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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

PRODUCT INFORMATION



Pasireotide (aspartate) (trifluoroacetate salt)

Item No. 24092

Formal Name: cyclo[(2R)-2-phenylglycyl-D-tryptophyl-L-lysyl-O-(phenylmethyl)-L-tyrosyl-L-phenylalanyl-(4R)-4-[[[(2-aminoethyl)amino]carbonyl]oxy]-L-prolyl], L-aspartate (1:2), trifluoroacetate salt SOM230

Synonym:

MF: C₅₈H₆₆N₁₀O₉ • 2C₄H₇NO₄ • XCF₃COOH

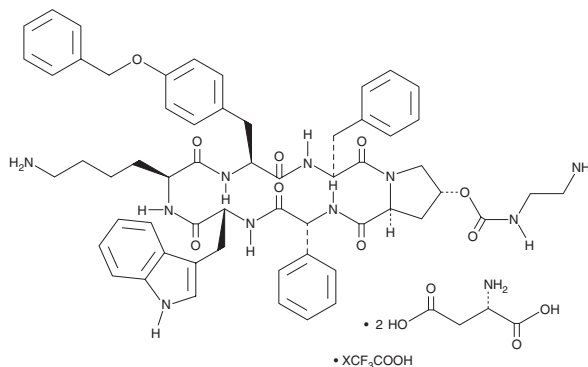
FW: 1,313.4

Purity: ≥95%

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥2 years



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

Laboratory Procedures

Pasireotide (aspartate) (trifluoroacetate salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the pasireotide (aspartate) (trifluoroacetate salt) in the solvent of choice. Pasireotide (aspartate) (trifluoroacetate salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of pasireotide (aspartate) (trifluoroacetate salt) in these solvents is approximately 33 mg/ml.

Pasireotide (aspartate) (trifluoroacetate salt) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, pasireotide (aspartate) (trifluoroacetate salt) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Pasireotide (aspartate) (trifluoroacetate salt) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Pasireotide is a somatostatin receptor (SSTR) agonist that binds selectively to SSTR₁, SSTR₂, SSTR₃, and SSTR₅ over SSTR₄ (IC₅₀s = 9.3, 1, 1.5, 0.16, and >100 nM, respectively, for human recombinant receptors).¹ It dose-dependently inhibits growth hormone release stimulated by growth hormone-releasing hormone (GHRH) in primary rat anterior pituitary cells and inhibits adrenocorticotrophic hormone (ACTH) secretion by some primary human corticotrope adenomas at a concentration of 10 nM.^{1,2} Pasireotide (10 nM) also inhibits ACTH release from and proliferation of mouse AtT20 pituitary corticotrope cells *in vitro* and inhibits tumor growth of subcutaneously implanted AtT20 cells *in vivo* in nude mice when administered at a dose of 1.5 µg per day.³ Pasireotide (0.03 mg/kg) decreases plasma ACTH concentrations and tumor size in dogs with ACTH-dependent Cushing's disease.⁴ Formulations containing pasireotide have been used in the treatment of acromegaly and Cushing's disease.

References

1. Bruns, C., Lewis, I., Briner, U., *et al.* *Eur. J. Endocrinol.* **146**(5), 707-716 (2002).
2. Hofland, L.J., van der Hoek, J., Feelders, R., *et al.* *Eur. J. Endocrinol.* **152**(4), 645-654 (2005).
3. Murasawa, S., Kageyama, K., Sugiyama, A., *et al.* *Mol. Cell. Endocrinol.* **394**(1-2), 37-46 (2014).
4. Castillo, V., Theodoropoulou, M., Stalla, J., *et al.* *Neuroendocrinology* **94**(2), 124-136 (2011).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM