
Product Data Sheet

Product Name: AF3485
Cat. No.: GC18882

Chemical Properties

Cas. No. 1195786-61-8

Chemical Name N-[9-(2-hydroxyethyl)-9H-carbazol-3-yl]-2-(trifluoromethyl)-benzamide

SMILES OCCN(C1=C2C=CC=C1)C3=C2C=C(NC(C4=CC=CC=C4C(F)(F)F)=O)C=C3

Formula $C_{22}H_{17}F_3N_2O_2$ M.Wt 398.4

Solubility DMF: 30 mg/ml, DMF:PBS (pH 7.2); (1:7): 0.1 mg/ml, DMSO: 10 mg/ml, Ethanol: 0.3 mg/ml
Store Storage 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

Microsomal prostaglandin E synthase-1 (mPGES-1) converts the COX product PGH₂ into the biologically active PGE₂. [1] Like COX-2, the expression of mPGES-1 is induced in response to pro-inflammatory mediators, including LPS, IL-1 β , and TNF- α . [2] AF3485 is a selective 3-aminocarbazole inhibitor of mPGES-1, blocking the synthesis of PGE₂ but not PGF₂ α in A549 cells stimulated with IL-1 β (IC₅₀s = 2.9 and >100 μ M, respectively). [3] It reduces inflammatory pain (acetic acid-induced writhing) in mice by 74% when given intraperitoneally at 10 mg/kg. [3] AF3485 is absorbed through enterocytes, is metabolically stable in human and rat microsome preparations, and is bioavailable in vivo. [3]

References

1. Jakobsson, P.J., Thorén, S., Morgenstern, R., et al. Identification of human

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

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prostaglandin E synthase: A microsomal, glutathione-dependent, inducible enzyme, constituting a potential novel drug target. Proc. Natl. Acad. Sci. USA 96(13), 7220-7225 (1999).

2. Stichtenoth, D.O., Thorén, S., Bian, H., et al. Microsomal prostaglandin E synthase is regulated by proinflammatory cytokines and glucocorticoids in primary rheumatoid synovial cells. J. Immunol. 167, 469-474 (2001).

3. Alisi, M.A., Cazzolla, N., Coletta, I., et al. 3-Aminocarbazole compound, pharmaceutical composition containing it and preparation method therefor. PCT/EP2009/055652, 1-38 (2009).

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