

1H-1,2,4-Triazole-3,5-diamine, 1-(6,7-dihydro-5H-benzo [6,7]cyclohepta[1,2-c]pyridazin-3-yl)-N3-[(7S)-6,7,8,9-tetrahydro-7-(1-pyrrolidinyl)-5H-benzocyclohepten-2-yl]-

CAS Registry No.: 1037624-75-1

**Smiles String:**

C1CCN(C1)[C@H]2CCC3=C(CC2)C=C(C=C3)NC4=NN(C(=N4)N)C5=NN=C6C(=C5)C7CC7=CC=CC=C7

Molecular Weight: 506.64

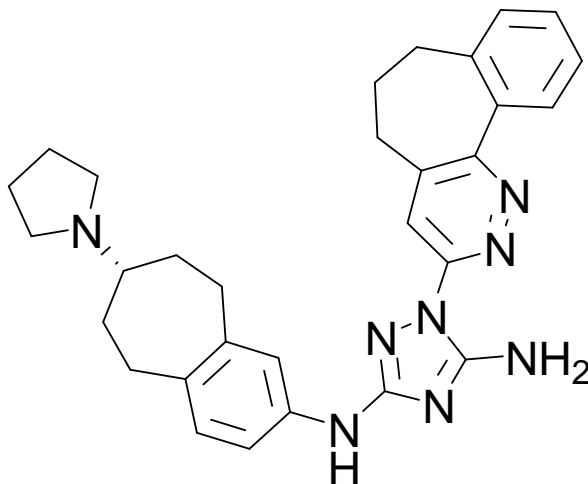
Molecular Formula: C<sub>30</sub>H<sub>34</sub>N<sub>8</sub>

Lot Number: Refer to vial

<sup>1</sup>H-NMR: Available on request

HPLC (Purity): > 95.0% @ 254 nm

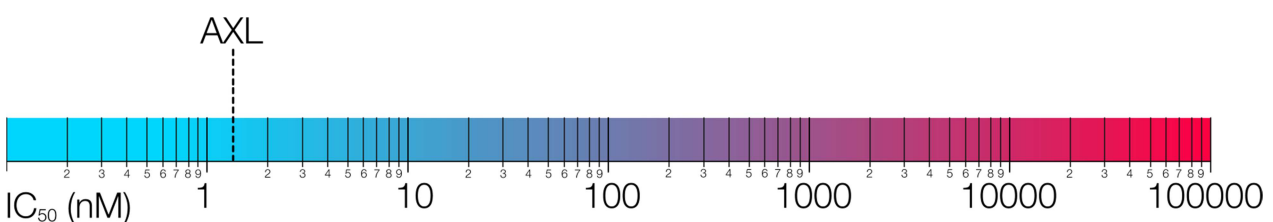
ES-MS: Available on request



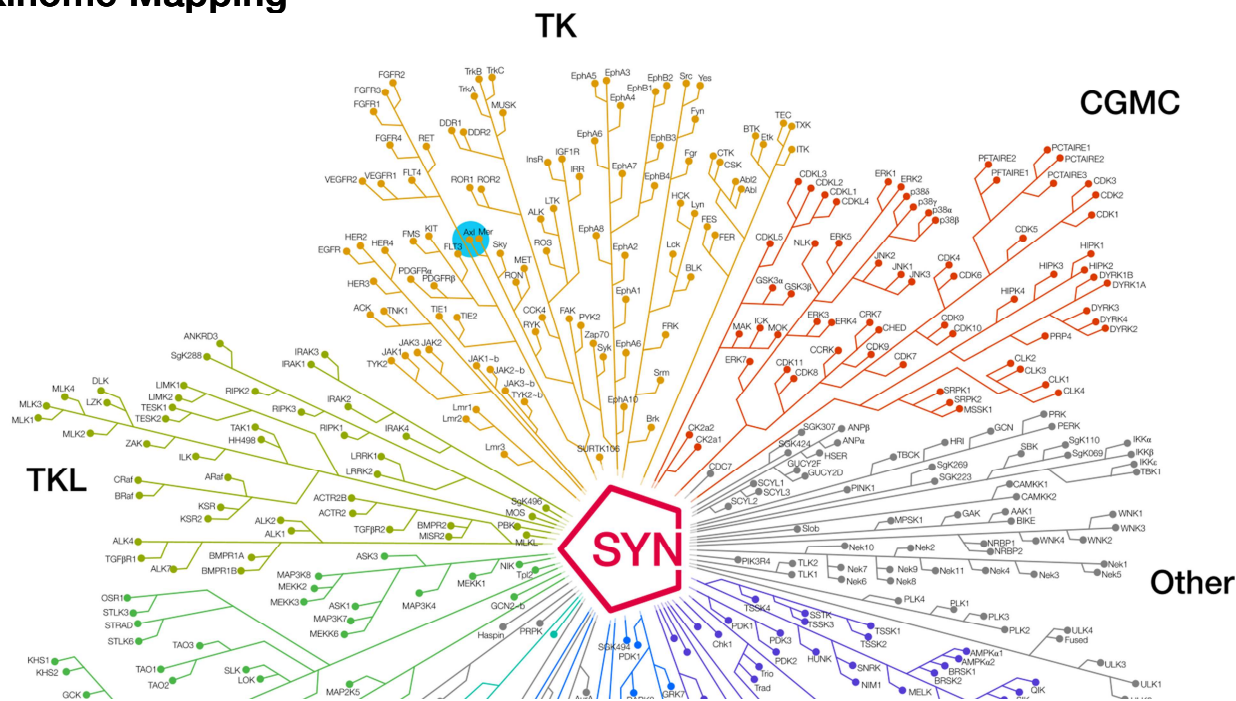
## Description:

R428 is a selective inhibitor of the receptor tyrosine kinase AXL. R428 blocks the catalytic and procancerous activities of AXL in vitro. R428 inhibits AXL at low nanomolar values and blocked AXL-dependent events, including Akt phosphorylation, breast cancer cell invasion, and proinflammatory cytokine production. Reported IC<sub>50</sub> values are 11-14nM.

## Biological Activity



# Kinome Mapping



## Shipping and Storage Temperature

### Shipping:

Ambient

### Storage:

2 years -20C, Powder 1 month, -4C in DMSO, More than one month -80C in DMSO

## Solubility

DMSO 60mg/mL, Ethanol 1mg/mL

## Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.5066	5.0664	10.1328	25.3320

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## References

1. Mollard A, Warner SL, Call LT, Wade ML, Bearss JJ, Verma A, Sharma S, Vankayalapati H, Bearss DJ. Design, Synthesis and Biological Evaluation of a Series of Novel Axl Kinase Inhibitors. ACS Med Chem Lett. 2011 Dec 8;2(12):907-912.
2. Holland SJ, Pan A, Franci C, Hu Y, Chang B, Li W, Duan M, Torneros A, Yu J, Heckrodt TJ, Zhang J, Ding P, Apatira A, Chua J, Brandt R, Pine P, Goff D, Singh R, Payan DG, Hitoshi Y. R428, a selective small molecule inhibitor of Axl kinase, blocks tumor spread and prolongs survival in models of metastatic breast cancer. Cancer Res. 2010 Feb 15;70(4):1544-54. doi: 10.1158/0008-5472.CAN-09-2997. Epub 2010 Feb 9.

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## Ordering Information

To order more of this or any other SYNkinase compound, go to [synkinase.com](http://synkinase.com), Call us Toll Free (US Only) at 1- 877-854-6273 or email [orders@synkinase.com](mailto:orders@synkinase.com).

Product Datasheet (Rev. 1.1)