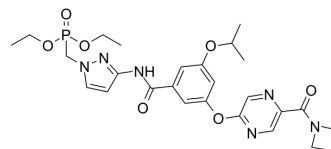


## BMS-820132

<b>Cat. No.:</b>	HY-144289
<b>CAS No.:</b>	1001419-18-6
<b>Molecular Formula:</b>	C <sub>26</sub> H <sub>33</sub> N <sub>6</sub> O <sub>7</sub> P
<b>Molecular Weight:</b>	572.55
<b>Target:</b>	Glucokinase
<b>Pathway:</b>	Metabolic Enzyme/Protease
<b>Storage:</b>	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 25 mg/mL (43.66 mM; Need ultrasonic)																					
	<table border="1"> <thead> <tr> <th rowspan="2">Solvent</th> <th rowspan="2">Mass</th> <th colspan="3">Concentration</th> </tr> <tr> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td rowspan="3">Preparing Stock Solutions</td> <td>1 mM</td> <td>1.7466 mL</td> <td>8.7329 mL</td> <td>17.4657 mL</td> </tr> <tr> <td>5 mM</td> <td>0.3493 mL</td> <td>1.7466 mL</td> <td>3.4931 mL</td> </tr> <tr> <td>10 mM</td> <td>0.1747 mL</td> <td>0.8733 mL</td> <td>1.7466 mL</td> </tr> </tbody> </table>	Solvent	Mass	Concentration			1 mg	5 mg	10 mg	Preparing Stock Solutions	1 mM	1.7466 mL	8.7329 mL	17.4657 mL	5 mM	0.3493 mL	1.7466 mL	3.4931 mL	10 mM	0.1747 mL	0.8733 mL	1.7466 mL
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	Please refer to the solubility information to select the appropriate solvent.																					
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.37 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.5 mg/mL (4.37 mM); Clear solution</li> </ol>																					

### BIOLOGICAL ACTIVITY

<b>Description</b>	BMS-820132 is an orally active and partial glucokinase (GK) activator with a AC <sub>50</sub> of 29 nM. BMS-820132 decreases the glucose levels in glucose tolerance test (OGTT) model in normal rats, but not Zucker diabetic fatty (ZDF) rats. BMS-820132 exhibits pharmacological toxicity secondary to strong GK activation <sup>[1][2]</sup> .
<b>In Vivo</b>	<p>BMS-820132 (compound 31) (3 μmol/kg, 30 μmol/kg; po; single dose) decreases glucose levels in high-fat diet-induced obese (DIO) mice, in an oral glucose tolerance test (OGTT)<sup>[1]</sup>.</p> <p>BMS-820132 (10-200 mg/kg; po; once daily for 1 mo) results in body weight reduction in normal rat but not ZDF rats, indicating that the toxicity is secondary to the exaggerated pharmacology of potent GK activation<sup>[2]</sup>.</p> <p>BMS-820132 (10 mg/kg, 60 mg/kg, 120 mg/kg; po; once daily for 1 mo) results insignificant effects on dogs food consumption<sup>[2]</sup>.</p>

Pharmacokinetic Analysis in Animal Model<sup>[1]</sup>

	Route	Dose (mg/kg)	C <sub>max</sub> (μM)	T <sub>max</sub> (h)	AUC <sub>0-24 h</sub> (μM·h)	T <sub>1/2</sub> (h)	CLT <sub>p</sub> (mL/min/kg)	V <sub>ss</sub> (L/kg)	F (L/kg)
mouse	iv	2.5			24.9	2.1	2.9	0.3	
	po	5	14.1	0.5	49.7				100
rat	iv	2.5			16.6	0.9	4.4	0.3	
	po	5	13.0	0.9	29.5				90
dog	iv	3			12.3	1.8	7.2	0.5	
	po	3	4.9	0.8	8.0				66
monkey	iv	3			3.8	1.9	22.7	1.1	
	po	3	3.8	1.3	0.57				15

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Zucker diabetic fatty (ZDF) rats and normal SD rats <sup>[2]</sup>
Dosage:	10 mg/kg, 50 mg/kg, 200 mg/kg
Administration:	PO; once daily for 1 month
Result:	Resulted reductions in body weight gains starting on day 7 in SD rats (11% lower than controls), but not ZDF rats.
Animal Model:	Beagle dogs <sup>[2]</sup>
Dosage:	10 mg/kg, 60 mg/kg, 120 mg/kg
Administration:	PO; once daily for 1 month
Result:	There were no meaningful differences in food consumption in dogs model.

## REFERENCES

[1]. Shi Y, et al. Discovery of a Partial Glucokinase Activator Clinical Candidate: Diethyl ((3-(3-((5-(Azetidine-1-carbonyl)pyrazin-2-yl)oxy)-5-isopropoxybenzamido)-1H-pyrazol-1-yl)methyl)phosphonate (BMS-820132). J Med Chem. 2022;65(5):4291-4317.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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