



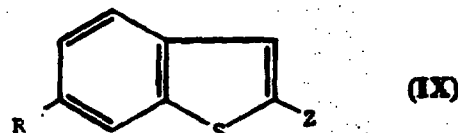
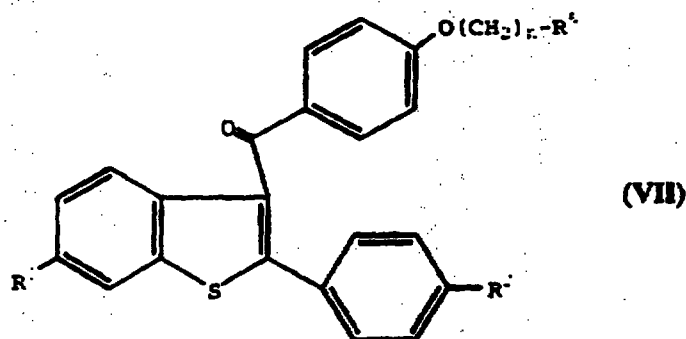
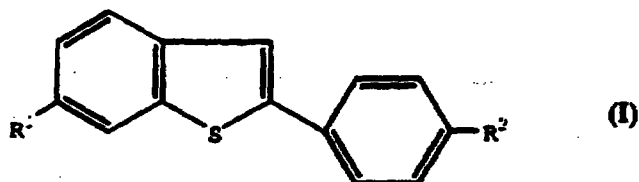
INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 333/52, 401/10, 405/10, 409/10, 413/10		A1	(11) International Publication Number: WO 96/30361
			(43) International Publication Date: 3 October 1996 (03.10.96)
(21) International Application Number: PCT/US96/04417		(74) Agents: SALES, James, J. et al.; Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN 46285 (US).	
(22) International Filing Date: 28 March 1996 (28.03.96)			
(30) Priority Data: 08/415,014 31 March 1995 (31.03.95) US		(81) Designated States: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).	
(60) Parent Application or Grant (63) Related by Continuation US 08/415,014 (CON) Filed on 31 March 1995 (31.03.95)		Published With international search report.	
(71) Applicant (for all designated States except US): ELI LILLY AND COMPANY [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US).			
(72) Inventors; and (75) Inventors/Applicants (for US only): HAUSER, Kenneth, L. [US/US]; 700 Kirkwood Drive, Greencastle, IN 46135 (US). PALKOWITZ, Alan, D. [US/US]; 1274 Bentley Way, Carmel, IN 46032 (US). SALL, Daniel, J. [US/US]; 376 Leisure Lane, Greenwood, IN 46142 (US). THRASHER, Kenneth, J. [US/US]; 8660 Count Turf Court, Indianapolis, IN 46217 (US).			

(54) Title: PROCESS FOR 2-SUBSTITUTED BENZO[b]THIOPHENE COMPOUNDS AND INTERMEDIATES THEREOF

(57) Abstract

The present invention provides processes for preparing compounds of formula (I), wherein R^1 is -H, -OH, or OR^3 , in which R^3 is a hydroxy protecting group; and R^2 is -H, -OH, or OR^4 , in which R^4 is a hydroxy protecting group, and for preparing compounds of formula (VII), wherein R^1 is -H, -OH, or OR^3 , in which R^3 is a hydroxy protecting group; R^2 is -H, -OH, or OR^4 , in which R^4 is a hydroxy protecting group; R^5 is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino; R^6 is bromo, chloro, iodo, or an activating ester group; and n is 2 or 3. Also provided are processes for preparing compounds of formula (VII) in addition to intermediates of formula (IX), wherein R^1 is -OH, or - OR^3 , in which R^3 is a hydroxy protecting group; and Z is bromo, iodo, triflate, or - $B(OH)_2$.



FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AM	Armenia	GB	United Kingdom	MW	Malawi
AT	Austria	GE	Georgia	MX	Mexico
AU	Australia	GN	Guinea	NE	Niger
BB	Barbados	GR	Greece	NL	Netherlands
BE	Belgium	HU	Hungary	NO	Norway
BF	Burkina Faso	IE	Ireland	NZ	New Zealand
BG	Bulgaria	IT	Italy	PL	Poland
BJ	Benin	JP	Japan	PT	Portugal
BR	Brazil	KE	Kenya	RO	Romania
BY	Belarus	KG	Kyrgyzstan	RU	Russian Federation
CA	Canada	KP	Democratic People's Republic of Korea	SD	Sudan
CF	Central African Republic	KR	Republic of Korea	SE	Sweden
CG	Congo	KZ	Kazakhstan	SG	Singapore
CH	Switzerland	LI	Liechtenstein	SI	Slovenia
CI	Côte d'Ivoire	LK	Sri Lanka	SK	Slovakia
CM	Cameroon	LR	Liberia	SN	Senegal
CN	China	LT	Lithuania	SZ	Swaziland
CS	Czechoslovakia	LU	Luxembourg	TD	Chad
CZ	Czech Republic	LV	Latvia	TG	Togo
DE	Germany	MC	Monaco	TJ	Tajikistan
DK	Denmark	MD	Republic of Moldova	TT	Trinidad and Tobago
EE	Estonia	MG	Madagascar	UA	Ukraine
ES	Spain	ML	Mali	UG	Uganda
FI	Finland	MN	Mongolia	US	United States of America
FR	France	MR	Mauritania	UZ	Uzbekistan
GA	Gabon			VN	Viet Nam