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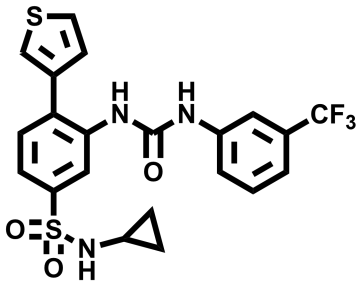
# Mutant IDH2 Inhibitor - AGI-6780

**Chemical Name:** N-cyclopropyl-4-(thiophen-3-yl)-3-(3-(3-(trifluoromethyl)phenyl)ureido)benzenesulfonamide



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|---|---|
| Molecular Weight: | 481.51 |
| Formula: | $C_{21}H_{18}F_3N_3O_3S_2$ |
| Purity: | ≥98% |
| CAS#: | 1432660-47-3 |
| Solubility: | DMSO up to 50 mM |
| Storage | Powder: 4 °C 1 year<br>DMSO: 4 °C 3 month<br>-20 °C 1 year |

**Biological Activity:**

AGI-6780 is the first highly potent and selective small molecule inhibitor of mutant IDH2, which binds in an allosteric manner at the dimer interface of mutant IDH2-R140Q. It inhibits IDH2-R140Q in vitro with an $IC_{50}$ ~23 nM and inhibits 2-HG formation in human glioblastoma U87 and TF-1 cells expressing IDH2-R140Q with $IC_{50}$ < 20 nM. AGI-6780 can reverse the differentiation blockade in TF-1 cells conferred by IDH2-R140Q, and induce blast differentiation in primary human IDH2-R140Q AML patient samples. It provides proof-of-concept that inhibitors targeting mutant IDH2-R140Q could have potential applications as a differentiation therapy for cancer.

**How to Use:**

**In vitro:** AGI-6780 was suggested to be used at 1-5 μM final concentration in vitro.

**In vivo:** n/a

**Reference:**

1. Fang Wang, et al. Targeted Inhibition of Mutant IDH2 in Leukemia Cells Induces Cellular Differentiation. (2013) Science. 340(6132):622-6.