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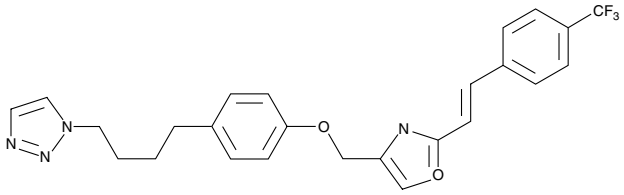
Product Information

Mubritinib

Item No. 12096

CAS Registry No.: 366017-09-6
Formal Name: 1-[4-[4-[[2-[(1E)-2-[4-(trifluoromethyl)phenyl]ethenyl]-4-oxazolyl]methoxy]phenyl]butyl]-1H-1,2,3-triazole
Synonym: TAK-165
MF: $C_{25}H_{23}F_3N_4O_2$
FW: 468.5
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 222, 306 nm



Laboratory Procedures

For long term storage, we suggest that mubritinib be stored as supplied at -20°C. It should be stable for at least two years.

Mubritinib is supplied as a crystalline solid. A stock solution may be made by dissolving the mubritinib in the solvent of choice. Mubritinib is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of mubritinib in these solvents is approximately 5 mg/ml.

Mubritinib is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Mubritinib is a selective inhibitor of the human epidermal growth factor receptor 2 (HER2), inhibiting HER2 phosphorylation with an IC_{50} value of 6 nM.[1] It is without effect on EGFR, FGFR, PDGFR, JAK1, Src, and Blk (IC_{50} > 25 µM).[1] Mubritinib inhibits the proliferation of breast, bladder, kidney, and prostate cancer cells *in vitro* and *in vivo*.[1,2]

References

1. Nagasawa, J., Mizokami, A., Koshida, K., *et al.* Novel HER2 selective tyrosine kinase inhibitor, TAK-165, inhibits bladder, kidney and androgen-independent prostate cancer *in vitro* and *in vivo*. *Int. J. Urol.* **13**, 587-592 (2006).
2. Sridhar, S.S., Seymour, L., and Shepherd, F.A. Inhibitors of epidermal-growth-factor receptors: A review of clinical research with a focus on non-small-cell lung cancer. *Lancet Oncol.* **4(7)**, 397-406 (2003).

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