Product Data Sheet

Product Name: UMB-32
Cat. No.: GC14168

Chemical Properties

Cas. No.	1635437-39-6		
Chemical Name	N-(1,1-dimethylethyl)-2-[4-(3,5-dimethyl-4-isoxazolyl)phenyl]-imidazo[1,2-a]pyrazin-3-amine		
SMILES	CC(C)(C)NC1=C(N=C2N1C=CN=C2)C3=CC=C(C4=C(C)ON=C4C)C=C3		
Formula	$C_{21}H_{23}N_5O$	M.Wt	361.4
Solubility	≤20mg/ml in ethanol;10mg/ml in DMSO;20mg/ml in dimethyl formamide	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	UMB-32		

Background

UMB-32 is a potent, selective inhibitor of the BET bromodomain BRD4 [1]. The BET family (BRD2, BRD3, BRD4, and BRDT) functions as transcriptional coactivator proteins. BET bromodomains are also important mediators of cell cycle progression and facilitate developmental transitions such as spermiogenesis. Deregulation of BET bromodomain function has been observed in numerous malignancies. BET bromodomain inhibition has contributed new insights into gene regulation and emerged as a promising therapeutic strategy in cancer [1].

In vitro: UMB-32 bound to BRD4 with a Kd value of 550 nM and 724 nM cellular potency in BRD4-dependent lines. UMB-32 showed potency against TAF1, a bromodomain-containing transcription factor. UMB-32 showed markedly increased potency for the BET proteins associated with a dramatic improvement in selectivity. UMB-32 potently bound to the TAF1 and TAF1L with the Kd values of 560nM and 1.3 μM, respectively [1].

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Reference:
[1] McKeown M R, Shaw D L, Fu H, et al. Biased multicomponent reactions to develop novel bromodomain inhibitors[J]. Journal of medicinal chemistry, 2014, 57(21): 9019-9027.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA