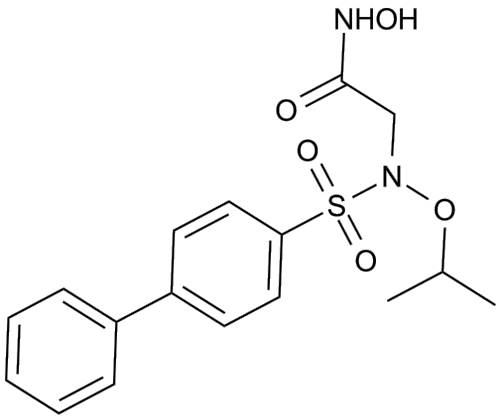
Product Data Sheet

Product Name: ARP 100
Cat. No.: GC13487

Chemical Properties

Cas. No.	704888-90-4		
Chemical Name	N-hydroxy-2-[(4-phenylphenyl)sulfonyl-propan-2-yloxyamino]acetamide		
SMILES	CC(C)ON(CC(=O)NO)S(=O)(=O)C1=CC=C(C=C1)C2=CC=CC=C2		
Formula	$C_{17}H_{20}N_2O_5S$	M.Wt	364.42
Solubility	25mg/mL in DMSO, 25mg/mL in DMF, 30mg/mL in Ethanol	Storage	Desiccate 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



Background

ARP 100 is a selective inhibitor of matrix metalloproteinases 2 (MMP-2) with IC50 value of 12 nM [1]. Proteins of the matrix metalloproteinase (MMP) family are involved in the breakdown of extracellular matrix in normal physiological processes, such as embryonic development, reproduction, and tissue remodeling, as well as in disease processes, such as arthritis and metastasis (http://en.wikipedia.org/wiki/MMP2). ARP 100 is a selective inhibitor of matrix metalloproteinases 2

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(MMP-2).
In vitro: As a potent derivative of N-arylsulfonyl-N-alkoxyaminoacetohydroxamic acids, ARP 100, shows similar anti-invasive properties to the analogue reference drug CGS27023A, in an in vitro model of invasion on matrigel, carried out on cellular lines of fibrosarcoma HT1080 (tumoural cells over-expressing MMP-2 and MMP-9) [1].
In silico: ARP 100 proved to be practically inactive in the MMP-1; this was probably due to the presence of the biphenyl group, since this substituent was not able to interact in the S10 pocket, and this fact determined the loss of the interaction of the oxygen atoms of the sulfonamido group with Ala182 and Leu181. ARP 100 was also found to be the most selective ligand of the four analyzed, and this fact could be due to the presence of a large P10 group, rigid and unable to form H bonds, or to interact with the MMP-1-S10 pocket. This analysis suggested that in order to maintain the MMP-2/MMP-1 selectivity, the presence of the biphenyl group as a P10 substituent could be very useful [2].
Clinical trial: ARP 100 is currently in the preclinical development and no clinical trial is ongoing.

References:
[1] Rossello A, Nuti E, Orlandini E, Carelli P, Rapposelli S, Macchia M, Minutolo F, Carbonaro L, Albini A, Benelli R, Cercignani G, Murphy G, Balsamo A. New N-arylsulfonyl-N-alkoxyaminoacetohydroxamic acids as selective inhibitors of gelatinase A (MMP-2). Bioorg Med Chem. 2004;12(9):2441-50.
[2] Tuccinardi T, Martinelli A, Nuti E, Carelli P, Balzano F, Uccello-Barretta G, Murphy G, Rossello A.Amber force field implementation, molecular modelling study, synthesis and MMP-1/MMP-2 inhibition profile of (R)- and (S)-N-hydroxy-2-(N-isopropoxybiphenyl-4-ylsulfonamido)- 3-methylbutanamides. Bioorg Med Chem. 2006;14(12):4260-76.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA