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# Data Sheet

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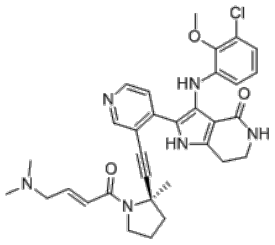
|---|---|---|
| **Product Name** | : | STX-721 |
| **Cat. No.** | : | PC-21322 |
| **CAS No.** | : | 2765525-82-2 |
| **Molecular Formula** | : | $C_{32}H_{35}ClN_6O_3$ |
| **Molecular Weight** | : | 587.12 |
| **Target** | : | EGFR |
| **Solubility** | : | 10 mM in DMSO |



CAS: 2765525-82-2

1. Milgram BC, ***J Med Chem***. 2025 Jan 17. doi: 10.1021/acs.jmedchem.4c02377.

## Biological Activity

STX-721 is a potent, selective, irreversible, orally active inhibitor of **EGFR/HER2 ex20ins mutants** with IC50 of 5.4 nM and 5.8 nM for cellular Ba/F3 EGFR ex20insASV and insSVD mutant activity, respectively.
STX-721 demonstrates excellent selectivity vs WT-EGFR (24- and 22-fold, respectively), approaching osimertinib's level of selectivity for EGFR L858R/T790 M (29-fold).
STX-721 retains good biochemical EGFR ex20insNPG activity, selectivity over WT-EGFR, and excellent kinome selectivity (S(10) at 3 μM = 0.027).
STX-721 (30 mg/kg BID) resulted in 52% TGI, while 100 mg/kg QD afforded 100% tumor regression over 7 days of dosing in mouse Ba/F3 EGFR ex20insASV allograft model, with minimal (<6%) body weight loss in the animals.
STX-721 dosed orally results in selective regression of EGFR ex20insSVD tumor xenografts relative to EGFR WT control xenografts.

## References