

Product Datasheet

(E)-Elafibranor (orb1223095)

Catalog Number	orb1223095
Category	Small Molecules
Description	R112 is a Syk inhibitor. R112 inhibited degranulation induced by anti-IgE cross-linking in mast cells (tryptase release, effective concentration for 50% inhibition [EC(50)] = 353 nmol/L) or basophils (histamine release, EC(50) = 280 nmol/L), and by allergen (dust mite) in basophils (histamine release, EC(50) = 490 nmol/L). R112 also blocked leukotriene C4 production and all proinflammatory cytokines tested. Subsequent molecular characterization indicated that R112 is an ATP-competitive spleen tyrosine kinase (Syk) inhibitor (inhibitory constant [K(i)] = 96 nmol/L). (In Vitro): R112 (0.001-10 μM; 1 h) dose-dependently inhibits anti-IgE-mediated tryptase release and histamine release with EC50s of 0.353 and 0.28 μM, inhibits histamine release by basophils stimulated with an EC50 value of 0.49 μM, inhibits secretion of LTC4, TNF-α, GM-CSF and IL-8 with EC50s of 0.115, 2.01, 1.58 and 1.75 μM, respectively. R112 (0-10 μM; 40 min) inhibits Syk target LAT (Y191) phosphorylation.
Target	Syk
Purity	>98% (HPLC)
MW	312.3
Target Areas	Syk
Solubility (25°C)	DMSO : ≥ 41 mg/mL; 131.28 mM
CAS Number	575474-82-7
Formula	C ₁₆ H ₁₃ FN ₄ O ₂
SMILES	<chem>c1cc(cc(c1)O)Nc1nc(ncc1F)Nc1cc(ccc1)O</chem>
Chemical Name	3,3'-((5-fluoropyrimidine-2,4-diyl)bis(azanediyl))diphenol

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Storage	Storage temperature: -20°C. Stability: $\geq$ 2 years
Note	For research use only
Expiration Date	12 months from date of receipt.

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