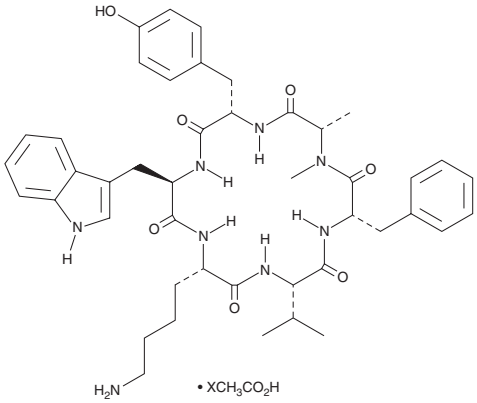
PRODUCT INFORMATION

Seglitide (acetate)

Item No. 42497



Formal Name:	cyclo(N-methyl-L-alanyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-phenylalanyl), acetate
Synonyms:	Cyclic [N-Me-Ala-Tyr-D-Trp-Lys-Val-Phe], MK-678
Peptide Sequence:	cyclo(XYwKVF) (X=N-methylalanine)
MF:	$C_{44}H_{56}N_8O_7 \bullet XC_2H_4O_2$
FW:	809.0
Purity:	≥98%
Supplied as:	A 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

Seglitide (acetate) is supplied as a solid. A stock solution may be made by dissolving the seglitide (acetate) in the solvent of choice, which should be purged with an inert gas. Seglitide (acetate) is sparingly soluble (1-10 mg/ml) in ethanol and DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of seglitide (acetate) can be prepared by directly dissolving the solid in aqueous buffers. Seglitide (acetate) is slightly soluble (0.1-1 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

Seglitide is an agonist of somatostatin receptor 2 (SST_2).[1] It inhibits forskolin-induced cAMP accumulation in CCL-39 cells expressing recombinant human SST_2 (EC_{50} = 0.093 nM) but also those expressing recombinant human SST_3 or SST_5 (EC_{50}s = 209 and 0.47 nM, respectively), of which all are subtypes of the group 1 SST receptor, also known as the somatotropin release inhibiting factor 1 ($SRIF_1$) receptor. However, at higher concentrations, seglitide inhibits somatostatin-14-, somatostatin-25-, or somatostatin-28-induced developed tension in spontaneously beating guinea pig right atria (pA_2s = 6.5, 6.24, and 6.09, respectively).[2] Seglitide selectively binds to SST_2, SST_3, and SST_5 (K_ds = 0.15, 21, and 0.06 nM, respectively, using CGP 23996 as the radioligand) over SST_1 and SST_4, which comprise the $SRIF_2$ receptor (K_ds = 13.5 and 9.1 µM, respectively, using CGP 23996 as the radioligand).[3] It inhibits gastrin-, dimaprit-, or IBMX-induced acid secretion in isolated rat gastric mucosa (EC_{50}s = 6, 17, and 13 nM, respectively).[4] *In vivo*, seglitide (500 ng/animal) increases extracellular dopamine levels in the ipsilateral nucleus accumbens in rats when infused into the ventral subiculum.[5]

References

1. Siehler, S. and Hoyer, D. *Naunyn Schmiedebergs Arch. Pharmacol.* **360(5)**, 510-521 (1999).
2. Dimech, J., Feniuk, W., and Humphrey, P.P. *Br. J. Pharmacol.* **109(4)**, 898-899 (1993).
3. Siehler, S., Seuwen, K., and Hoyer, D. *Naunyn Schmiedebergs Arch. Pharmacol.* **360(5)**, 488-499 (1999).
4. Wyatt, M.A., Jarvie, E., Feniuk, W., *et al. Br. J. Pharmacol.* **119(5)**, 905-910 (1996).
5. Mitchell, S.N., Sharrott, A., Cooper, J., *et al. Eur. J. Pharmacol.* **395(1)**, 43-46 (2000).

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