

Product Data Sheet

Product Name: VRT-043198

Cat. No.: GC63256

Chemical Properties

Cas. No. 244133-31-1

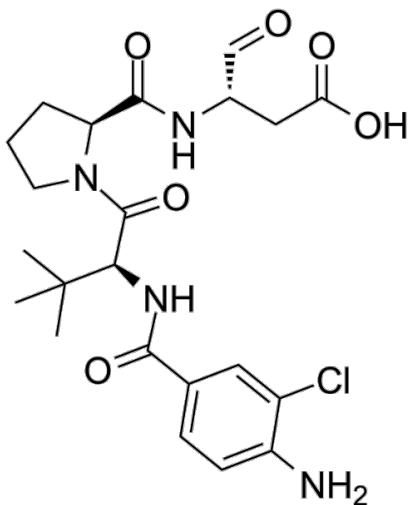
Formula $C_{22}H_{29}ClN_4O_6$ M.Wt 480.94

Solubility DMSO : 180 mg/mL (374.27 mM; Need ultrasonic)|Methanol : 12.5 mg/mL (25.99 mM; Need ultrasonic)

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

VRT-043198, the drug metabolite of VX-765 (Belnacasan), is a potent, selective and blood-brain barrier permeable inhibitor of interleukin-converting enzyme/caspase-1 subfamily caspases. VRT-043198 exhibits K_i values of 0.8 nM and 0.6 nM for ICE/caspase-1 and caspase-4, respectively[1].

VRT-043198 exhibits 100- to 10,000-fold selectivity against other caspase-3 and -6 to -9[1]. VRT043198 inhibits the release of interleukin (IL)-1 β and IL-18, but it has little effect on the

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

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release of several other cytokines, including IL-1 α , tumor necrosis factor-, IL-6 and IL-8. VRT-043198 inhibited IL-1 β release from both PBMCs (n = 8) and whole blood (n = 4) with IC50 values of 0.67 $\pm$ 0.55 and 1.9 $\pm$ 0.80 nM, respectively[1]. VRT-043198 lacks potent antiapoptotic activity[1].

VX-765 is converted rapidly to VRT-043198 under the action of plasma and liver esterases and also much more slowly in aqueous solution[1]. VX765 reduces disease severity and the expression of inflammatory mediators in models of rheumatoid arthritis and skin inflammation[1]. VX765 (25, 50, 100, or 200 mg/kg) inhibits lipopolysaccharide-induced cytokine secretion[1].

[1]. Woods Wannamaker, et al. (S)-1-((S)-2-([1-(4-amino-3-chloro-phenyl)-methanoyl]-amino)-3,3-dimethyl-butanoyl)-pyrrolidine-2-carboxylic acid ((2R,3S)-2-ethoxy-5-oxo-tetrahydro-furan-3-yl)-amide (VX-765), an orally available selective interleukin (IL)-converting enzyme/caspase-1 inhibitor, exhibits potent anti-inflammatory activities by inhibiting the release of IL-1 β and IL-18. J Pharmacol Exp Ther. 2007 May;321(2):509-16.

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