Product Data Sheet

Product Name: UK-157147
Cat. No.: GC33809

Chemical Properties

Cas. No.	162704-20-3		
SMILES	O=C1C=CC(O[C@H]2[C@](C)(O)C(C)(C)OC3=CC=C(S(=O)(C4=CC=CC(O)=C4)=O)C=C23)=NN1C		
Formula	$C_{23}H_{24}N_2O_7S$	M.Wt	472.51
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	UK-157147		

Protocol

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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Kinase experiment:

UGT assays are performed. Assays are incubated in 100 mM Tris/maleate buffer (pH 7.4) containing 5 mM MgCl2, typically 500 μM substrate, and 350 to 500 μg of cellular sonicate in a total volume of 100 μL. Screening assays are incubated for 60 min at 37°C at two concentrations of UDPGA: 50 μM and 2 mM (containing 0.1 μCi [14C]UDPGA). UDPGA (50 μM) assays allow higher levels of radiolabel incorporation into the glucuronide, which enhances assay sensitivity making this a useful tool for screening. Kinetic determinations are performed at 2 mM UDPGA or higher. The compounds screened are UK-157147 (UK-157,147), UK-156,037, and UK-157,261. Each batch of screening assays is accompanied by positive controls for the cell lines: UGT1A9, 500 μM propofol; UGT1A6, 500 μM 1-naphthol; UGT1A1, 250 μM 17α-ethinylestradiol; and UGT2B15, 500 μM 8-hydroxyquinoline. The unknown compounds are also assayed with human liver microsomes to establish a retention time for the radiolabeled conjugate on the radiochemical HPLC system[1].

References:

[1]. Ethell BT, et al. Use of cloned and expressed human UDP-glucuronosyltransferases for the assessment of human drug conjugation and identification of potential drug interactions. Drug Metab Dispos. 2001 Jan;29(1):48-53.

Background

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UK-157147 is a substrate for UDP-glucuronosyltransferases (UGT1A1) with a Km value of 105 μM.

Glucuronidation is an important pathway for human drug metabolism. Four cloned and expressed human UDP-glucuronosyltransferases (UGT1A1, UGT1A6, UGT1A9, and UGT2B15) are used to screen a series of three potential drug substrates differing only in position of the phenol moiety. The para phenol UK-157147 (UK-157,147) is found to be a substrate for UGT1A1 with a Km value of 105 μM[1].

[1]. Ethell BT, et al. Use of cloned and expressed human UDP-glucuronosyltransferases for the assessment of human drug conjugation and identification of potential drug interactions. Drug Metab Dispos. 2001 Jan;29(1):48-53.

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