

Matrix metalloproteinase-1 (MMP-1) fluorometric drug discovery kit,

RED The MMP-1 Fluorometric (also known as fluorimetric) Drug Discovery Kit, RED is a complete assay system designed to screen MMP-1 inhibitors using a quenched fluorogenic substrate OMNIMMP® RED: TQ3-GABA-Pro-Cha-Abu-Smc-His-Ala-Dab(6-TAMRA)-Ala-Lys-NH2 [TQ3=quencher; GABA=4-aminobutyric acid; Cha=L-cyclohexylalanine; Abu=2-aminobutyric acid; Smc=S-methyl-L-cysteine; Dab=2,4-diaminobutyric acid; 6-TAMRA=6-tetramethylrhodamine]. TAMRA fluorescence is thoroughly quenched by the TQ3 group until cleavage by MMPs separates the two moieties.

The assays are performed in a convenient 96-well microplate format. The kit is useful to screen inhibitors of MMP-1, a potential therapeutic target. The compound NNGH is also included as a prototypic control inhibitor.

Matrix metalloproteinase-1 (MMP-1, interstitial collagenase, fibroblast collagenase) is a member of the MMP family of extracellular proteases. These enzymes play a role in many normal and disease states by virtue of their broad substrate specificities. Targets of MMP-1 include collagen, gelatin, entactin, pro-TNF- α , and the chemokine SDF-1. MMP-1 is secreted as a 52-56kDa glycosylated proenzyme (as measured by SDS-PAGE), and activated by cleavage to forms of 22-46kDa. MMP-1 is an important target for inhibitor screening due to its involvement in diseases such as cancer.

Citations: 2

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Manuals, SDS & CofA

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Handling & Storage

Handling Avoid freeze/thaw cycles.

Long Term Storage -80°C

Shipping Dry Ice

Regulatory Status RUO - Research Use Only

Product Details

Alternative Name Interstitial collagenase, Fibroblast collagenase

Application Activity assay, Fluorescent detection, HTS

Application Notes Designed to screen MMP-1 inhibitors using a quenched fluorogenic peptide

Contents

- 1 vial MMP-1 enzyme
- 1 vial substrate (OMNIMMP[®] RED)
- 1 vial 6'-TAMRA calibration standard
- 1 vial control inhibitor (NNGH)
- 1 bottle (20 ml) assay buffer
- 1 black 96-well microplate
- Instructions

The OMNIMMP[®] RED substrate offers key advantages over other MMP substrates.

1. Emission at the red end of the spectrum (576 nm after excitation at 545 nm) avoids the interference at lower wavelengths often exhibited by screening compounds, and by substances commonly found in biological samples and tissue culture medium.
2. MMP substrate peptides display poor aqueous solubility, often with K_m s near their limits of solubility, making enzyme and inhibitor kinetics difficult. MMP K_m s for OMNIMMP[®] RED substrate are below its solubility limit.
3. OMNIMMP[®] RED is avidly cleaved by MMPs, with k_{cat}/K_m s in the range of 10^4 - 10^6 M⁻¹sec⁻¹.
4. The ultra-strong fluorescence of OMNIMMP[®] RED allows for substrate concentrations much lower than the K_m , a condition generally desirable in inhibitor screening assays.

UniProt ID

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