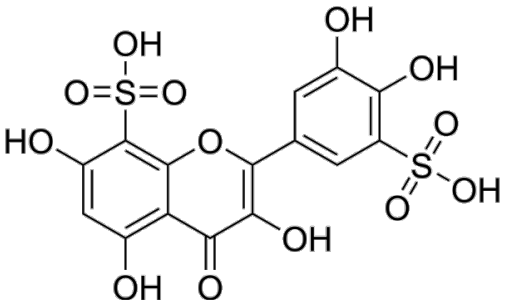
Product Data Sheet

Product Name: PSFL2915
Cat. No.: GC79535

Chemical Properties

Cas. No.	88134-39-8		
Formula	$C_{15}H_{10}O_{13}S_2$	M.Wt	462.36
Solubility	DMSO: 10 mg/mL (21.63 mM; ultrasonic and warming and heat to 60°C)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

PSFL2915 is a selective, orally active P2X receptor inhibitor with oral effectiveness, with an IC_{50} of 0.319 μM for human P2X3, 0.261 μM for rat P2X2/3, and 13.3 μM for human P2X2. PSFL2915 exhibits ~42-fold selectivity over human P2X2. PSFL2915 can be used for the research of chronic cough [1].

In Vivo, PSFL2915 (15 mg/kg; i.g.; twice daily; 7 days) produces significant cough-suppressant

activity in male C57BL/6 mice with ammonia-induced chronic cough, with potency equivalent to Gefapixant at the same dose[1]. PSFL2915 (10 mg/kg; i.g.; twice daily; 7 days) produces significant cough-suppressant activity in male Hartley guinea pigs with citric acid/ATP-induced chronic cough, with potency equivalent to Gefapixant at the same dose[1]. PSFL2915 (15 mg/kg; i.g.; twice daily; 7-10 days) does not impair taste perception in mice, as measured by two-bottle preference tests for bitter and sweet tastants[1]. PSFL2915 (10-20 mg/kg; i.p.) does not impair bitter taste perception in rats, as measured by two-bottle preference testing with quinine[1].

In Vitro, PSFL2915 (0.01-3 μM; 0.6 μM; 1 μM) is a noncompetitive, Mg2+-dependent allosteric inhibitor of hP2X3, with ~42-fold selectivity for hP2X3 over hP2X2, potent inhibition of rP2X2/3 heterotrimers, and low activity at other P2X subtypes[1]. PSFL2915 (1 μM) exerts inhibitory effects by targeting the inner pocket of the head domain of hP2X3, as evidenced by potent inhibition of the hP2X4 chimera containing this hP2X3 domain[1]. PSFL2915 (500 nM) prevents the ATP-induced conformational change of the inner pocket of the head domain in hP2X3, which is required for channel activation[1].

References:
[1]. Guo CR, et al. Chronic cough relief by allosteric modulation of P2X3 without taste disturbance. Nat Commun. 2023;14(1):5844. Published 2023 Sep 20.