

Product Data Sheet

Product Name: PF-06869206

Cat. No.: GC19289

Chemical Properties

Cas. No. 2227425-05-8

SMILES C1C=C(C)NC2=C(N3C[C@@H](CO)OCC3)C(C#N)=C(C(F)(F)F)N=C21

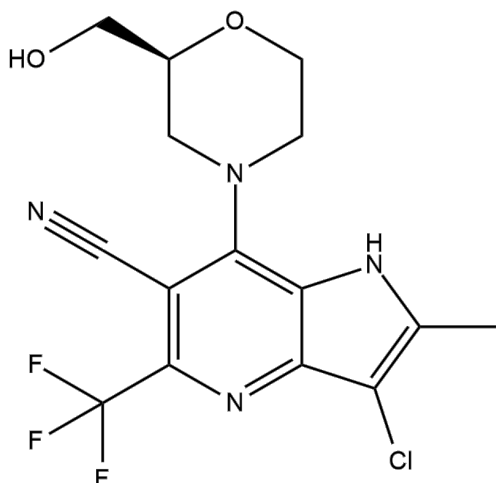
Formula $C_{15}H_{14}ClF_3N_4O_2$ M.Wt 374.75

Solubility DMSO : ≥ 125 mg/mL (333.56 mM) Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility , please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ 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



Protocol

Animal experiment:

Rats and Mice[1] Male Wistar-Han rats (n=2) are treated with PF-06869206 (1 mg/kg, 5 mg/kg, and 50 mg/kg; 2 mL/kg for iv or 10 mL/kg for po) . C57BL6 mice (n=2) are treated with PF-06869206 (1 mg/kg, 5 mg/kg, and 50 mg/kg; 2 mL/kg for iv or 10 mL/kg for po) [1].

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

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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References:

[1]. Filipski KJ, et al. Discovery of Orally Bioavailable Selective Inhibitors of the Sodium-Phosphate Cotransporter NaPi2a (SLC34A1). ACS Med Chem Lett. 2018 Apr 12;9(5):440-445.

Background

PF-06869206 is an orally bioavailable selective inhibitor of the sodium-phosphate cotransporter NaPi2a (SLC34A1) with an IC₅₀ of 380 nM.

PF-06869206 shows a balance of attributes with 380 nM NaPi2a inhibition potency, excellent subtype selectivity, and acceptable aqueous solubility (46 uM). PF-06869206 is profiled for potency in the rodent NaPi2a and NaPi2c cell lines. PF-06869206 shows comparable submicromolar activity for the human, rat, and mouse NaPi2a isoforms with IC₅₀s of 0.4±0.047 uM and 0.54±0.099 uM for rat NaPi2a and mouse NaPi2a, respectively[1].

PF-06869206 is evaluated in rodent PK studies to determine suitability for in vivo pharmacology exploration. Results show moderate clearance in both rat and mouse. Oral bioavailability at 5 mg/kg is good in rat and moderate in mouse. At higher oral doses of 50 mg/kg, supraproportional increases in exposure are observed in both species, suggestive of saturation of clearance. PF-06869206 has moderate terminal elimination half-life (t_{1/2}=1.35 h, and 0.75 h for Wistar-Han rats (10 mg/kg, iv), and C57BL6 mice (1 mg/kg, iv)). Furthermore, permeability is good (14×10⁻⁶ cm/s), and rat liver microsome (RLM) clearance is low (<14 uL/min/mg; HLM=39 uL/min/mg)[1].

References:

[1]. Filipski KJ, et al. Discovery of Orally Bioavailable Selective Inhibitors of the Sodium-Phosphate Cotransporter NaPi2a (SLC34A1). ACS Med Chem Lett. 2018 Apr 12;9(5):440-445.

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