

Title (en)
Method of determining the in-vivo activity of receptor-type kinase inhibitors

Title (de)
Verfahren zur Bestimmung der in-vivo Aktivität von rezeptorartigen Kinaseinhibitoren

Title (fr)
Procédé de déterminer l'activité d'inhibiteurs de kinases de type récepteur

Publication
EP 1385983 A1 20040204 (EN)

Application
EP 02719386 A 20020329

Priority
• US 0209758 W 20020329
• US 28077101 P 20010402

Abstract (en)
[origin: WO02079498A1] <i>In vivo</i> methods are disclosed for measuring compound inhibition of kinase receptor activity. Examples are provided which show a direct correlation between <i>in vivo</i> inhibition of KDR kinase inhibition and circulating blood and plasma levels of the inhibitor. These data are used to predict and validate non-quantifiable <i>in vitro</i> measurements, such as murine endothelial cell IC50 values. The <i>in vivo</i> potency of a compound determined by an assay of the present invention may be utilized to select dose amounts and frequencies for further preclinical animal model studies and human clinical studies designed to generate safety, potency and efficacy profiles for the respective inhibitor.

IPC 1-7
C12Q 1/00; C12Q 1/68; G01N 33/53; G01N 33/567; C07K 1/00; C07K 14/00; C07K 17/00; C07H 21/02; C07H 21/04

IPC 8 full level
C12Q 1/48 (2006.01); **G01N 33/567** (2006.01)

CPC (source: EP)
C12Q 1/485 (2013.01); **G01N 2333/912** (2013.01)

Designated contracting state (EPC)
AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE TR

DOCDB simple family (publication)
WO 02079498 A1 20021010; CA 2443144 A1 20021010; EP 1385983 A1 20040204; EP 1385983 A4 20051116; JP 2004527244 A 20040909

DOCDB simple family (application)
US 0209758 W 20020329; CA 2443144 A 20020329; EP 02719386 A 20020329; JP 2002577907 A 20020329