

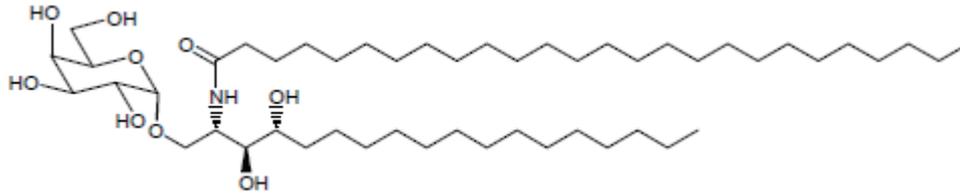
α -Galactosylceramide (*α -Gal-Cer; KRN7000*)

Catalog Number : KRN7000

Lot Number :

Size : 1mg

Chemical structure



Chemical name

(2S,3S,4R)-1- O-(α -D-galactosyl)-N-hexacosanoyl-2-amino- 1,3,4-octadecanetriol

Molecular formula $C_{50}H_{99}NO_9$

Molecular weight 858.34

Purity $\geq 95\%$

Appearance White to off-white powder.

Solubility KRN7000 is practically insoluble in water, methanol or ethanol, very slightly soluble in tetrahydrofuran, slightly soluble in pyridine, and practically insoluble in other organic solvents.

Example of how to dissolve for biological assay

In vivo assay : To dissolve KRN7000, use 5.6% sucrose, 0.75% L-histidine and 0.5% Tween20 with heating at 60-80°C for tens of seconds.¹⁰⁾

In vitro assay : KRN7000 should be dissolved by DMSO at the concentration of 1mg/ml with heating at 60-80°C for tens of seconds. The solution of 1mg/ml in DMSO can be diluted by PBS.

Storage Short term storage +4°C, Long term storage -20°C

Shipping Shipped on Blue ice

License This product is licensed by Kyowa Hakko Kirin Co., Ltd.

Warning Research use only. Not for use in humans.

Background

α -Galactosylceramide(α -Gal-Cer ;KRN7000), an agelasphin derivative developed by Kirin Brewery Co., Ltd., is a biological response modifier (BRM). Agelasphins was isolated from an extract of the marine sponge, *Agelas mauritanus*, as active substances. They are compounds with α -Galactosylceramide structures, that is, galactose combined with ceramide in an α -configuration.

α -Gal-Cer ; KRN7000, a chemically synthesized α -Galactosylceramide, is a specific ligand for human and mouse natural killer T (NKT) cells, KRN7000 exhibits potent antitumor activity in various kinds of in vivo murine experimental models including subcutaneously implanted model and metastatic models in the liver and lung. In the liver metastatic models, treatment with KRN7000

Funakoshi Co.,Ltd.

9-7 Hongo 2-chome, Bunkyo-ku, Tokyo 113-0033, JAPAN
02/20/09

α -Galactosylceramide (*α -Gal-Cer ; KRN7000*)

suppressed the growth of tumors and prolonged the survival term of tumor-bearing mice. KRN7000 has been reported to show various immunological effects in infectious disease, autoimmune disease, and graft versus host disease in mice.

References

- 1) Natori, T., et al. Agelasphins, Novel Antitumor and Immunostimulatory Cerebrosides from the Marine Sponge *Agelasmauritanus*, *Tetrahedron Lett.*, 34, 5591-5592, (1993).
- 2) Akimoto, K., et al. Synthesis and Stereochemistry of Agelasphin-9b, *Tetrahedron Lett.*, 34, 5593-5596, (1993).
- 3) Natori, T., et al. Agelasphins, novel antitumor and immunostimulatory cerebrosides from the marine sponge *Agelasmauritanus* *Tetrahedron*, 50, 2771-2784, (1994).
- 4) Motoki, K., et al. Immunostimulatory and Antitumor Activities of Monoglycosylceramides Having Various Sugar Moieties *Biol. Pharm. Bull.*, 18, 1487-1491, (1995).
- 5) Morita, M., et al. Syntheses of α -, β -monoglycosylceramides and four diastereomers of an α -galactosylceramide *Bioorganic Med. Chem. Lett.*, 5, Pages 699-704
- 6) Motoki, K., et al. Antitumor activities of α -, β -monogalactosylceramides and four diastereomers of an α -galactosylceramide *Bioorganic Med. Chem. Lett.*, 5, 705-710, (1995).
- 7) Kawano, T., et al. CD1d-restricted and TCR-mediated activation of α 14 NKT cells by glycosylceramides. *Science*, 278, 1626-1629, (1997).
- 8) Kronenberg, M. Toward an understanding of NKT cell biology: progress and paradoxes. *Annu Rev Immunol.*, 23 :877-900 (2005).
- 9) Yamaguchi, Y., et al. Enhancing effects of (2S,3S,4R)- 1-O- (α -D-galactopyranosyl)-2-(N-hexacosanoylamino)-1,3,4-octadecanetriol (KRN7000) on antigen-presenting function of antigen-presenting cells and antimetastatic activity of KRN7000-pretreated antigen-presenting cells. *Oncology Res.*, 8, 399-407, (1996).
- 10) Giaccone, et al. A Phase I Study of the Natural Killer T-Cell Ligand α -Galactosylceramide (KRN7000) in Patients with Solid Tumors *Clinical Cancer Res.* 8:3702-3709, (2002)
- 11) Shimizu, K., et al. Cross-presentation of glycolipid from tumor cells loaded with α -galactosylceramide leads to potent and long-lived T cell mediated immunity via dendritic cells. *J Exp Med.*, 204 : 2641-2653 (2007)
- 12) Ishii Y., et al. α -Galactosylceramide-driven immunotherapy for allergy. *Front Biosci.* 2008 May 1;13:6214-28.
- 13) Kamijuku H. et al. Mechanism of NKT cell activation by intranasal coadministration of α -galactosylceramide, which can induce cross-protection against influenza viruses, *Mucosal Immunol.* 2008 May;1(3):208-218.