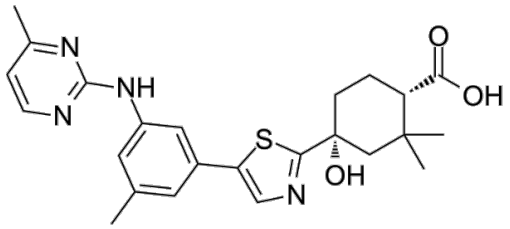
Product Data Sheet

Product Name: MRL-SYKi
Cat. No.: GC81330

Chemical Properties

Cas. No.	1312534-69-2		
Formula	$C_{24}H_{28}N_4O_3S$	M.Wt	452.57
Solubility		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

MRL-SYKi is a chemical probe for gain-of-function variants of spleen tyrosine kinase (SYK). MRL-SYKi reduces the catalytic activity of SYK S550Y, SYK S550F and SYK P342T, and downregulates the phosphorylation level of SYK S550Y. MRL-SYKi serves as a template for developing NanoBRET tracers targeting SYK, enabling NanoBRET cellular target engagement assays for gain-of-function variants of SYK. MRL-SYKi is applicable to research related to inflammatory and immune diseases [1].

In Vitro, MRL-SYKi potently inhibits purified SYK protein in cell-free enzymatic assays, with an IC_{50} o

30 nM[1]. MRL-SYKi (20 μM) binds to all tested NL-tagged SYK variants in digitonin-permeabilized HEK293 cells, with the highest potency against SYKS550Y (IC_{50} = 2.1 nM) and the lowest potency against SYKM450I (IC_{50} = 29 nM)[1]. MRL-SYKi (1-10 μM; 2 h) directly binds to NL-tagged SYKS550Y, SYKS550F, and SYKP342T in intact living HEK293 cells, with the highest potency against SYKS550Y (IC_{50} = 65 nM) and the lowest potency against SYKP342T (IC_{50} = 410 nM)[1]. MRL-SYKi (1.75-30 μM; 30 min) binds to NL-tagged SYK (WT) in digitonin-permeabilized HEK293 cells and increases its thermal stability, with a maximum ΔTm of 3.5 °C at the concentration of 30 μM[1]. MRL-SYKi (30 min) potently inhibits the catalytic activity of purified SYKS550F (IC_{50} = 63 nM) and SYKP342T (IC_{50} = 95 nM) in cell-free kinase activity assays[1]. MRL-SYKi (100 nM-10 μM; 20 h) reduces the phosphorylation level of SYKS550Y at Y525/526 in SW480 cells stably expressing SYKS550Y[1].

References:
[1]. Capener JL, et al. Development of SYK NanoBRET cellular target engagement assays for gain-of-function variants. Front Chem Biol. 2024;3:10.3389/fchbi.2024.1447622.

Caution: Product has not been fully validated for medical applications. For research use only.
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