-CHA-Lys-pNA · 2HCl

Pefachrome® PK – series/Chromogenic Substrates for Plasma Kallikrein

REF	NAME	CHEMICAL FORMULA
080-03	**Pefachrome® PK**	**H-D-Abu-CHA-Arg-pNA · 2AcOH**
Other substrates for Plasma Kallikrein		
080-01	Pefachrome® PK 80714	Bz Pro-Phe-Arg-pNA · AcOH

Pefachrome® Pca – series/Chromogenic Substrates for Protein Ca

REF	NAME	CHEMICAL FORMULA
089-02	**Pefachrome® Pca**	**H-D-Lys(Cbo)-Pro-Arg-pNA · 2AcOH**
Other substrates for activated Protein C		
089-07	Pefachrome® Pca5297	Pad-Pro-Arg-pNA · AcOH

Pefachrome® C1E – series/Chromogenic Substrates for the Determination of C1-Esterase

REF	NAME	CHEMICAL FORMULA
087-03	**Pefachrome® C1E**	**CH_3CO-Lys(Cbo)-Gly-Arg-pNA · AcOH**
Other substrates for C1-Esterase		
087-01	Pefachrome® C1E5292	C_2H_5CO-Lys(Cbo)-Gly-Arg-pNA · AcOH
087-02	Pefachrome® C1E5293	CH_3OCO-Lys(Cbo)-Gly-Arg-pNA · AcOH

Pefachrome® TRY – series/Chromogenic Substrate for Trypsin

REF	NAME	CHEMICAL FORMULA
084-01	**Pefachrome® TRY5274**	**Cbo-Val-Gly-Arg-pNA · AcOH**

Pefachrome® LAL – series/Chromogenic Substrates for the Determination of Bacterial Endotoxins

REF	NAME	CHEMICAL FORMULA
086-11	**Pefachrome® LAL5288**	**CH3OCO-D-CHA-Gly-Arg-pNA · AcOH**
Other substrates for bacterial endotoxins		
801741	Pefachrome® LAL Corresponding to S-2423	Ac-Ile-Glu-Gly-Arg-pNA.TFA
802143	Pefachrome LAL Corresponding to S-2834	Ac-Ile-Glu-Gly-Lys-pNA.TFA

Pefachrome®

Comparison of the reactivity of selected chromogenic peptide substrates from Pentapharm

Enzyme	Pefachrome® Fxa	Pefachrome® FIXa	Pefachrome® FIXa3960	Pefachrome® FXIa	Pefachrome® FXIa3371	Pefachrome® FXIIa	Pefachrome® Pca	Pefachrome® uPA	Pefachrome® tPA
APC	0.002	0.004	0.037	0.004	0.111	0.006	**0.057**	0.001	0.002
Factor Ixa	0.014	**0.054**	**0.005**	0.001	0.005	0.003	0.001	0.001	0.025
Factor VIIa	0.003	0.003	0.005	0.004	0.003	0.002	0.004	0.001	0.004
Factor Xa	**0.039**	0.024	0.002	0.002	0.003	0.016	0.003	0.003	0.046
Plasma Kallikrein	0.010	0.014	0.071	0.048	0.047	0.012	0.054	0.001	0.022
Glandular Kallikrein	0.001	0.001	0.002	0.000	0.005	0.001	0.004	0.000	0.001
Factor XIIa	0.019	0.013	0.012	0.004	0.024	**0.048**	0.013	0.003	0.024
Factor Xia	0.042	0.030	0.041	**0.079**	**0.060**	0.017	0.053	0.003	0.045
Plasmin	0.040	0.039	0.046	0.047	0.140	0.009	0.068	0.002	0.052
Thrombin	0.006	0.027	0.025	0.008	0.001	0.187	0.159	0.001	0.041
tPA	0.021	0.015	0.003	0.001	0.002	0.018	0.003	0.002	**0.035**
Trypsin	0.095	0.081	0.052	0.019	0.082	0.067	0.057	0.038	0.103
uPA	0.008	0.008	0.007	0.013	0.015	0.008	0.008	**0.064**	0.005
Tryptase	0.055	0.004	0.012	0.037	0.020	0.007	0.033	0.004	0.021
Gingipaine	0.051	0.032	0.008	0.021	0.011	0.049	0.007	0.043	0.052

