

HG-7-85-01 biochemical

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CATALOG #
AAA227552

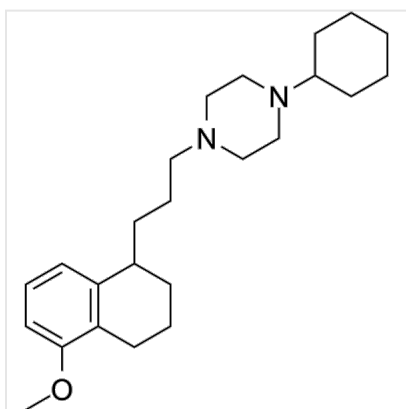
PRODUCT SYNONYMS

HG-7-85-01; HG-7-85-01 biochemical

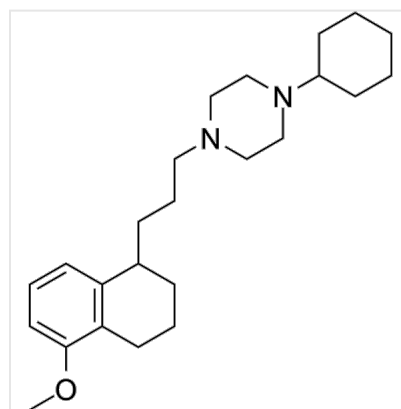
PRODUCT SPECIFICATIONS

Purity/Purification	98%
CAS	1258391-13-7
Targets&IC50	JAK1:120 nM (IC50), MK5:560 nM (IC50), PDGFRalpha:440 nM (IC50), KDR:20 nM (IC50), RET:30 nM (IC50), Bcr-Abl T315I:3 nM (IC50)
Solubility	<1mg/ml refers to the product slightly soluble or insoluble
In vitro	HG-7-85-01 has limited oral bioavailability (BAV % F mouse = 5 %, rat = 19 %), a moderate half life (T 1/2 mouse =1.1 h rat = 5.8 hours), a relative low maximal serum concentration (C max mouse = 106 ng/mL at 10 mg/kg, rat = 292 ng/mL and 2 mg/kg) and a relatively high clearance (Cl mouse = 23 mL/min/kg, rat = 13 mL/min/kg)[1].
Preparation and Storage	Powder: -20 degree C for 3 years In solvent: -80 degree C for 2 years

IMAGES



Structure
PB28, CAS 172906-90-0



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ADDITIONAL INFORMATION

Related Product Information for HG-7-85-01 biochemical

HG-7-85-01 is a type II ATP competitive inhibitor of wild-type and gatekeeper mutations forms of Bcr-Abl, PDGFRalpha, Kit, and Src kinases. HG-7-85-01 inhibits T315I mutant Bcr-Abl kinase, KDR and RET with IC 50 s of 3 nM, 20 nM and 30 nM, and is only weak or no inhibition of other kinases (IC 50 2 uM). HG-7-85-01 inhibits the cell proliferation, which is mediated by the induction of apoptosis, and inhibition of cell-cycle progression.

References

1. Amalia Azzariti, et al. Cyclohexylpiperazine Derivative PB28, a sigma2 Agonist and sigma1 Antagonist Receptor, Inhibits Cell Growth, Modulates P-glycoprotein, and Synergizes With Anthracyclines in Breast Cancer. *Mol Cancer Ther.* 2006 Jul;5(7):1807-16.
2. Maria Laura Pati, et al. Sigma-2 Receptor Agonist Derivatives of 1-Cyclohexyl-4-[3-(5-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)propyl]piperazine (PB28) Induce Cell Death via Mitochondrial Superoxide Production and Caspase Activation in Pancreatic Cancer. *BMC Cancer.* 2017 Jan 13;17(1):51.
3. Nicola A Colabufo, et al. A New Method for Evaluating sigma(2) Ligand Activity in the Isolated Guinea-Pig Bladder. *Naunyn Schmiedeberg's Arch Pharmacol.* 2003 Aug;368(2):106-12.
4. Francesco Berardi, et al. Exploring the Importance of Piperazine N-atoms for sigma(2) Receptor Affinity and Activity in a Series of Analogs of 1-cyclohexyl-4-[3-(5-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)propyl]piperazine (PB28). *J Med Chem.* 2009 Dec 10;52(23):7817-28.
5. David E Gordon, et al. A SARS-CoV-2-Human Protein-Protein Interaction Map Reveals Drug Targets and Potential Drug-Repurposing. *bioRxiv.* 2020 Mar 22;2020.03.22.002386.

For Research Use Only. Not for use in diagnostic or therapeutic procedures. Small volumes of product may become entrapped in the seal of the vial during shipment and storage; if necessary, briefly centrifuge the vial on a tabletop centrifuge to dislodge any liquid in the cap.

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Online datasheet: <https://www.aaabiotech.com/biochemical/hg-7-85-01/227552>