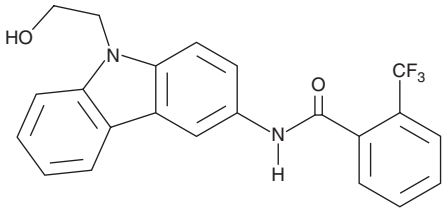
PRODUCT INFORMATION

AF3485

Item No. 9001962

CAS Registry No.:	1195786-61-8
Formal Name:	N-[9-(2-hydroxyethyl)-9H-carbazol-3-yl]-2-(trifluoromethyl)-benzamide
Synonym:	CAY10686
MF:	$C_{22}H_{17}F_3N_2O_2$
FW:	398.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 240, 281, 350 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

AF3485 is supplied as a crystalline solid. A stock solution may be made by dissolving the AF3485 in the solvent of choice. AF3485 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of AF3485 in these solvents is approximately 0.3, 10, and 30 mg/ml, respectively.

AF3485 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AF3485 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. AF3485 has a solubility of approximately 0.1 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Microsomal prostaglandin E synthase-1 (mPGES-1) converts the COX product PGH_2 (Item No. 17020) into the biologically active PGE_2 (Item No. 14010).[1] Like COX-2, the expression of mPGES-1 is induced in response to pro-inflammatory mediators, including LPS, IL-1β, and TNF-α.[2] AF3485 is a selective 3-aminocarbazole inhibitor of mPGES-1, blocking the synthesis of PGE_2 but not $PGF_{2\alpha}$ (Item No. 16010) in A549 cells stimulated with IL-1β (IC_{50}s = 2.9 and >100 µM, 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 prostaglandin E synthase: A microsomal, glutathione-dependent, inducible enzyme, constituting a potential novel drug target. *Proc. Natl. Acad. Sci. USA* **96**, 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. World Intellectual Property Organization - International Bureau Publication WO 2009/138376 A1 (2009), 1-38, PCT/EP2009/055652.

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