

Product Data Sheet

Product Name: PAR2 modulator-1

Cat. No.: GC79232

Chemical Properties

Cas. No. 2378159-28-3

Formula $C_{27}H_{41}N_5O_5$ M.Wt 515.64

Solubility DMSO: 100 mg/mL (193.93 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

PAR2 modulator-1 is a biased protease-activated receptor 2 (PAR2) inhibitor. PAR2 modulator-1

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

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blocks the PAR2 -dependent MAPK signaling pathway with an IC₅₀ value of 8.5 µM, without altering the PAR2 -dependent Ca²⁺ signaling pathway. PAR2 modulator-1 blocks pain responses induced by PAR2 agonists. PAR2 modulator-1 can be used in the research of chronic pain [1].

In Vivo, PAR2 modulator-1 (C781) (1 µg; intraplantar injection; single dose) effectively blocks 2AT-induced persistent mechanical hyperalgesia without inducing pain on its own^[1]. PAR2 modulator-1 (30 mg/kg; i.p.; single dose) effectively blocks 2AT-induced systemic mechanical hyperalgesia.

In Vitro, PAR2 modulator-1 (C781) (30-300 nM) inhibits 2AT-induced PAR2 responses in a dose-dependent manner, with almost complete inhibition observed at 300 nM^[1]. PAR2 modulator-1 (100 nM-100 µM) significantly reduces MAPK phosphorylation in cells expressing PAR2, with an IC₅₀ of 8.5 µM^[1].

References:

[1]. Scott A. BOITANO, et al. Small molecule modulators of par2 and uses thereof.
WO2019199800A1. 2020-10-09

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