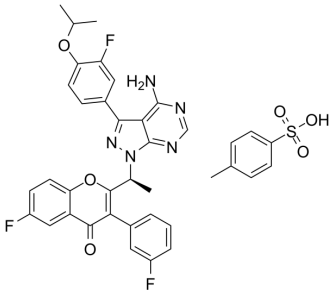
Umbralisib tosylate

Cat. No.:	HY-12279A
CAS No.:	1532533-72-4
Molecular Formula:	$C_{38}H_{32}F_3N_5O_6S$
Molecular Weight:	743.75
Target:	PI3K; Casein Kinase
Pathway:	PI3K/Akt/mTOR; Cell Cycle/DNA Damage; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Umbralisib (TGR-1202) tosylate is an orally active, potent and selective dual PI3Kδ and casein kinase-1-ε (CK1ε) inhibitor, with EC_{50} of 22.2 nM and 6.0 μM, respectively. Umbralisib tosylate exhibits unique immunomodulatory effects on chronic lymphocytic leukemia (CLL) T cells. Umbralisib tosylate can be used for haematological malignancies reseach[1][2][3][4].

IC_{50} & Target

PI3Kδ 6.2 nM (Kd)	CKIε 180 nM (Kd)	PI3Kγ 1400 nM (Kd)	PI3Kβ >10000 nM (Kd)
PI3Kα >10000 nM (Kd)			

In Vitro

Umbralisib tosylate causes a half-maximal inhibition of human whole blood CD19 cell proliferation between 100-300 nM[3].
Umbralisib tosylate (10 nM-100 μM) inhibits phosphorylated AKT at Ser473 in a concentration-dependent manner in human lymphoma and leukemia cell lines[4].
Umbralisib tosylate (15-50 μM) potently represses the expression of c-Myc in the DLBCL cell line LY7, and is uniquely characterized with structural features suitable for targeting CK1ε in lymphoma cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Umbralisib tosylate (150 mg/kg, daily p.o.) significantly shrinks the tumors by day 25 in a subcutaneous xenograft model of T-cell acute lymphoblastic leukemia (T-ALL) in NOD/SCID mice using the MOLT-4 cell line[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2020 Nov 25;63(22):13973-13993.

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REFERENCES

[1]. Maharaj K, et al. The dual PI3Kδ/CK1ε inhibitor umbralisib exhibits unique immunomodulatory effects on CLL T cells. Blood Adv. 2020 Jul 14;4(13):3072-3084.

[2]. Burris HA 3rd, et al. Umbralisib, a novel PI3Kδ and casein kinase-1ε inhibitor, in relapsed or refractory chronic lymphocytic leukaemia and lymphoma: an open-label, phase 1, dose-escalation, first-in-human study. Lancet Oncol. 2018 Apr;19(4):486-496.

[3]. Swaroop Vakkalankaa, et al. Inhibition of PI3Kδ kinase by a selective, small molecule inhibitor suppresses B-cell proliferation and leukemic cell growth.

[4]. Deng C, et al. Silencing c-Myc translation as a therapeutic strategy through targeting PI3Kδ and CK1ε in hematological malignancies. Blood. 2017 Jan 5;129(1):88-99.

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