Product Datasheet

AMG PERK 44

Catalog No. **BP-02173** · CAS **1883548-84-2** · Form **free base** · Physical state **solid** · Color **Light yellow to yellow** · Version **1.0** · Revision **2026-09-04**

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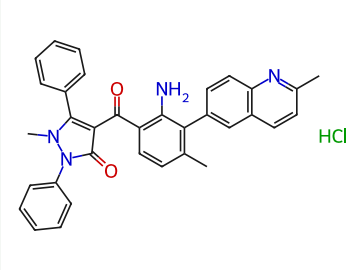
Target	Research area
PERK; Autophagy	**Cancer**

Molecular formula	$C_{34}H_{29}ClN_4O_2$
Molecular weight	**561.0730**

STRUCTURE



DESCRIPTION

AMG PERK 44 is an orally active and highly selective PERK inhibitor with an IC50 of 6 nM. AMG PERK 44 has 1000-fold and 160-fold selectivity over GCN2 (IC50=7300 nM) and B-Raf (IC50 >1000 nM), respectively. AMG PERK 44 induces autophagy[1][2].

BIOLOGICAL ACTIVITY

In Vitro

AMG PERK 44 has an IC50 of 84 nM for cell pPERK.

In Vivo

AMG PERK 44 (orally; 3-100 mg/kg) robustly inhibits PERK autophosphorylation in this assay (ED50=3 mg/kg; ED90=60 mg/kg at the 4 hours time point), and >50% target coverage is maintained for 24 h in a time course PD assay when dosed at 100 mg/kg po.

AMG PERK 44 (iv; 1 mg/kg) has a CL of 1.6 L/h kg, a Vss of 3.6 L/kg and MRT of 2.3 hours in Sprague-Dawley rats and male CD-1 mice.

SOLUBILITY

soluble in DMSO

REFERENCES

[1]. Smith AL, et al. Discovery of 1H-pyrazol-3(2H)-ones as potent and selective inhibitors of protein kinase R-like endoplasmic reticulum kinase (PERK). J Med Chem. 2015 Feb 12;58(3):1426-41.

[2]. Roest G, et al. The ER Stress Inducer l-Azetidine-2-Carboxylic Acid Elevates the Levels of Phospho-eIF2α and of LC3-II in a Ca2+-Dependent Manner. Cells. 2018 Nov 30;7(12). pii: E239.

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