
Product Data Sheet

Product Name: AZ8838
Cat. No.: GC65312

Chemical Properties

Cas. No. 2100285-41-2

Formula C₁₃H₁₅FN₂O

M.Wt 234.27

Solubility DMSO : 200 mg/mL (853.72 mM; Need ultrasonic) 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

AZ8838 is a potent, competitive, allosteric, orally active non-peptide small molecule antagonist of PAR2 with a pK_i of 6.4 for hPAR2[1].

AZ8838 binds in an occluded pocket[1]. AZ8838 is a potent antagonist against SLIGRL-NH₂ in the Ca²⁺ assay with a pIC₅₀ of 5.70 ± 0.02[1]. AZ8838 shows a potency trend when inhibiting IP1 production (pIC₅₀ = 5.84 ± 0.02)[1]. AZ8838 attenuates both peptide-induced phosphorylation of ERK1/2 (pIC₅₀ = 5.7 ± 0.1) and β-arrestin-2 recruitment (pIC₅₀ = 6.1 ± 0.1)[1].

AZ8838 (10 mg/kg; p.o.; 2 h prior) is anti-inflammatory in a PAR2 agonist-induced rat paw oedema model[1].

[1]. Kennedy AJ, et al. Protease-activated receptor-2 ligands reveal orthosteric and allosteric mechanisms of receptor inhibition. *Commun Biol.* 2020 Dec 17;3(1):782.

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

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