

Data Sheet

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Product Name : TGR-1202 hydrochloride

Cat. No. : PC-42942 **CAS No.** : 1532533-78-0 **Molecular Formula** : C₃₁H₂₅ClF₃N₅O₃

Molecular Weight : 608.0101 Target : PI3K

Solubility : DMSO: 100 mM (60.8 mg/mL)

Biological Activity

TGR-1202 (RP5264,TGR1202,Umbralisib) hydrochloride is a potent, selective, orally available **PI3K6** inhibitor with IC50 of 22 nM, 50-fold selectivity over PI3K α / β and >10,000 fold over PI3K γ .

TGR-1202 enhances Brentuximab Vedotin-induced Hodgkin lymphoma cell death via mitotic arrest, demonstrates highly synergistic effect with the proteasome inhibitor carfilzomib in lymphoma, leukemia, and myeloma cell lines and primary lymphoma and leukemia cells.

TGR-1202 synergistically disrupts the 4E-BP1-eIF4F-c-Myc axis with carfilzomib, also potently inhibits 60% of the activity of CK1ɛ at 1uM.

References

Locatelli SL, et al. *Leukemia*. 2016 Dec;30(12):2402-2405.

Deng C, et al. *Blood*. 2017 Jan 5;129(1):88-99.

Burris HA 3rd, et al. *Lancet Oncol.* 2018 Feb 20. pii: S1470-2045(18)30082-2.

Lampson BL, et al. *Expert Opin Investig Drugs*. 2017 Nov;26(11):1267-1279.

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

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