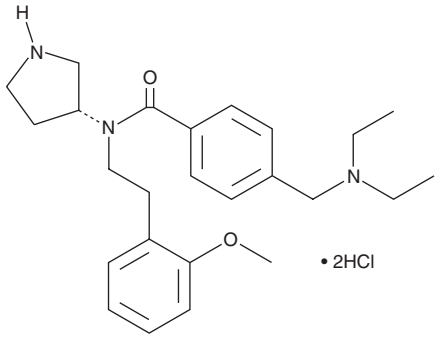
PRODUCT INFORMATION

PF-429242 (hydrochloride)

Item No. 15140

CAS Registry No.: 2248666-66-0
Formal Name: 4-[(diethylamino)methyl]-N-[2-(2-methoxyphenyl)ethyl]-N-(3R)-3-pyrrolidinyl-benzamide, dihydrochloride
MF: $C_{25}H_{35}N_3O_2 \bullet 2HCl$
FW: 482.5
Purity: ≥98%
UV/Vis.: λ_{max}: 272 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-429242 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-429242 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. PF-429242 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of PF-429242 (hydrochloride) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of PF-429242 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of PF-429242 (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

PF-429242 is an inhibitor of site-1 protease with an IC_{50} value of 170 nM for human recombinant site-1 protease.[1] It is selective for site-1 protease over trypsin, elastase, proteinase K, plasmin, kallikren, factor XIa, thrombin, and furin at concentrations up to 100 µM. PF-429242 completely inhibits proteolytic processing and nuclear translocation of sterol regulatory element-binding protein (SREBP) in HepG2 cells at a concentration of 10 µM. It also reduces expression of HMG-CoA synthase and fatty acid synthase (EC_{50}s = 0.3 and 2 µM, respectively) and inhibits cholesterol synthesis (EC_{50} = 600 nM) in HepG2 cells and reduces cholesterol and fatty acid synthesis in CD-1 mice. PF-429242 inhibits replication of dengue virus serotypes 1-4 in infected HeLa cells.[2] It also reduces growth of T98G, U87-MG, and A172 glioblastoma cells (IC_{50}s = 0.32, 15.2, and 27.6 µM, respectively).[3]

References

1. Hay, B.A., Abrams, B., Zumbrunn, A.Y., *et al.* Aminopyrrolidineamide inhibitors of site-1 protease. *Bioorg. Med. Chem. Lett.* **17(16)**, 4411-4414 (2007).
2. Uchida, L., Urata, S., Ulanday, G.E., *et al.* Suppressive effects of the site 1 protease (S1P) inhibitor, PF-429242, on Dengue virus propagation. *Viruses* **8(2)**, 46 (2016).
3. Caruana, B.T., Skoric, A., Brown, A.J., *et al.* Site-1 protease, a novel metabolic target for glioblastoma. *Biochem. Biophys. Res. Commun.* **490(3)**, 760-766 (2017).

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