

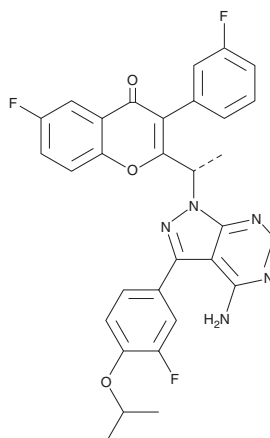
PRODUCT INFORMATION



TGR-1202

Item No. 32877

CAS Registry No.: 1532533-67-7
Formal Name: 2-[(1S)-1-[4-amino-3-[3-fluoro-4-(1-methylethoxy)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]ethyl]-6-fluoro-3-(3-fluorophenyl)-4H-1-benzopyran-4-one
Synonyms: RP 5264, Umbralisib
MF: C₃₁H₂₄F₃N₅O₃
FW: 571.6
Purity: ≥98%
UV/Vis.: λ_{max}: 232, 291 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TGR-1202 is supplied as a crystalline solid. A stock solution may be made by dissolving the TGR-1202 in the solvent of choice, which should be purged with an inert gas. TGR-1202 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of TGR-1202 in these solvents is approximately 1 mg/ml.

Description

TGR-1202 is an inhibitor of PI3K p110δ (IC₅₀ = 22 nM).¹ It is selective for p110δ over p110α, p110β, and p110γ (IC₅₀s = >10,000, 1,116, and 1,065 nM, respectively).^{1,2} TGR-1202 induces apoptosis in patient-derived chronic lymphocytic leukemia lymphocytes when used at concentrations ranging from 0.1 to 25.6 μM.³ *In vivo*, TGR-1202 (150 mg/kg) reduces tumor growth in a MOLT-4 T cell acute lymphoblastic leukemia (T-ALL) mouse xenograft model.²

References

1. Lampon, B.L. and Brown, J.R. PI3Kδ-selective and PI3Kα/δ-combinatorial inhibitors in clinical development for B-cell non-Hodgkin lymphoma. *Expert Opin. Investig. Drugs* **26(11)**, 1267-1279 (2017).
2. Deng, C., Lipstein, M.R., Scotto, L., *et al.* Silencing c-Myc translation as a therapeutic strategy through targeting PI3Kδ and CK1ε in hematological malignancies. *Blood* **129(1)**, 88-99 (2017).
3. Friedman, D.R., Lanasa, M.C., Brander, D.M., *et al.* Comparison of the PI3K-δ inhibitors TGR1202 and GS-1101 in inducing cytotoxicity and inhibiting phosphorylation of Akt in CLL cells *in vitro*. *Blood* **120(21)**, 3914 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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