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## Product Data Sheet

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Product Name: BMS 182874 hydrochloride

Cat. No.: GC15537

### Chemical Properties

Cas. No. 1215703-04-0

Chemical Name 5-(dimethylamino)-N-(3,4-dimethylisoxazol-5-yl)naphthalene-1-sulfonamide hydrochloride

SMILES CC(C(C)=NO1)=C1NS(C2=CC=CC3=C2C=CC=C3N(C)C)(=O)=O.Cl

Formula  $C_{17}H_{19}N_3O_3S.HCl$  M.Wt 381.88

Solubility Soluble to 100 mM in DMSO Storage Store at RT

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

Ki: 61 nM for ETA in VSM-A10 cells; 48 nM for ETA in CHO cells

Endothelin (ET) was originally identified as a potent vasoactive substance secreted by endothelial cells that stimulated force development in isolated pig coronary arteries. ET-1 belongs to a family of highly conserved 21-amino-acid peptides produced in numerous tissues including the lung, kidney, eye, gut and central nervous system. BMS-182874 is a low molecular weight, nonpeptide endothelin (ET) receptor antagonist.

In vitro: BMS-182874 competitively inhibited the binding of [<sup>125</sup>I]ET-1 to ETA receptors in rat vascular smooth muscle A10 (VSM-A10) cell membranes (Ki = 61 nM) and in CHO cells stably expressing the human ETA receptor (Ki = 48 nM), but was a weak inhibitor at ETB receptors (Ki > 50 μM) and non-ET receptors. BMS-182874 inhibited ET-1-stimulated inositol phosphate accumulation (KB 75 nM) and calcium mobilization (Ki = 140 nM) without suppressing the maximal responses in VSM-A10 cells [1].

**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

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In vivo: When administered either orally (ED50 = 30  $\mu$ mol/kg) or intravenously (ED50 = 24  $\mu$ mol/kg) to conscious, normotensive rats, BMS-182874 blunted the pressor response to exogenous ET-I . These data demonstrate that BMS-I 82874 is a competitive, selective and orally active ETA receptor antagonist [1].

Clinical trial: Up to now, BMS 182874 hydrochloride is still in the preclinical development stage.

Reference:

[1] MARIA L WEBB, J. EILEEN BIRD, EDDIE C. K. LIU, PATRICIA M. ROSE, RANDY SERAFINO, PHILIP D. STEIN and SUZANNE MORELAND. BMS-182874 is a selective, nonpeptide endothelin ETA receptor antagonist. JPET 272:1124-1134, 1995.

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