Enzyme	Pefachrome® Try	Pefachrome® PK	Pefachrome® LAL	Pefachrome® ELA	Pefachrome® Tryp	Pefachrome® FVIIa	Pefachrome® GK	Pefachrome® TH	Pefachrome® PL
APC	0.001	0.011	0.003	0.001	0.002	0.022	0.001	0.011	0.001
Factor Ixa	0.001	0.001	0.025	0.001	0.001	0.003	0.001	0.001	0.001
Factor VIIa	0.001	0.002	0.005	0.001	0.001	**0.009**	0	0.001	0
Factor Xa	0.003	0.001	0.039	0.001	0.001	0.003	0	0.003	0
Plasma Kallikrein	0.002	**0.073**	0.030	0.001	0.001	0.048	0.042	0.027	0.005
Glandular Kallikrein	0.001	0.027	0.001	0.001	0.001	0.001	**0.023**	0.001	0.001
Factor XIIa	0.006	0.025	0.025	0.001	0.001	0.004	0.014	0.01	0
Factor Xia	0.003	0.003	0.050	0.001	0.007	0.084	0.006	0.053	0.001
Plasmin	0.002	0.058	0.054	0.001	0.080	0.082	0.05	0.026	**0.042**
Thrombin	0.001	0.002	0.025	0.001	0.021	0.05	0.005	**0.185**	0.001
tPA	0.003	0.003	0.035	0.001	0.001	0.013	0.001	0.002	0.002
Trypsin	**0.025**	0.020	0.127	0.001	0.016	0.042	0.02	0.048	0.07
uPA	0.010	0.002	0.005	0.001	0.020	0.006	0.001	0.011	0
Tryptase	0.004	0.002	0.022	0.000	**0.045**	0.076	0.003	0.016	0.002
Gingipaine	0.038	0.016	0.049	0.001	0.001	0.035	0.023	0.034	0.004

The table shows the reactivity given as ΔOD/min of Pefachrome® Substrates with various proteinases. The optimal choice of substrate for a particular enzyme in terms of sensitivity and selectivity is indicated by bold figures. Determination was done according to the assay protocols in this catalogue.

FLUOROGENIC SUBSTRATES

Packing sizes: 10 µmol, 25 mg, 1 gram and bulk.
AR: special conditions apply for products that carry AR in the REF number.

Pefafluor® TH – series / Fluorogenic Substrates for Thrombin

REF	NAME	CHEMICAL FORMULA
081-19	Pefafluor® TH	H-D-CHA-Ala-Arg-AMC · 2AcOH
801058	Pefafluor® TH	Z-Gly-Gly-Arg-AMC.HCl

Pefafluor® FXa – series / Fluorogenic Substrate for Factor Xa

REF	NAME	CHEMICAL FORMULA
085-12_AR	Pefafluor® FXa	CH_3SO_2-D-CHA-Gly-Arg-AMC · AcOH

Pefafluor® FIXa – series / Fluorogenic Substrate for Factor IXa

REF	NAME	CHEMICAL FORMULA
095-03	Pefafluor® FIXa	CH_3SO_2-D-CHG-Gly-Arg-AMC · AcOH

Pefafluor® uPA – series / Fluorogenic Substrate for Urokinase

REF	NAME	CHEMICAL FORMULA
082-33	Pefafluor® uPA	Pyroglu-Gly-Arg-pNA.HCl

Pefafluor® tPA – series / Fluorogenic Substrate for Tissue Plasminogen Activator

REF	NAME	CHEMICAL FORMULA
091-06_AR	Pefafluor® tPA	CH_3SO_2-D-Phe-Gly-Arg-AMC · AcOH

Pefafluor® PCa – series / Fluorogenic Substrate for Protein C_a

REF	NAME	CHEMICAL FORMULA
089-05	Pefafluor® PCa	Pyr-Pro-Arg-AMC · AcOH

Pefafluor® LAL – series / Fluorogenic Substrate for the Determination of Bacterial Endotoxins

REF	NAME	CHEMICAL FORMULA
086-04	Pefafluor® LAL5291	CH_3SO_2-D-CHA-Gly-Arg-AMC.AcOH

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