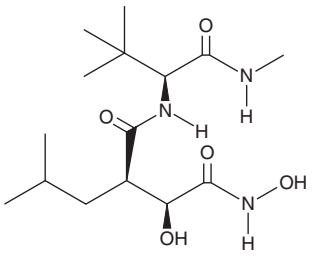
PRODUCT INFORMATION

Marimastat

Item No. 14869

CAS Registry No.: 154039-60-8
Formal Name: (2S,3R)-N^4-[(1S)-2,2-dimethyl-1-[(methylamino) carbonyl]propyl]-N^1,2-dihydroxy-3-(2-methylpropyl)-butanediamide
Synonyms: BB-2516, KB-R8898
MF: $C_{15}H_{29}N_3O_5$
FW: 331.4
Purity: ≥98%
Supplied as: A crystalline 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

Marimastat is supplied as a crystalline solid. A stock solution may be made by dissolving the marimastat in the solvent of choice, which should be purged with an inert gas. Marimastat is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of marimastat in ethanol is approximately 2 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Description

Marimastat is a broad-spectrum matrix metalloproteinase (MMP) inhibitor (IC_{50}s = 5, 6, 230, 16, and 3 nM for MMP-1, MMP-2, MMP-3, MMP-7, and MMP-9, respectively).[1] It also binds to a recombinantly expressed catalytic domain of human MMP-14 (MMP-14_{cat}; K_i = 2.1 nM) and inhibits gelatinases (IC_{50}s = 3–6 nM), fibroblast collagenase (IC_{50} = 5 nM), and matrylisin (IC_{50} = 16 nM).[2] It inhibits peritoneal dissemination of implanted human gastric carcinoma TMK-1 cells in nude mice (18 mg/kg per day) but does not affect TMK-1 viability *in vitro*, providing only 2.64% inhibition when used at a concentration of 10 µM.[3] Marimastat inhibits lymph node metastasis in an oral squamous cell carcinoma (OSCC) OSC-19 mouse xenograft model (30 mg/kg per day).[4] It also delays tumor growth of human head and neck squamous cell SCC-1 xenografts in nude mice alone and when combined with chemoradiation when administered at a dose of 8.7 mg/kg per day.[5] Marimastat is an inhibitor of tumor necrosis factor alpha (TNF-α) convertase (TACE), which catalyzes pro-TNF-α conversion into TNF-α (IC_{50}s = 3.8 and 7,000 nM for purified TACE and whole blood, respectively).[6]

References

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3. Kimata, M., Otani, Y., Kubota, T., *et al. Jpn. J. Cancer Res.* **93(7)**, 834-841 (2002).
4. Maekawa, K., Sato, H., Furukawa, M., *et al. Clin. Exp. Metastasis* **19(6)**, 513-518 (2002).
5. Skipper, J.B., McNally, L.R., Rosenthal, E.L., *et al. ORL J. Otorhinolaryngol. Relat. Spec.* **71(1)**, 1-5 (2009).
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