

## **Data Sheet**

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Product Name : AMG-3969

Cat. No. : PC-43024

CAS No. : 1361224-53-4

Molecular Formula : C<sub>21</sub>H<sub>20</sub>F<sub>6</sub>N<sub>4</sub>O<sub>3</sub>S

Molecular Weight : 522.4639

Target : Glucokinase

Solubility : 10 mM in DMSO

## **Biological Activity**

AMG-3969 is a potent, metabolically stable Glucokinase (GK)-**GKRP** (GK regulatory protein) disruptor with IC50 of 4 nM, does not block the GK binding site directly.

AMG-3969 exhibits robust glucose lowering in diabetic mouse models.

AMG-3969 is highly effective in promoting carbohydrate substrate use, demonstrates a robust pharmacodynamic response (GK translocation, mGKGK translocation EC50=0.2 uM) as well as statistically significant dose-dependent reductions in fed blood glucose levels.

## References

Lloyd DJ, et al. *Nature*. 2013 Dec 19;504(7480):437-40.

St Jean DJ Jr, et al. *J Med Chem*. 2014 Jan 23;57(2):325-38.

Nishimura N, et al. *J Med Chem*. 2014 Apr 10;57(7):3094-116.

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

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