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GM6001 [Ilomastat] MMP Inhibitor
Powder form

CATALOG NUMBER: CC1100 **QUANTITY:** 10 mg

LOT NUMBER:

SPECIFICITY:

GM6001 MMP inhibitor, also known as Ilomastat or N-[(2R)-2-(hydroxamido-carbonylmethyl)-4-methylpentanoyl]-L-tryptophan methylamide, is a potent inhibitor of collagenases. Molecular weight: 388.47

Reported Ki values are as follows (see Galardy Ref):

- Human MMP-1 (Fibroblast collagenase): 0.4 nM
- Human MMP-3 (Stromelysin): 27 nM
- Human MMP-2 (72 kDa gelatinase): 0.5 nM
- Human MMP-8 (Neutrophil collagenase): 0.1 nM
- Human MMP-9 (92 kDa gelatinase): 0.2 nM

Solubility
Soluble up to at least 400 mg/mL in DMSO. It can then be diluted in water and must be mixed very quickly to avoid precipitation.

Stability
At a concentration of 1 mM (0.1 mM is preferred), GM6001 decomposes at the rate of 1% per month at 4°C. At 37°C this increases to 1% per day. At -20°C it is quite stable, and as a solid very highly stable. Low salt buffers are recommended as diluents to reduce precipitation. Diluted solutions should never be frozen.

Periodically confirm concentration of stock solution by absorbance at 280 nm.

APPLICATIONS:

Inhibits activity/fuction of MMPs: Typical working dilution for use in cultured cells is 10-25 μM. {1 mg/ml solution in DMSO equals 2.57 mM}. GM6001 will precipitate from aqueous solutions exceeding 100 μM. Aqueous dilutions should be performed using low salt buffers to prevent precipitation of GM6001 from solution (Recommended dilution buffer: 50 mM Tris pH 7.5, 150 mM NaCl, and 20 mM $CaCl_2 \cdot 2H_20$). It is recommended that the concentration of GM6001 in aqueous working solutions is determined upon dilution and confirmed prior to each use.

Use *in vivo*:
Typical dosage for GM6001 in animals is 50-100mg/kg body weight. It is generally administered IP every day or every other day. It is thought to cross the blood brain barrier since studies have shown it effectiveness in MS models.

If delivered by I.V. the half life in an animal is approximate an hour so it will have to be administered quite often. Thus the preferred way is to deliver the drug by I.P as a suspension in water or saline. The half life does not change but because it is dissolving in the animal's system slowly, administration is not done as frequently. It has to be redelivered every other day or so. It can also be delivered subcutaneously in a saline suspension. The drug will precipitate as stay as a mass within the tissue, but surprisingly enough does get into the animal system to be effective.

PRESENTATION: Lyophilized, reconstitute in DMSO (1-5 mg/ml). Other organic solvents (ethanol) have also been used. (Galardy, R.E., et al,1994).

STORAGE/HANDLING: Maintain lyophilized form at 2-8º C for up to one year from date of receipt. If reconstitution is in DMSO, material is soluble to at least 400 mg/mL and storage is recommended in usable aliquots at -20 º C. Avoid repeated freeze/thaw cycles. If reconstituting in aqueous solution, store short term in undiluted aliquots at 2-8º C. See stability information for further detail.

REFERENCES:

Marchenko, GN. et al. (2001). Characterization of matrix metalloproteinase-26, a novel metalloprotinase widely expressed in cancer cells of epithelial origin. *Biochem. J.,* **356**:705-718.

Levy, D. E., et al. (1998) *J. Med. Chem,* **41**:199-223.

Galardy, RE., et al. (1994) Low molecular weight inhibitors in corneal ulceration, *Ann. NY Acad. Sci.,* **732**:315-323.

Knight, C. G., et al. (1992) *FEBS Letters,* **296**:263-266.

Important Note: *During shipment, small volumes of product will occasionally become entrapped in the seal of the product vial. For products with volumes of 200 µL or less, we recommend gently tapping the vial on a hard surface or briefly centrifuging the vial in a tabletop centrifuge to dislodge any liquid in the container's cap.*

FOR RESEARCH USE ONLY; NOT FOR USE IN DIAGNOSTIC PROCEDURES. NOT FOR HUMAN OR ANIMAL CONSUMPTION

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