PRODUCT INFORMATION



Fulacimstat

Item No. 37731

CAS Registry No.:	1488354-15-9	110
Formal Name:	1-(2,3-dihydro-3-methyl-2-oxo-6-	HOO
	benzoxazolyl)-3-[(1R)-2,3-dihydro-	Ť.
	4-(trifluoromethyl)-1H-inden-1-	
	yl]-1,2,3,4-tetrahydro-2,4-dioxo-	
	5-pyrimidinecarboxylic acid	H H H
Synonym:	BAY-1142524	
MF:	$C_{23}H_{16}F_{3}N_{3}O_{6}$	
FW:	487.4	
Purity:	≥98%	
Supplied as:	A solid	F
Storage:	-20°C	É F
Stability:	≥4 years	

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

Laboratory Procedures

Fulacimstat is supplied as a solid. A stock solution may be made by dissolving the fulacimstat in the solvent of choice, which should be purged with an inert gas. Fulacimstat is soluble in the organic solvent DMSO.

Description

Fulacimstat is an inhibitor of chymase ($IC_{50}s = 4$ and 3 nM for the human and hamster enzyme, respectively).¹ It reduces cardiac fibrosis induced by the β_1 -adrenergic receptor (B₁-AR) and β_2 -AR agonist isoprenaline (isoproterenol; Item No. 15592) in hamsters when administered at doses of 1, 3, and 10 mg/kg, Fulacimstat (2 and 5 mg/kg per day) inhibits microembolism-induced decreases in left ventricular ejection fraction and increases in left ventricular end-systolic volume, decreases in plasma levels of N-terminal pro-brain natriuretic peptide (nt-proBNP), TGF- β 1, and TNF- α , as well as the levels of interstitial fibrosis and the cross-sectional area of myocytes, a measure of myocyte hypertrophy, in a coronary microembolism-induced canine model of heart failure.²

References

- 1. Tinel, H., Zubov, D., Zimmermann, K., et al. Abstract 13624: A novel chymase inhibitor BAY 1142524 reduces fibrosis and improves cardiac function after myocardial infarction in hamster. Circulation 136(suppl. 1), A13624 (2017).
- 2. Sabbah, H.N., Gupta, R.C., and Tinel, H. Abstract 11585: Long-term therapy with a chymase-1 inhibitor (BAY 1142524) improves left ventricular systolic function and prevents progressive chamber remodeling in dogs with heart failure. Circulation 136(suppl. 1), A11585 (2017).

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

SAFFTY 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.

WARRANTY AND LIMITATION OF REMEDY

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1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897 [734] 971-3335 FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.CAYMANCHEM.COM