

Product Data Sheet

Product Name: VKGILS-NH2

Cat. No.: GC11727

Chemical Properties

Cas. No. 942413-05-0

Chemical Name (S,Z)-6-amino-2-((Z)-((S)-2-amino-1-hydroxy-3-methylbutylidene)amino)-N-((Z)-2-(((2S,3S,Z)-1-(((S,Z)-1-(((S)-1,3-dihydroxy-1-iminopropan-2-yl)imino)-1-hydroxy-4-methylpentan-2-yl)imino)-1-hydroxy-3-methylpentan-2-yl)imino)-2-hydroxyethyl)hexanimidic acid

SMILES CC[C@]([C@@]([N=C(O)/C/N=C(O)/[C@]([N]([H])C(C)C)([H])CCCCN)([H])/C(O)=N/[C@@]([C(O)=N/[C@@](C(O)=N)([H])CO)([H])CC(C)C)([H])C

Formula C₂₈H₅₄N₈O₇

M.Wt 614.79

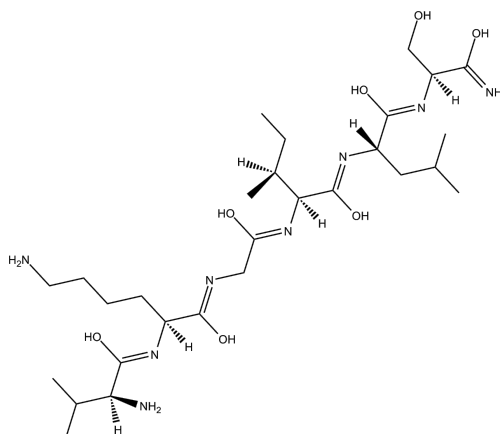
Solubility ≥ 134.4 mg/mL in DMSO, ≥ 13.82 mg/mL in EtOH with ultrasonic and warming, ≥ 62.9 mg/mL in Water

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

IC50: Not Available.

Caution: Product has not been fully validated for medical applications. For research use only.

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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VKGILS-NH₂ serves as the reversed amino acid sequence control peptide for SLIGKV-NH₂, a protease-activated receptor 2 (PAR₂) agonist. PARs are a group of G-protein-coupled receptors existing in several cell types. Up to date, four PAR members including PAR₁ to 4 have been identified, cloned and designated. PAR₂ is expressed in the respiratory and gastrointestinal tracts. It is suggested that the activation of PAR₂ is closely correlated with inflammatory events in various cells and tissues. PAR₂ has also been identified to induce protease activation and therefore result in systemic hypotension. [1]

In vitro: The PAR₂ activating peptide AP (SLIGKV-NH₂) and the reverse peptide control RP (VKGILS-NH₂) were used in one study to reveal that PAR₂ slightly enhanced mucin secretion by human bronchial epithelial cells in vitro. According to this study, compared to cells treated with VKGILS-NH₂, exposure of cells to the synthetic PAR₂ agonist peptide (SLIGKV-NH₂) for 30 mins resulted in a weak but statistically significant increase in mucin secretion at concentrations of 100 and 1000M. [1]

In vivo: In one in vivo study, the mouse PAR₂ reverse (LRGILS-NH₂) and human PAR₂ reverse (VKGILS-NH₂) peptides were adopted as control which caused no contractile responses at the highest concentrations, with the aim to prove in the guinea-pig gallbladder PAR₁ and PAR₂ activation could lead to contractile responses. [2]

Clinical trial: PAR₂ activating peptide, SLIGKV-NH₂, and its reverse-sequence control peptide VKGILS-NH₂ were synthesized to verify the hypothesis that in vivo activation of PAR₂ in humans would cause vasodilatation. The result of this study showed that, in forearm resistance vessels, SLIGKV-NH₂ triggered a dose-dependent dilatation, while VKGILS-NH₂ had no significant effect. [3]

References:

- [1] Lin KW, Park J, Crews AL, Li YH, Adler KB. Protease-activated receptor-2 (PAR-2) is a weak enhancer of mucin secretion by human bronchial epithelial cells in vitro. *Int J Biochem Cell B*. 2008. 40: 137988.
- [2] Tognetto M, Trevisani M, Maggiore B, Navarra G, Turini A, Guerrini R, Bunnett NW, Geppetti P and Harrison S. Evidence that PAR-1 and PAR-2 mediate prostanoid-dependent contraction in isolated guinea-pig gallbladder. *Br.J.Pharmacol*. 2000.131: 689-94.
- [3] Robin J, Kharbanda R, Mclean P, Campbell R, Vallance P. Protease-activated receptor 2-mediated vasodilatation in humans in vivo, role of nitric oxide and prostanoids. *Circulation*. 2003;107:954-959.

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