

Product Data Sheet

Product Name: TRC 051384

Cat. No.: GC10481

Chemical Properties

Cas. No. 867164-40-7

Chemical Name (Z)-N'-(2-morpholinoethyl)-N-(4-((E)-3-(6-morpholinopyridin-2-yl)acryloyl)phenyl)carbamimidic acid

SMILES O=C(C1=CC=C(N/C(O)=N/CCN2CCOCC2)C=C1)/C([H])=C([H])/C3=NC(N4CCOCC4)=CC=C3

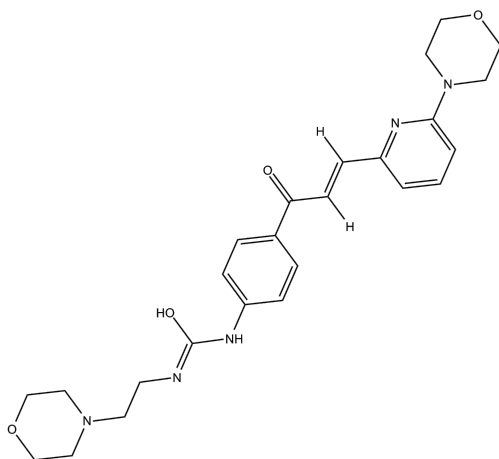
Formula $C_{25}H_{31}N_5O_4$ M.Wt 465.54

Solubility DMSO : ≥ 100 mg/mL (214.80 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell experiment: HeLa cell transiently co-transfected with heat shock elements-luciferase reporter and normalization vector, β -galactosidase are treated with vehicle or TRC051384 (12.5 and 25 μ M) for 4 hours. Cell lysates are then prepared and analyzed for luciferase and β -galactosidase activity[1].

Animal experiment: Rats: Injured hemispheres from vehicle treated animals and TRC051384 treated animals are collected at 10-hour post-initiation of tMCAo. Total RNA from each brain sample is extracted followed by cDNA preparation. Each sample of cDNA is analyzed[1].

References:

[1]. Mohanan A, et al. Delayed intervention in experimental stroke with TRC051384--a small molecule HSP70 inducer. *Neuropharmacology*. 2011 May;60(6):991-9.

Background

Target: Hsp70

IC50: N/A

TRC 051384, belonging to substituted 2-propen-1-one class, is a potent inducer of heat shock protein 70 (HSP70) [1]. Induction of HSP70 is a natural response of stressed cells that protects neuronal cells from a variety of insults including acute ischemia. Therefore, induction of HSP70 provides a potential target for the treatment of stroke [1].

In vitro: Induction of HSP70 by TRC 051384 (6.25, and 12.5 μ M) involved heat shock factor-1 (HSF1) activation in HeLa cells and led to increasing chaperone and anti-inflammatory activity [1]. Moreover, TRC 051384 (10-50 μ M) dose-dependently induced HSPA1B overexpression in endothelial cells as well as leucocyte origin [2].

In vivo: TRC 051384 (9 mg/kg, intra-peritoneal administration) treatment significantly decreased stroke-associated neuronal injury, disability, and increased survival in a rat model of transient

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ischemic stroke [1]. In addition, TRC 051384 (9 mg/kg, intra-peritoneal administration) delayed thrombus formation without increasing bleeding risk in WT mice [2].

References:

1. Mohanan A, Deshpande S, Jamadarkhana PG, Kumar P, Gupta RC, Chauthaiwale V, et al. Delayed intervention in experimental stroke with TRC 051384--a small molecule HSP70 inducer. *Neuropharmacology*. 2011;60(6):991-9.
2. Allende M, Molina E, Guruceaga E, Tamayo I, Gonzalez-Porrás JR, Gonzalez-Lopez TJ, et al. Hsp70 protects from stroke in atrial fibrillation patients by preventing thrombosis without increased bleeding risk. *Cardiovasc Res*. 2016;110(3):309-18.

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