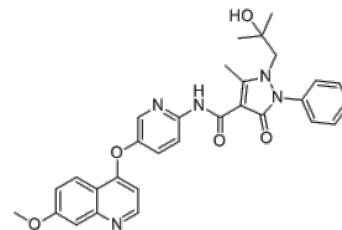


**Product Name** : AMG-458  
**Cat. No.** : PC-36035  
**CAS No.** : 913376-83-7  
**Molecular Formula** : C<sub>30</sub>H<sub>29</sub>N<sub>5</sub>O<sub>5</sub>  
**Molecular Weight** : 539.592  
**Target** : c-Met (HGFR)  
**Solubility** : 10 mM in DMSO



## Biological Activity

AMG-458 (AMG458) is a potent, selective, orally bioavailable **c-Met** inhibitor with  $K_i$  of 1.2 nM (human c-Met). AMG-458 also potently binds to mutant c-Met (H1094R), c-Met (V1092I) and c-Met (D1228H) with  $K_i$  values of 0.5-2.2 nM. AMG-458 inhibits HGF-mediated c-Met phosphorylation in PC3 and CT26 cells with  $IC_{50}$  of 60 and 120 nM, abrogates the constitutive phosphorylation of c-Met in H441 cells. AMG-458 significantly inhibits tumor growth in the NIH3T3/TPR-Met and U-87 MG xenograft models.

## References

- Liu L, et al. *J Med Chem*. 2008 Jul 10;51(13):3688-91.  
Tiedt R, et al. *Cancer Res*. 2011 Aug 1;71(15):5255-64.  
van den Heuvel CNAM, et al. *Mol Cancer Res*. 2017 Nov;15(11):1587-1597.

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

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