

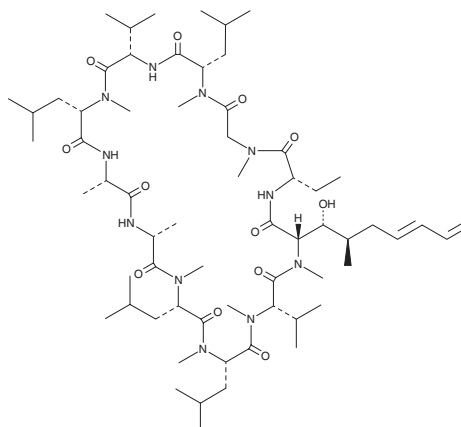
PRODUCT INFORMATION



Voclosporin

Item No. 39719

CAS Registry No.: 515814-01-4
Formal Name: 6-[(2S,3R,4R,6E)-3-hydroxy-4-methyl-2-(methylamino)-6,8-nonadienoic acid]-cyclosporin A
Synonyms: (E)-ISA247, ISA_{TX}247, LX211, R-15424, *trans*-ISA 247
MF: C₆₃H₁₁₁N₁₁O₁₂
FW: 1,214.6
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

Voclosporin is supplied as a solid. A stock solution may be made by dissolving the voclosporin in the solvent of choice, which should be purged with an inert gas. Voclosporin is soluble in methanol.

Description

Voclosporin is an immunosuppressant and a derivative of cyclosporin A (Item No. 12088).^{1,2} It binds to cyclophilin A ($K_d = 15$ nM) and inhibits calcineurin activity in isolated human whole blood ($IC_{50} = 120$ ng/ml). Voclosporin reduces the proliferation of, and production of IL-2, IFN- γ , and TNF- α in, isolated cynomolgus monkey lymphocytes (EC_{50} s = 202.79, 135.32, 177.11, and 138.56 ng/ml, respectively).³ It decreases disease severity in a rat model of experimental autoimmune uveoretinitis induced by interphotoreceptor retinoid-binding protein (IRBP), also known as retinoid binding protein 3 (RBP3), when administered at doses ranging from 2.5 to 40 mg/kg.⁴ Voclosporin (1.75 mg/kg) increases graft survival in a rat model of heterotopic heart transplantation.² Unlike cyclosporin A, voclosporin does not increase serum creatinine levels in rabbits. Voclosporin also inhibits the replication of severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) in infected Calu-3 cells in a concentration-dependent manner.⁵ Formulations containing voclosporin have been used in the treatment of lupus nephritis.

References

1. Kuglstatter, A., Mueller, F., Kuszniir, E.A., *et al.* Structural basis for the cyclophilin A binding affinity and immunosuppressive potency of E-ISA247 (voclosporin). *Acta Crystallogr. D Biol. Crystallogr.* **67**(Pt. 2), 119-123 (2011).
2. Aspeslet, L., Freitag, D., Trepanier, D., *et al.* ISA_{TX}247: A novel calcineurin inhibitor. *Transplant. Proc.* **33**(1-2), 1048-1051 (2001).
3. Birsan, T., Dambrin, C., Freitag, D.G., *et al.* The novel calcineurin inhibitor ISA247: A more potent immunosuppressant than cyclosporine in vitro. *Transpl. Int.* **17**(12), 767-771 (2005).
4. Cunningham, M.A., Austin, B.A., Li, Z., *et al.* LX211 (voclosporin) suppresses experimental uveitis and inhibits human T cells. *Invest. Ophthalmol. Vis. Sci.* **50**(1), 249-255 (2009).
5. Ogando, N.S., Metscher, E., Moes, D.J.A.R., *et al.* The cyclophilin-dependent calcineurin inhibitor voclosporin inhibits SARS-CoV-2 replication in cell culture. *Transpl. Int.* **35**, 10369 (2022).

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