

Product Data Sheet

Product Name: RLY-2608

Cat. No.: GC73430

Chemical Properties

Cas. No. 2733573-94-7

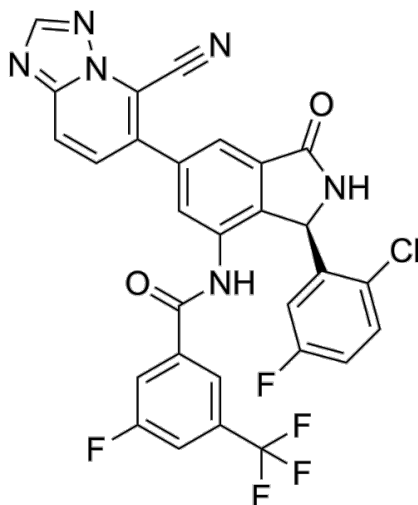
Formula $C_{29}H_{14}ClF_5N_6O_2$ M.Wt 608.91

Solubility DMSO : 100 mg/mL (164.23 mM; ultrasonic and warming and heat to 60°C) Storage -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

RLY-2608 is an orally active first-in-class allosteric mutant-selective inhibitor of PI3Ka with anti-tumor activity. RLY-2608 inhibits tumor growth in PIK3CA-mutant xenograft mice models with minimal impact on insulin.

RLY-2608 (1 nM-100 μ M, 5 days) inhibits the proliferation and phosphorylated AKT (pAKT) in PIK3CA mutant cancer cell lines independent of the hotspot mutation[2].

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

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RLY-2608 (25-100 mg/kg, p.o., twice a day for 50 days) inhibits tumors in tumor xenograft mice models with reduced impact on insulin levels[1]. RLY-2608 (12.5-100 mg/kg, p.o., a single dose for 4 days) demonstrates potent tumor growth inhibition in Balb/c nude female mice bearing HSC-2 tumors[2].

References:

[1]. Andreas Varkaris, et al. Discovery and clinical proof-of-concept of RLY-2608, a first-in-class mutant-selective allosteric PI3K α inhibitor that decouples anti-tumor activity from perinsulinemia. Cancer Discov. 2023 Nov 2.

[2]. Pazolli E, et al. RLY-2608: the first allosteric mutant-and isoform-selective inhibitor of PI3K α , is efficacious as a single agent and drives regressions in combination with standard of care therapies in PIK3CA mutant breast cancer models[J]. Cancer Res., 2022, 82. [3]. Perez C A, et al. First-in-human global multi-center study of RLY-2608, a pan-mutant and isoform-selective PI3K α inhibitor, as a single agent in patients with advanced solid tumors and in combination with fulvestrant in patients with advanced breast cancer[J]. 2022.

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