

Product Data Sheet

Product Name: VU0359595

Cat. No.: GC13351

Chemical Properties

Cas. No. 1246303-14-9

Chemical Name (1R,2R)-N-[(1S)-2-[4-(5-bromo-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidiny]-1-methylethyl]-2-phenyl-cyclopropanecarboxamide

SMILES C[C@H](NC([C@@H]1C[C@H]1C2=CC=CC=C2)=O)CN3CCC(N4C(NC5=C4C=CC(Br)=C5)=O)CC3

Formula $C_{25}H_{29}BrN_4O_2$

M.Wt 497.4

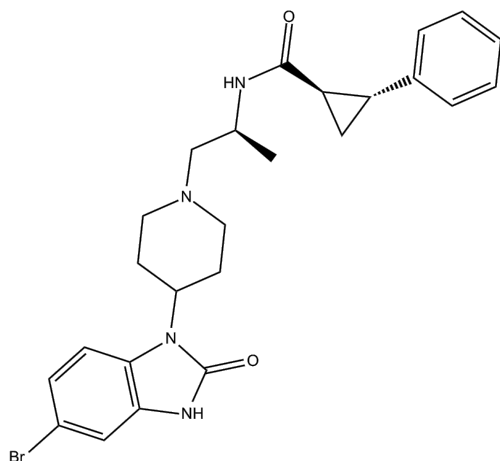
Solubility $\leq 5\text{mg/ml}$ in DMSO

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

VU0359595 is a potent and selective inhibitor of PLD1.

Phospholipase D (PLD) isozymes mediate phospholipid hydrolysis and transphosphatidylolation. Until

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now, two mammalian isoforms of PLD, PLD1, and PLD2, have been identified. It has been identified that PLD has been implicated in a human cancer cell progression, actin cytoskeleton reorganization and cell motility [1].

In vitro: VU0359595 was an inhibitor of PLD1 with an IC₅₀ of 3.7 nM. VU0359595 showed >1,700-fold selectivity over PLD2. The IC₅₀ of VU0359595 against PLD2 was 6.4 μM [1]. Preliminary evidence has demonstrated that VU0359595 showed no interaction with the catalytic site of PLD, but may bind and inhibit PLD through an allosteric site [1]. At 500 nM, VU0359595 significantly reduced the PLD activity in astroglial cultures from wild-type mice by 58% [2]. In cells stimulated by 1% FCS, VU0359595 decreased cell proliferation in wild-type and PLD2-deficient cells at a concentration of 500 nM [2]. VU0359595 (500 nM) significantly reduced cell growth in cells stimulated by either mitogen [2].

References:

- [1] Lewis J A, Scott S A, Lavieri R, et al. Design and synthesis of isoform-selective phospholipase D (PLD) inhibitors. Part I: Impact of alternative halogenated privileged structures for PLD1 specificity[J]. Bioorganic & medicinal chemistry letters, 2009, 19(7): 1916-1920.
- [2] Burkhardt U, Beyer S, Klein J. Role of phospholipases D1 and 2 in astroglial proliferation: effects of specific inhibitors and genetic deletion[J]. European journal of pharmacology, 2015, 761: 398-404.

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