

Product Data Sheet

Product Name: AC 264613

Cat. No.: GC14831

Chemical Properties

Cas. No. 1051487-82-1

Chemical Name (3S,4R)-N-[(Z)-1-(3-bromophenyl)ethylideneamino]-2-oxo-4-phenylpyrrolidine-3-carboxamide

SMILES CC(=NNC(=O)C1C(CNC1=O)C2=CC=CC=C2)C3=CC(=CC=C3)Br

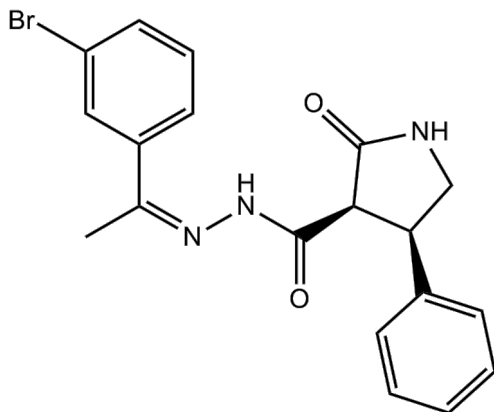
Formula $C_{19}H_{18}BrN_3O_2$ M.Wt 400.27

Solubility <40.03mg/ml in DMSO 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

AC 264613 is a potent agonist of PAR2 with pEC₅₀ value of 7.5 and potencies range from 30 to 100 nM [1, 2].

Protease-activated receptor 2 (PAR2) also known as coagulation factor II (thrombin) receptor-like 1 (F2RL1) or G-protein coupled receptor 11 (GPR11) is expressed in vascular tissue and highly vascular organs and involves in the vascular tone regulation. It has been shown that PAR2 plays a

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pivotal role in vessel inflammation and wound healing processes [2].

AC 264613 is a selective PAR2 agonist and has no effect on other PARs. When tested with HEK 293 T cells (PAR2 wild type) and KNRK cells (transfected with human PAR2 receptors) for stimulates PI hydrolysis and Ca²⁺ mobilization assays, AC 264613 showed effect with pEC₅₀ value of 6.9 and 7.0, respectively [1]. Using QuickChange Mutagenesis manner, NIH 3T3 cells were made with ECL2 mutations in Q233E, E232R, E232R/Q233R, F240S, and F240S/S37P receptors and AC 264613 treatment PAR2 F240S receptors were constitutively expressed in multiple functional endpoints while had no effect on E232 and Q233 mutations [2].

In male Sprague-Dawley rat model with acute thermal nociception and edema in paw induced by SLIGRL-NH₂ or trypsin, intrapaw administration of AC 264613 induced hind paw edema and thermal hyperalgesia at the doses as low as 30 ng and showed dose-dependent pronociceptive effects [1].

References:

- [1]. Gardell, L.R., et al., Identification and characterization of novel small-molecule protease-activated receptor 2 agonists. J Pharmacol Exp Ther, 2008. 327(3): p. 799-808.
- [2]. Ma, J.N. and E.S. Burstein, The protease activated receptor 2 (PAR2) polymorphic variant F240S constitutively activates PAR2 receptors and potentiates responses to small-molecule PAR2 agonists. J Pharmacol Exp Ther, 2013. 347(3): p. 697-704.

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