

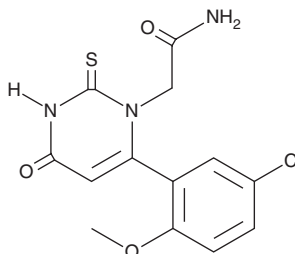
PRODUCT INFORMATION



PF-06282999

Item No. 28406

CAS Registry No.: 1435467-37-0
Formal Name: 6-(5-chloro-2-methoxyphenyl)-
3,4-dihydro-4-oxo-2-thioxo-
1(2H)-pyrimidineacetamide
MF: C₁₃H₁₂ClN₃O₃S
FW: 325.8
Purity: ≥95%
UV/Vis.: λ_{max}: 220, 276 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-06282999 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-06282999 in the solvent of choice, which should be purged with an inert gas. PF-06282999 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PF-06282999 in these solvents is approximately 30 mg/ml.

PF-06282999 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-06282999 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PF-06282999 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PF-06282999 is an irreversible inhibitor of myeloperoxidase (MPO; $K_i = 316.23$ nM in a cell-free assay).¹ It is selective for MPO over thyroid peroxidase (TPO) in a resorufin formation assay, as well as a panel of more than 50 enzymes, receptors, transporters, and ion channels at 100 μM. PF-06282999 inhibits MPO activity in isolated human whole blood with an IC_{50} value of 1.9 μM. It reduces the necrotic core area in atherosclerotic lesions by 37% in the aortic root of *Ldlr*^{-/-} mice fed a Western diet when administered at a dose of 15 mg/kg twice per day for 14 weeks.²

References

1. Ruggeri, R.B., Buckbinder, L., Bagley, S.W., *et al.* Discovery of 2-(6-(5-chloro-2-methoxyphenyl)-4-oxo-2-thioxo-3,4-dihydropyrimidin-1(2H)-yl)acetamide (PF-06282999): A highly selective mechanism-based myeloperoxidase inhibitor for the treatment of cardiovascular diseases. *J. Med. Chem.* **58(21)**, 8513-8523 (2015).
2. Roth Flach, R.J., Su, C., Bollinger, E., *et al.* Myeloperoxidase inhibition in mice alters atherosclerotic lesion composition. *PLoS One* **14(3)**, e0214150 (2019).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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