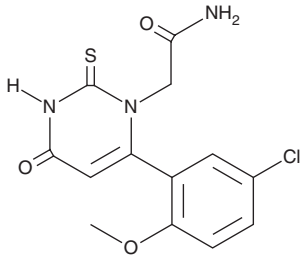
PRODUCT INFORMATION

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:	$C_{13}H_{12}ClN_3O_3S$
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).

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