

产品名称： 7-methoxy-4-((6-phenyl-[1,2,4]triazolo[4,3-b]pyridazin-3-yl)methoxy)quinoline
 产品别名： AMG-208

生物活性：

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| 生物活性: | | | | | |
| Description | AMG-208 is a potent small molecular c-Met inhibitor with an IC50 of 9.3 nM. IC50 value: 9.3 nM Target: c-Met in vitro: AMG-208 shows the potent inhibition of kinase c-Met activity with IC50 of 9 nM in a cell-free assay. Besides, AMG-208 treatment also leads to the inhibition of HGF-mediated c-Met phosphorylation in PC3 cells with IC50 of 46 nM [1]. Pre-incubation of AMG-208 with human liver microsomes for 30 minutes shows a potent time-dependent inhibition for CYP3A4 metabolic activity with IC50 of 4.1 μM, which is an eightfold decrease relative to the IC50 (32 μM) without preincubation [2]. AMG-208 is identified to be a c-MET and RON dual selective inhibitor [3]. in vivo: In male Sprague Dawley rats, AMG-208 (0.5 mg/kg i.v.) shows a high bioavailability with Cl of 0.37 L/h/kg, Vss of 0.38 L/kg and T1/2 of 1 hour[1]. | | | | |
| Solvent&Solubility | <i>In Vitro:</i> DMSO : 7.8 mg/mL (20.34 mM; Need ultrasonic and warming) | | | | |
| | | <div><div>Solvent</div><div>Mass</div><div>Concentration</div></div> | 1 mg | 5 mg | 10 mg |
| | Preparing | 1 mM | 2.6082 mL | 13.0412 mL | 26.0824 mL |
| | Stock Solutions | 5 mM | 0.5216 mL | 2.6082 mL | 5.2165 mL |
| | | 10 mM | 0.2608 mL | 1.3041 mL | 2.6082 mL |
| <p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。</p> | | | | | |
| References | <p>[1]. Albrecht BK, et al. <u>Discovery and optimization of triazolopyridazines as potent and selective inhibitors of the c-Met kinase</u>. J Med Chem. 2008, 51(10), 2879-2882.</p> <p>[2]. Boezio AA, et al. <u>Discovery and optimization of potent and selective triazolopyridazine series of c-Met inhibitors</u>. Bioorg Med Chem Lett. 2009, 19(22), 6307-6312.</p> <p>[3]. Liu X, et al. <u>Developing c-MET pathway inhibitors for cancer therapy: progress and challenges</u>. Trends Mol Med. 2010,16(1), 37-45.</p> | | | | |

源叶生物