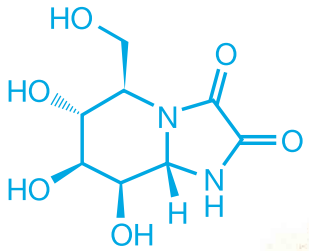
KIFUNENSINE



Appearance: Off-white solid
Molecular weight: 232.19
Formula: $C_8H_{12}N_2O_6$
CAS number: 109944-15-2
Storage: Store dry at ambient temperature or below

QUALITY

Each batch of kifunensine is analysed by HPLC, IR and NMR to ensure it meets our rigorous quality standards. A certificate of analysis accompanies each purchase, which details and confirms its purity according to our criteria. A BSE/TSE statement is also available.

If required, cGMP grade kifunensine is available directly from us.

STABILITY

Kifunensine is a stable, crystalline compound. We recommend storing it in dry conditions at ambient temperature or below.

Our ongoing stability studies have shown kifunensine to be stable for at least four years at 25˚C in 60% relative humidity. (These are the formal ICH stability conditions).

SOLUBILITY

Kifunensine is soluble to 50 mM (11 g/L) in water with gentle warming, though it is slow to dissolve.

CONTACT

To place an order or for more information, please contact us on:
glycofinechem@viclink.co.nz

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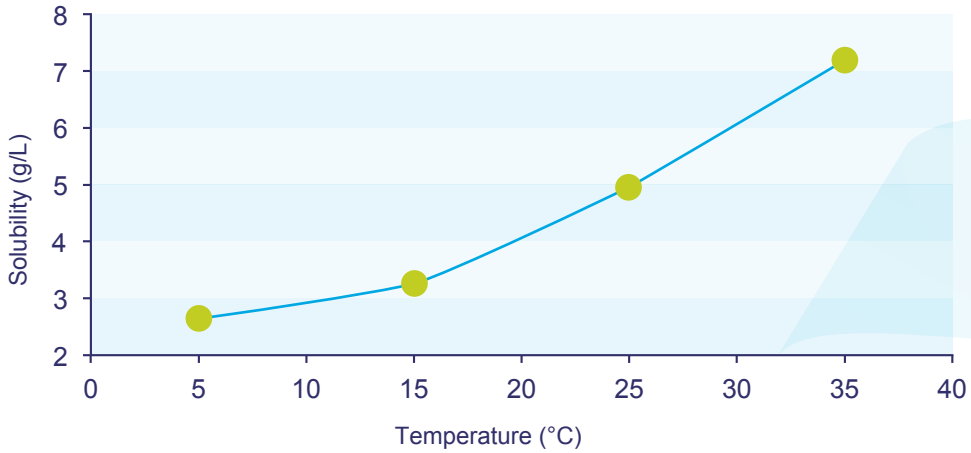
PRICING

All prices are in US dollars.

5 mg	10 mg	50 mg	100 mg	250 mg
$400	$600	$1,000	$1,500	$2,500

KIFUNENSINE SOLUBILITY IN WATER



ENZYME INHIBITION

Kifunensine is a potent inhibitor of mannosidase I. It is 50 to 100 times more potent than deoxymannojirimycin. Adding 5–20 μM of kifunensine to a mammalian cell culture medium will achieve complete mannosidase I inhibition.

Kifunensine inhibits human endoplasmic reticulum α-1,2-mannosidase I and Golgi Class I mannosidases IA, IB and IC with K_i values of 130 and 23 nM, respectively.

Kifunensine does not inhibit mannosidase II or the endoplasmic reticulum alpha-mannosidase. It weakly inhibits arylmannosidase.

Because kifunensine is a neutral molecule, it can permeate inside cells. Once inside a cell, kifunensine blocks endoplasmic reticulum (ER) mannosidase I (ERM1). This blocks processing of glycoproteins in the ER, to leave them with glycoforms with mainly nine mannose residues attached to two *N*-acetylglucosamine residues ($Man_9GlcNAc_2$).

MANUFACTURE

Kifunensine is made by GlycoSyn in an eight-step synthesis from *N*-acetylmannosamine, which we developed and patented.

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ABOUT GLYCOSYN

Kifunensine is one of more than 50 products manufactured and sold by GlycoSyn to scientists worldwide. We can provide milligram quantities for laboratory trials right through to the kilogram-scale quantities needed for pre-clinical and clinical drug development programmes.

We specialise in the synthesis and process development of complex molecules.

Our chemistry staff are all PhD-qualified, and we have modern facilities that enable us to manufacture a wide range of products at various scales.

Please contact us if you would like to find out more about our contract manufacturing service.

References

1. A new immunomodulator, FR-900494: taxonomy, fermentation, isolation, and physico-chemical and biological characteristics. Iwami M et al. *J. Antibiot. (Tokyo)* 1987, May 40(5): 612–22.
2. Structure of kifunensine, a new immunomodulator isolated from an actinomycete. Kayakiri H *et al. J. Org. Chem.* 1989, 54 (17): 4015–4016.
3. Kifunensine, a potent inhibitor of the glycoprotein processing mannosidase I. Elbein AD *et al. J. Biol. Chem.* 1990, 265: 15599–15605.
4. Kifunensine inhibits glycoprotein processing and the function of the modified LDL receptor in endothelial cells. Elbein AD *et al. Archiv. Biochem. Biophys.* 1991, 288: 177.
5. A practical synthesis of kifunensine analogues as inhibitors of endoplasmic reticulum -mannosidase I. Hering KW *et al. J. Org. Chem.* 2005, 70(24): 9892–9904.
6. Process for preparing kifunensine intermediate and kifunensine therefrom. Benjes PA, Clinch K, Dickison JA, *et al. US patent 7129355.* 2006. Assigned to Callaghan Innovation.

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