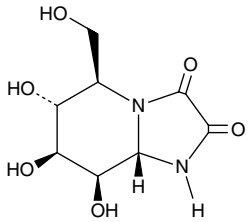
Product Information

Kifunensine

Item No. 10009437

CAS Registry No.: 109944-15-2
Formal Name: hexahydro-6R,7S,8aS-trihydroxy-5R-(hydroxymethyl)-imidazo[1,2-a]pyridine-2,3-dione
Synonym: FR900494
MF: $C_8H_{12}N_2O_6$
FW: 232.2
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 225 nm



Laboratory Procedures

For long term storage, we suggest that kifunensine be stored as supplied at -20°C. It should be stable for at least two years.

Kifunensine is supplied as a crystalline solid. Kifunensine is sparingly soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. For biological experiments, we suggest that organic solvent-free aqueous solutions of kifunensine be prepared by directly dissolving the crystalline compound in water. The solubility of kifunensine in warm distilled water is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Kifunensine was originally isolated from the actinomycete *Kitasatosporia kifunensine* No. 9482 and shown to be a weak inhibitor of aryl mannosidase.[1,2] It has since been shown to be a potent and selective inhibitor of class I α-mannosidases and may serve as a key inhibitor of glycoprotein biosynthesis.[3] Kifunensine inhibits both human endoplasmic reticulum α-1,2-mannosidase I and members of the Golgi subfamily of the class I mannosidases (Golgi α-mannosidase IA, IB, and IC) exhibiting K_i values of 130 and 23 nM, respectively. It also inhibits mung bean α-1,2-mannosidase I with an IC_{50} value of 20-50 nM.[3] Kifunensine can be used to block α-mannosidase I activity at the endoplasmic reticulum (ER), preventing the removal of desired mutated proteins through ER quality control mechanisms.[4,5]

References

1. Iwami, M., Nakayama, O., Terano, H., *et al.* A new immunomodulator, FR-900494: Taxonomy, fermentation, isolation, and physico-chemical and biological characteristics. *J. Antibiotics* **5**, 612-622 (1987).
2. Kayakiri, H., Takase, S., Shibata, T., *et al.* Structure of kifunensine, a new immunomodulator isolated from an actinomycete. *J. Org. Chem.* **54**, 4015-4016 (1989).
3. Hering, K.W., Karaveg, K., Moremen, K.W., *et al.* A practical synthesis of kifunensine analogues as inhibitors of endoplasmic reticulum α-mannosidase I. *J. Org. Chem.* **70**, 9892-9904 (2005).
4. Bartoli, M., Gicquel, E., Barrault, L., *et al.* Mannosidase I inhibition rescues the human α-sarcoglycan R77C recurrent mutation. *Hum. Mol. Genet.* **17(9)**, 1214-1221 (2008).
5. Soheili, T., Gicquel, E., Poupiot, J., *et al.* Rescue of sarcoglycan mutations by inhibition of endoplasmic reticulum quality control is associated with minimal structural modifications. *Hum. Mutat.* **33(2)**, 429-439 (2012).

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