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PRODUCT INFORMATION



Darapladib

Item No. 16429

CAS Registry No.: 356057-34-6

Formal Name: N-[2-(diethylamino)ethyl]-2-[[[4-fluorophenyl)methyl]thio]-4,5,6,7-tetrahydro-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-1H-cyclopentapyrimidine-1-acetamide

Synonym: SB-480848

MF: $C_{36}H_{38}F_4N_4O_2S$

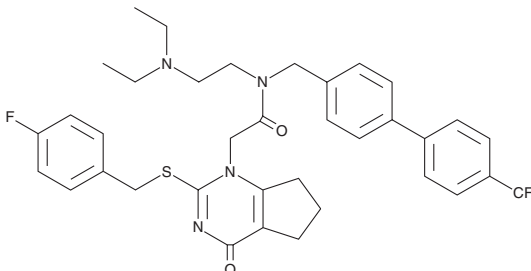
FW: 666.8

Purity: $\geq 98\%$

Stability: ≥ 2 years at -20°C

Supplied as: A crystalline solid

UV/Vis.: λ_{max} : 260 nm



Laboratory Procedures

For long term storage, we suggest that darapladib be stored as supplied at -20°C . It should be stable for at least two years.

Darapladib is supplied as a crystalline solid. A stock solution may be made by dissolving the darapladib in the solvent of choice. Darapladib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of darapladib in these solvents is approximately 20 mg/ml.

Darapladib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, darapladib should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Darapladib has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Lipoprotein-associated phospholipase A_2 (Lp-PLA₂), also known as platelet-activating factor acetylhydrolase (PAF-AH) or phospholipase A_2 group 7 (PLA2G7), hydrolyzes glycerophospholipids to produce lyso-PAF/lyso-phosphatidylcholine and short and/or oxidized fatty acids, many of which have pro-inflammatory or pro-oxidative activities.^{1,2} Darapladib is a reversible inhibitor of Lp-PLA₂ ($IC_{50} = 0.25$ nM).³ It produces sustained inhibition of plasma Lp-PLA₂ activity in humans receiving intensive atorvastatin therapy.⁴ Lp-PLA₂ inhibition with darapladib also reduces the development of coronary atherosclerotic plaques.^{5,6}

References

1. Marathe, G.K., Pandit, C., Lakshmikanth, C.L., et al. *J. Lipid Res.* **55**(9), 1847-1854 (2014).
2. Stafforini, D.M. and Zimmerman, G. *J. Lipid Res.* **55**(9), 1811-1814 (2014).
3. Wilensky, R.L., Shi, Y., Mohler, E.R.I., et al. *Nat. Med.* **14**(10), 1059-1066 (2008).
4. Mohler, E.R.I., Ballantyne, C.M., Davidson, M.H., et al. *J. Am. Coll. Cardiol.* **51**(17), 1632-1641 (2008).
5. Serruys, P.W., Garcka-Garcka, H.M., Buszman, P., et al. *Circulation* **118**(11), 1172-1182 (2008).
6. Wilensky, R.L., Shi, Y., Mohler, E.R.I., et al. *Nat. Med.* **14**(10), 1059-1066 (2008).

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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