

Product Data Sheet

Product Name: HPGDS inhibitor 1

Cat. No.: GC14633

Chemical Properties

Cas. No. 1033836-12-2

Chemical Name 6-(3-fluorophenyl)-N-[1-(2,2,2-trifluoroethyl)piperidin-4-yl]pyridine-3-carboxamide

SMILES C1CN(CCC1NC(=O)C2=CN=C(C=C2)C3=CC(=CC=C3)F)CC(F)(F)F

Formula C₁₉H₁₉F₄N₃O M.Wt 381.37

Solubility DMF: 5 mg/ml, DMSO: 5 mg/ml, Ethanol: 1 mg/ml 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 sizes: ship with RT, or blue ice upon request.

Structure

Background

HPGDS inhibitor 1 is an oral potent and selective inhibitor of hematopoietic prostaglandin D synthase (HPGDS) with IC₅₀ value of 0.7nM [1].

Prostaglandin D₂ (PGD₂) is a mediator of allergy and inflammation. It is isomerized from PGH₂ by PGDS. This production is important in airway allergic and inflammatory processes. HPGDS inhibitor 1 has a 3-fluorine substituent, making it stable in the in vitro human microsome assay. It has potent effects in enzyme assay and cellular assay with IC₅₀ values of 0.7nM and 32nM, respectively. In addition, the potency is equal against purified HPGDS from various species with IC₅₀ values ranging from 0.5-2.3nM. Besides that, HPGDS inhibitor 1 is selective against HPGDS over other relevant human enzymes including L-PGDS, mPGES, COX-1, COX-2 and 5 LOX [1].

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

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In a model of antigen-induced airway response in allergic sheep, treatment of HPGDS inhibitor 1 completely prevents the late asthma reaction and blocks the Airway hyper-responsiveness [1].

References:

[1] Carron C P, Trujillo J I, Olson K L, et al. Discovery of an oral potent selective inhibitor of hematopoietic prostaglandin D synthase (HPGDS). ACS Medicinal Chemistry Letters, 2010, 1(2): 59-63.

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