DATA SHEET

# **Cyclic RGD-LC-Fluorescein Optical Probe**

For Detecting Tumor Angiogenesis, Growth and Treatment Efficacy

### Product Description: cRGD-LC-fluorescein is a fluorescence imaging agent comprising a potent cyclic RGD peptide,

c(RGDfK) designed to target integrins and a fluorescein molecule with fluorescence emission at 519 nm. This agent has been developed to target  $\alpha_v\beta_3$  expression in the neovasculature as well as on tumor cells, to monitor angiogenesis and growth and treatment efficacy. The integrin family is comprised of 25 identified members, which are heterodimers of 19  $\alpha$ - and 8  $\beta$ -subunits imbedded non-covalently into the cell membrane [1]. Generally, linear RGD peptides, such as GRGDS (Gly-Arg-Gly-Asp-Ser), often have low affinity (IC<sub>50</sub>> 100 nM) and selectivity for  $\alpha_v\beta_3$  and  $\alpha_{IIB}\beta_3$ [2], and undergo rapid degradation in serum by a variety of proteases [3]. Cyclic RGD (cRGDfk) has shown elevated binding affinity and selectivity for  $\alpha_v\beta_3$  over  $\alpha_{IIB}\beta_3$ [2,4].

#### Structure of cRGD-LC-Fluorescein



Spectral Properties in H <sub>2</sub> O		
Absorbance max	480nm	
FL Em max	519nm	

Catalog #	Product Name	Size
RG-1003	cRGD-LC-fluorescein	25 nmol

**Product Formulation:** Add 0.1mL of water to vial and pipet up and down to ensure all yellow/orange material is removed from sides of vial and in solution. This will provide a 0.25mM stock solution.

**Storage and Handling:** Store at -20°C prior to reconstitution. Reconstituted material should be used within two weeks.

#### **References:**

[1] Desgrosellier JS, Cheresh DA (2010). Integrins in cancer: biological implications and therapeutic opportunities. *Nat Rev Cancer*. **10**:9-22.

[2] Pfaff M, Tangemann K, Müller B*et al.* (1994). Selective recognition of cyclic RGD peptides of NMR defined conformation by  $\alpha_{IIb}\beta_3$ ,  $\alpha_v\beta_3$ , and  $\alpha_5\beta_1$  integrins. *J Biol Chem.* **269**:20233-8.

[3] Gottschalk KE, Kessler H (2002). The structures of integrins and integrin-ligand complexes: Implications for drug design and signal transduction. *Angew Chem Int Ed Engl.***41**:3767-74

[4] Boturyn D, Dumy P (2001). A convenient access to  $\alpha\nu\beta3/\alpha\nu\beta5$  integrin ligand conjugates: regioselective solid-phase functionalization of an RGD based peptide. *Tetrahedron Lett.***42**:2787-90

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