

Product Datasheet

RLY-4008

Catalog No. **BP-02029** · CAS **2549174-42-5** · Form **free base** · Physical state **solid** · Color **Off-white to light yellow** · Version **1.0** · Revision **2026-09-11**

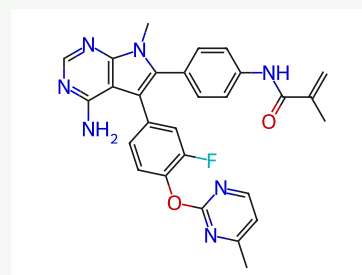
FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.

Target FGFR	Research area Cancer
-----------------------	--------------------------------

Molecular formula **C₂₈H₂₄N₇O₂**

Molecular weight **509.5343**

STRUCTURE



DESCRIPTION

RLY-4008 is a novel potent and highly selective FGFR2 inhibitor designed to overcome the emergence of on-target FGFR2 resistance mutations and dose-limiting toxicities associated with current pan-FGFR inhibitors. RLY-4008 is > 200-fold selective over FGFR1, and > 80- and > 5000-fold selective over FGFR3 and FGFR4, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Lirafugratinib (RLY-4008) has >250-fold selectivity over FGFR1, and >80- and >5,000-fold selectivity over FGFR3 and FGFR4, respectively. The reversible binding of Lirafugratinib promotes a rigid and extended P-loop in FGFR1 that disfavors covalent bond formation while minimally affecting the conformation of the P-loop in FGFR2, enabling efficient covalent bond formation and leading to FGFR2 selectivity.

Lirafugratinib (24h) induces dose-dependent cleavage of caspase-3 and poly (ADP-ribose) polymerase (PARP)-early markers of apoptosis.

Lirafugratinib (2h) demonstrates a dose-dependent reduction of phosphorylation of FGFR2 signaling pathway nodes, including FRS2, AKT, and ERK.

RLY-4008 inhibits cellular proliferation with IC₅₀<14 nM in FGFR2-dependent cell lines including KATO III, SNU-16 and NCI-H716, ICC13-7, and MFE-296, FGFR2N549K and AN3CA, FGFR2K310R; N549K and JHUEM-2, FGFR2C383R.

In Vivo

Lirafugratinib (RLY-4008; 1-30 mg/kg; orally, twice daily; 15-30 days) demonstrates antitumor activity in FGFR2-altered cancer xenograft models.

SOLUBILITY

Soluble in DMSO 62.5 mg/mL

REFERENCES

[1]. [1] Lescarbeau, Andre, et al. Preparation of substituted pyrrolopyrimidines as FGFR inhibitors and methods of making and using the same. WO2022109577.

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com

BiochemProbe is the catalog brand of Guangzhou Yuyuan Biotech Co., Ltd. · For research use only.

Lot CoA and SDS are separate documents.