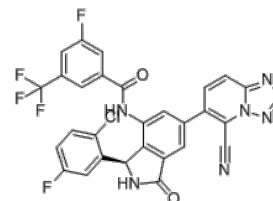


Product Name : RLY-2608
Cat. No. : PC-21298
CAS No. : 2733573-94-7
Molecular Formula : C₂₉H₁₄ClF₅N₆O₂
Molecular Weight : 608.91
Target : PI3K
Solubility : 10 mM in DMSO



CAS: 2733573-94-7

Biological Activity

RLY-2608 (RLY2608) is a first-in-class, allosteric, mutant-selective inhibitor of **PI3K α** with IC₅₀ of 4 nM (**PI3K α H1047R**), 12-fold selective over PI3K α WT.

RLY-2608 is active in cells against tail and helical domain PIK3CA mutations.

RLY-2608 is highly selective over PI3K isoforms and does not detectibly inhibit other kinases.

RLY-2608 (100 mg/kg) inhibits tumors in animal models with reduced impact on insulin levels.

RLY-2608 can maximally inhibit tumors driven by mutations impacting the regulation of PI3K α while mitigating the hyperglycemia adverse effect that result from inhibiting WT protein.

References

Varkaris A, et al. *Cancer Discov.* 2023 Nov 2. doi: 10.1158/2159-8290.CD-23-0944.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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